Electronic Supplementary Information

for

[2 + 2]-Cycloaddition-derived cyclobutane natural products: structural diversity, sources, bioactivities, and biomimetic syntheses

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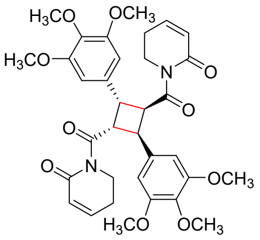
The Supporting Information is a supplementary data for **section 2** to illustrate the structure, occurrence and bioactivities of [2 + 2]-cycloaddition-derived cyclobutane natural products up to December 2021 and for **section 3** to illustrate the optical rotation of [2 + 2]-cycloaddition-derived cyclobutane natural products.

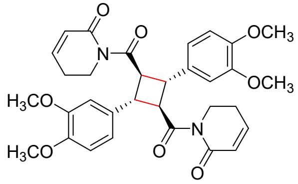
Contents

1. Structure list of [2 + 2]-cycloaddition-derived cyclobutane natural products

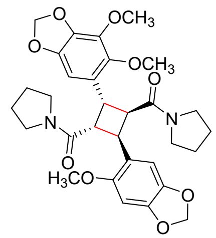
Piperidine/pyrrolidine alkaloid [2+2] dimers



piplartine-dimer A, 1



(α, β, α, β)-1, 3-bis(3,4-dimethoxyphenyl)-2,4-bis[1-(2-carbonyl-5,6-dihydropyridine)-formyl]-cyclobutane, 2



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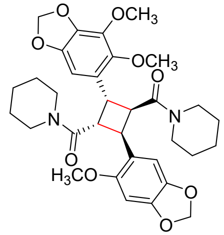
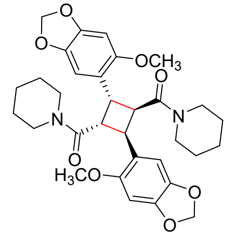
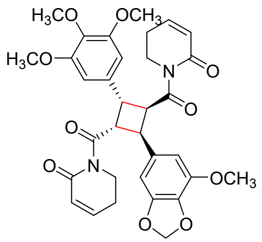


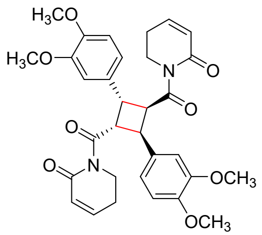
cyclobutane-2-(1, 3-benzodioxol-5-methoxy-6-yl) -4-(1, 3-benzodioxol-4, 5-dimethoxy-6-yl) -1, 3-dicarboxapiperidide, 4



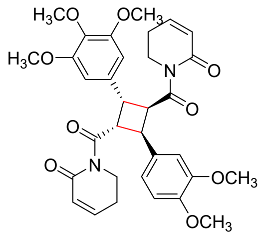
cyclobutane-2, 4-bis-(1, 3-benzodioxol-5-methoxy-6-yl)-1, 3-dicarboxapiperidide, 5



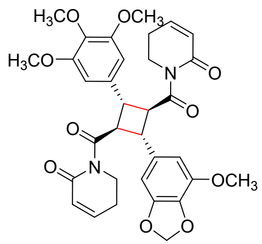
piperarboresine, 6



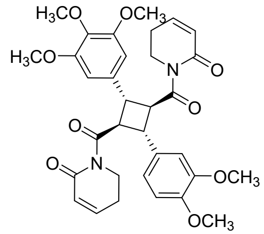
piperarborenine A, 7



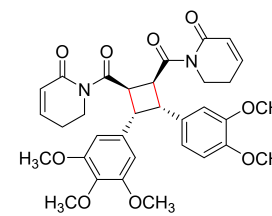
piperarborenine B, 8



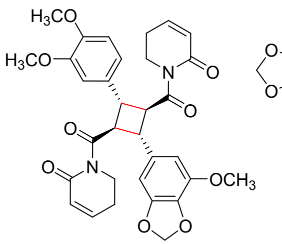
piperarborenine C, 9



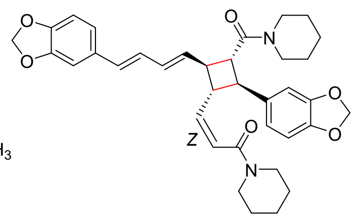
piperarborenine D, 10#
(original)



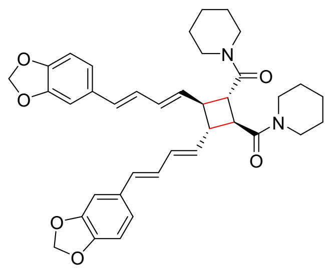
piperarborenine D, 10
(revised)



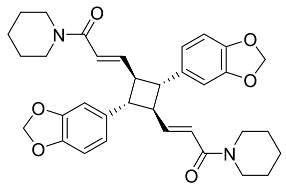
piperarborenine E, 11



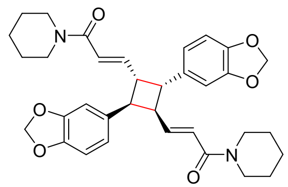
pipercyclobutanamide B, 12



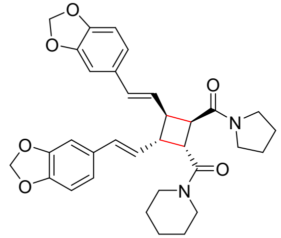
pipercyclobutanamide C, 13



dipiperamide A, 14#
(proposed)

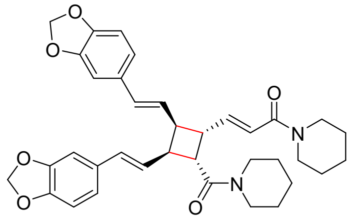


dipiperamide A, 14 (revised)
dipiperamide B (proposed)

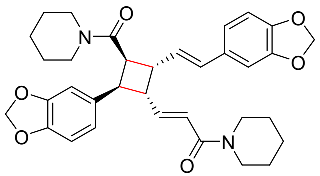


dipiperamide C, 15

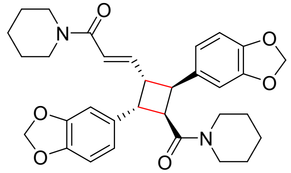
Piperidine/pyrrolidine alkaloid [2+2] dimers (continued)



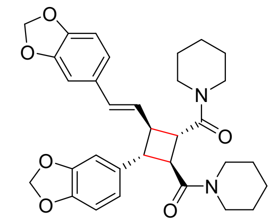
dipiperamide D, 16



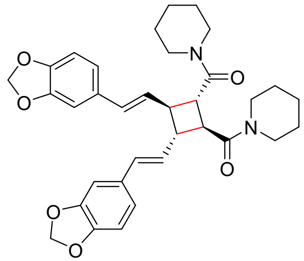
dipiperamide E, 17



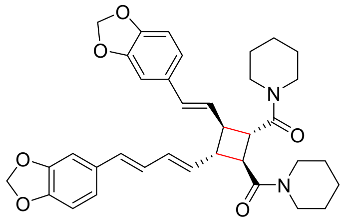
nigramide P, 18



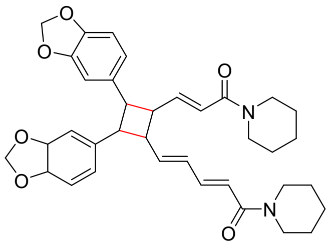
nigramide Q, 19



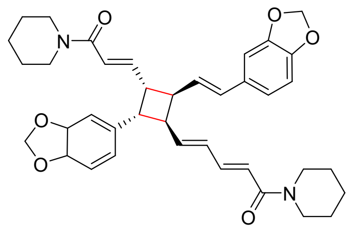
nigramide R, 20



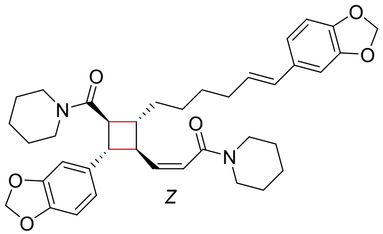
nigramide S, 21



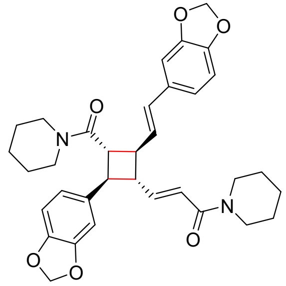
pipernigramide E, 22



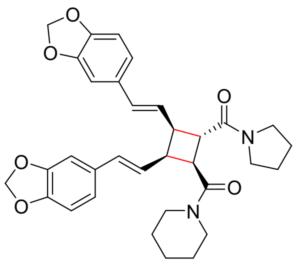
pipernigramide F, 23



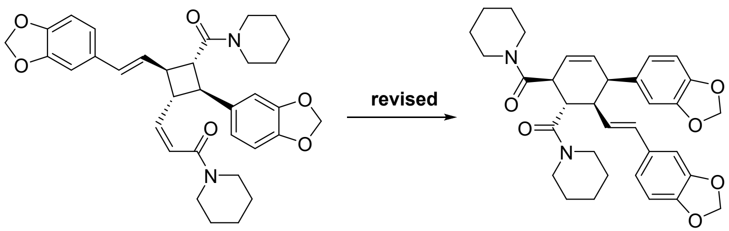
piperchabamide H, 24



dipiperamides F, 25

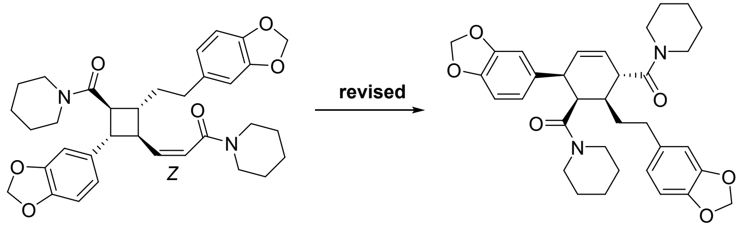


dipiperamides G, 26



Pipercyclobutanamide A
(proposed)

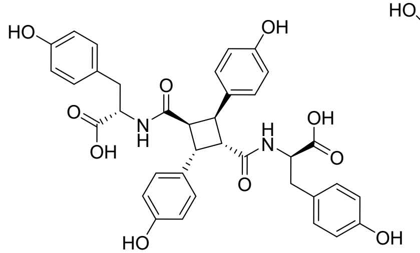
Chabamide



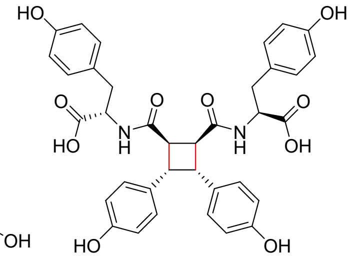
Piperchabamide G
(proposed)

Nigramide F

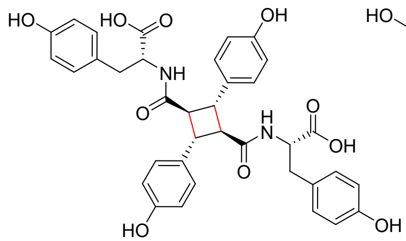
Amide alkaloids [2+2] dimers



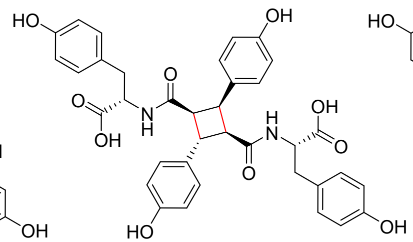
abrusamide A, 27#
(proposed)



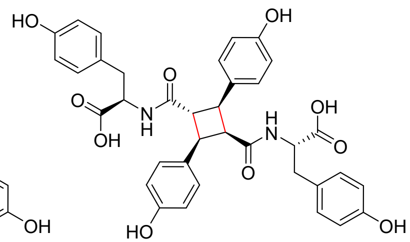
abrusamide A, 27
(revised)



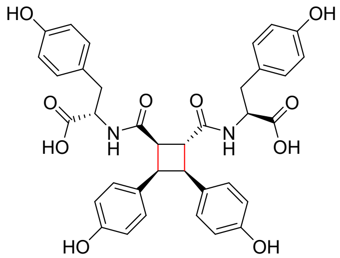
abrusamide B, 28



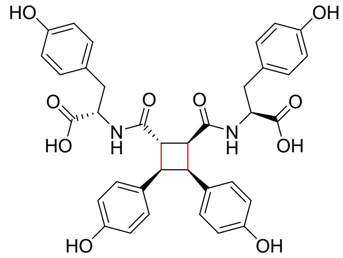
abrusamide C, 29



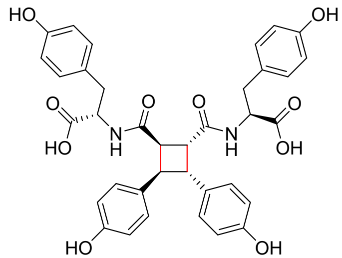
abrusamide D, 30



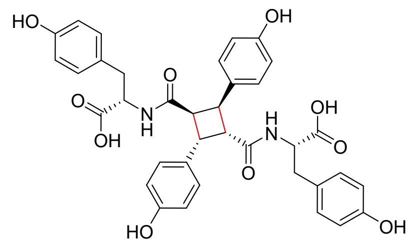
abrusamide E, 31



abrusamide F, 32

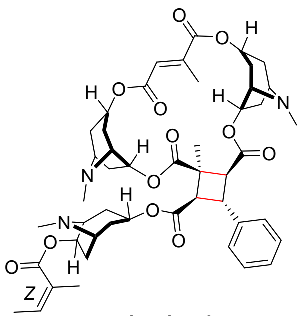


abrusamide G, 33

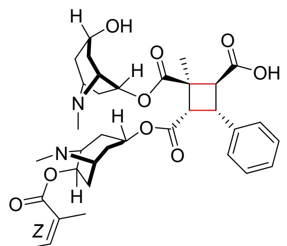


abrusamide H, 34

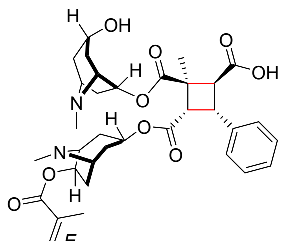
Tropane alkaloid [2+2] dimers



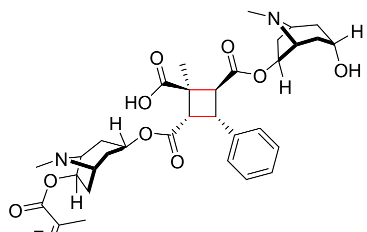
grahamine, 35



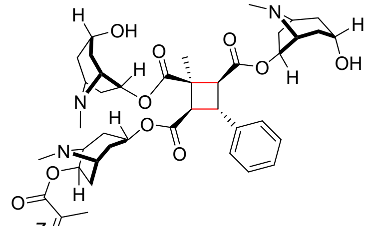
grahamine A, 36



grahamine B, 37

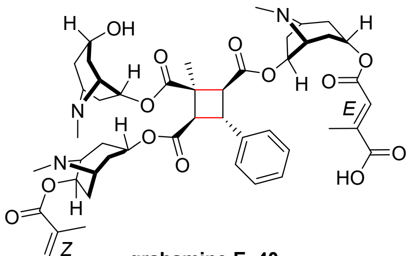


grahamine C, 38

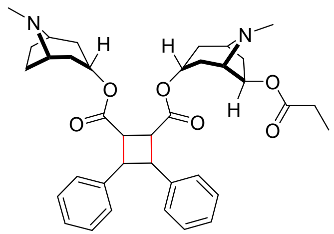


grahamine D, 39

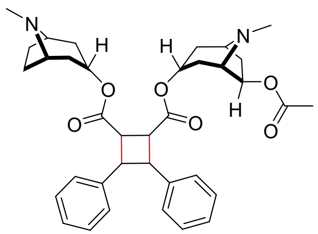
Tropane alkaloid [2+2] dimers (continued)



grahamine E, 40

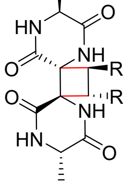


mooniine A, 41

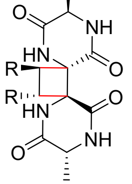


mooniine B, 42

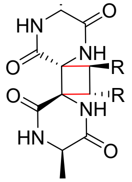
Indole alkaloid [2+2] dimers



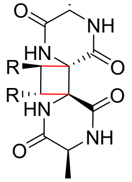
(–)-uncarilin A, 43a



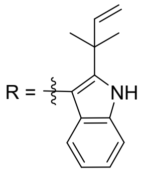
(+)-uncarilin A, 43b

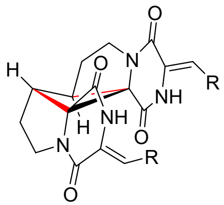


(–)-uncarilin B, 44a

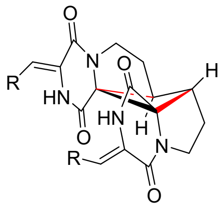


(+)-uncarilin B, 44b

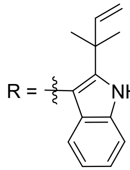




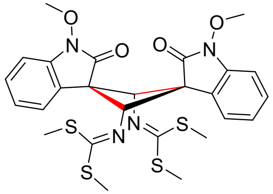
(–)-asperginulin A, 45a



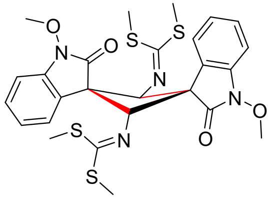
(+)-asperginulin A, 45b



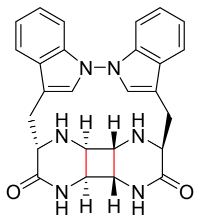
Indole alkaloid [2+2] dimers (continued)



biswasalexin A1, 46

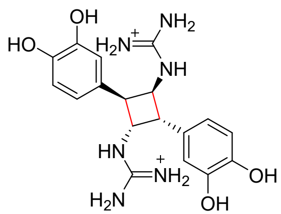


biswasalexin A2, 47

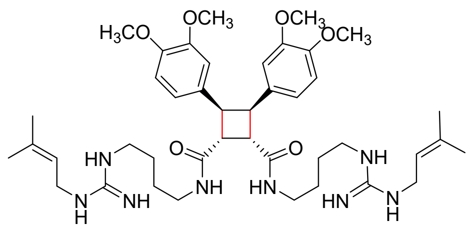


schischkiniin, 48

Guanidine alkaloid [2+2] dimers

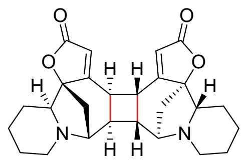


orthidine E, 49



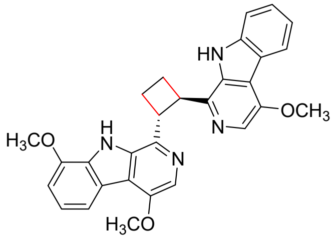
caracasandiamide, 50

Indolizidine alkaloid [2+2] dimer

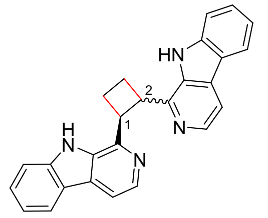


flueggedine, 51

β-Carboline alkaloid [2+2] dimers

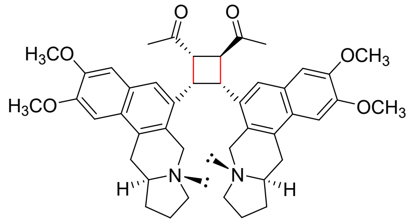


quassidine A, 52

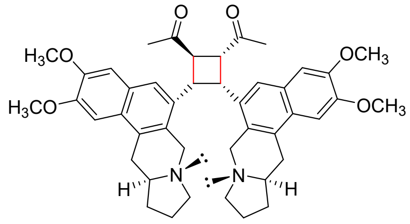


orthoscuticelline A, 53 *cis*-1,2
orthoscuticelline B, 54 *trans*-1,2

Isoquinoline alkaloid [2+2] dimers

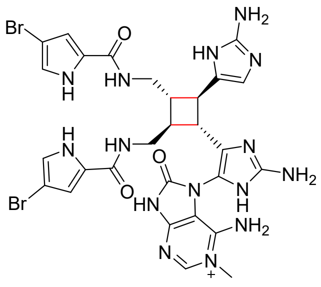


(+)-tengerensine, 55a

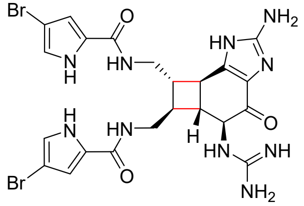


(–)-tengerensine, 55b

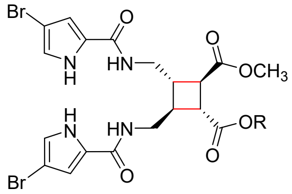
Brominated pyrrole-imidazole alkaloids [2+2] dimers



15'-oxoadenosceptrin, 56

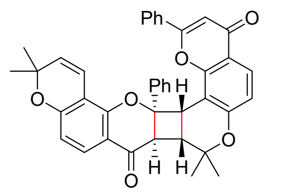


hexazosceptrin, 57

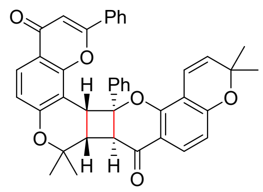


ageleste A, 58 R = H
ageleste B, 59 R = CH_3

Flavone [2 + 2] dimers

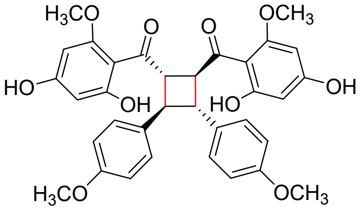


(–)-millpuline A, 60a

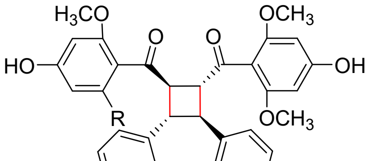


(+)-millpuline A, 60b

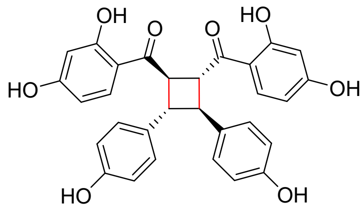
Chalcone *head-to-head* [2+2] dimers



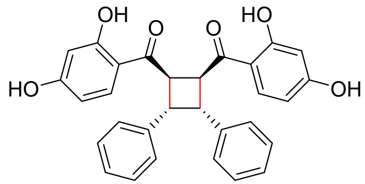
61



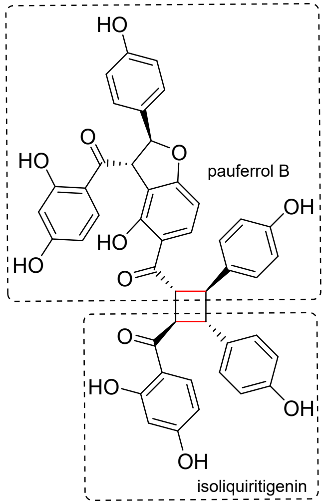
62 R = OH
63 R = OCH_3



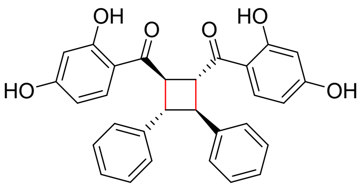
64



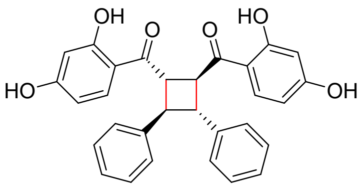
oxyfadichalcone B, 65



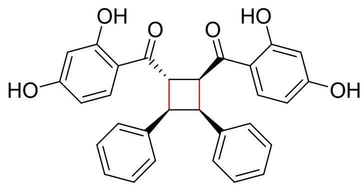
pauferrol A, 68



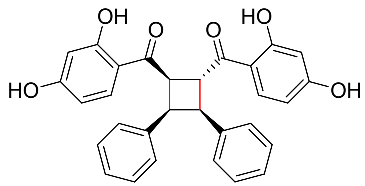
(+)-oxyfadichalcone C, 66a



(–)-oxyfadichalcone C, 66b

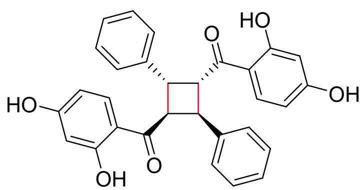


(+)-oxyfadichalcone F, 67a

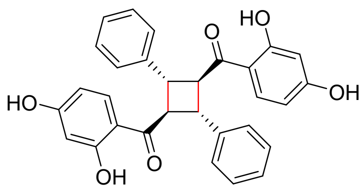


(–)-oxyfadichalcone F, 67b

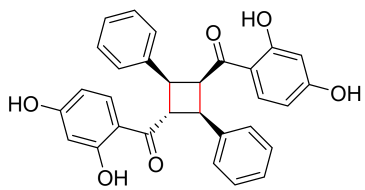
Chalcone *head-to-tail* [2+2] dimers



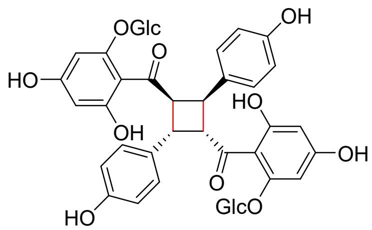
oxyfadichalcone A, 69



oxyfadichalcone D, 70

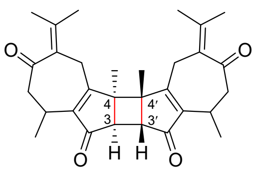


oxyfadichalcone E, 71

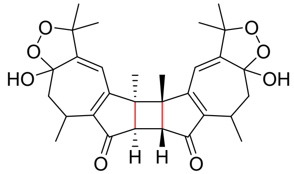


tomoroside A, 72

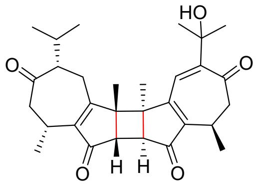
Guaiane [2+2] dimers



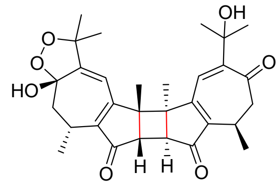
vielanin B, 73



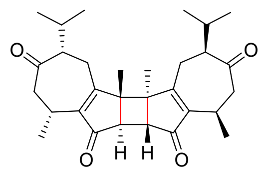
vielanin C, 74



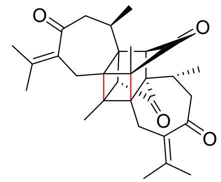
vielaninor Q, 75



vielaninor R, 76

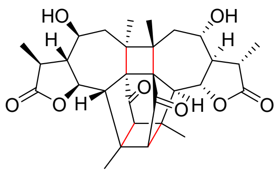


xylopidimer D, 77

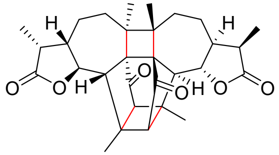


xylopiana A, 78

Guaiane [2+2] dimers (continued)

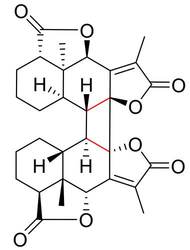


artelein, 79



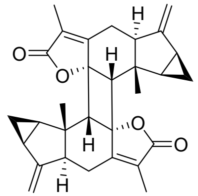
artesin A, 80

Eremophilane [2+2] dimer

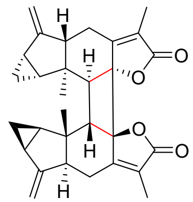


biliguhodgsonolide, 81

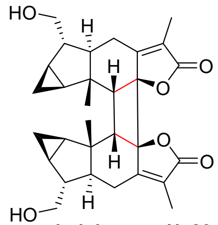
Lindenane [2+2] dimers



chloranthalactone F, 82#
(proposed)

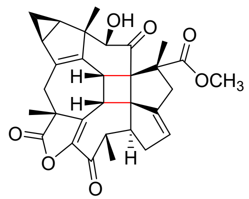


chloranthalactone F, 82
(revised)

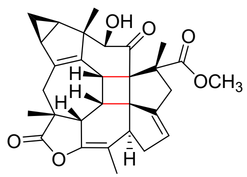


chololactone H, 83

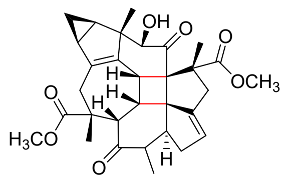
Lindenane & Guaiane [2+2] hetero-dimers



chlorahupetone A, 84

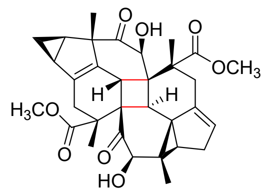


chlorahupetone B, 85

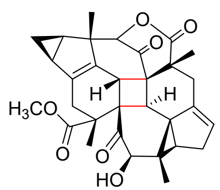


chlorahupetone C, 86

Lindenane [2+2] dimers (continued)

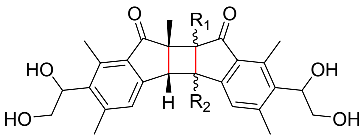


chlorahupetone D, 87



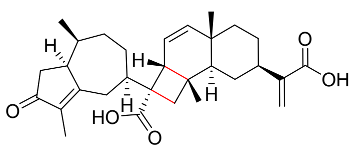
chlorahupetone E,88

C_{14} pterosin [2+2] dimers

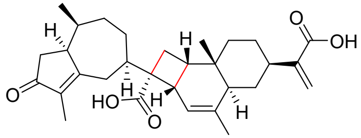


bimutipterosin A, 89 $R_1 = \alpha CH_3$ $R_2 = \alpha H$
bimutipterosin B, 90 $R_1 = \beta CH_3$ $R_2 = \beta H$

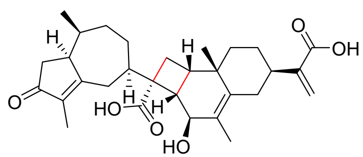
Guaiane & Eudesmane [2+2] hetero-dimers



artepestrin A, 91

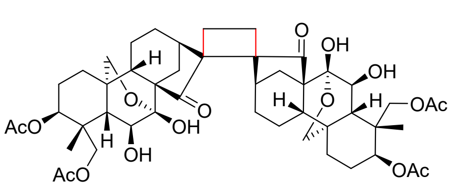


artepestrin B, 92

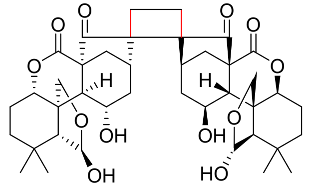


artepestrin C, 93

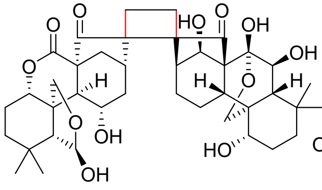
Diterpenoid [2 + 2] dimers



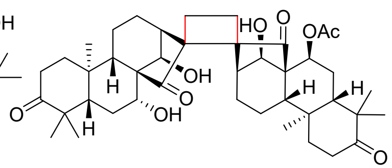
maoecrystal M, 94



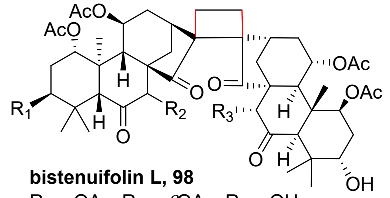
bisjaponin A, 95



bisjaponin B, 96

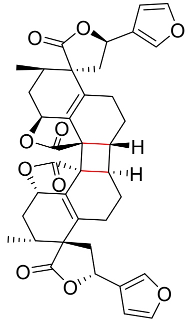


neoglaucocalyxin, 97

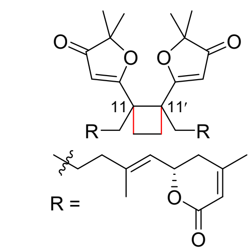


bistenuifolin L, 98
R_1 = OAc R_2 = βOAc R_3 = OH
bistenuifolin M, 99
R_1 = OH R_2 = H R_3 = OAc

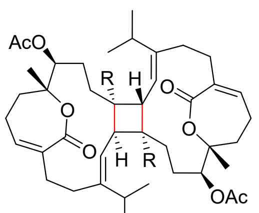
Diterpenoid [2 + 2] dimers (continued)



crotoeurin A, 100

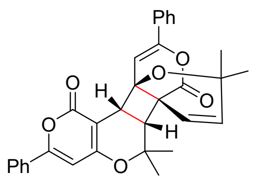


aphadilactone E, 101 11*R*,11′*S*
aphadilactone F, 102 11*R*,11′*S*
aphadilactone G, 103 11*R*,11′*S*

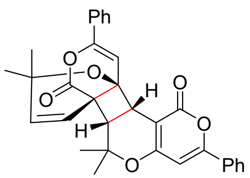


bistortuolide cyclobutane A, 104 R = $COOCH_3$

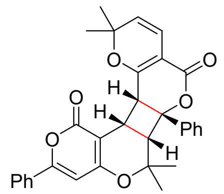
Meroterpenoid [2+2] homo-dimers



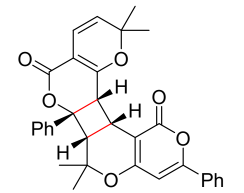
(+)-hyperterpenoid A, 105a



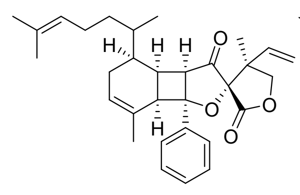
(–)-hyperterpenoid A, 105b



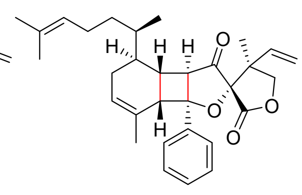
(+)-hyperterpenoid B, 106a



(–)-hyperterpenoid B, 106b

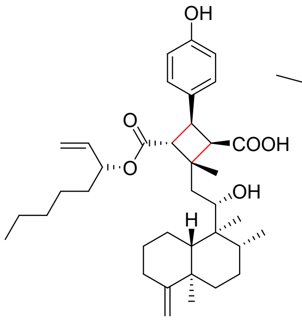


biyouyanagin A, 112#
(proposed)

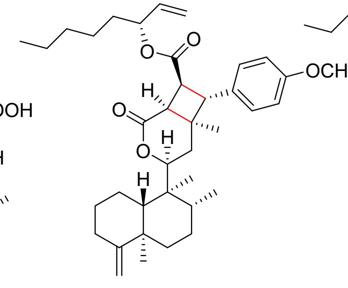


biyouyanagin A, 112
(revised)

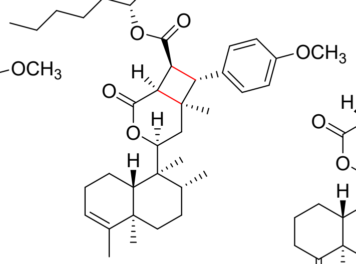
Meroterpenoid [2+2] hetero-dimers



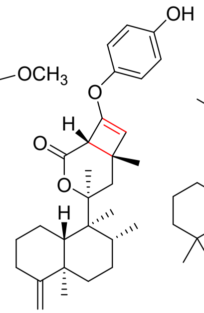
scopariusic acid, 107



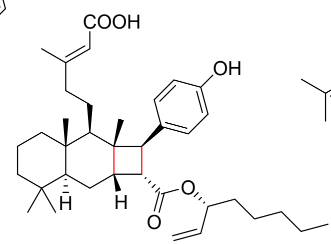
scopariusicide A, 108



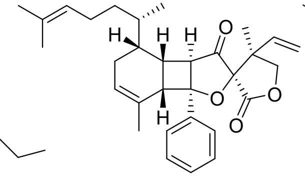
scopariusicide B, 109



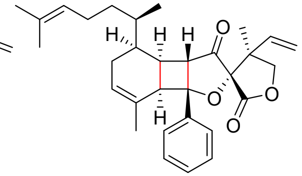
scopariusicide C, 110



isoscopariusin A, 111

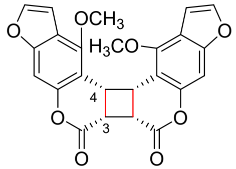


biyouyanagin B, 113#
(proposed)

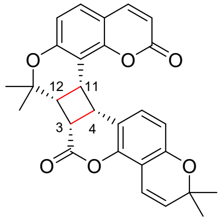


biyouyanagin B, 113
(revised)

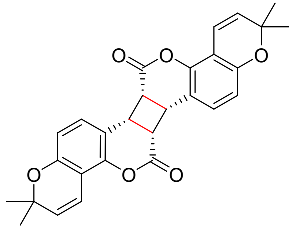
Coumarin [2+2] dimers



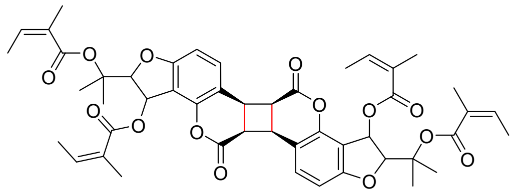
bergapten dimer, 114



diseselin A, 115

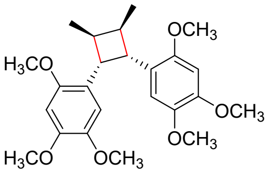


diseselin B, 116

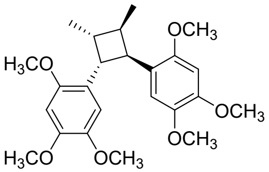


diarchangelicin A, 117

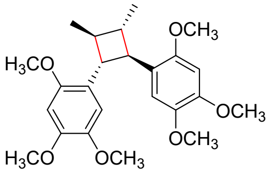
Cyclobutane-lignans



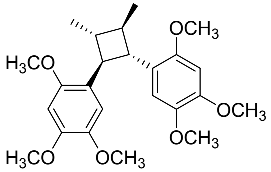
heterotropan, 118



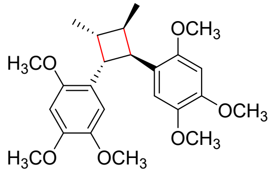
magnosalin, 119#
(proposed)



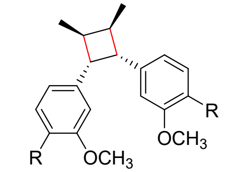
magnosalin, 119
(revised)



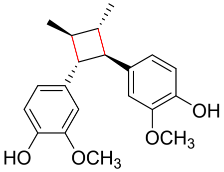
andamanicin, 120#
(proposed)



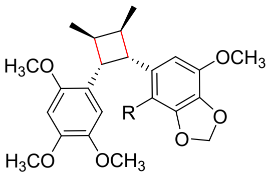
andamanicin, 120
(revised)



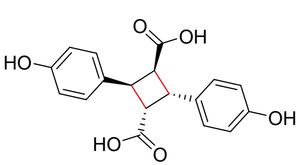
cinbalansan, 121 R = OCH_3
endiandrin B, 123 R = OH



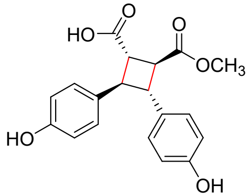
endiandrin A, 122



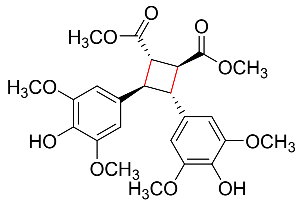
moslolignan A, 124 R = H
moslolignan B, 125 R = OCH_3



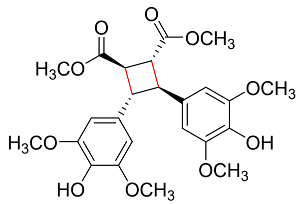
4,4′-dihydroxytruxillic acid, 126



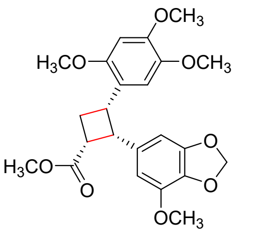
anisumic acid, 127



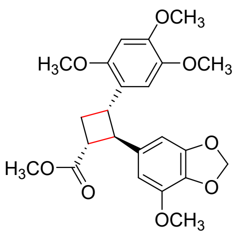
(+)-isatiscycloneolignan A, 128a



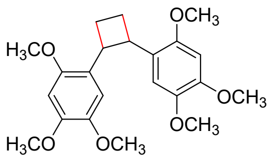
(–)-isatiscycloneolignan A, 128b



methyl *rel*-(1*R*,2*S*,3*S*)-2-(7-methoxy-1,3-benzodioxol-5-yl) -3-(2,4,5-trimethoxyphenyl) cyclobutanecarboxylate, 129

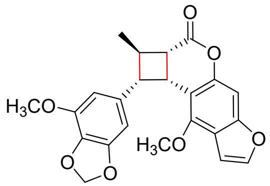


methyl *rel*-(1*R*,2*R*,3*S*)-2-(7-methoxy-1,3-benzodioxol-5-yl) -3-(2,4,5-trimethoxyphenyl) cyclobutanecarboxylate, 130

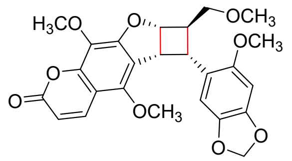


pachypophyllin, 131

Coumarinolignan heter-dimers

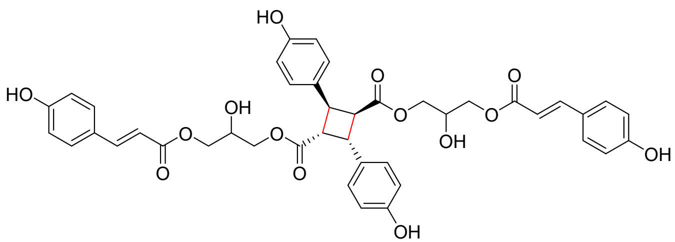


lindleyanin, 132

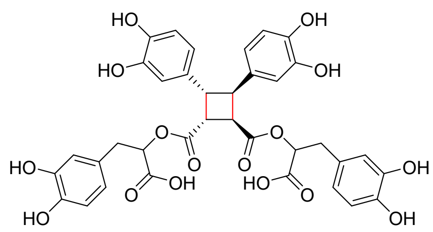


melicodin C, 133

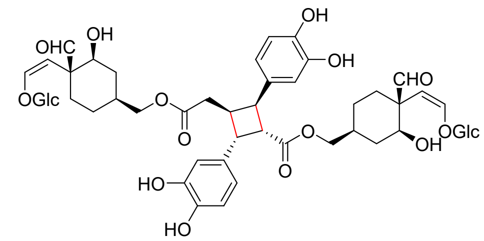
Phenylpropionic acid [2+2] dimers



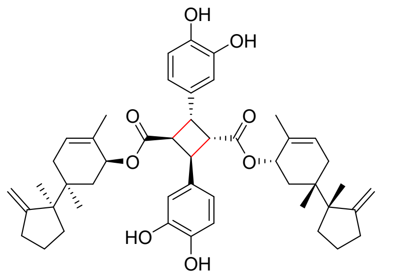
chokorin, 134



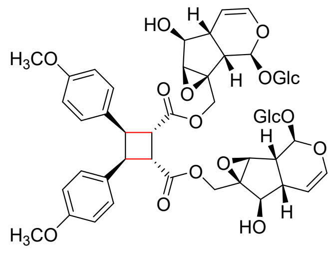
sagerinic acid, 135



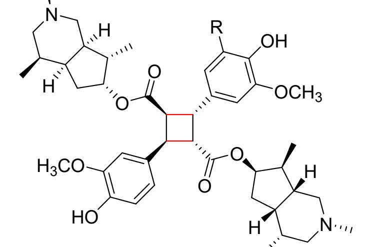
136



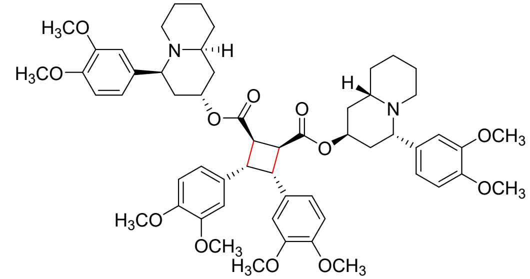
1α,3β-di(3,4-dihydroxyphenyl)-2α,4β-dibazzanenyl cyclobutane dicarboxylate, 137



4,4′-dimethoxy-β-truxinic acid catalpol diester, 138

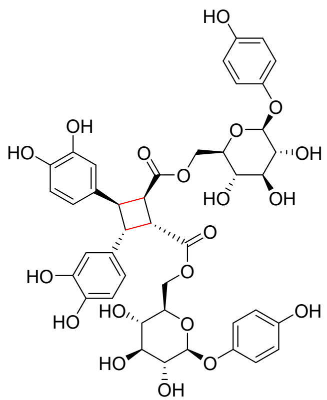


incarvillateine, 139 R = H
methoxyincarvillateine, 140 R = OCH_3

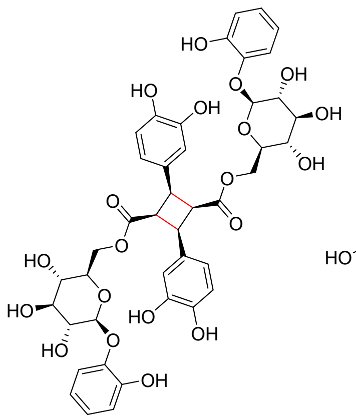


sarusubine A, 141

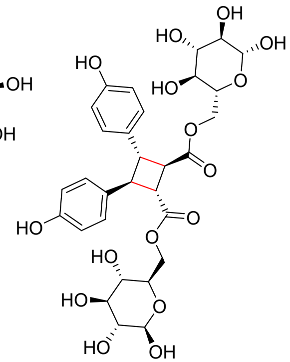
Phenylpropanoid glucoside [2+2] dimers



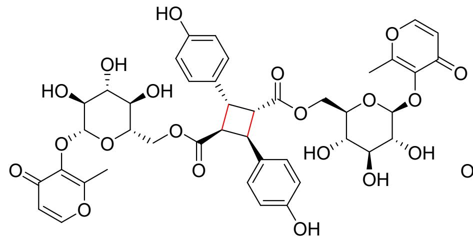
dunalianoside H, 142



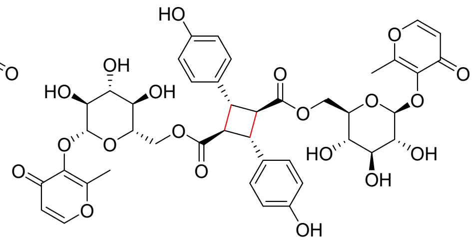
dodegranoside B, 143



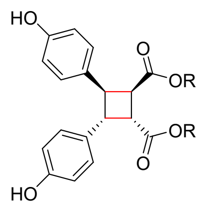
β-truxilloyl 6-*O*-β-D-glucopyranose diester, 144



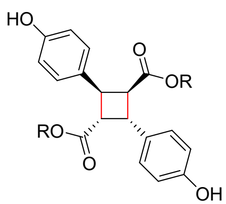
diinnovanoside A, 145



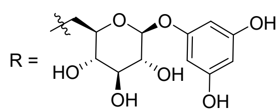
diinnovanoside B, 146



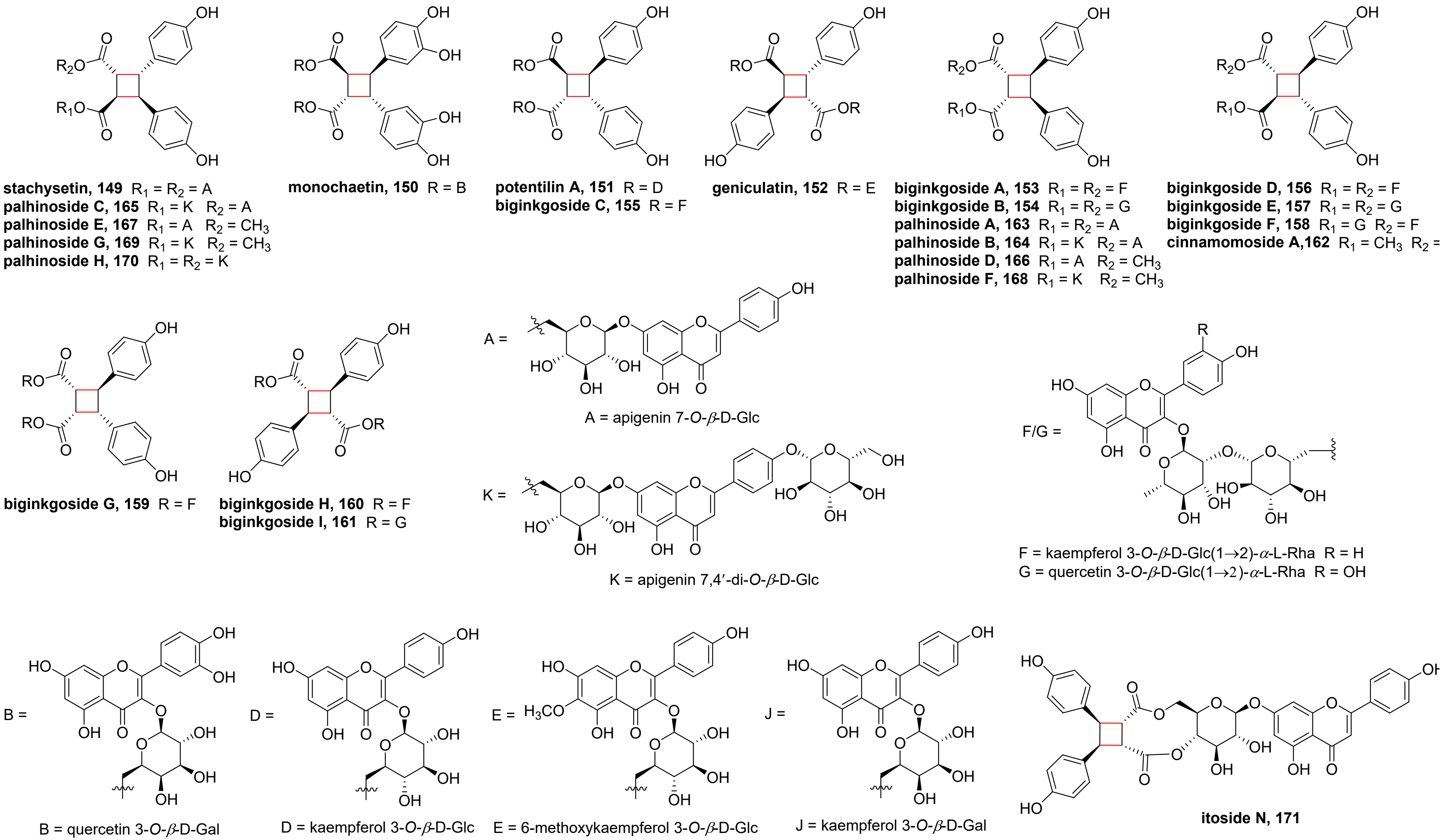
tadehaginoside C, 147



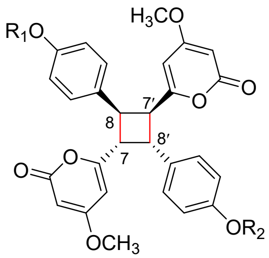
tadehaginoside D, 148



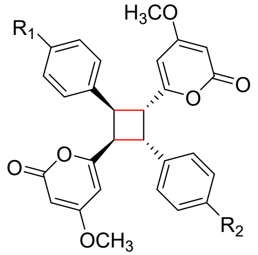
Flavonoid glucoside cyclodimers



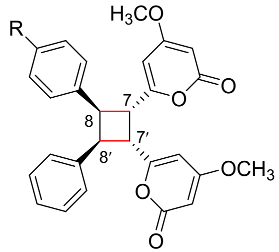
Kavalactone [2+2] dimers



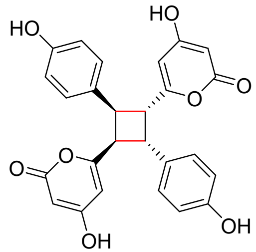
achyrodimer A, 172 R_1 = H R_2 = H
achyrodimer B, 173 R_1 = Glc R_2 = H
achyrodimer C, 174 R_1 = Glc R_2 = Glc
velutinindimer A, 180 R_1 = CH_3 R_2 = CH_3



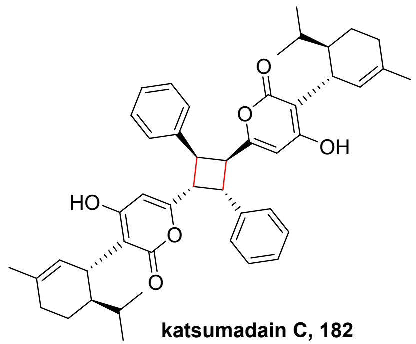
diyangonin A, 175 R_1 = OCH_3 R_2 = OCH_3
diyangonin B, 176 R_1 = OCH_3 R_2 = H
178 R_1 = H R_2 = H



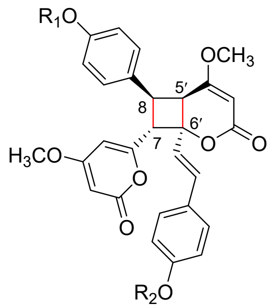
diyangonin C, 177 R = OCH_3
179 R = H



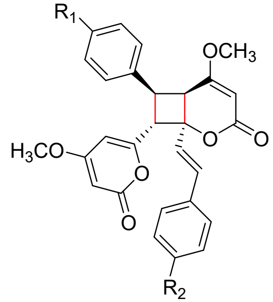
achyrodimer F, 181



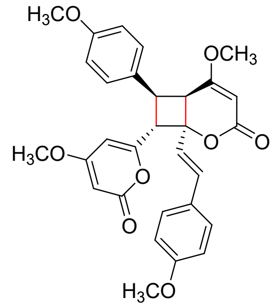
katsumadain C, 182



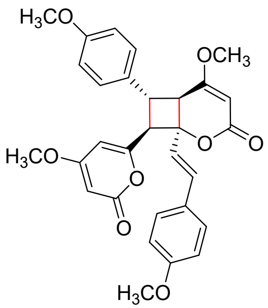
achyrodimer D, 183 R_1 = H R_2 = H
achyrodimer E, 184 R_1 = Glc R_2 = Glc



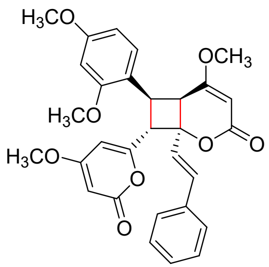
yangonindimer A, 185 R_1 = OCH_3 R_2 = OCH_3
yangonindimer B, 186 R_1 = OCH_3 R_2 = H
yangonindimer C, 187 R_1 = H R_2 = OCH_3
aniba dimer A, 188 R_1 = H R_2 = H



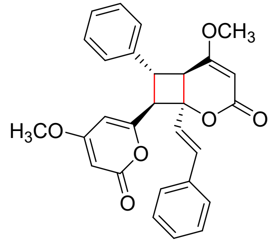
velutinindimer B, 189



velutinindimer C, 190

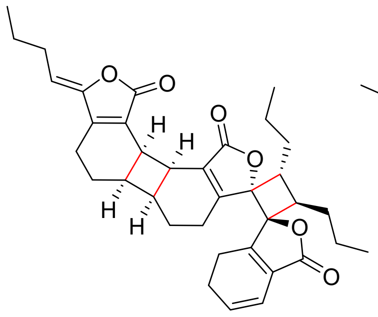


kavalactone A, 191

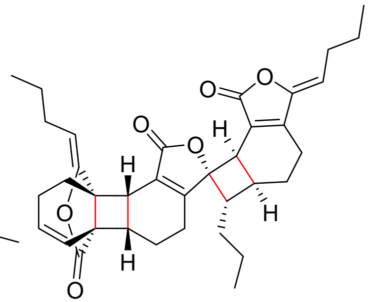


aniba dimer C, 192

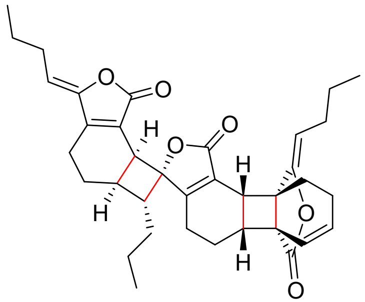
Phthalide [2+2] trimers



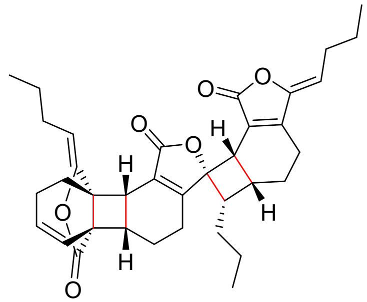
angesinenolide A, 193



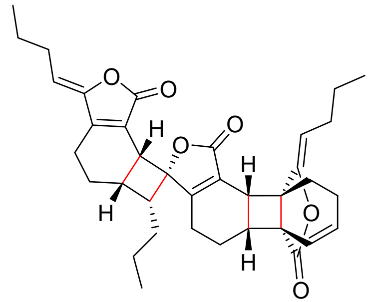
(–)-triligustilide A, 194a



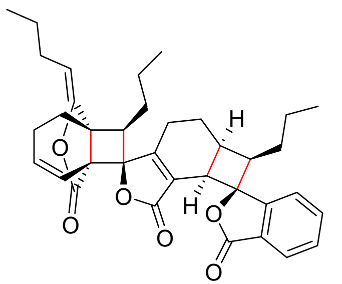
(+)-triligustilide A, 194b



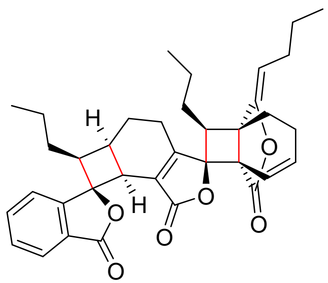
(–)-triligustilide B, 195a



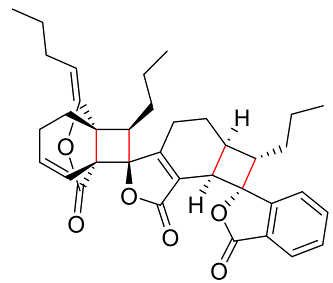
(+)-triligustilide B, 195b



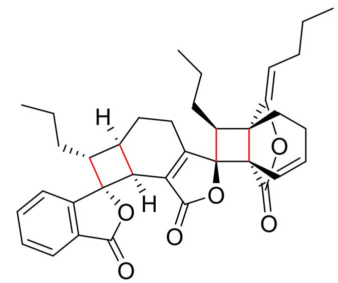
(+)-triangeliphthalide A, 196a



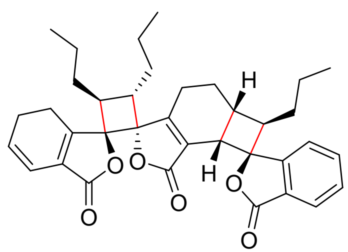
(–)-triangeliphthalide A, 196b



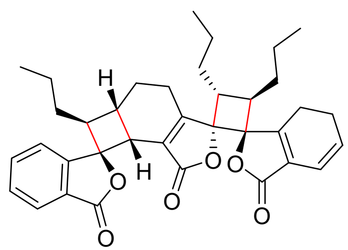
(+)-triangeliphthalide B, 197a



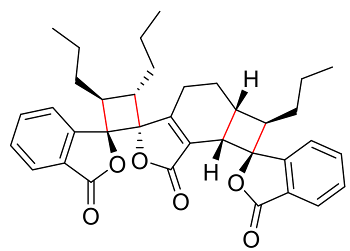
(–) triangeliphthalide B, 197b



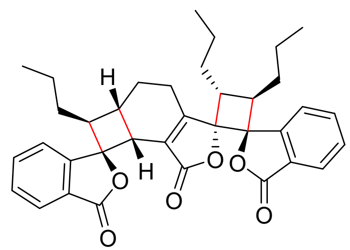
(–)-triangeliphthalide C, 198a



(+)-triangeliphthalide C, 198b

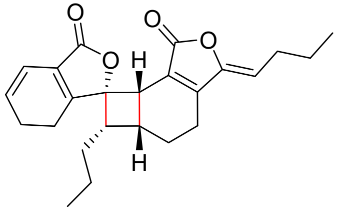


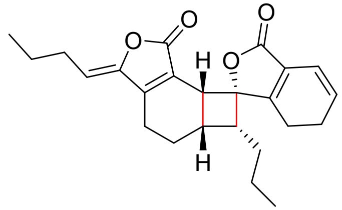
(+)-triangeliphthalide D, 199a

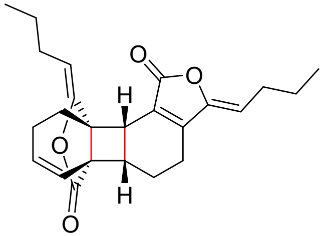


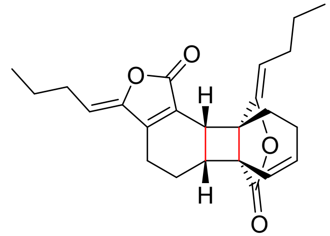
(–)-triangeliphthalide D, 199b

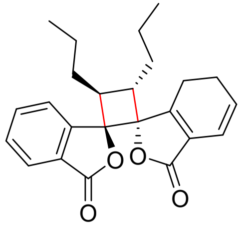
Phthalide [2+2] dimers

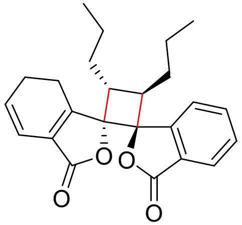

(–)-riligustilide, 200a

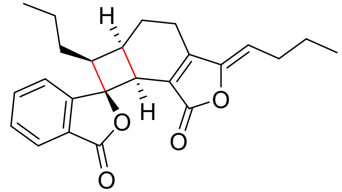

(+)-riligustilide, 200b

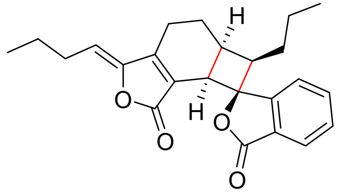

(+)-tokinolide A, 201a

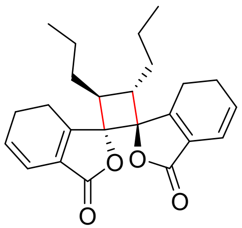

(–)-tokinolide A, 201b

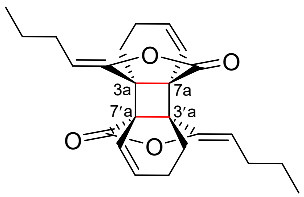

(–)-diangeliphthalide A, 202a

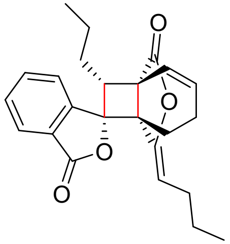

(+)-diangeliphthalide A, 202b

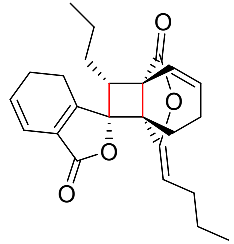

(–)-gelispirolide, 203a

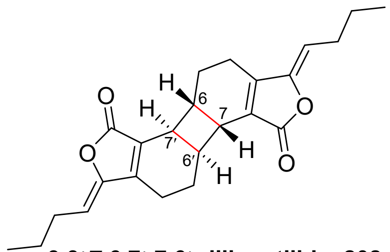

(+)-gelispirolide, 203b

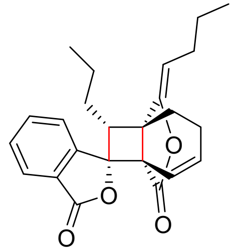

angelicolide, 204

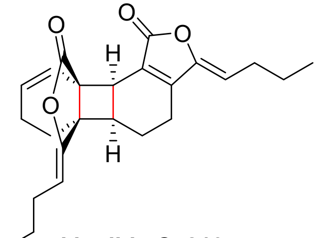

Z,Z'-3.3'a,7.7'a-diligustilide, 205

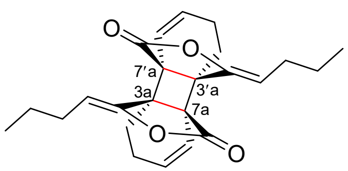

sinaspirolide, 206

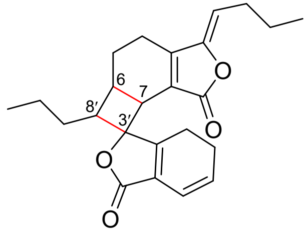

neodiligustilide, 207

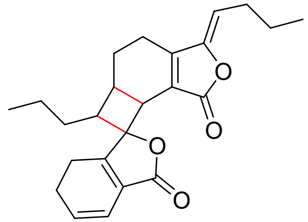

3,3′*Z*-6.7′,7.6′-diligustilide, 208

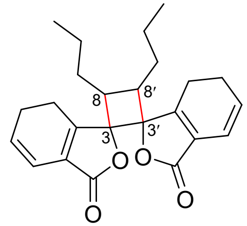

tokiaerialide, 209


tokinolide C, 210

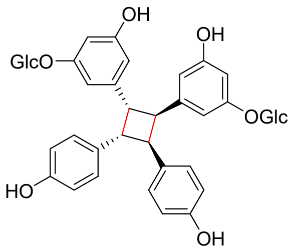

cis-Z,Z′-3a.7a′,7a.3a′-dihydroxyligustilide, 211


Z,Z′-6.8′,7.3′-diligustilide, 212

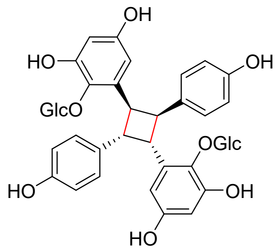

angelicide, 213


Z,Z′-3.3′,8.8′-diligustilide, 214

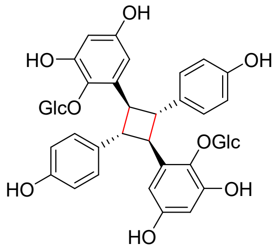
Stilbene glucoside [2+2] dimers



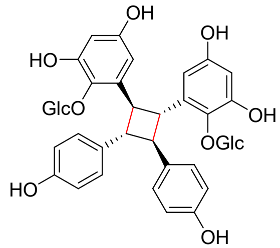
215



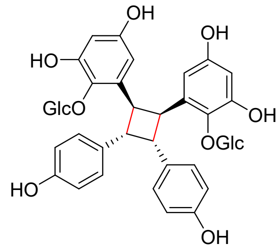
multiflorumiside A, 216



multiflorumiside B, 217

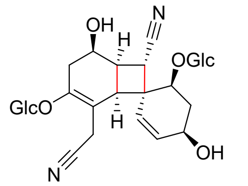


multiflorumiside C, 218



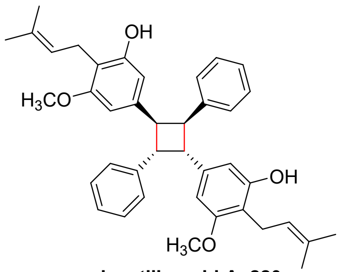
multiflorumiside D, 219

Noncyanogenic cyanoglucoside [2+2] dimers

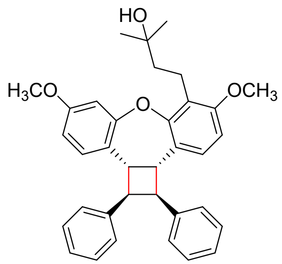


simmonoside A, 223

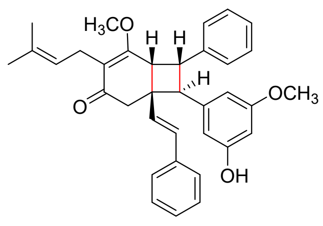
Stilbene glucoside [2+2] dimers (continued)



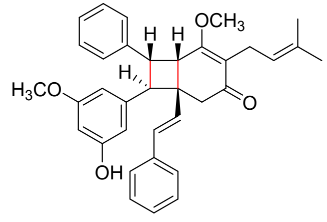
cajanstilbenoid A, 220



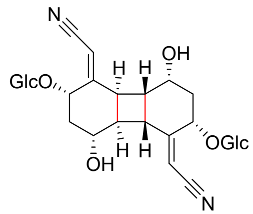
cajanstilbenoid B, 221



(+)-cajanusine, 222a

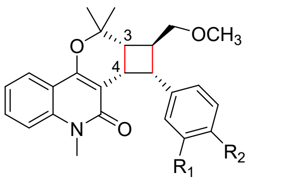


(–)-cajanusine, 222b

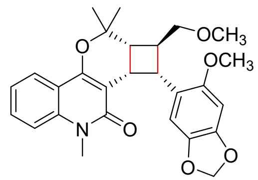


simmonoside B, 224

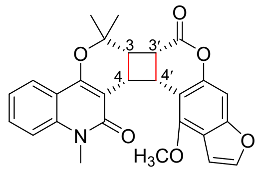
Quinolinone alkaloids-phenylpropanoid [2+2] heter-dimers



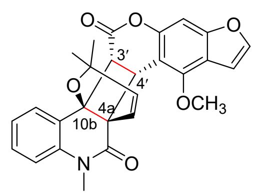
melicodenine C, 225 $R_1 = R_2 = OCH_2O$
melicodenine D, 226 $R_1 = R_2 = OCH_3$



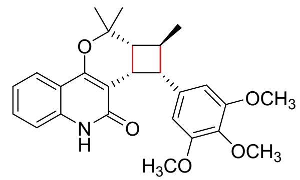
melicodenine E, 227



melicodenine F, 228

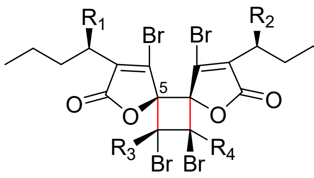


melicodenine G, 229

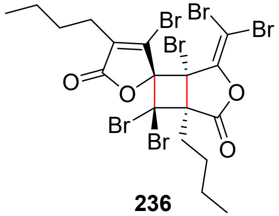


euodenine A, 230

Halogenated furanone [2+2] dimers

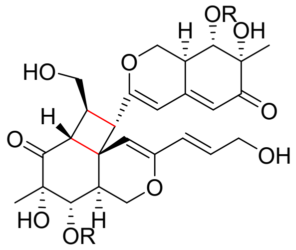


pulchralide A, 231 $R_1 = R_2 = OAc, R_3 = R_4 = H$
pulchralide B, 232 $R_1 = R_2 = R_3 = R_4 = H$
pulchralide C, 233 $R_1 = OAc, R_2 = R_3 = R_4 = H$
acetoxyfimbrolide C$_2$ dimer, 234 $R_1 = R_2 = H, R_3 = R_4 = Br$
acetoxyfimbrolide meso dimer, 235 C-5 epimer of **234**

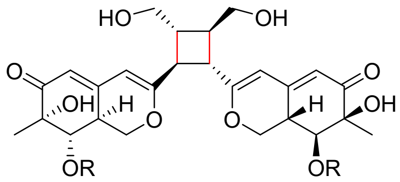


236

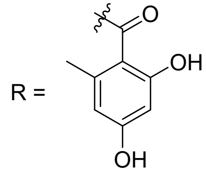
Azaphilone [2+2] dimers



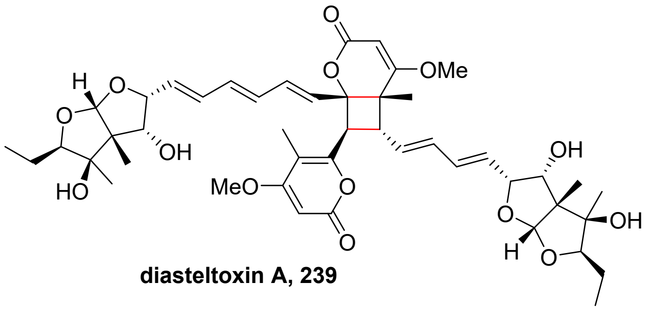
dipleosporalone A, 237



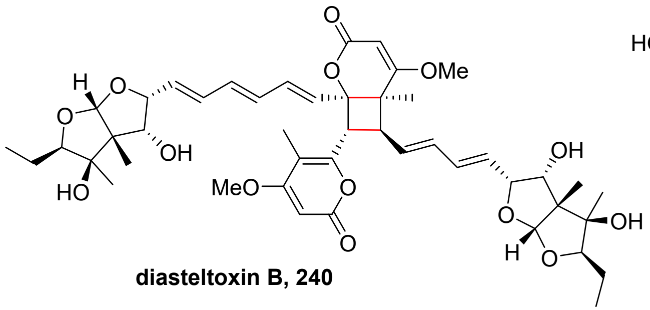
dipleosporalone B, 238



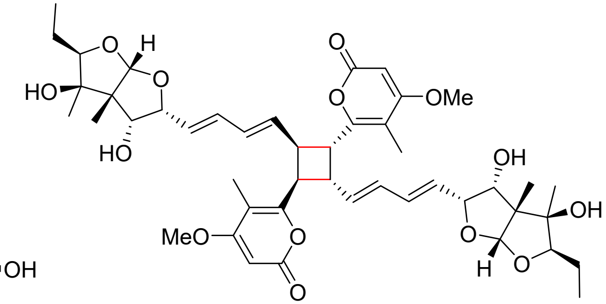
Asteltoxin [2+2] dimers



diasteltoxin A, 239

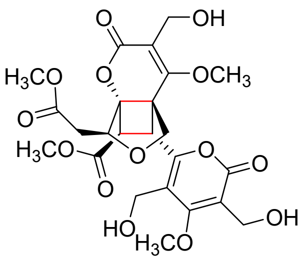


diasteltoxin B, 240

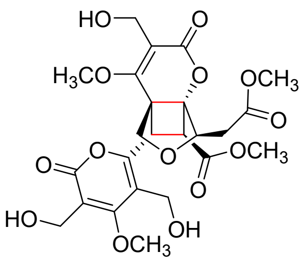


diasteltoxin C, 241

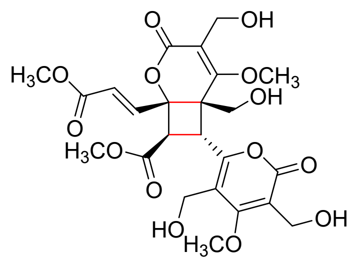
***α*-Pyrone [2+2] dimers**



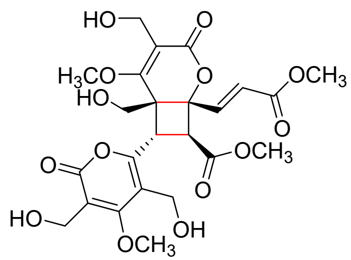
(+)-phomone A, 242a



(–)-phomone A, 242b

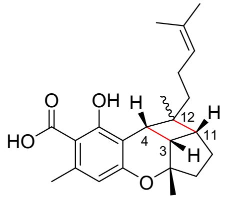


5*S*,6*S*,7′*R*,8′*R*-phomone B, 243a

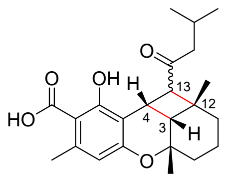


5*R*,6*R*,7′*S*,8′*S*-phomone B, 243b

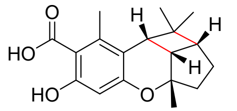
Chromane meroterpenoids



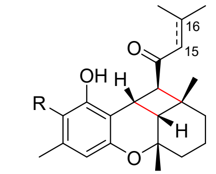
rhododaurichromanic acid A, 244 12*S*
rhododaurichromanic acid B, 245 12*R*



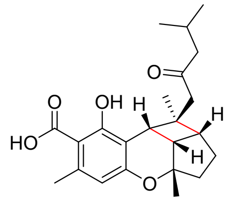
anthopogochromane
246# 13*S*; **246** 13*R*



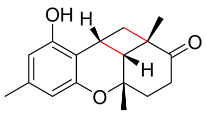
anthopogocyclolic acid, 247



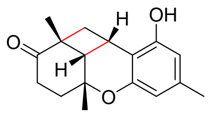
rubiginosin B, 248 R = COOH $\Delta^{15,16}$
rubiginosin G, 250 R = H



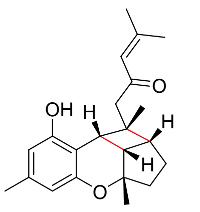
rubiginosin C, 249



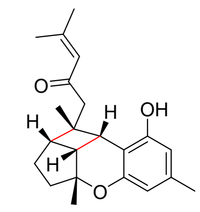
(–)-rhodonoid A, 251a



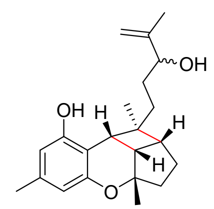
(+)-rhodonoid A, 251b



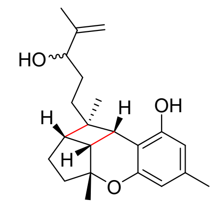
(–)-rhodonoid B, 252a



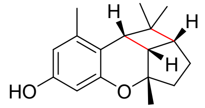
(+)-rhodonoid B, 252b



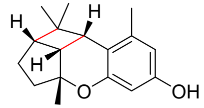
(+)-rhodonoid E, 253a 15*R*
(+)-rhodonoid F, 254a 15*S*



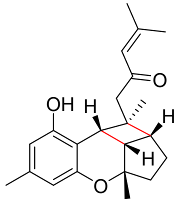
(–)-rhodonoid E, 253b 15*S*
(–)-rhodonoid F, 254b 15*R*



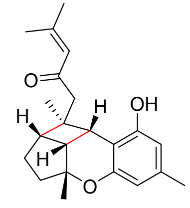
(–)-nyingchinoid D, 255a



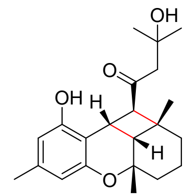
(+)-nyingchinoid D, 255b



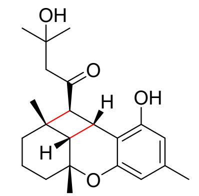
(+)-fastinoid B, 257a



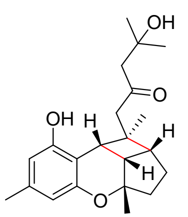
(–)-fastinoid B, 257b



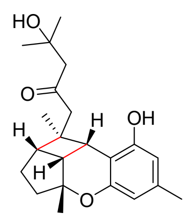
(+)-fastinoid C, 258a



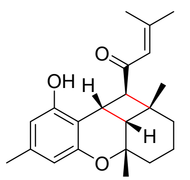
(–)-fastinoid C, 258b



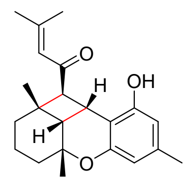
(+)-fastinoid A, 256a



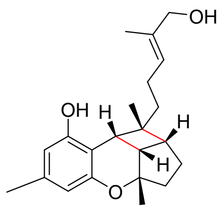
(–)-fastinoid A, 256b



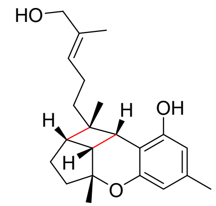
(+)-rubiginosin A, 259a



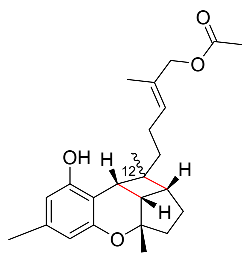
(–)-rubiginosin A, 259b



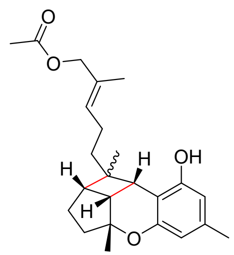
(–)-anthoponoid B, 260a



(+)-anthoponoid B,260b

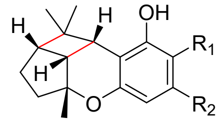


(–)-anthoponoid C, 261a 12*R*
(+)-anthoponoid D, 262a 12*S*



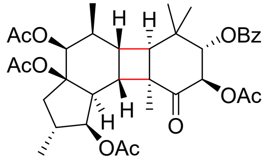
(+)-anthoponoid C, 261b 12*S*
(–)-anthoponoid D, 262b 12*R*

Chromane meroterpenoids (continued)

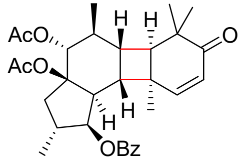


cannabiorcicyclol, 263 R_1 = H R_2 = CH_3
cannabiorcicyclolic acid, 264 R_1 = COOH R_2 = CH_3
cannabicyclovarin, 265 R_1 = H R_2 = C_3H_7
cannabicyclol (CBL), 266 R_1 = H R_2 = C_5H_{11}
cannabicyclolic acid, 267 R_1 = COOH R_2 = C_5H_{11}

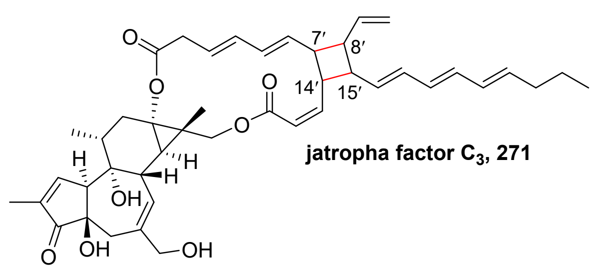
Diterpenoids



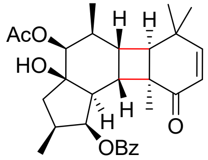
gaditanone, 268



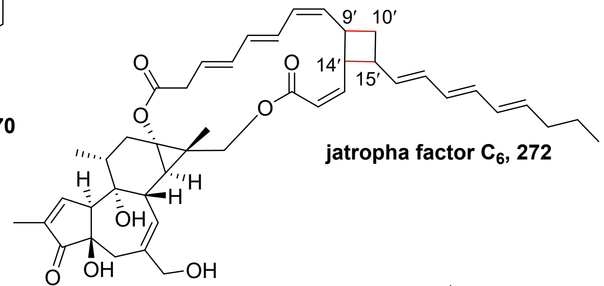
heliosterpenoid A, 269



jatropha factor C$_3$, 271

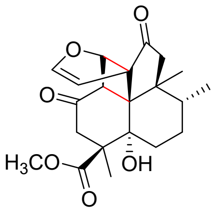


heliosterpenoid B, 270

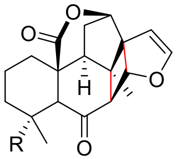


jatropha factor C$_6$, 272

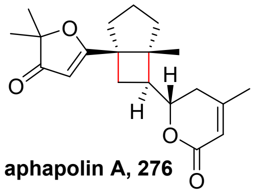
Diterpenoids (continued)



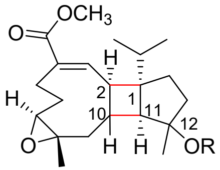
cracroson D, 273



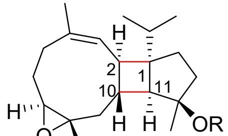
haplomintrin A, 274 R = $COOCH_3$
haplomintrin B, 275 R = CH_3



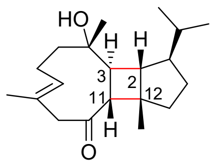
aphapolin A, 276



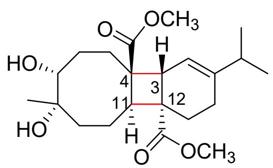
methyl sarcotroate A, 277 R = H 10*S*,12*R*
methyl sarcotroate B, 278 R = OH 10*S*,12*R*
tortuosumol, 279 R = H 10*R*,12*S*



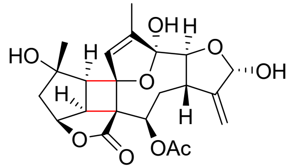
humilisin E, 280 R = H
humilisin F, 281 R = OH



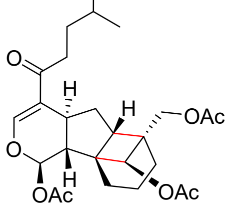
sarcoglane, 282



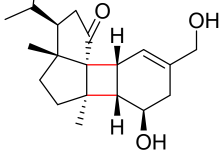
locrassumin C, 283



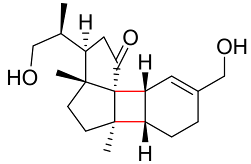
bielschowskysin, 284



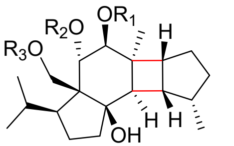
plumisclerin A, 285



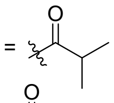
psathyrin A, 286

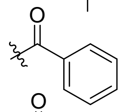


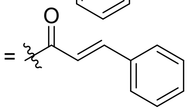
psathyrin B, 287



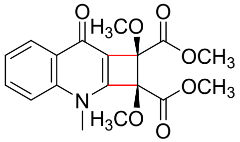
vulgarisin A, 288 R_1 = COPr R_2 = H R_3 = COPr
vulgarisin B, 289 R_1 = COPr R_2 = H R_3 = Bz
vulgarisin C, 290 R_1 = H R_2 = COPr R_3 = Bz
vulgarisin D, 291 R_1 = H R_2 = COPr R_3 = COPr
vulgarisin E, 292 R_1 = H R_2 = Bz R_3 = COPr
vulgarisin F, 293 R_1 = H R_2 = ^{t}Cin R_3 = COPr

COPr =


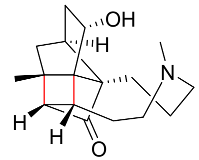
Bz =


^{t}Cin =


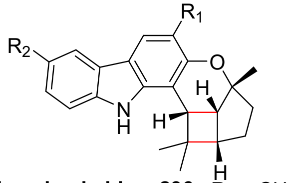
Alkaloids



cyclomegistine, 294

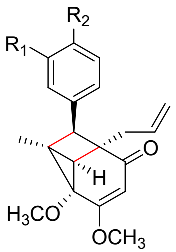


phlegmadine A, 295

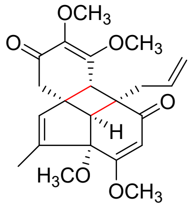


bicyclomahanimbine, 296 R_1 = CH_3 R_2 = H
murrayafoline M, 297 R_1 = H R_2 = CH_3

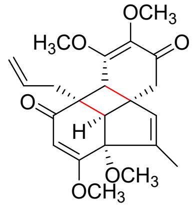
Cycloneolignans



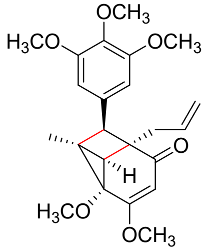
isofutoquinol A, 298 R_1 = R_2 = -OCH_2O-
299 R_1 = R_2 = OCH_3



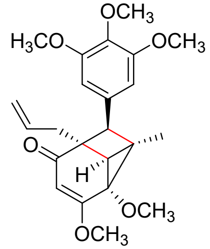
(+)-piperhancin A, 300a



(–)-piperhancin A, 300b

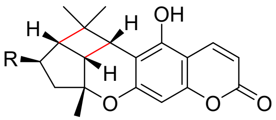


(–)-piperhancin B, 301a

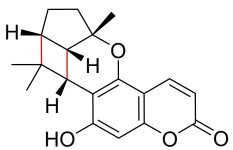


(+)-piperhancin B, 301b

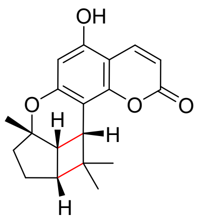
Coumarins



eriobrucinol, 302 R = H
hydroxyeriobrucinol, 303 R = OH

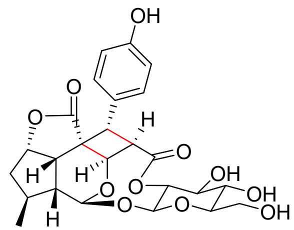


eriobrucinol regioisomer-A, 304

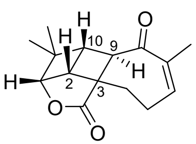


eriobrucinol regioisomer-B, 305

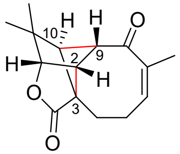
Other compounds



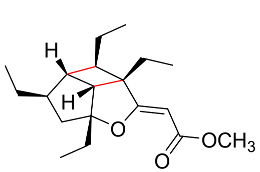
littoralisone, 306



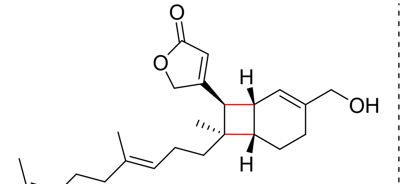
aquatolide, 307#
(proposed)



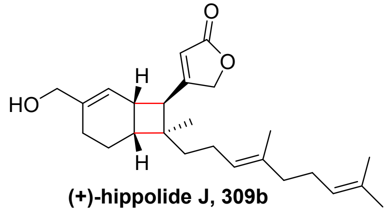
aquatolide, 307
(revised)



hippolachnin A, 308

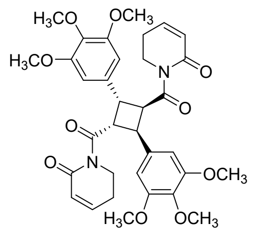
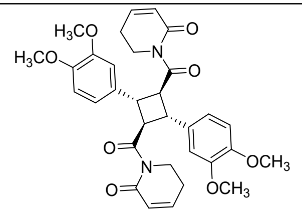
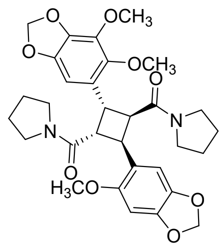
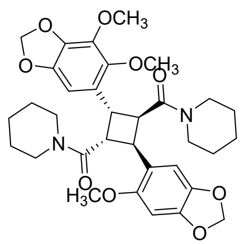


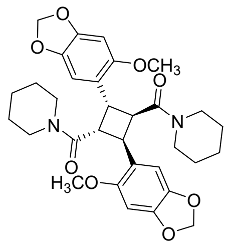
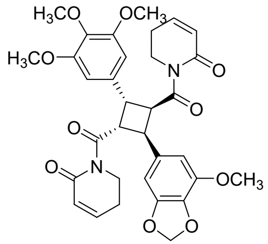
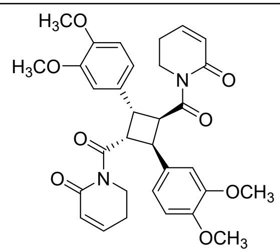
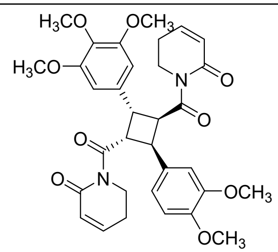
(–)-hippolide J, 309a

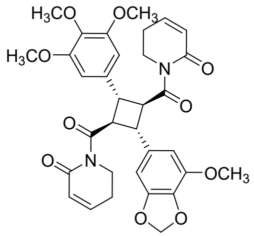
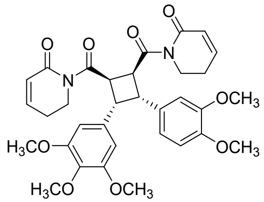
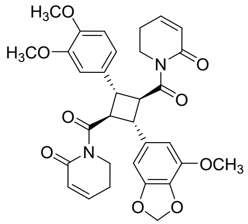
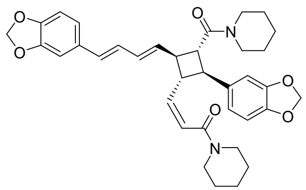
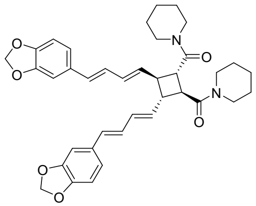


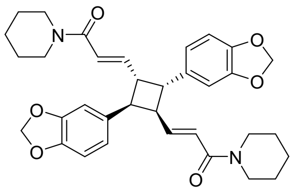
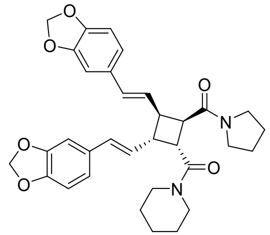
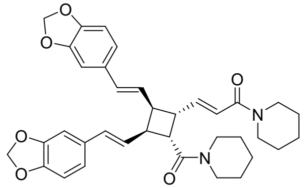
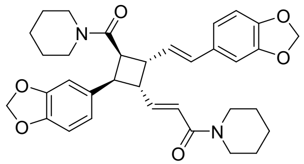
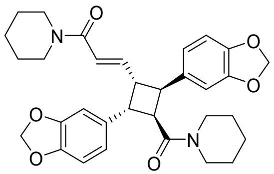
(+)-hippolide J, 309b

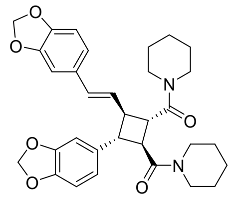
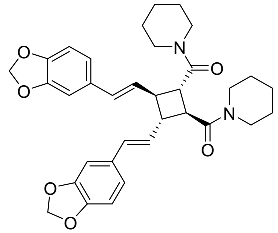
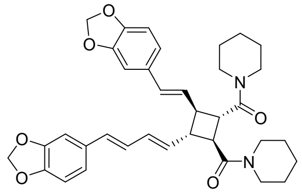
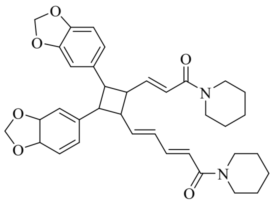
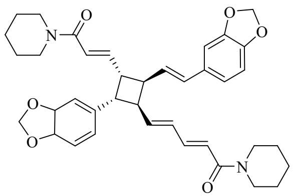
Table S1 The structure, occurrence and optical rotation of [2 + 2]-cycloaddition-derived cyclobutane natural products.

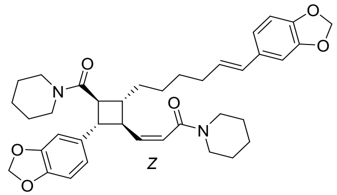
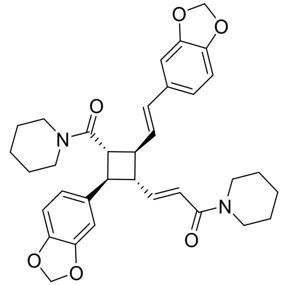
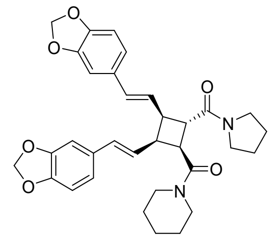
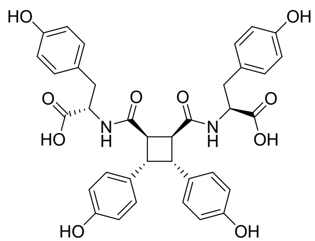
NO.	Name	Structure	Occurrence	Optical Rotation	Ref.
1	piplartine-dimer A		*Piper tuherculatum* (root bark)	$[\alpha]^{25}{}_{D}$ 0 (*c* 1.0, $CHCl_3$)	1
2	(α,β,α,β)-1,3-bis(3,4-dimethoxyphenyl)-2,4-bis[1-(2-carbonyl-5,6-dihydropyridine)-formyl]-cyclobutane		*Piper longum* (aerial parts)	—	2
3	(no trivial name given)		*Piper peepuloides* (leaves and fruits (immature buds))	—	3
4	cyclobutane-2-(1,3-benzodioxol-5-methoxy-6-yl)-4-(1,3-benzodioxol-4,5-dimethoxy-6-yl)-1,3-dicarboxapiperidide		*Piper peepuloides* (leaves and fruits (immature buds))	—	3

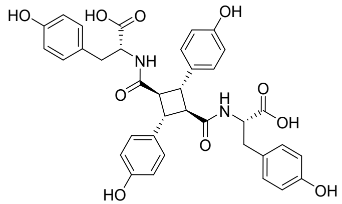
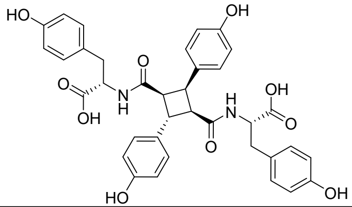
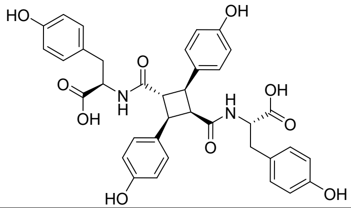
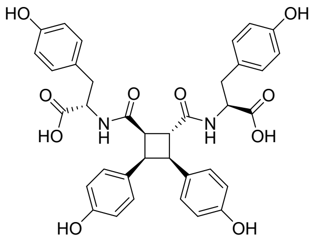
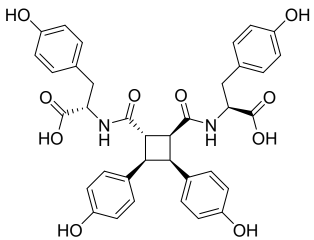
5	cyclobutane-2,4-bis-(1,3-benzodioxol-5-methoxy-6-yl)-1,3-dicarboxapiperidide		*Piper peepuloides* (leaves and fruits (immature buds))	—	3
6	piperarboresine		*Piper arborescens* (leaves)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.4, $CHCl_3$)	4
7	piperarborenine A		*Piper arborescens* (stems)	$[\alpha]^{25}{}_{D}$ +5.5 (*c* 0.055, $CHCl_3$)	4
8	piperarborenine B		*Piper arborescens* (stems)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.11, $CHCl_3$)	4

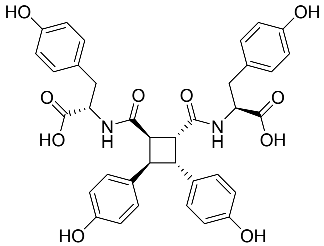
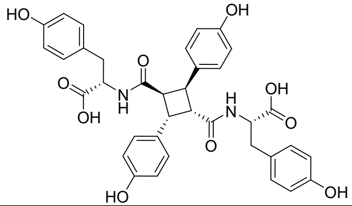
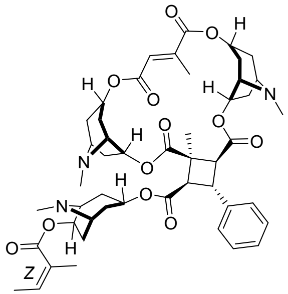
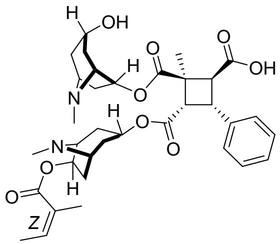
9	piperarborenine C		*Piper arborescens* (stems)	$[\alpha]^{25}{}_{D}$ 0 (*c* 1.27, $CHCl_3$)	5
10	piperarborenine D		*Piper arborescens* (stems)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.675, $CHCl_3$)	original[5] revised[6]
11	piperarborenine E		*Piper arborescens* (stems)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.675, $CHCl_3$)	5
12	pipercyclobutanamide B		*Piper nigrum* (unripe fruit)	—	7
13	pipercyclobutanamide C		*Piper nigrum* (unripe fruit)	$[\alpha]^{24}{}_{D}$ 0 (*c* 0.15, $CHCl_3$)	8, 9

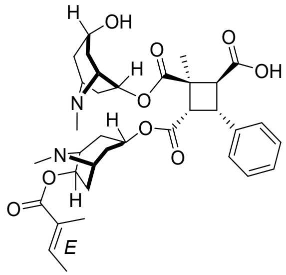
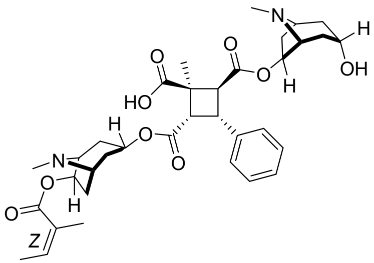
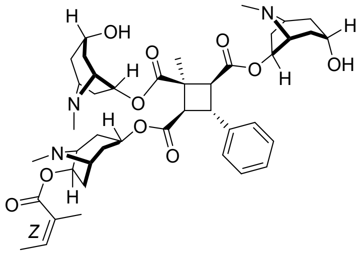
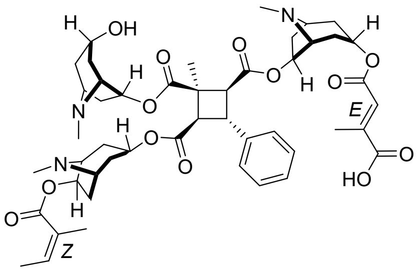
14	dipiperamide A		*Piper nigrum* (ripened fruit)	$[\alpha]^{25}_{D}$ 0 (*c* 0.085, $CHCl_3$)	original[10] revised[11]
15	dipiperamide C		*Piper nigrum* (ripened fruit)	$[\alpha]^{25}_{D}$ 0 (*c* 0.095, $CHCl_3$)	10
16	dipiperamide D		*Piper nigrum* (ripened fruit)	$[\alpha]^{25}_{D}$ 0 (*c* 0.077, $CHCl_3$)	12
17	dipiperamide E		*Piper nigrum* (ripened fruit)	$[\alpha]^{25}_{D}$ 0 (*c* 0.18, $CHCl_3$)	12
18	nigramide P		*Piper nigrum* (roots)	$[\alpha]^{25}_{D}$ 0 (*c* 0.46, $CHCl_3$)	13

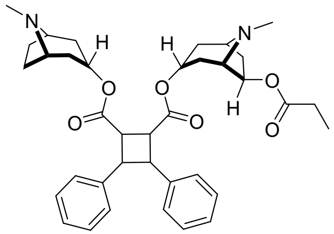
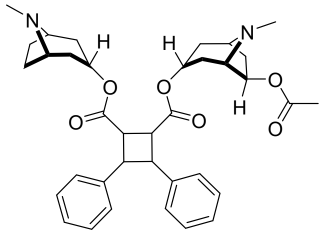
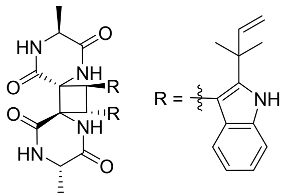
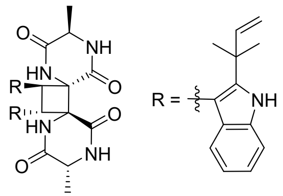
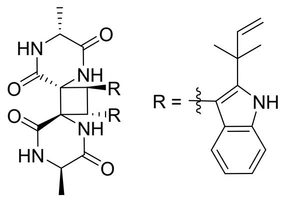
19	nigramide Q		*Piper nigrum* (roots)	$[\alpha]^{25}{}_{D}$ 0 (c 0.435, $CHCl_3$)	13
20	nigramide R		*Piper nigrum* (roots)	$[\alpha]^{25}{}_{D}$ 0 (c 1.076, $CHCl_3$)	13
21	nigramide S		*Piper nigrum* (roots)	$[\alpha]^{25}{}_{D}$ 0 (c 0.178, $CHCl_3$)	13
22	pipernigramide E		*Piper nigrum* (unripe fruits)	$[\alpha]^{25}{}_{D}$ 0 (c 0.2, $CHCl_3$)	14
23	pipernigramide F		*Piper nigrum* (unripe fruits)	$[\alpha]^{25}{}_{D}$ 0 (c 0.2, $CHCl_3$)	14

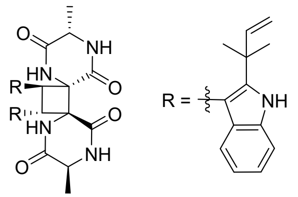
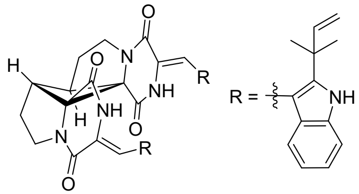
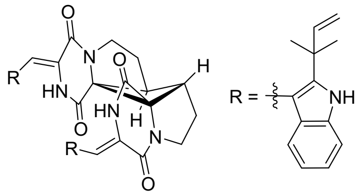
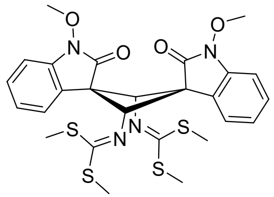
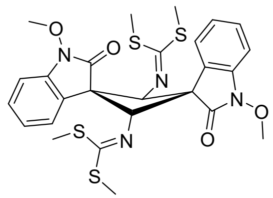
24	piperchabamide H		*Piper chaba* (fruits)	$[\alpha]^{27}{}_{D}$ 0 (*c* 0.38, $CHCl_3$)	15
25	dipiperamide F		*Piper retrofractum* (fruits)	$[\alpha]^{23}{}_{D}$ −0.614 (*c* 0.54, CH_3OH)	16
26	dipiperamide G		*Piper retrofractum* (fruits)	$[\alpha]^{23}{}_{D}$ −0.531 (*c* 0.62, CH_3OH)	16
27	abrusamide A		*Abrus mollis* (leaves)	$[\alpha]^{20}{}_{D}$ +44.6 (*c* 0.086, CH_3OH)	original[17] revised[18]

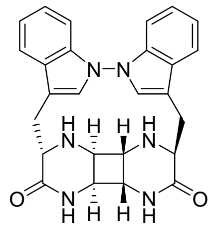
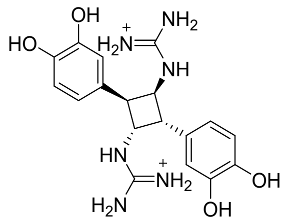
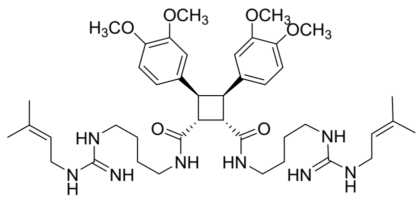
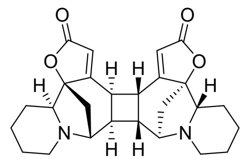
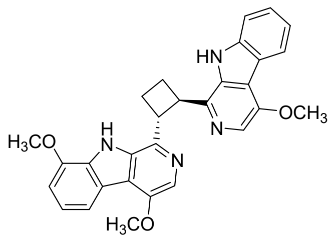
28	abrusamide B		*Abrus mollis* (leaves)	$[\alpha]^{20}{}_{D}$ −32.5 (*c* 0.081, CH_3OH)	17
29	abrusamide C		*Abrus mollis* (leaves)	—	18
30	abrusamide D		*Abrus mollis* (leaves)	$[\alpha]^{20}{}_{D}$ +34.6 (*c* 0.08, CH_3OH)	18
31	abrusamide E		*Abrus mollis* (leaves)	$[\alpha]^{20}{}_{D}$ +60.3 (*c* 0.11, CH_3OH)	18
32	abrusamide F		*Abrus mollis* (leaves)	$[\alpha]^{20}{}_{D}$ +55.6 (*c* 0.1, CH_3OH)	18

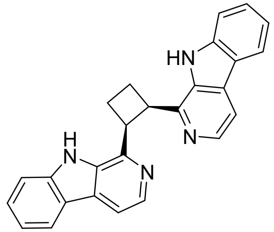
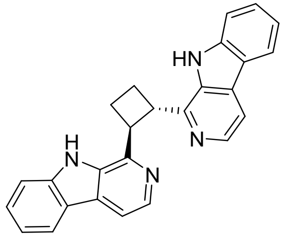
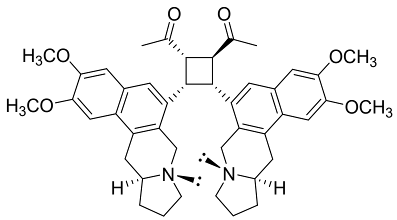
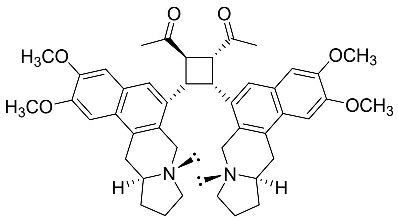
33	abrusamide G		*Abrus mollis* (leaves)	$[\alpha]^{20}{}_{D}$ −11.8 (*c* 0.11, CH_3OH)	18
34	abrusamide H		*Abrus mollis* (leaves)	$[\alpha]^{20}{}_{D}$ +62.8 (*c* 0.12, CH_3OH)	18
35	grahamine		*Schizanthus grahamii* (aerial parts)	$[\alpha]^{20}{}_{D}$ +6.21 (*c* 0.0016, $CHCl_3$)	19
36	grahamine A		*Schizanthus grahamii* (aerial parts)	—	20

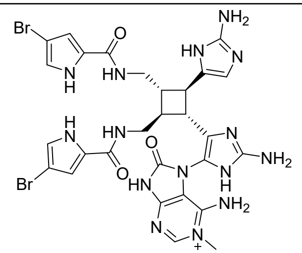
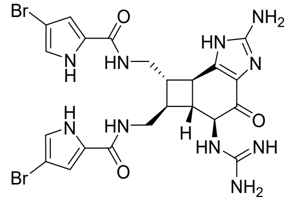
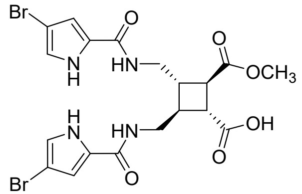
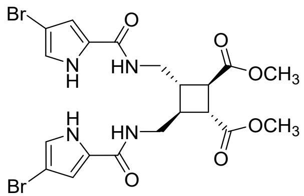
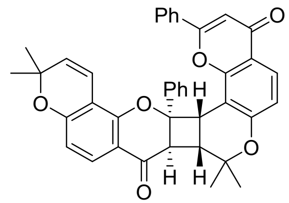
37	grahamine B		*Schizanthus grahamii* (aerial parts)	—	20
38	grahamine C		*Schizanthus grahamii* (aerial parts)	—	20
39	grahamine D		*Schizanthus grahamii* (aerial parts)	—	20
40	grahamine E		*Schizanthus grahamii* (aerial parts)	—	20

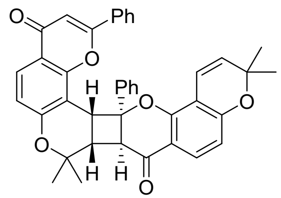
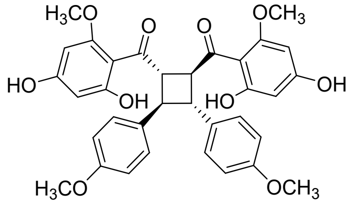
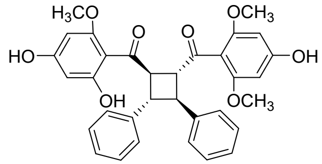
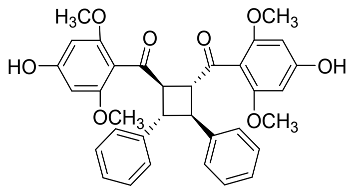
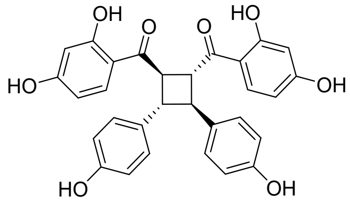
41	mooniine A		*Erythroxylum moonii* (leaves)	—	21
42	mooniine B		*Erythroxylum moonii* (leaves)	—	21
43a	(−)-uncarilin A		*Uncaria rhynchophylla* (hook-bearing stems)	$[\alpha]^{25}{}_{D}$ −53.0 (*c* 0.1, CH_3CN)	22
43b	(+)-uncarilin A		*Uncaria rhynchophylla* (hook-bearing stems)	$[\alpha]^{25}{}_{D}$ +24.0 (*c* 0.1, CH_3CN)	22
44a	(−)-uncarilin B		*Uncaria rhynchophylla* (hook-bearing stems)	$[\alpha]^{25}{}_{D}$ −11.0 (*c* 0.1, CH_3CN)	22

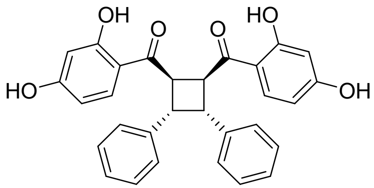
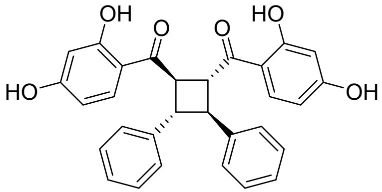
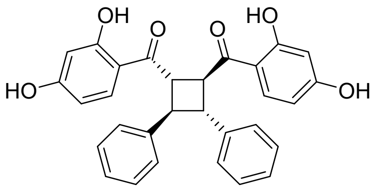
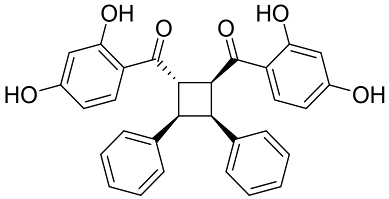
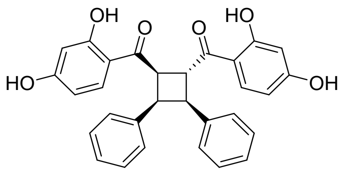
44b	(+)-uncarilin B		*Uncaria rhynchophylla* (hook-bearing stems)	$[\alpha]^{25}{}_{D}$ +6 (*c* 0.1, CH_3CN)	22
45a	(−)-asperginulin A		*Aspergillus* sp. SK-28	$[\alpha]^{25}{}_{D}$ −128.9 (*c* 0.5, CH_3OH)	23
45b	(+)-asperginulin A		*Aspergillus* sp. SK-28	$[\alpha]^{25}{}_{D}$ +126.7 (*c* 0.5, CH_3OH)	23
46	biswasalexin A1		*Thellungiella halophila*	—	24
47	biswasalexin A2		*Thellungiella halophila*	—	24

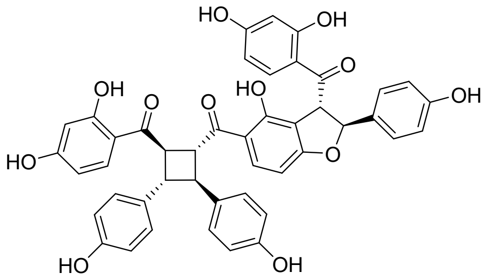
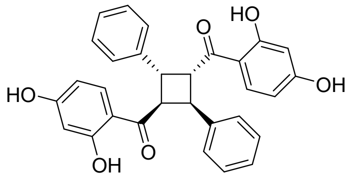
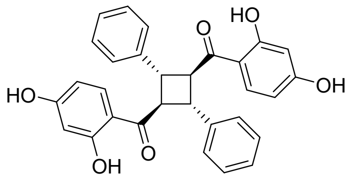
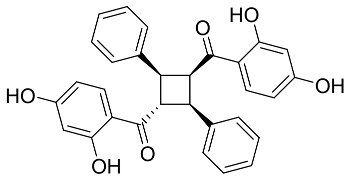
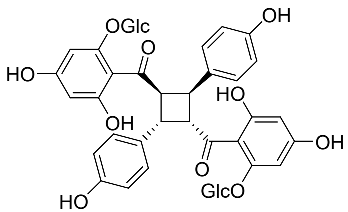
48	schischkiniin		*Centaurea schischkinii* (seeds)	—	25
49	orthidine E		*Aplidium orthium*	—	26
50	caracasandiamide		*Verbesina caracasana* (leaves)	$[\alpha]_D$ 0	27
51	flueggedine		*Flueggea virosa* (twigs and leaves)	$[\alpha]^{25}_{D}$ −33.5 (*c* 0.26, $CHCl_3$)	28
52	quassidine A		*Picrasma quassioides* (stems)	$[\alpha]^{25}_{D}$ 0 (*c* 0.5, $CHCl_3$)	29

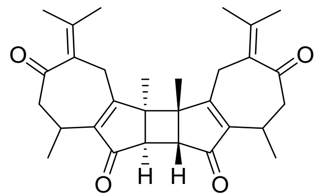
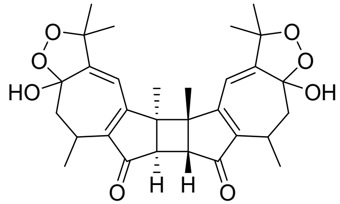
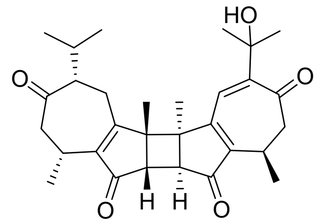
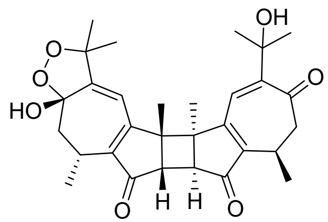
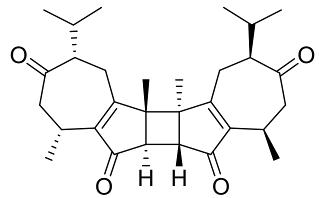
53	orthoscuticelline A		bryozoan *Orthoscuticella ventricosa*	—	30
54	orthoscuticelline B		bryozoan *Orthoscuticella ventricosa*	—	30
55a	(+)-tengerensine		*Ficus fistulosa* var. *tengerensis* (leaves)	$[\alpha]^{25}{}_{D}$ +62 (*c* 0.02, $CHCl_3$)	31
55b	(−)-tengerensine		*Ficus fistulosa* var. *tengerensis* (leaves)	$[\alpha]^{25}{}_{D}$ −58 (*c* 0.06, $CHCl_3$)	31

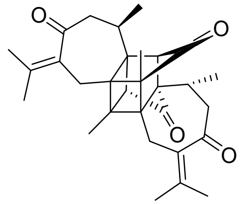
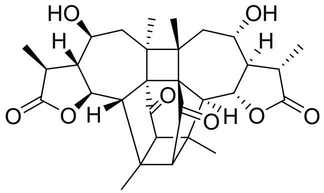
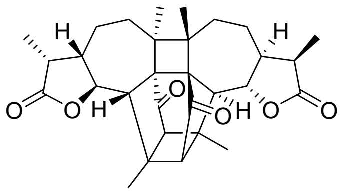
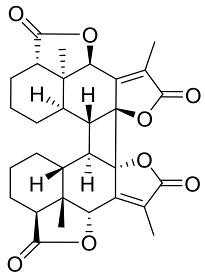
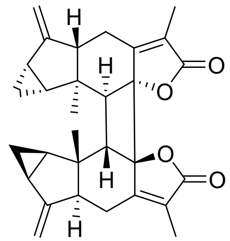
56	15'-oxoadenosceptrin		*Agelas sceptrum*	—	32
57	hexazosceptrin		*Agelas sp*	$[\alpha]^{20}{}_{D}$ +5.7 (*c* 0.2, CH_3OH)	33
58	ageleste A		*Agelas sp*	$[\alpha]^{20}{}_{D}$ −18 (*c* 0.18, CH_3OH)	33
59	ageleste B		*Agelas sp*	$[\alpha]^{20}{}_{D}$ +5.7 (*c* 0.2, CH_3OH)	33
60a	(−)-millpuline A		*Millettia pulchra* (roots)	$[\alpha]^{20}{}_{D}$ −30.5 (*c* 1.05, $CHCl_3$)	34

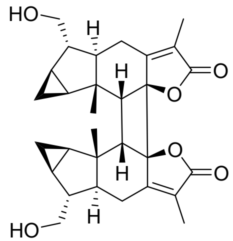
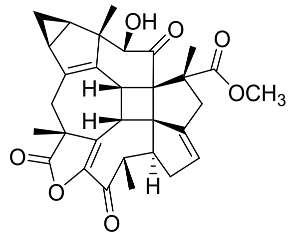
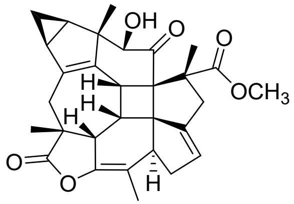
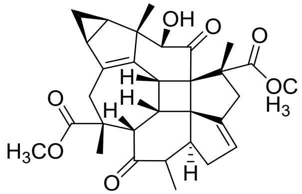
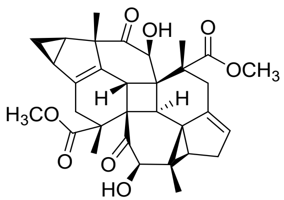
60b	(+)-millpuline A		*Millettia pulchra* (roots)	$[\alpha]^{20}_{D}$ +23.7 (*c* 1.02, $CHCl_3$)	34
61	(*rel*)-1*β*,2*α*-di-(2,4-dihydroxy-6-methoxybenzoyl)-3*β*,4*α*-di-(4-methoxyphenyl)-cyclobutane		*Goniothalamus gardneri* (aerial parts)	$[\alpha]^{23.5}_{D}$ +17.2 (*c* 0.29, $CHCl_3$)	35
62	*rel*-1*β*-(4,6-dihydroxy-2-methoxy)-benzoyl-*rel*-2*α*-(2,6-dimethoxy-4-hydroxy)-benzoyl-*rel*-(3*β*,4*α*)-diphenylcyclobutane		*Combretum albopunctatum* (aerial parts)	$[\alpha]^{21}_{D}$ +19.3 (*c* 0.1, CH_3OH)	36
63	*rel*-(1*α*,2*β*)-di-(2,6-dimethoxy-4-hydroxy)-benzoyl-*rel*-(3*α*,4*β*)-diphenylcyclobutane		*Combretum albopunctatum* (aerial parts)	$[\alpha]^{21}_{D}$ +17.5 (*c* 0.5, CH_3OH)	36
64	*rel*-(1*β*,2*α*)-di-(2,4-dihydroxybenzoyl)-*rel*-(3*β*,4*α*)-di-(4-hydroxyphenyl)-cyclobutane		*Agapanthus africanus* (roots)	—	36

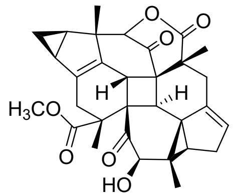
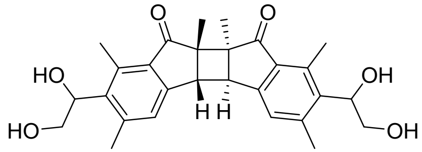
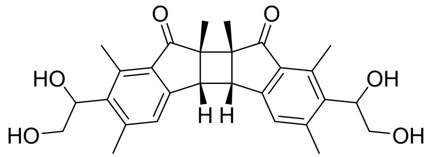
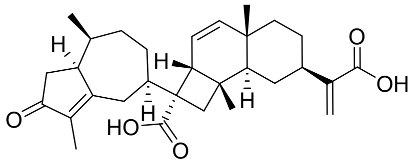
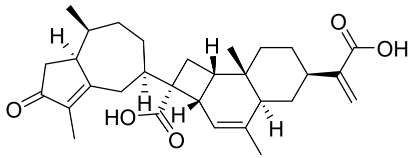
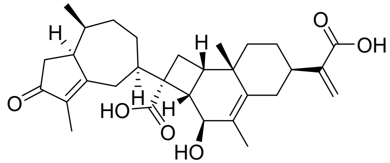
65	oxyfadichalcone B		*Oxytropis chiliophylla* (whole plants)	optically inactive	37, 38
66a	(+)-oxyfadichalcone C		*Oxytropis chiliophylla* (whole plants)	$[\alpha]^{20}{}_{D}$ +40.0 (*c* 0.1, CH_3OH)	37, 38
66b	(−)-oxyfadichalcone C		*Oxytropis chiliophylla* (whole plants)	$[\alpha]^{20}{}_{D}$ −37.0 (*c* 0.1, CH_3OH)	37, 38
67a	(+)-oxyfadichalcone F		*Oxytropis chiliophylla* (whole plants)	$[\alpha]^{20}{}_{D}$ +166.0 (*c* 0.1, CH_3OH)	38
67b	(−)-oxyfadichalcone F		*Oxytropis chiliophylla* (whole plants)	$[\alpha]^{20}{}_{D}$ −147.2 (*c* 0.1, CH_3OH)	38

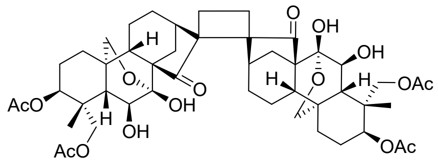
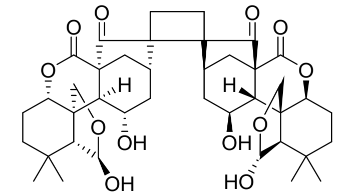
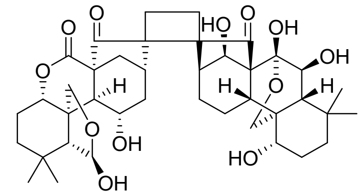
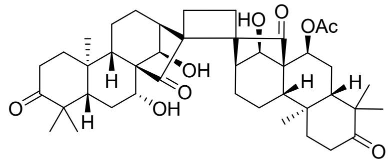
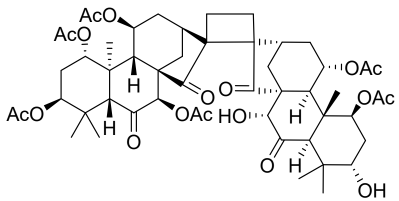
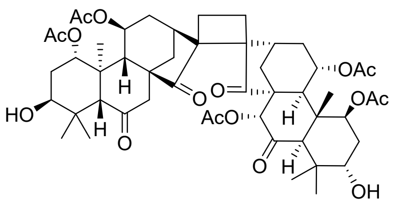
68	pauferrol A		*Caesalpinia ferrea* (stems)	$[\alpha]^{25}_{D}$ +211(*c* 0.1, CH_3OH)	39
69	oxyfadichalcone A		*Oxytropis chiliophylla* (whole plants)	optically inactive	37, 38
70	oxyfadichalcone D		*Oxytropis chiliophylla* (whole plants)	optically inactive	38
71	oxyfadichalcone E		*Oxytropis chiliophylla* (whole plants)	optically inactive	38
72	tomoroside A		*Helichrysum zivojinii* (aerial parts)	$[\alpha]^{20}_{D}$ +6.0 (*c* 0.1, $CHCl_3$)	40

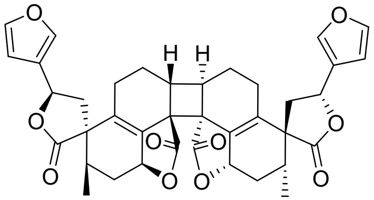
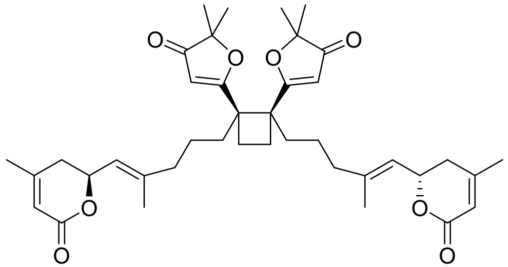
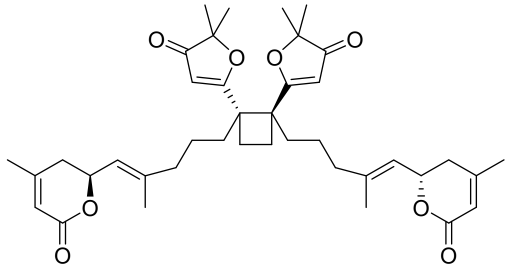
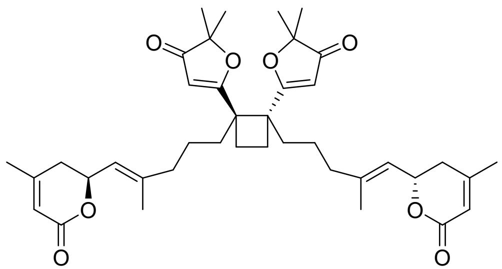
73	vielanin B		*Xylopia vielana* (leaves)	—	41
74	vielanin C		*Xylopia vielana* (leaves)	$[\alpha]^{26}{}_{D}$ −120 (*c* 0.5, $CHCl_3$)	41
75	vielaninor Q		*Xylopia vielana* (leaves)	$[\alpha]^{25}{}_{D}$ −196.54 (*c* 0.1, CH_3OH)	42
76	vielaninor R		*Xylopia vielana* (leaves)	$[\alpha]^{25}{}_{D}$ −287.45 (*c* 0.1, CH_3OH)	42
77	xylopidimer D		*Xylopia vielana* (roots)	$[\alpha]^{20}{}_{D}$ −77.3 (*c* 0.11, CH_3OH)	43

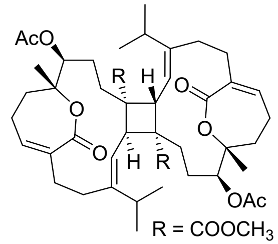
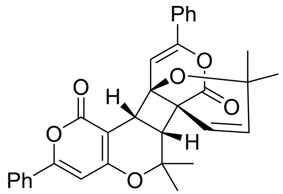
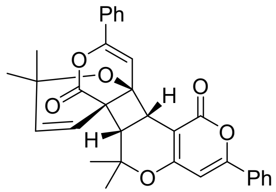
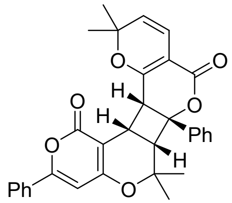
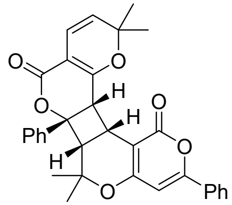
78	xylopiana A		*Xylopia vielana* (leaves)	$[\alpha]^{25}{}_{D}$ +91.0 (*c* 0.2, CH_3OH)	44
79	artelein		*Artemisia leucode* (leaves and flower heads)	—	45
80	artesin A		*Artemisia sieversiana* (aerial parts)	—	46
81	biliguhodgsonolide		*Ligularia hodgsonii* (roots and rhizomes)	$[\alpha]^{20}{}_{D}$ +66 (*c* 0.26, $CHCl_3$)	47
82	chloranthalactone F		*Chloranthus glaber* (leaves)	$[\alpha]^{20}{}_{D}$ +30.8 (*c* 0.52, $CHCl_3$)	original[48] revised[49, 50]

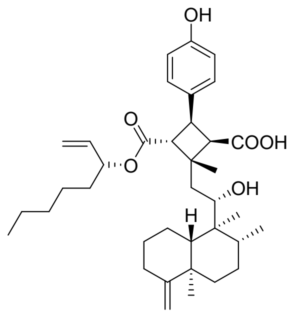
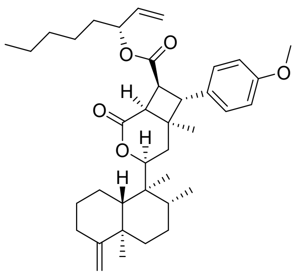
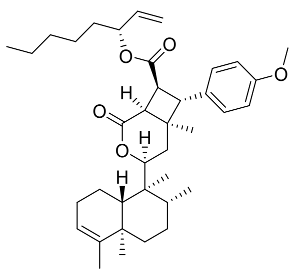
83	chololactone H		*Chloranthus holostegius* (roots)	$[\alpha]^{25}_{D}$ −64.2 (*c* 0.25, CH_3OH)	51
84	chlorahupetone A		*Chloranthus henryi* (aerial parts)	$[\alpha]^{25}_{D}$ −81.30 (*c* 0.04, CH_3OH)	52
85	chlorahupetone B		*Chloranthus henryi* (aerial parts)	$[\alpha]^{25}_{D}$ +189.97 (*c* 0.03, CH_3OH)	52
86	chlorahupetone C		*Chloranthus henryi* (aerial parts)	$[\alpha]^{25}_{D}$ −152.67 (*c* 0.04, CH_3OH)	52
87	chlorahupetone D		*Chloranthus henryi* (aerial parts)	$[\alpha]^{25}_{D}$ +252.83 (*c* 0.05, CH_3OH)	52

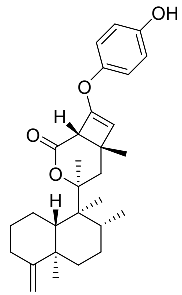
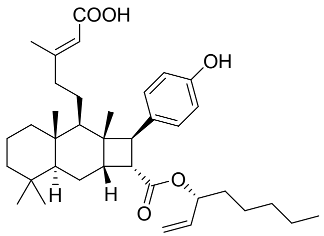
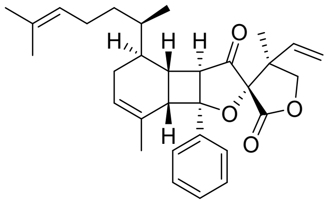
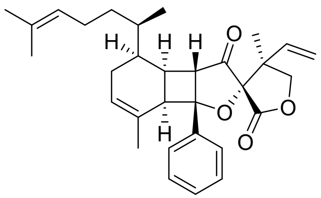
88	chlorahupetone E		*Chloranthus henryi* (aerial parts)	$[\alpha]^{25}{}_{D}$ +162.44 (*c* 0.06, CH_3OH)	52
89	bimutipterosin A		*Pteris multifida* (whole plants)	$[\alpha]^{20}{}_{D}$ −3.1 (*c* 0.1, CH_3OH)	53
90	bimutipterosin B		*Pteris multifida* (whole plants)	$[\alpha]^{20}{}_{D}$ −7.3 (*c* 0.1, CH_3OH)	53
91	artepestrin A		*Artemisia rupestris* (whole plants)	$[\alpha]^{21}{}_{D}$ −36 (*c* 0.1, CH_3OH)	54
92	artepestrin B		*Artemisia rupestris* (whole plants)	$[\alpha]^{21}{}_{D}$ +286 (*c* 0.1, CH_3OH)	54
93	artepestrin C		*Artemisia rupestris* (whole plants)	$[\alpha]^{21}{}_{D}$ +274 (*c* 0.1, CH_3OH)	54

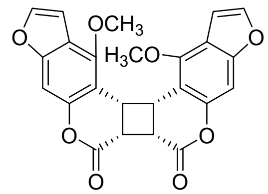
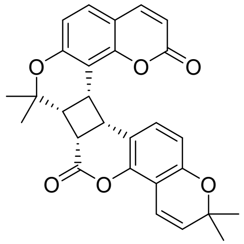
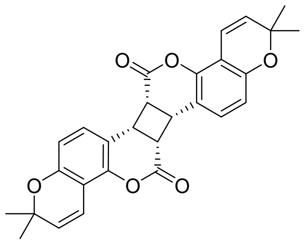
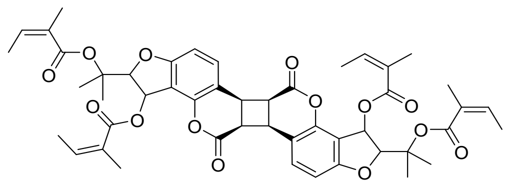
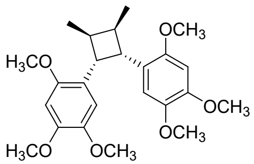
94	maoecrystal M		*Robdosia eriocalyx* (leaves) [The name has been revised to *Isodon eriocalyx*][55]	$[\alpha]^{22}{}_{D}$ +44.0 (*c* 0.2, $CHCl_3$)	56
95	bisjaponin A		*Isodon japonicus* (aerial parts)	$[\alpha]^{26}{}_{D}$ −3.6 (*c* 0.595, CH_3OH)	57
96	bisjaponin B		*Isodon japonicus* (aerial parts)	$[\alpha]^{26}{}_{D}$ +8.52 (*c* 0.305, CH_3OH)	57
97	neoglaucocalyxin		*Rabdosia japonica* (aerial parts) [The name has been revised to *Isodon japonicas*][55]	$[\alpha]^{25}{}_{D}$ −31.078 (*c* 0.5, $CHCl_3$)	58
98	bistenuifolin L		*Isodon tenuifolius* (aerial parts)	$[\alpha]^{21}{}_{D}$ +19 (*c* 0.1, $CHCl_3$–CH_3OH, 1:1)	59
99	bistenuifolin M		*Isodon tenuifolius* (aerial parts)	$[\alpha]^{20}{}_{D}$ +22 (*c* 0.2, $CHCl_3$–CH_3OH, 1:1)	59

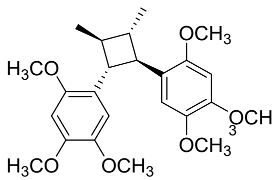
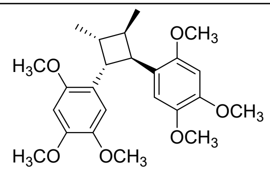
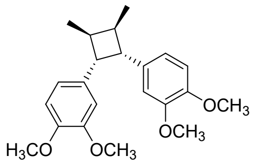
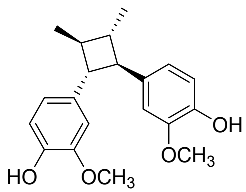
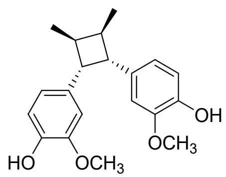
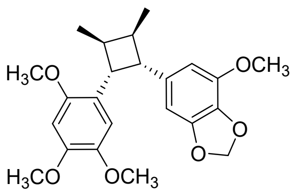
100	crotoeurin A		*Croton euryphyllus* (leaves)	$[\alpha]^{25.1}{}_D$ +56.29 (*c* 0.16, CH_3OH)	60
101	aphadilactone E		*Aphanamixis grandifolia* (leaves)	$[\alpha]^{22}{}_D$ −16.5 (*c* 0.2, CH_3OH)	61
102	aphadilactone F		*Aphanamixis grandifolia* (leaves)	$[\alpha]^{22}{}_D$ −19.4 (*c* 0.16, CH_3OH)	61
103	aphadilactone G		*Aphanamixis grandifolia* (leaves)	$[\alpha]^{22}{}_D$ −3.3 (*c* 0.12, CH_3OH)	61

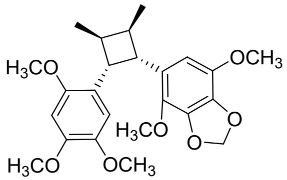
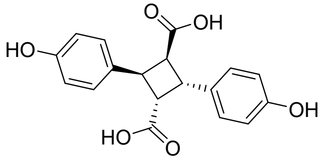
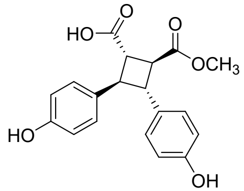
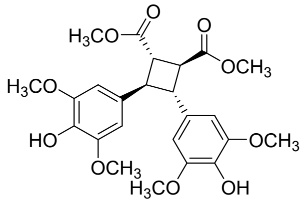
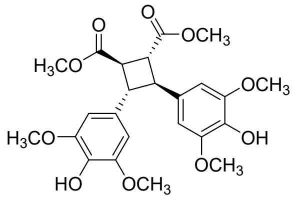
104	bisotortuolide cyclobutane A		*Sarcophyton Tortuosum*	$[\alpha]^{25}{}_{D}$ +55.8 (*c* 0.71, $CHCl_3$)	62
105a	(+)-hyperterpenoid A		*Hypericum beanie* (aerial parts)	$[\alpha]^{25}{}_{D}$ +35.9 (*c* 0.15, CH_3OH)	63
105b	(−)-hyperterpenoid A		*Hypericum beanie* (aerial parts)	$[\alpha]^{25}{}_{D}$ −36.3 (*c* 0.15, CH_3OH)	63
106a	(+)-hyperterpenoid B		*Hypericum beanie* (aerial parts)	$[\alpha]^{25}{}_{D}$ +56.8 (*c* 0.1, CH_3OH)	63
106b	(−)-hyperterpenoid B		*Hypericum beanie* (aerial parts)	$[\alpha]^{25}{}_{D}$ −55.9 (*c* 0.1, CH_3OH)	63

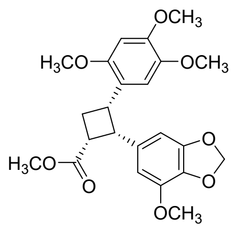
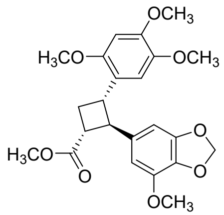
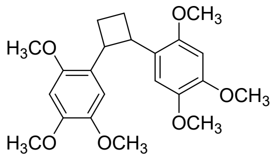
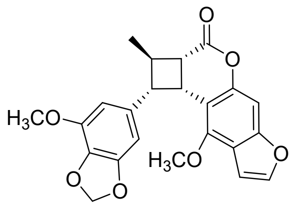
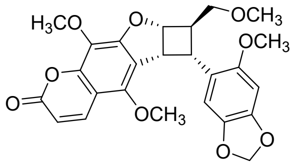
107	scopariusic acid		*Isodon scoparius* (aerial parts)	$[\alpha]^{20}{}_{D}$ +8.91 (*c* 0.1, CH_3OH)	63
108	scopariusicide A		*Isodon scoparius* (aerial parts)	$[\alpha]^{21}{}_{D}$ −35.51 (*c* 0.12, CH_3OH)	63
109	scopariusicide B		*Isodon scoparius* (aerial parts)	$[\alpha]^{22}{}_{D}$ −84.17 (*c* 0.07, CH_3OH)	63

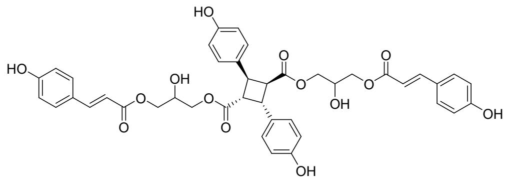
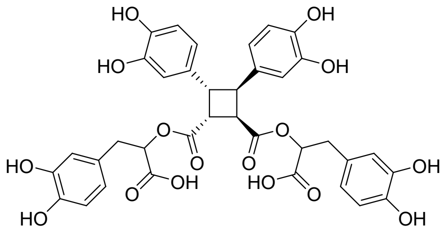
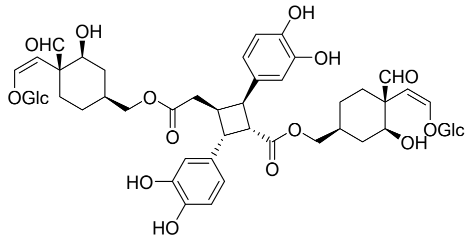
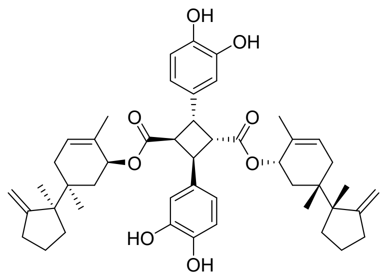
110	scopariusicide C		*Isodon scoparius* (aerial parts)	$[\alpha]^{28}{}_{D}$ −183.5 (*c* 0.06, CH_3OH)	64
111	isoscopariusin A		*Isodon scoparius* (aerial parts)	$[\alpha]^{17}{}_{D}$ −25.8 (*c* 0.13, CH_3OH)	65
112	biyouyanagin A		*Hypericum chinense*. var. *salicifolium* (leaves)	$[\alpha]_D$ −240.0 (*c* 0.5, $CHCl_3$)	original[66] revised[67, 68]
113	biyouyanagin B		*Hypericum chinense* (leaves)	$[\alpha]^{22}{}_{D}$ −5.9 (*c* 0.2, $CHCl_3$)	original[69] revised[70]

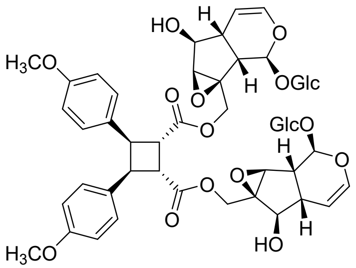
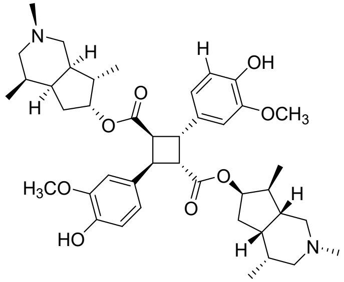
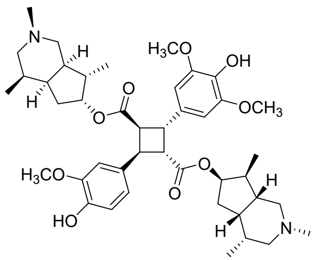
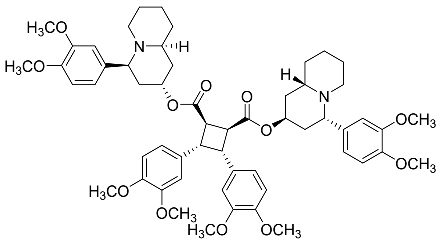
114	bergapten dimer		*Citrus lumia* (peels)	—	71
115	diseselin A		*Clausena lenisi* (aerial parts)	—	72
116	diseselin B		*Clausena lenis* (aerial parts)	$[\alpha]^{19}{}_{D}$ −3.33 (c 0.3, $CHCl_3$)	73
117	diarchangelicin A		*Cicuta virosa* (aerial parts)	$[\alpha]^{20}{}_{D}$ −63 (c 0.11, CH_3OH)	74
118	heterotropan		*Heterotropa takaoi* (fresh leaves and roots)	$[\alpha]^{23}{}_{D}$ 0	75

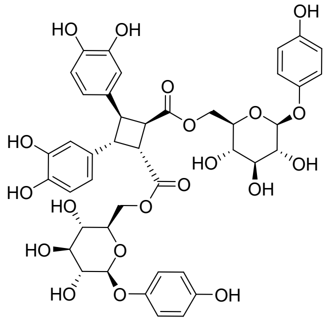
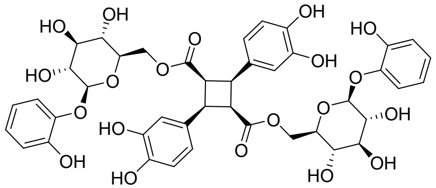
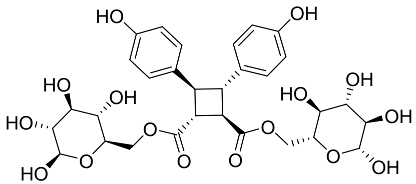
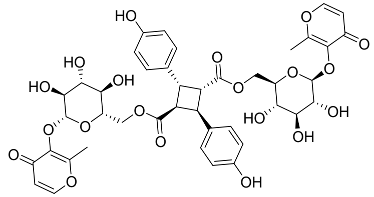
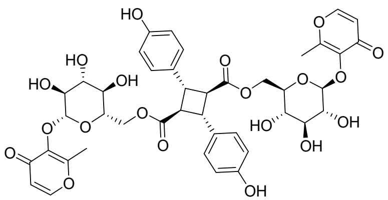
119	magnosalin		*Magnolia* (buds)	$[\alpha]_D$ 0 ($CHCl_3$)	original[76] revised[77]
120	andamanicin		*Piper sumatranum* var. *andamanica* (leaves and stems)	optically inactive	original[78] revised[77]
121	cinbalansan		*Cinnamomum balansae* (dried leaves)	$[\alpha]_D$ 0	79
122	endiandrin A		*Endiandra anthropophagorum* (roots)	$[\alpha]^{22}{}_D$ −51 (*c* 0.19, $CHCl_3$)	80
123	endiandrin B		*Endiandra anthropophagorum* (roots)	$[\alpha]^{27}{}_D$ 0 (*c* 0.12, $CHCl_3$)	81
124	moslolignan A		*Mosla scabra* (flowering whole plants)	$[\alpha]_D$ −0.15 (*c* 1.63, CH_3OH)	82

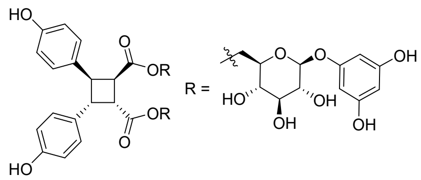
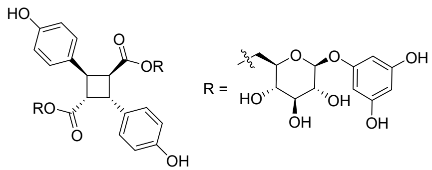
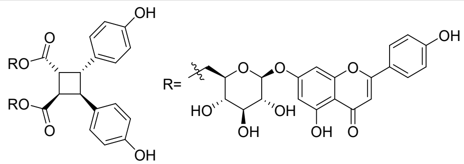
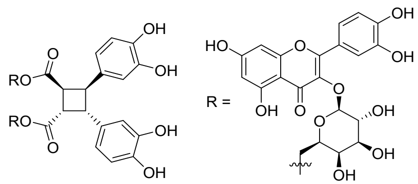
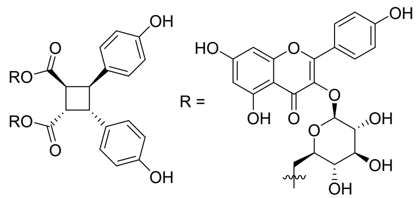
125	moslolignan B		*Mosla scabra* (flowering whole plants)	$[\alpha]_D$ −0.43 (*c* 1.38, CH_3OH)	82
126	4,4'-dihydroxytruxillic acid		*Lolium multiflorum* (cell wall)	—	83
127	anisumic acid		*Clausena anisum-olens* (leaves and twigs)	$[\alpha]^{21.5}{}_D$ +13 (*c* 2.0, CH_3OH)	84
128a	(+)-isatiscycloneolignan A		*Isatis indigotica* (leaves)	$[\alpha]^{20}{}_D$ +30.3 (*c* 0.1, CH_3OH)	85
128b	(−)-isatiscycloneolignan A		*Isatis indigotica* (leaves)	$[\alpha]^{20}{}_D$ −29.0 (*c* 0.1, CH_3OH)	85

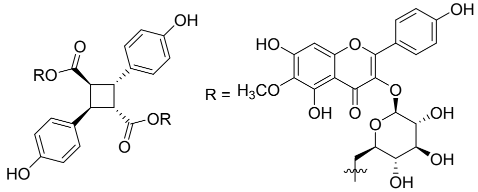
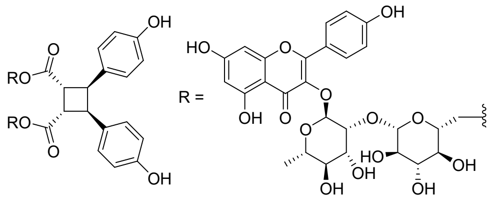
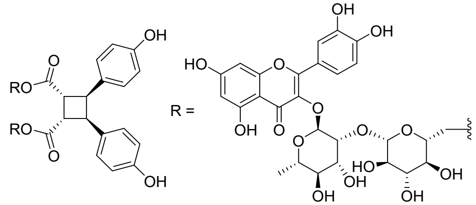
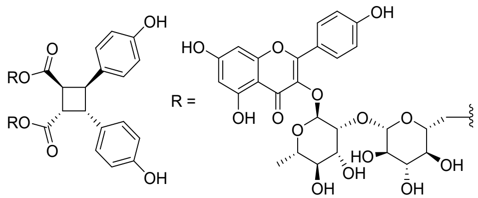
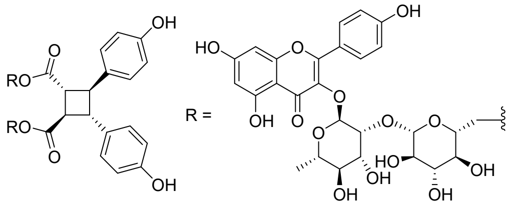
129	methyl *rel*-(1*R*,2*S*,3*S*)-2-(7-methoxy-1,3-benzodioxol-5-yl)-3-(2,4,5-trimethoxyphenyl)cyclobutanecarboxylate		*Peperomia tetraphylla* (whole plants)	$[\alpha]^{20}{}_{D}$ 0 (*c* 0.053, $CHCl_3$)	86
130	methyl *rel*-(1*R*,2*R*,3*S*)-2-(7-methoxy-1,3-benzodioxol-5-yl)-3-(2,4,5-trimethoxyphenyl)cyclobutanecarboxylate		*Peperomia tetraphylla* (whole plants)	$[\alpha]^{20}{}_{D}$ −10.5 (*c* 0.01, $CHCl_3$)	86
131	pachypophyllin		*Pachypodanthium staudtiii* (stem bark)	$[\alpha]^{24}{}_{D}$ 0 (*c* 0.8, $CHCl_3$)	87
132	lindleyanin		*Pleurospermum lindleyanum* (whole plants)	$[\alpha]^{20}{}_{D}$ 0 (*c* 0.065, $CHCl_3$)	88
133	melicodin C		*Melicope denhamii* (leaves)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.1, CH_3OH)	89

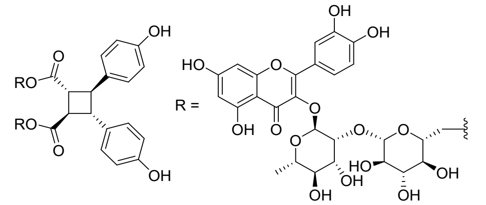
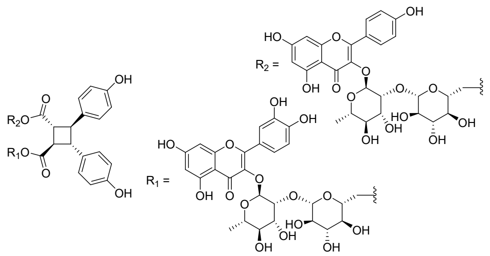
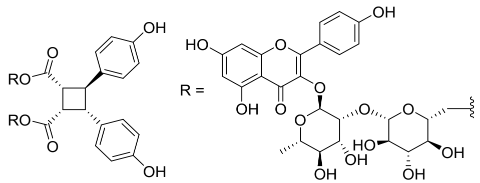
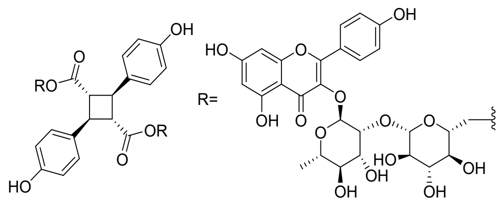
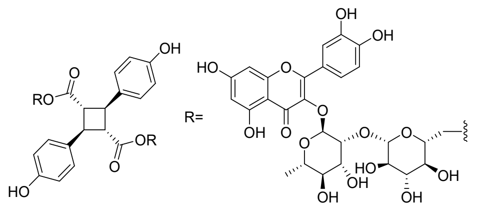
134	chokorin		*Phleum pretense* (timothy plants)	$[\alpha]^{24}{}_{D}$ 0 (*c* 0.3, CH_3OH)	90
135	sagerinic acid		*Salvia officinalis*	$[\alpha]^{20}{}_{D}$ +4.0 (*c* 0.2, CH_3OH)	91
136	(no trivial name given)		*Cananga odorata* var. *odorata* (leaves)	$[\alpha]^{25}{}_{D}$ +17.5 (*c* 0.7, CH_3OH)	92
137	1*α*,3*β*-di(3,4-dihydroxyphenyl)-2*α*,4*β*-dibazzanenyl cyclobutane dicarboxylate		*Bazzania pompeana*	—	93

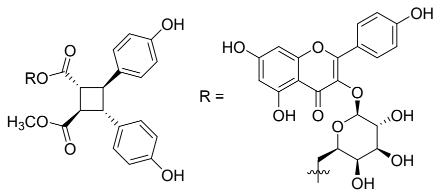
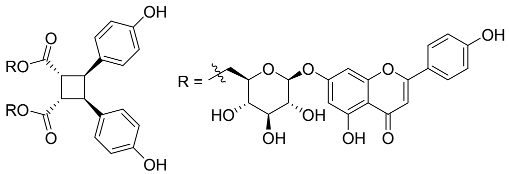
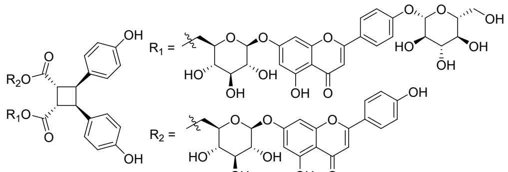
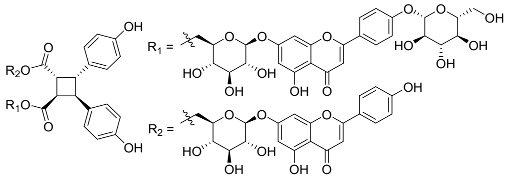
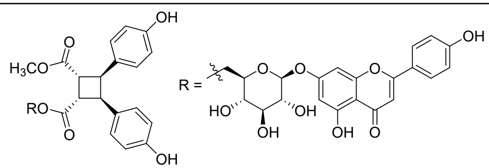
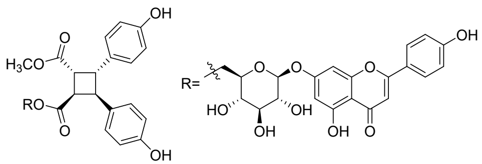
138	4,4′-dimethoxy-b-truxinic acid catalpol diester		*Premna subscandens* (leaves)	$[\alpha]^{22}{}_{D}$ −71.9 (*c* 1.77, CH_3OH)	94
139	incarvillateine		*Incarvillea sinensis* (aerial parts)	—	95
140	methoxyincarvillateine		*Incarvillea sinensis* (aerial parts)	$[\alpha]^{20}{}_{D}$ −4.0 (*c* 0.61, $CHCl_3$)	96
141	sarusubine A		*Lagerstroemia subcostata* (leaves)	$[\alpha]^{23}{}_{D}$ +40.1 (*c* 1.0, CH_3OH)	97

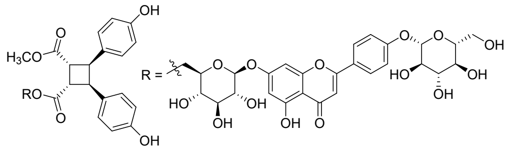
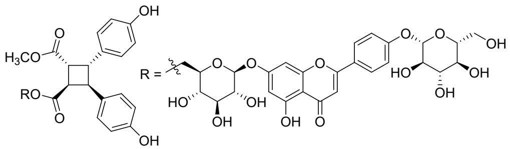
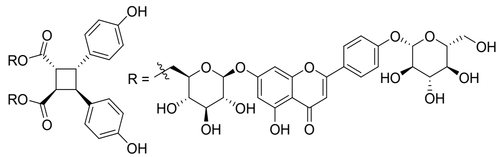
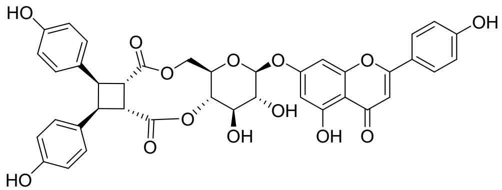
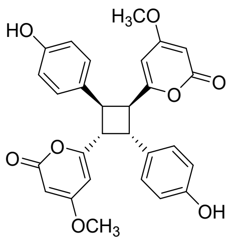
142	dunalianoside H		*Vaccinium dunalianum* (buds)	$[\alpha]^{26}{}_{D}$ −37.2 (*c* 0.1, CH_3OH)	98
143	dodegranoside B		*Dodecadenia grandiflora* (leaves)	$[\alpha]^{26}{}_{D}$ −35.27 (*c* 0.029, CH_3OH)	99
144	*β*-truxilloyl 6-*O*-*β*-*D*-glucopyranose diester		*Petrorhagia velutina* (leaves)	$[\alpha]^{20}{}_{D}$ +9.56 (*c* 1.15, CH_3OH)	100
145	diinnovanoside A		*Daphne aurantiaca* (stem bark)	$[\alpha]^{20}{}_{D}$ −47 (*c* 0.12, CH_3OH)	101
146	diinnovanoside B		*Daphne aurantiaca* (stem bark)	$[\alpha]^{20}{}_{D}$ −48 (*c* 0.08, CH_3OH)	101

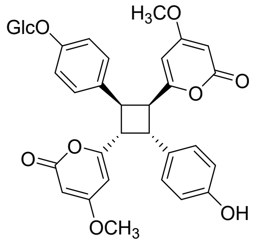
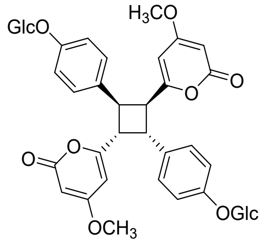
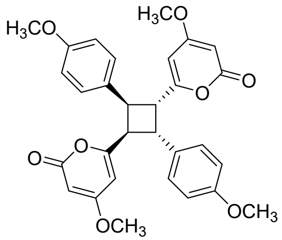
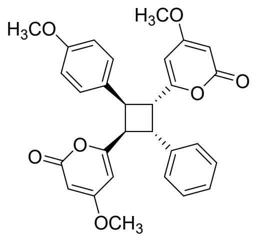
147	tadehaginoside C		*Tadehagi triquetrum* (aerial parts)	$[\alpha]^{25}{}_{D}$ −108 (*c* 0.03, CH_3OH)	102
148	tadehaginoside D		*Tadehagi triquetrum* (aerial parts)	$[\alpha]^{25}{}_{D}$ −58.0 (*c* 0.1, CH_3OH)	102
149	stachysetin		*Stachys aegyptiaca* (aerial parts)	—	103
150	monochaetin		*Monochaetum multiflorum* (leaves)	$[\alpha]^{20}{}_{D}$ +25.0 (*c* 0.1, CH_3OH)	104, 105
151	potentilin A		*Potentilla anserine* (rhizomes)	$[\alpha]^{25}{}_{D}$ +20.7 (*c* 0.05, CH_3OH)	106

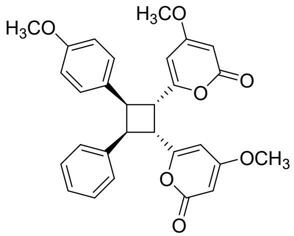
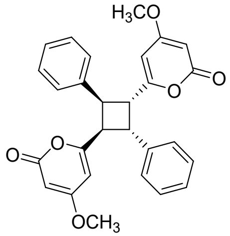
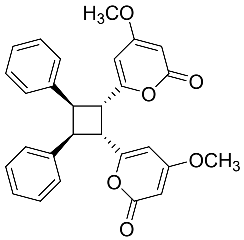
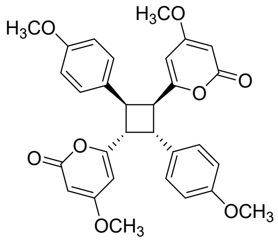
152	geniculatin		*Paepalanthus geniculatus* (flowers)	$[\alpha]^{25}{}_{D}$ −7.4 (*c* 0.1, CH_3OH)	107
153	biginkgoside A		*Ginkgo biloba* (leaves)	$[\alpha]^{20}{}_{D}$ −71.0 (*c* 0.2, CH_3OH)	108
154	biginkgoside B		*Ginkgo biloba* (leaves)	$[\alpha]^{20}{}_{D}$ −67.0 (*c* 0.2, CH_3OH)	108
155	biginkgoside C		*Ginkgo biloba* (leaves)	$[\alpha]^{20}{}_{D}$ −53.0 (*c* 0.1, CH_3OH)	108
156	biginkgoside D		*Ginkgo biloba* (leaves)	$[\alpha]^{20}{}_{D}$ −71.0 (*c* 0.1, CH_3OH)	108

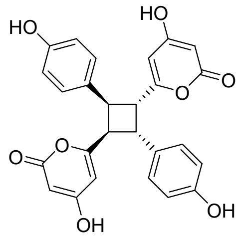
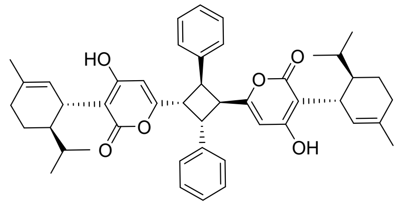
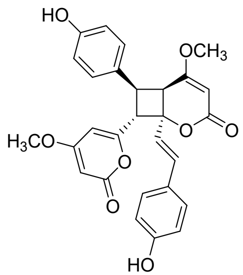
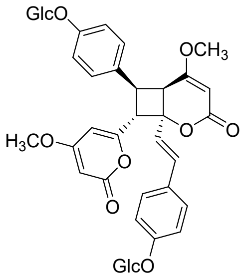
157	biginkgoside E		*Ginkgo biloba* (leaves)	$[\alpha]^{20}_{D}$ −58.0 (*c* 0.1, CH_3OH)	108
158	biginkgoside F		*Ginkgo biloba* (leaves)	$[\alpha]^{20}_{D}$ −65.0 (*c* 0.1, CH_3OH)	108
159	biginkgoside G		*Ginkgo biloba* (leaves)	$[\alpha]^{20}_{D}$ −52.0 (*c* 0.2, CH_3OH)	108
160	biginkgoside H		*Ginkgo biloba* (leaves)	$[\alpha]^{20}_{D}$ −75.0 (*c* 0.2, CH_3OH)	108
161	biginkgoside I		*Ginkgo biloba* (leaves)	$[\alpha]^{20}_{D}$ −49.0 (*c* 0.1, CH_3OH)	108

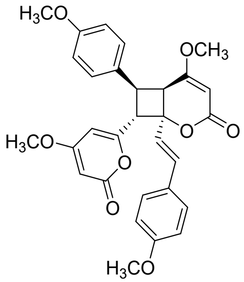
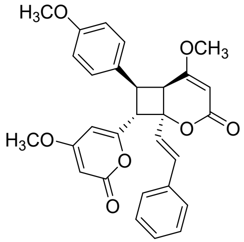
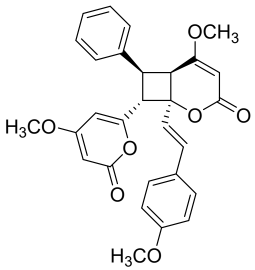
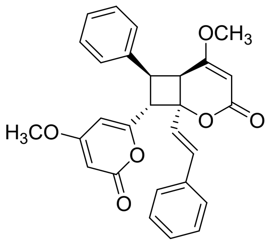
162	cinnamomoside A		*Cinnamomum cassia* (twigs)	$[\alpha]^{25}{}_{D}$ +4.0 (c 1.4, CH_3OH)	109
163	palhinoside A		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −16.0 (c 0.1, CH_3OH)	110
164	palhinoside B		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −27.0 (c 0.1, CH_3OH)	110
165	palhinoside C		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −27.0 (c 0.1, CH_3OH)	110
166	palhinoside D		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −54.0 (c 0.1, CH_3OH)	110
167	palhinoside E		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −31.0 (c 0.1, CH_3OH)	110

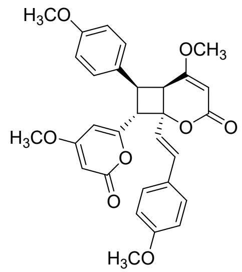
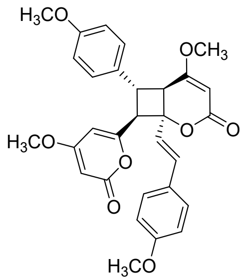
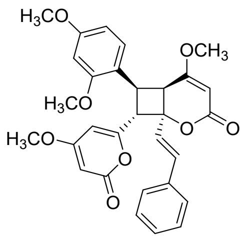
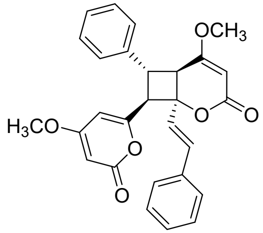
168	palhinoside F		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −28.0 (*c* 0.1, CH_3OH)	110
169	palhinoside G		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −61.0 (*c* 0.1, CH_3OH)	110
170	palhinoside H		*Palhinhaea cernua* (whole herbs)	$[\alpha]^{25}{}_{D}$ −26.0 (*c* 0.2, CH_3OH)	110
171	itoside N		*Itoa orientalis* (bark, twigs, and leaves)	$[\alpha]^{25}{}_{D}$ +10.0 (*c* 0.06, CH_3OH)	111
172	achyrodimer A		*Achyrocline bogotensis* (aerial parts)	$[\alpha]_{D}$ 0 (*c* 0.9, $CH_3OH–CHCl_3$)	112

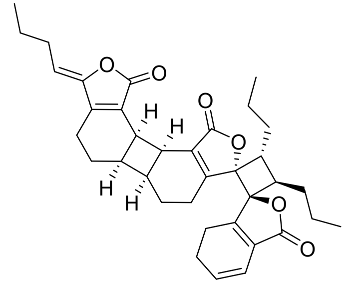
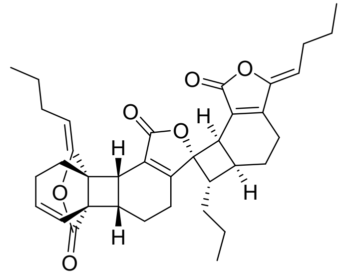
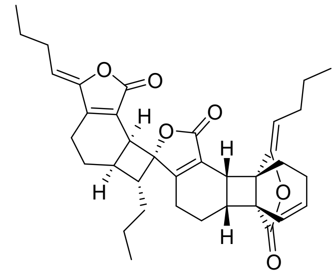
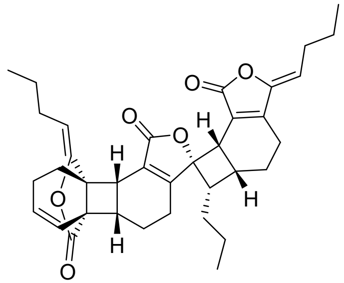
173	achyrodimer B		*Achyrocline bogotensis* (aerial parts)	$[\alpha]_D$ −25.0 (*c* 0.9, CH_3OH)	112
174	achyrodimer C		*Achyrocline bogotensis* (aerial parts)	$[\alpha]_D$ −32.2 (*c* 1.7, CH_3OH)	112
175	diyangonin A		*Piper methysticum* (roots)	$[\alpha]^{25}{}_D$ 0 (*c* 0.1, CH_3OH)	113
176	diyangonin B		*Piper methysticum* (roots)	$[\alpha]^{25}{}_D$ +2 (*c* 0.1, CH_3OH)	113

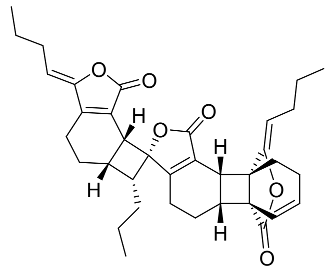
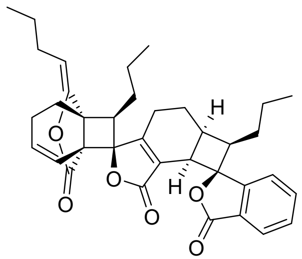
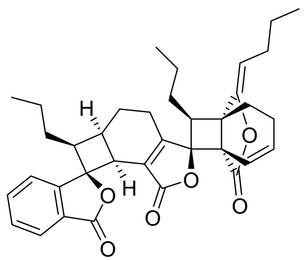
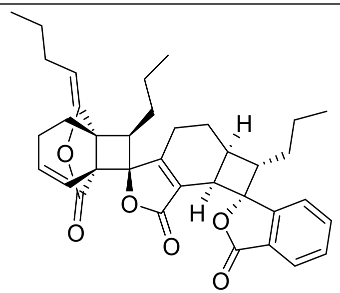
177	diyangonin C		*Piper methysticum* (roots)	$[\alpha]^{25}{}_{D}$ +1 (*c* 0.1, CH_3OH)	113
178	*rel*-,*trans*-3-bis[6-(4-methoxy-2-pyronyl)]-*cis*-2,*trans*-4-diphenyl cyclobutane		*Piper methysticum* (roots)	—	113
179	6,6′-(3,4-diphenylcyclobutane-1,2-diyl)bis(4-methoxy-2*H*-pyran-2-one)		*Piper methysticum* (roots)	—	113
180	velutinindimer A		*Miliusa velutina* (leaves)	$[\alpha]^{28}{}_{D}$ +0.08 (*c* 0.63, $CH_3OH–CHCl_3$, 3:1)	114

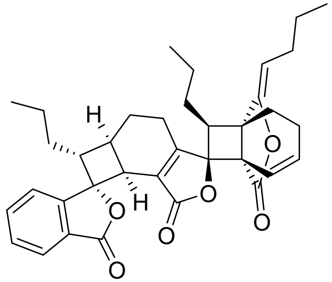
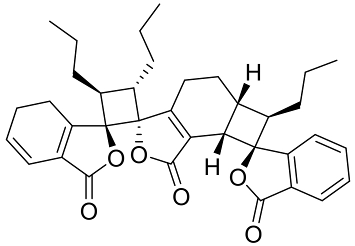
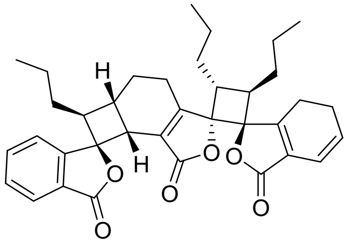
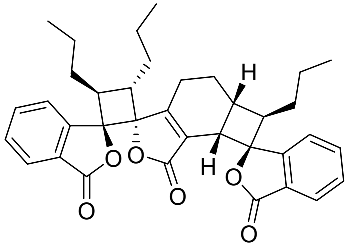
181	achyrodimer F		teleomorphic fungus of the family *Cortinariaceae*	—	115
182	katsumadain C		*Alpinia katsumadai* (seed)	$[\alpha]^{28}{}_{D}$ +173.2 (*c* 0.05, $CHCl_3$–CH_3OH, 1:1)	116
183	achyrodimer D		*Achyrocline bogotensis* (aerial parts)	$[\alpha]_D$ +27 (*c* 1.5, $CHCl_3$–CH_3OH)	112
184	achyrodimer E		*Achyrocline bogotensis* (aerial parts)	$[\alpha]_D$ +58.1 (*c* 0.7, CH_3OH)	112

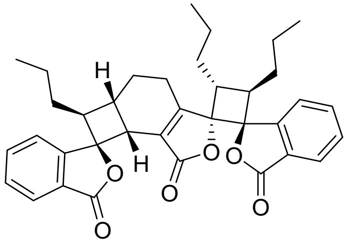
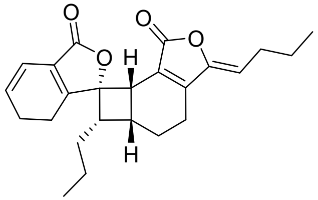
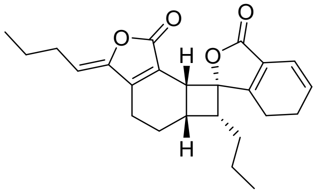
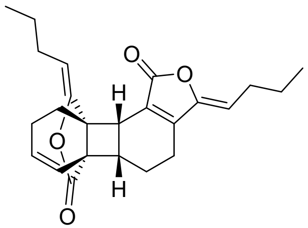
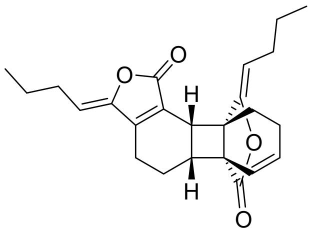
185	yangonindimer A		*Piper methysticum* (roots)	$[\alpha]^{25}{}_{D}$ +8 (*c* 0.1, CH_3OH)	117
186	yangonindimer B		*Piper methysticum* (roots)	$[\alpha]^{25}{}_{D}$ +9 (*c* 0.1, CH_3OH)	117
187	yangonindimer C		*Piper methysticum* (roots)	$[\alpha]^{25}{}_{D}$ +8 (*c* 0.1, CH_3OH)	117
188	aniba dimer A		*Aniba gurdneri* (leaves)	$[\alpha]_{D}$ 0 (*c* 0.21, CH_3OH)	118-120

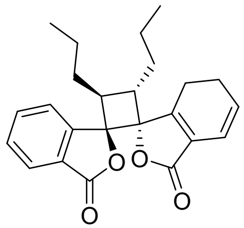
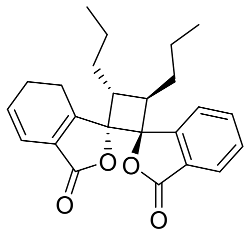
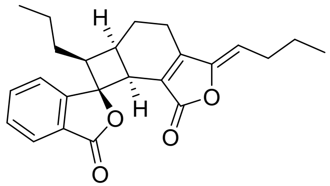
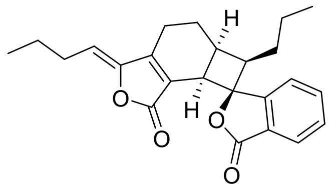
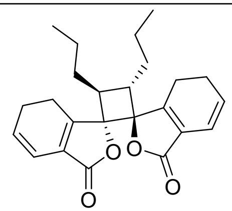
189	velutinindimer B		*Miliusa velutina* (leaves)	$[\alpha]^{28}{}_{D}$ +0.08 (*c* 0.63, $CH_3OH–CHCl_3$, 5:3)	114
190	velutinindimer C		*Miliusa velutina* (leaves)	$[\alpha]^{27}{}_{D}$ +0.03 (*c* 0.23, $CH_3OH–CHCl_3$, 9:1)	114
191	kavalactone A		*Piper methysticum* (leaves)	$[\alpha]^{25}{}_{D}$ +4 (*c* 0.049, CH_3OH)	121
192	aniba dimer C		*Alpinia zerumbet* (pericarps)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.1, $CHCl_3$)	122

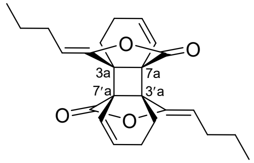
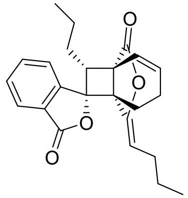
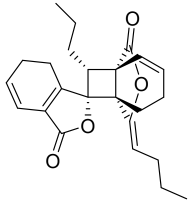
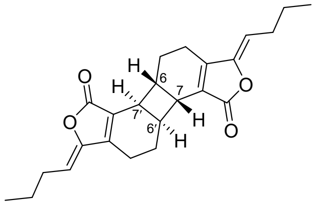
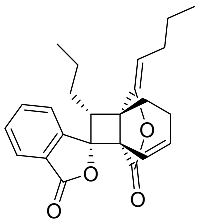
193	angesinenolide A		*Angelica sinensis* (roots)	$[\alpha]^{25}{}_{D}$ +6 (*c* 0.1, CH_3OH)	123
194a	(−)-triligustilide A		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −78.6 (*c* 0.5, $CHCl_3$)	124
194b	(+)-triligustilide A		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +77.0 (*c* 0.5, $CHCl_3$)	124
195a	(−)-triligustilide B		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −36.5 (*c* 0.5, $CHCl_3$)	124

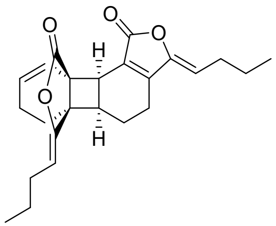
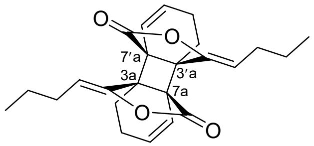
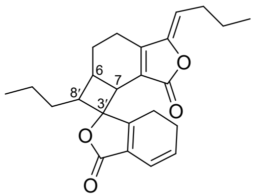
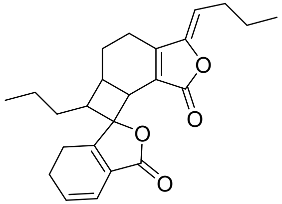
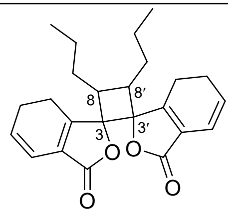
195b	(+)-triligustilide B		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +39.0 (*c* 0.5, $CHCl_3$)	124
196a	(+)-triangeliphthalide A		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +125.2 (*c* 0.5, $CHCl_3$)	125
196b	(−)-triangeliphthalide A		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −115.8 (*c* 0.5, $CHCl_3$)	125
197a	(+)-triangeliphthalide B		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +158.2 (*c* 0.5, $CHCl_3$)	125

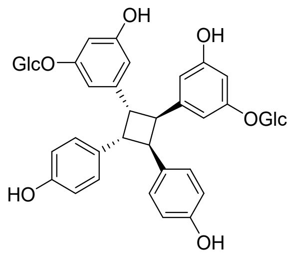
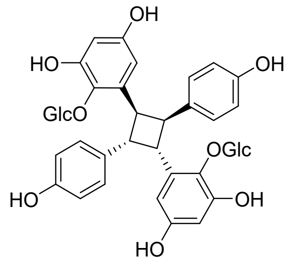
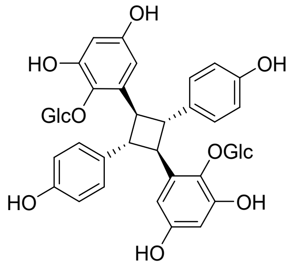
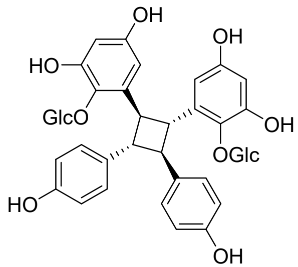
197b	(−)-triangeliphthalide B		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −164.0 (*c* 0.5, $CHCl_3$)	125
198a	(−)-triangeliphthalide C		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −56.5 (*c* 0.5, $CHCl_3$)	125
198b	(+)-triangeliphthalide C		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +58.8 (*c* 0.5, $CHCl_3$)	125
199a	(+)-triangeliphthalide D		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +69.8 (*c* 0.5, $CHCl_3$)	125

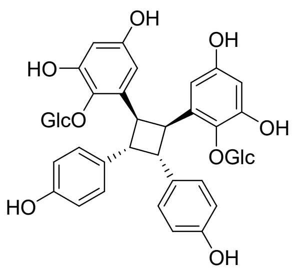
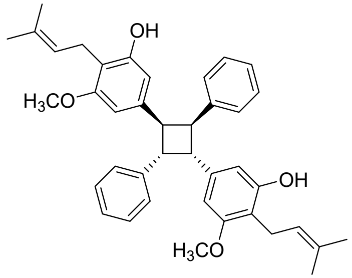
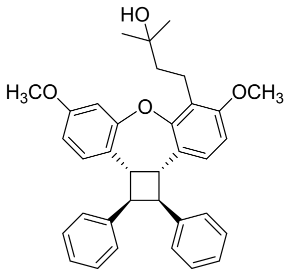
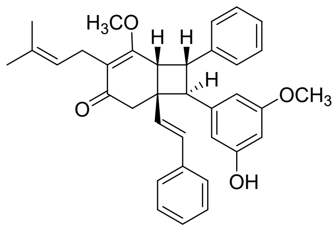
199b	(−)-triangeliphthalide D		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −72.5 (*c* 0.5, $CHCl_3$)	125
200a	(−)-riligustilide		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −89.6 (*c* 0.5, $CHCl_3$)	124
200b	(+)-riligustilide		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +92.2 (*c* 0.5, $CHCl_3$)	124
201a	(+)-tokinolide A		*Angelicae Sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +60.8 (*c* 0.5, $CHCl_3$)	124
201b	(−)-tokinolide A		*Angelicae Sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −58.0 (*c* 0.5, $CHCl_3$)	124

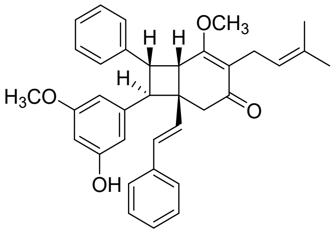
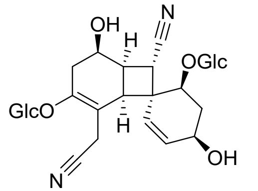
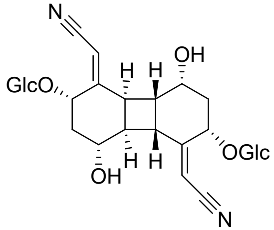
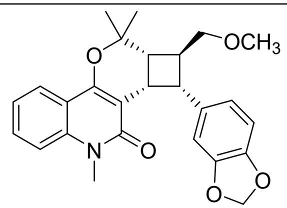
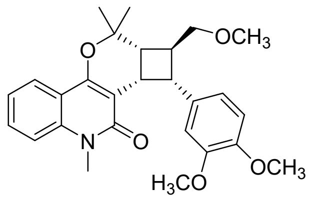
202a	(−)-diangeliphthalide A		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −43.8 (*c* 0.3, $CHCl_3$)	125
202b	(+)-diangeliphthalide A		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +42.0 (*c* 0.3, $CHCl_3$)	125
203a	(−)-gelispirolide		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ −59.2 (*c* 1.0, $CHCl_3$)	125
203b	(+)-gelispirolide		*Angelica sinensis* (roots)	$[\alpha]^{27}{}_{D}$ +61.9 (*c* 1.0, $CHCl_3$)	125
204	angelicolide		*Angelica ylauca* (roots) *Angelica sinensis* (rhizome)	—	126, 127

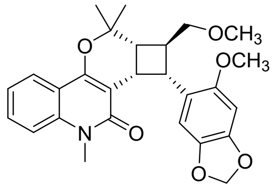
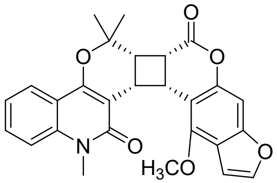
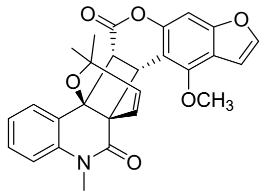
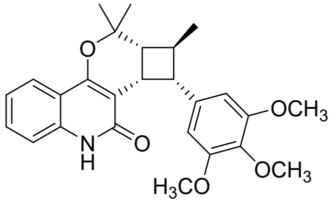
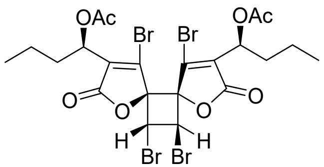
205	Z,Z'-3.3'a,7.7'a-diligustilide		*Angelica sinensis* (roots)	—	128
206	sinaspirolide		*Angelica sinensis* (roots)	$[\alpha]^{20}{}_{D}$ +24.0 (*c* 0.1, CH_2Cl_2)	129
207	neodiligustilide		*Angelica sinensis* (roots)	$[\alpha]^{20}{}_{D}$ +14.0 (*c* 0.1, $CHCl_3$)	130
208	3,3′*Z*-6.7′, 7.6′diligustilide		*Angelica sinensis* (roots)	$[\alpha]^{20}{}_{D}$ 0 (*c* 0.1, $CHCl_3$)	131
209	tokiaerialide		*Angelica acutiloba* (roots)	$[\alpha]^{25}{}_{D}$ −21.0 (*c* 0.15, $CHCl_3$)	132

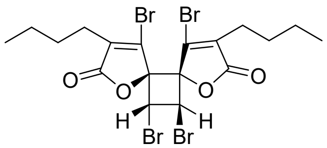
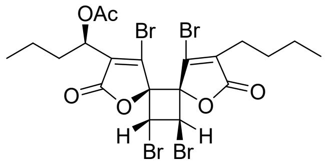
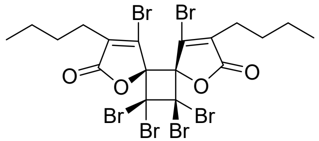
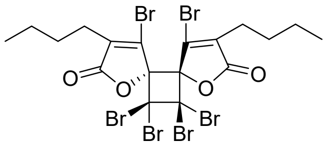
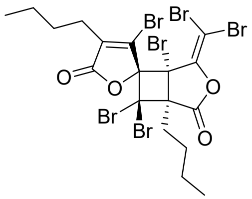
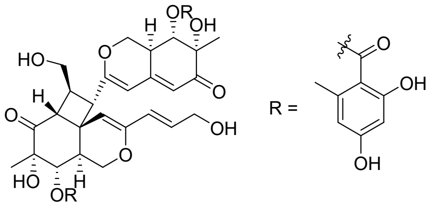
210	tokinolide C		*Angelicae sinensis* (roots)	—	133
211	*cis*-*Z*,*Z'*-3a.7a',7a.3a'-dihydroxyligustilide		*Angelicae sinensis* (roots)	—	134
212	*Z*,*Z'*-6.8',7.3'-diligustilide		*Angelica sinensis* (rhizome)	—	135
213	angelicide		*Angelica sinensis* (rhizome)	—	135
214	*Z*,*Z'*-3.3',8.8'-diligustilide		*Angelica sinensis* (rhizome)	—	135

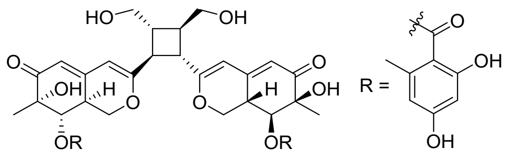
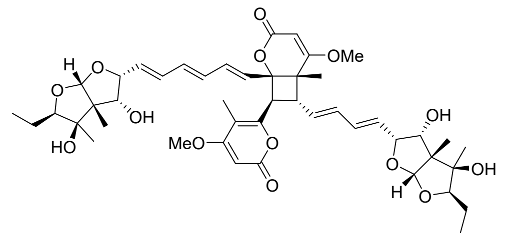
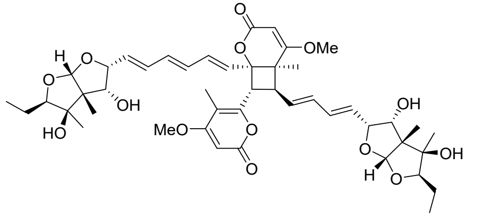
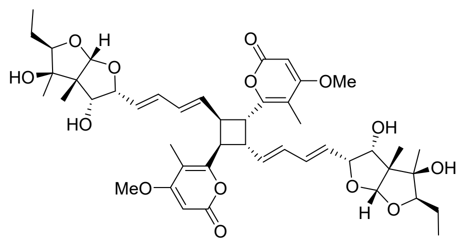
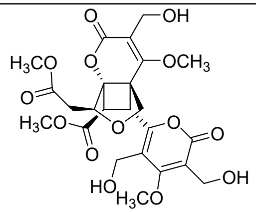
215	(no trivial name given)		*Polygonum cuspidatum* (roots)	$[\alpha]^{25}{}_{D}$ −160.2 (*c* 0.21, CH_3OH)	136
216	multiflorumiside A		*Polygonum multiflorum* (roots)	$[\alpha]^{20}{}_{D}$ +6 (*c* 0.5, CH_3OH)	137
217	multiflorumiside B		*Polygonum multiflorum* (roots)	$[\alpha]^{20}{}_{D}$ +16 (*c* 0.5, CH_3OH)	137
218	multiflorumiside C		*Polygonum multiflorum* (roots)	$[\alpha]^{20}{}_{D}$ −9 (*c* 0.5, CH_3OH)	137

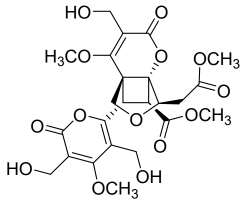
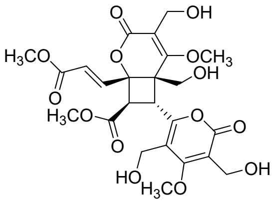
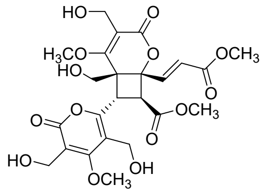
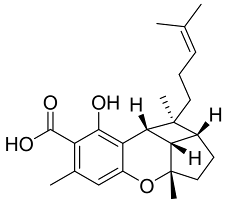
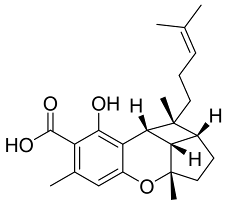
219	multiflorumiside D		*Polygonum multiflorum* (roots)	$[\alpha]^{20}{}_{D}$ +14 (*c* 0.5, CH_3OH)	137
220	cajanstilbenoid A		*Cajanus cajan* (leaves)	$[\alpha]^{20}{}_{D}$ 0 (*c* 0.49, CH_3OH)	138
221	cajanstilbenoid B		*Cajanus cajan* (leaves)	$[\alpha]^{20}{}_{D}$ 0 (*c* 0.053, CH_3OH)	138
222a	(+)-cajanusine		*Cajanus cajan* (leaves)	$[\alpha]^{25}{}_{D}$ +220.6 (*c* 0.3, CH_3OH)	139

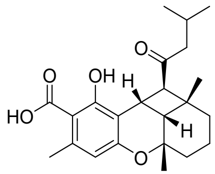
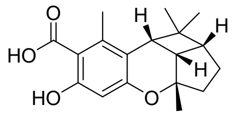
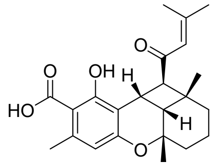
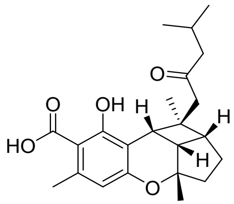
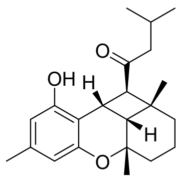
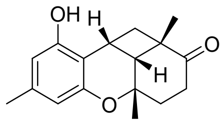
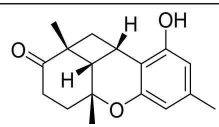
222b	(−)-cajanusine		*Cajanus cajan* (leaves)	$[\alpha]^{20}{}_{D}$ −222.0 (*c* 0.3, CH_3OH)	139
223	simmonoside A		*Simmondsia chinensis* (leaves)	$[\alpha]^{23}{}_{D}$ −136.3 (*c* 0.15, CH_3OH)	140
224	simmonoside B		*Simmondsia chinensis* (leaves)	$[\alpha]^{23}{}_{D}$ −165.2 (*c* 0.15, CH_3OH)	140
225	melicodenine C		*Melicope denhamii* (leaves)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.1, CH_3OH)	89
226	melicodenine D		*Melicope denhamii* (leaves)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.1, CH_3OH)	89

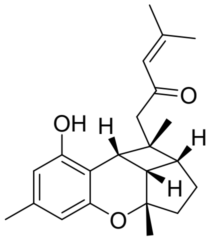
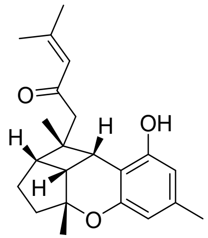
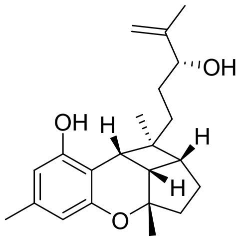
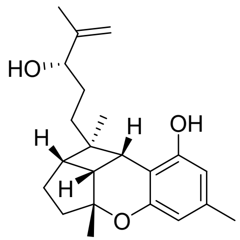
227	melicodenine E		*Melicope denhamii* (leaves)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.1, CH_3OH)	89
228	melicodenine F		*Melicope denhamii* (leaves)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.1, CH_3OH)	89
229	melicodenine G		*Melicope denhamii* (leaves)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.1, CH_3OH)	89
230	euodenine A		*Euodia asteridula* (leaves)	optically inactive	141
231	pulchralide A		*Delisea pulchra*	$[\alpha]^{25}{}_{D}$ +6 (*c* 0.25, $CHCl_3$)	142

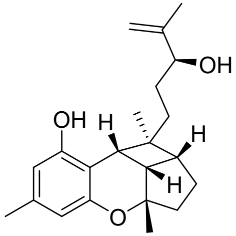
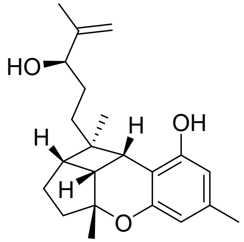
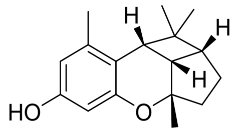
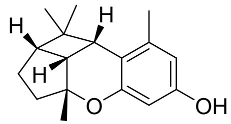
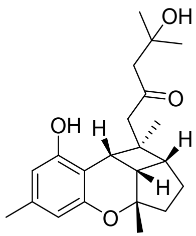
232	pulchralide B		*Delisea pulchra*	$[\alpha]^{25}{}_{D}$ +4.3 (*c* 0.06, $CHCl_3$)	142
233	pulchralide C		*Delisea pulchra*	$[\alpha]^{25}{}_{D}$ +11.6 (*c* 0.06, $CHCl_3$)	142
234	acetoxyfimbrolide C2 dimer		*Delisea elegans*	—	142, 143
235	acetoxyfimbrolide *meso* dimer		*Delisea elegans*	—	142, 143
236	(no trivial name given)		*Delisea elegans*	—	143
237	dipleosporalone A		*Pleosporales* sp. CF09-1	$[\alpha]^{20}{}_{D}$ +160 (*c* 1.0, CH_3OH)	144

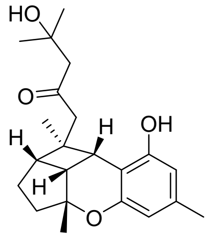
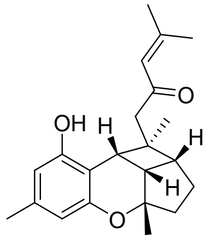
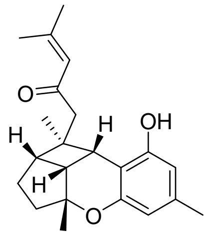
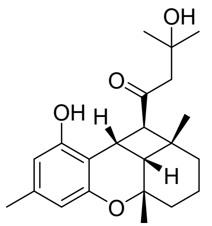
238	dipleosporalone B		*Pleosporales* sp. CF09-1	$[\alpha]^{20}{}_{D}$ +62 (*c* 1.0, CH_3OH)	144
239	diasteltoxin A		*Emericella variecolor*	$[\alpha]^{20}{}_{D}$ +3.3 (*c* 0.3, CH_3OH)	145
240	diasteltoxin B		*Emericella variecolor*	$[\alpha]^{20}{}_{D}$ +12.0 (*c* 0.3, CH_3OH)	145
241	diasteltoxin C		*Emericella variecolor*	$[\alpha]^{20}{}_{D}$ +4.5 (*c* 0.2, CH_3OH)	145
242a	(+)-phomone A		*Phoma* sp. YN02-P-3	$[\alpha]^{20}{}_{D}$ +30.0 (*c* 0.2, CH_3OH)	146

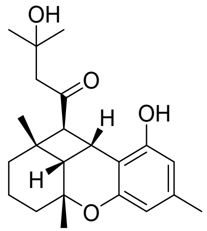
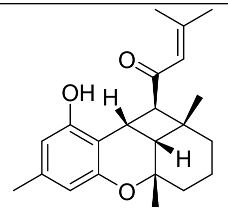
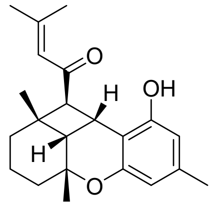
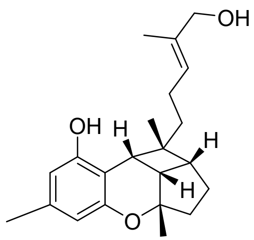
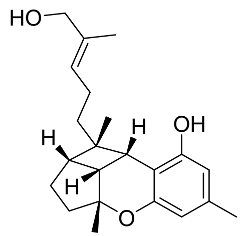
242b	(−)-phomone A		*Phoma* sp. YN02-P-3	$[\alpha]^{20}{}_{D}$ −39.0 (c 0.2, CH_3OH)	146
243a	5*S*, 6*S*, 7′*R*, 8′*R*-phomone B		*Phoma* sp. YN02-P-3	—	146
243b	5*R*, 6*R*, 7′*S*, 8′*S*-phomone B		*Phoma* sp. YN02-P-3	—	146
244	rhododaurichromanic acid A		*Rhododendron dauricum* (leaves and twigs)	$[\alpha]_D$ +25.8(c 0.36, $CHCl_3$)	147
245	rhododaurichromanic acid B		*Rhododendron dauricum* (leaves and twigs)	$[\alpha]_D$ −118.2(c 0.33, $CHCl_3$)	147

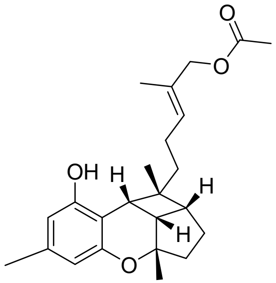
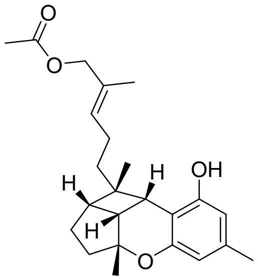
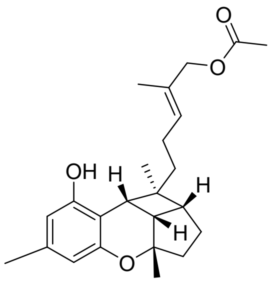
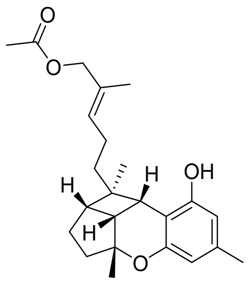
246	anthopogochromane		*Rhododendron anthopogonoides* (leaves and twigs)	$[\alpha]^{23}{}_{D}$ −166.3(c 1.0, CH_3OH)	original[148] revised[149]
247	anthopogocyclolic acid		*Rhododendron anthopogonoides* (leaves and twigs)	$[\alpha]^{23}{}_{D}$ +16.7 (c 1.0, CH_3OH)	150
248	rubiginosin B		*Rhododendron rubiginosum* (flower)	$[\alpha]^{25}{}_{D}$ −89.1(c 0.11, CH_3OH)	151
249	rubiginosin C		*Rhododendron rubiginosum* (flower)	$[\alpha]^{25}{}_{D}$ −35.0 (c 0.1, CH_3OH)	151
250	rubiginosin G		*Rhododendron rubiginosum* (flower)	$[\alpha]^{25}{}_{D}$ +1.3 (c 0.05, CH_3OH)	151, 152
251a	(−)-rhodonoid A		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ −39.0 (c 0.1, CH_3OH)	153
251b	(+)-rhodonoid A		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ +38.0 (c 0.1, CH_3OH)	153

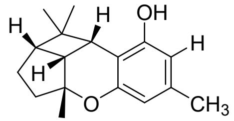
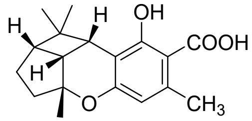
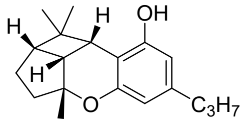
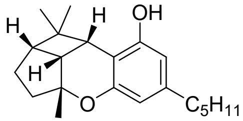
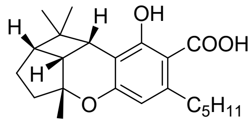
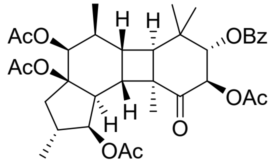
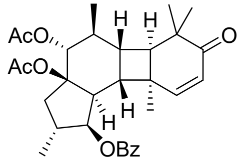
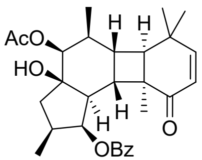
252a	(−)-rhodonoid B		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ −39.0 (*c* 0.1, CH_3OH)	153
252b	(+)-rhodonoid B		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ +42.0 (*c* 0.1, CH_3OH)	153
253a	(+)-rhodonoid E		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ +23.1 (*c* 0.09, CH_3OH)	154
253b	(−)-rhodonoid E		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ −21.7 (*c* 0.03, CH_3OH)	154

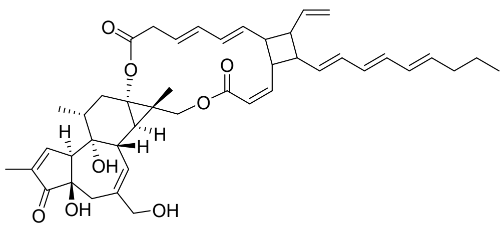
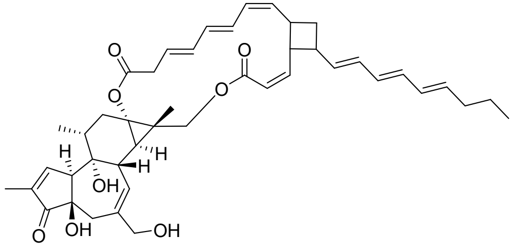
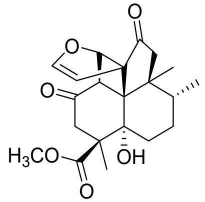
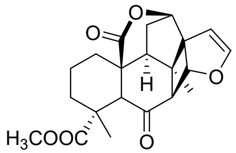
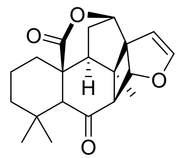
254a	(+)-rhodonoid F		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ +19.5 (*c* 0.07, CH_3OH)	154
254b	(−)-rhodonoid F		*Rhododendron capitatum* (aerial parts)	$[\alpha]^{20}{}_{D}$ −18.3 (*c* 0.02, CH_3OH)	154
255a	(−)-nyingchinoid D		*Rhododendron nyingchiense* (aerial parts)	$[\alpha]^{25}{}_{D}$ −15.6 (*c* 0.1, CH_3OH)	155
255b	(+)-nyingchinoid D		*Rhododendron nyingchiense* (aerial parts)	$[\alpha]^{25}{}_{D}$ +15.2 (*c* 0.1, CH_3OH)	155
256a	(+)-fastinoid A		*Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ +15.6 (*c* 0.1, CH_3OH)	156

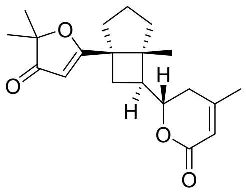
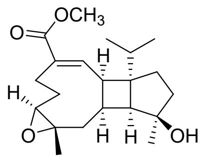
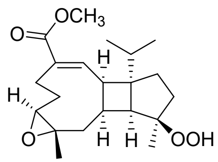
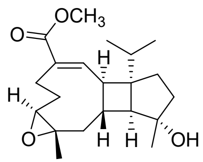
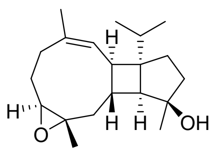
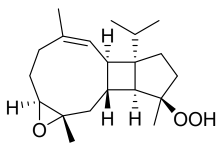
256b	(−)-fastinoid A		*Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ −15.2 (*c* 0.1, CH_3OH)	156
257a	(+)-fastinoid B		*Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ +16.5 (*c* 0.1, CH_3OH)	156
257b	(−)-fastinoid B		*Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ −16.3 (*c* 0.1, CH_3OH)	156
258a	(+)-fastinoid C		*Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ +13.5 (*c* 0.1, CH_3OH)	156

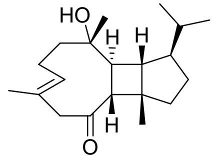
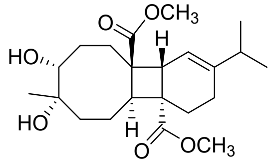
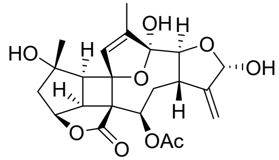
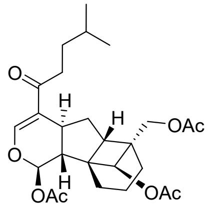
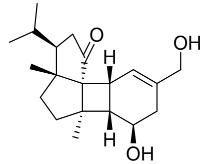
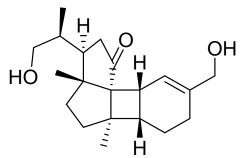
258b	(−)-fastinoid C		*Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ −13.4 (*c* 0.1, CH_3OH)	156
259a	(+)-rubiginosin A		*Rhododendron rubiginosum* (flowers) *Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ +36.7 (*c* 0.1, CH_3OH)	151, 156
259b	(−)-rubiginosin A		*Rhododendron fastigiatum* (aerial parts)	$[\alpha]^{25}{}_{D}$ −36.0 (*c* 0.1, CH_3OH)	156
260a	(−)-anthoponoid B		*Rhododendron anthopogonoides* (twigs and leaves)	$[\alpha]^{25}{}_{D}$ −59.0 (*c* 0.1, CH_3OH)	157
260b	(+)-anthoponoid B		*Rhododendron anthopogonoides* (twigs and leaves)	$[\alpha]^{25}{}_{D}$ +60.0 (*c* 0.1, CH_3OH)	157

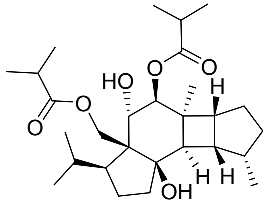
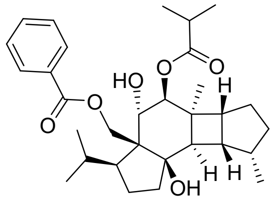
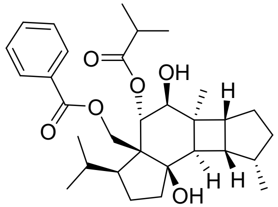
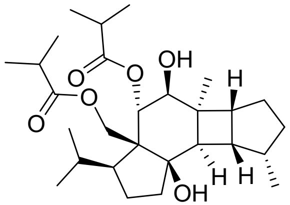
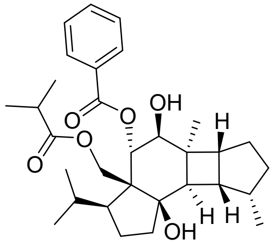
261a	(−)-anthoponoid C		*Rhododendron anthopogonoides* (twigs and leaves)	$[\alpha]^{25}{}_{D}$ −58.0 (*c* 0.1, CH_3OH)	157
261b	(+)-anthoponoid C		*Rhododendron anthopogonoides* (twigs and leaves)	$[\alpha]^{25}{}_{D}$ +58.0 (*c* 0.1, CH_3OH)	157
262a	(+)-anthoponoid D		*Rhododendron anthopogonoides* (twigs and leaves)	$[\alpha]^{25}{}_{D}$ +21.5 (*c* 0.1, CH_3OH)	157
262b	(−)-anthoponoid D		*Rhododendron anthopogonoides* (twigs and leaves)	$[\alpha]^{25}{}_{D}$ −21.0 (*c* 0.1, CH_3OH)	157

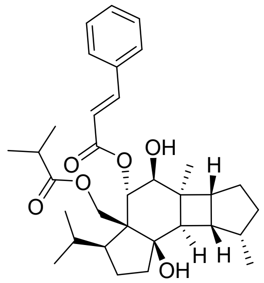
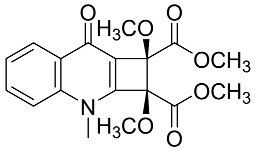
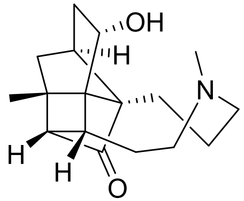
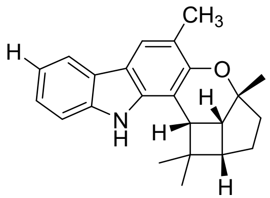
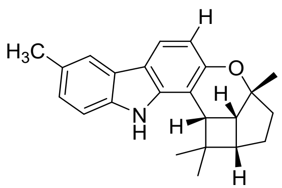
263	cannabiorcicyclol		*Cannabis sativa*	—	158
264	cannabiorcicyclolic acid		*Cannabis sativa/ Rhododendron anthopogon* (leaves)	—	150, 158
265	cannabicyclovarin		*Cannabis sativa*	$[\alpha]_D$ 0 (*c* 0.25, $CHCl_3$)	159, 160
266	cannabicyclol (CBL)		*Cannabis sativa*	—	161
267	cannabicyclolic acid		*Cannabis sativa*	$[\alpha]^{16}_D$ 0 (*c* 0.33, $CHCl_3$)	162
268	gaditanone		*Euphorbia gaditana* (aerial parts)	$[\alpha]^{20}_D$ −17.0(*c* 0.12, $CHCl_3$)	163
269	heliosterpenoid A		*Euphorbia helioscopia* (whole plants)	$[\alpha]^{20}_D$ +82.1 (*c* 0.1, CH_3OH)	164
270	heliosterpenoid B		*Euphorbia helioscopia* (whole plants)	$[\alpha]^{20}_D$ +41.3 (*c* 0.1, CH_3OH)	164

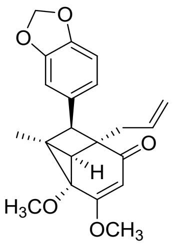
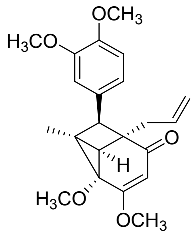
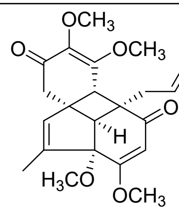
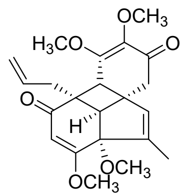
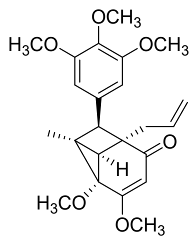
271	jatropha factor C_3		*Jatropha curcas* (seed oil)	$[\alpha]^{20}{}_{D}$ +130.0 (*c* 0.07, CH_3OH)	165
272	jatropha factor C_6		*Jatropha curcas* (seed oil)	$[\alpha]^{20}{}_{D}$ +69.3 (*c* 0.14, CH_3OH)	165
273	cracroson D		*Croton crassifolius* (roots)	$[\alpha]^{25}{}_{D}$ +72.0 (*c* 1.0, CH_3OH)	166
274	haplomintrin A		*Haplomitrium mnioides* (whole plants)	$[\alpha]^{20}{}_{D}$ +36.4 (*c* 1.0, CH_3CN)	167
275	haplomintrin B		*Haplomitrium mnioides* (whole plants)	$[\alpha]^{20}{}_{D}$ −39.2 (*c* 1.0, CH_3CN)	167

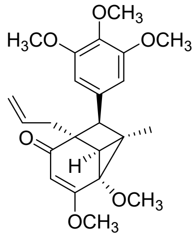
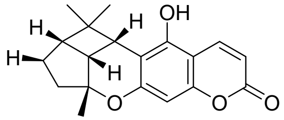
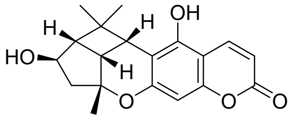
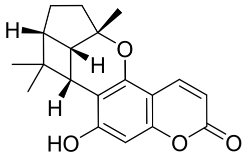
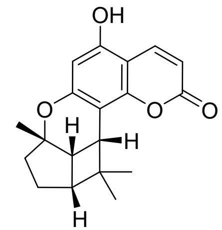
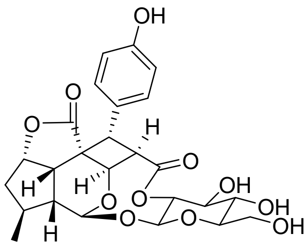
276	aphapolin A		*Aphanamixis polystachya*	$[\alpha]^{20}{}_{D}$ +55.3 (c 1.0, $CHCl_3$)	168
277	methyl sarcotroate A		*Sarcophyton trocheliophorum*	$[\alpha]^{24}{}_{D}$ +54.0 (c 0.12, $CHCl_3$)	169
278	methyl sarcotroate B		*Sarcophyton trocheliophorum*	$[\alpha]^{24}{}_{D}$ +224.0 (c 0.12, $CHCl_3$)	169
279	tortuosumol		*Sarcophyton Tortuosum*	$[\alpha]^{25}{}_{D}$ +124.0 (c 0.9, $CHCl_3$)	62
280	humilisin E		*Sinularia humilis*	$[\alpha]^{20}{}_{D}$ +19.5 (c 0.22, $CHCl_3$)	170
281	humilisin F		*Sinularia humilis*	$[\alpha]^{20}{}_{D}$ +26.3 (c 0.28, $CHCl_3$)	170

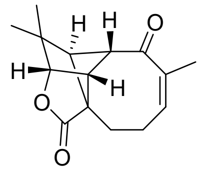
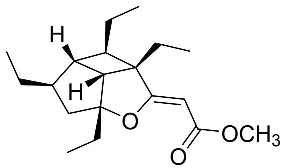
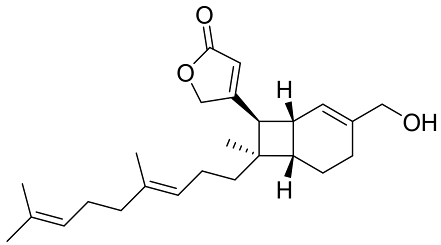
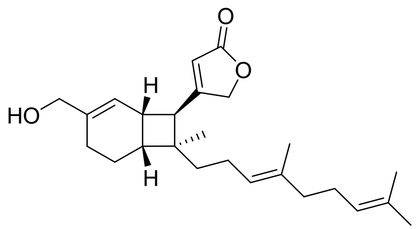
282	sarcoglane		*Sarcophyton glaucum*	$[\alpha]_D$ +110.0	171
283	locrassumin C		*Lobophytum crassum*	$[\alpha]^{25}{}_D$ +44.0 (*c* 0.1, $CHCl_3$)	172
284	bielschowskysin		*Pseudopterogorgia kallos*	$[\alpha]^{20}{}_D$ −17.3 (*c* 1.1, CH_3OH)	173
285	plumisclerin A		*Plumigorgia terminosclera*	$[\alpha]^{25}{}_D$ +125.0 (*c* 0.5, $CHCl_3$)	174
286	psathyrin A		*Psathyrella candolleana* (fruiting bodies)	$[\alpha]^{26}{}_D$ −28.6 (*c* 0.27, CH_3OH)	175
287	psathyrin B		*Psathyrella candolleana* (fruiting bodies)	$[\alpha]^{24}{}_D$ +87.5 (*c* 0.8, CH_3OH)	175

288	vulgarisin A		*Prunella vulgaris* (whole plants)	$[\alpha]^{20}{}_{D}$ +2.59 (*c* 1.07, $CHCl_3$)	176
289	vulgarisin B		*Prunella vulgaris* (whole plants)	$[\alpha]^{30}{}_{D}$ +11.1 (*c* 0.7, $CHCl_3$)	177
290	vulgarisin C		*Prunella vulgaris* (whole plants)	$[\alpha]^{30}{}_{D}$ +8.9 (*c* 0.6, $CHCl_3$)	177
291	vulgarisin D		*Prunella vulgaris* (whole plants)	$[\alpha]^{30}{}_{D}$ +3.09 (*c* 0.9, $CHCl_3$)	177
292	vulgarisin E		*Prunella vulgaris*	—	178

293	vulgarisin F		*Prunella vulgaris*	—	178
294	cyclomegistine		*Sarcomelicope megistophylla* (bark)	$[\alpha]^{25}{}_{D}$ 0 (*c* 0.07, CH_2Cl_2)	179
295	phlegmadine A		*Phlegmariurus phlegmaria* (whole plants)	$[\alpha]^{18.9}{}_{D}$ +43.88 (*c* 0.1, CH_3OH)	180
296	bicyclomahanimbine		*Murraya koenigii* (leaves)	$[\alpha]^{23}{}_{D}$ −1.23 ($CHCl_3$)	181, 182
297	murrayafoline M		*Murraya euchrestifolia* (leaves and root bark)	—	183

298	isofutoquinol A		*Piper futokadzura* (leaves)	$[\alpha]_D$ 0	184
299	6-allyl-7-(3,4-dimethoxyphenyl)-2,3-dimethoxy-8-methyl-tricyclo[4.2.0.0^{2,8}]oct-3-en-5-one		*Magnolia denudate* (flower buds)	$[\alpha]_D$ +67.2 (*c* 1.68, $CHCl_3$)	185
300a	(+)-piperhancin A		*Piper hancei* (stems)	$[\alpha]^{20}{}_D$ +74.0 (*c* 0.1, CH_3OH)	186
300b	(−)-piperhancin A		*Piper hancei* (stems)	$[\alpha]^{20}{}_D$ −72.0 (*c* 0.1, CH_3OH)	186
301a	(−)-piperhancin B		*Piper hancei* (stems)	$[\alpha]^{20}{}_D$ −130.0 (*c* 0.1, CH_3OH)	186

301b	(+)-piperhancin B		*Piper hancei* (stems)	$[\alpha]^{20}{}_{D}$ +128.0 (c 0.1, CH_3OH)	186
302	eriobrucinol		*Eriostemon brucei* (leaves and twigs)	$[\alpha]_D$ −195.0 (c 0.1, $CHCl_3$)	187
303	hydroxyeriobrucinol		*Eriostemon brucei* (leaves and twigs)	$[\alpha]_D$ −235.0 (c 0.2, CH_3OH)	187
304	eriobrucinol regioisomer-A		*Eriostemon brucei* (aerial parts)	$[\alpha]_D$ +47.0 (c 0.1, $CHCl_3$)	188
305	eriobrucinol regioisomer-B		*Eriostemon brucei* (aerial parts)	$[\alpha]_D$ −74.0 (c 0.1, $CHCl_3$)	188
306	littoralisone		*Verbena littoralis* (aerial parts)	$[\alpha]^{26}{}_{D}$ −49.5 (c 0.43, CH_3OH)	189

307	aquatolide		*Asteriscus aquaticus*	$[\alpha]_D$ +66.3 (*c* 0.45, $CHCl_3$)	original[190] revised[191]
308	hippolachnin A		*Hippospongia lachne*	$[\alpha]^{23}{}_D$ +27.0 (*c* 0.12, CH_3OH)	192
309a	(−)-hippolide J		*Hippospongia lachne*	$[\alpha]^{25}{}_D$ −128.0 (*c* 0.05, CH_3OH)	193
309b	(+)-hippolide J		*Hippospongia lachne*	$[\alpha]^{25}{}_D$ +141.0 (*c* 0.05, CH_3OH)	193

2. Biological activities

Table S2 Cytotoxicity of [2 + 2]-cycloaddition-derived cyclobutane natural products reported during the covered period.

NO.	Name	Cell lines	Activity (IC_{50})	Ref.
6	piperarboresine	P338	3.01 μg/mL	5
		HT29	3.52 μg/mL	
		A549	3.10 μg/mL	
7	piperarborenine A	P338	0.12 μg/mL	5
		HT29	3.57 μg/mL	
		A549	2.43 μg/mL	
8	piperarborenine B	P338	0.078 μg/mL	5
		HT29	1.46 μg/mL	
		A549	0.84 μg/mL	
9	piperarborenine C	P338	0.11 μg/mL	5
		HT29	0.16 μg/mL	
		A549	0.14 μg/mL	
10	piperarborenine D	P338	0.12 μg/mL	5
		HT29	0.21 μg/mL	
		A549	0.17 μg/mL	
11	piperarborenine E	P338	0.01 μg/mL	5
		HT29	0.13 μg/mL	
		A549	0.11 μg/mL	
20	nigramide R	L5178Y	9.3 μM	16
25	dipiperamide F	L5178Y	10.0 μM	16
26	dipiperamide G	L5178Y	13.9 μM	16
48	schischkiniin	CaCo-2	76 μM	25
51	flueggedine	MCF-7	NI[a]	28
		MDA-MB-231	NI	
53	orthoscuticelline A	HEK293	10.0 μM	30

54	orthoscuticelline B	HEK293	> 40.0 μM	30
55a	(+)-tengerensine	MDA-MB-468 MDA-MB-231 MCF7 MCF10A	7.4 ± 2.1 μM > 10.0 μM > 10.0 μM > 10.0 μM	31
55b	(−)-tengerensine	MDA-MB-468 MDA-MB-231 MCF7 MCF10A	> 10.0 μM > 10.0 μM > 10.0 μM > 10.0 μM	31
56	15'-oxoadenosceptrin	L929 KB-31 MCF-7 FS4-LTM	NI NI NI NI	32
57	hexazosceptrin	U937 PC9	> 10 mg/mL > 10 mg/mL	33
58	ageleste A	U937 PC9	> 10 mg/mL > 10 mg/mL	33
59	ageleste B	U937 PC9	> 10 mg/mL > 10 mg/mL	33
65	oxyfadichalcone B	PC3	Inhibition(% of control) at 25 μM 78.8 ± 0.7%	38
66a	(+)-oxyfadichalcone C	PC3	3.47 μM	38
66b	(−)-oxyfadichalcone C	PC3	3.84 μM	38
67a	(+)-oxyfadichalcone F	PC3	Inhibition(% of control) at 25 μM 75.5 ± 2.2%	38
67b	(−)-oxyfadichalcone F	PC3	Inhibition(% of control) at 25 μM 87.6 ± 1.2%	38
68	pauferrol A	HL60	5.2 μM	39

69	oxyfadichalcone A	PC3	Inhibition(% of control) at 25 μM 88.0 ± 1.8%	38
70	oxyfadichalcone D	PC3	Inhibition(% of control) at 25 μM 76.7 ± 1.3%	38
71	oxyfadichalcone E	PC3	Inhibition(% of control) at 25 μM 57.9 ± 0.9%	38
72	tomoroside A	NCI-H460 NCI-H460/R HaCaT	44.4 μM 199 μM 116 μM	40
78	xylopiana A	MCF-7/DOX	24.93 ± 9.62 μM	44
84	chlorahupetone A	A549 U87 SMMC-7721	12.91 ± 1.80 μM 9.82 ± 1.21 μM 26.31 ± 2.37 μM	52
85	chlorahupetone B	A549 U87 SMMC-7721	18.69 ± 2.67 μM 26.36 ± 3.39 μM >50 μM	52
86	chlorahupetone C	A549 U87 SMMC-7721	38.76 ± 4.33 μM >50 μM >50 μM	52
87	chlorahupetone D	A549 U87 SMMC-7721	32.27 ± 2.40 μM >50 μM >50 μM	52
88	chlorahupetone E	A549 U87 SMMC-7721	15.18 ± 0.85 μM 28.85 ± 3.18 μM 42.21 ± 5.72 μM	52
89	bimutipterosin A	HL 60	12.8 μM	53
90	bimutipterosin B	HL 60	26.6 μM	53
95	bisiaponin A	K562	> 100 μM	57

		HepG2	> 100 μM	
96	bisjaponin B	K562	> 100 μM	57
		HepG2	> 100 μM	
98	bistenuifolin L	HL-60	> 10 μM	59
		SMMC-7721	> 10 μM	
		A-549	> 10 μM	
		MCF-7	> 10 μM	
		SW-480	> 10 μM	
99	bistenuifolin M	HL-60	> 10 μM	59
		SMMC-7721	> 10 μM	
		A-549	> 10 μM	
		MCF-7	> 10 μM	
		SW-480	> 10 μM	
100	crotoeurin A	A-549	NI	60
		HL-60	NI	
		MCF-7	NI	
		SMMC-7721	NI	
		SW-480	NI	
104	bisotortuolide cyclobutane A	P388	8.5 μM	62
		K562	9.2 μM	
		HT-29	34.1 μM	
105a	(+)-hyperterpenoid A	against glutamic acid-induced toxicity in SK-N-SH cells	cell viability at 60.7%	63
		against (OGD)-induced in SK-N-SH cells	cell viability at 50.6%	
105b	(−)-hyperterpenoid A	against glutamic acid-induced toxicity in SK-N-SH cells	cell viability at 61.8%	63
		against (OGD)-induced in SK-N-SH cells	cell viability at 53.5%	
106a	(+)-hyperterpenoid B	against glutamic acid-induced toxicity in SK-N-SH cells	cell viability at 57.7%	63
		against (OGD)-induced in SK-N-SH cells	cell viability at 47.7%	
106b	(−)-hyperterpenoid B	against glutamic acid-induced toxicity in SK-N-SH cells	cell viability at 57.8%	63

		against (OGD)-induced in SK-N-SH cells	cell viability at 69.4%	
107	scopariusic acid	A-549 HL-60 MCF-7 SMMC-7721 SW480	18.07 μM 17.28 μM 16.55 μM 22.54 μM 26.62 μM	63
112	biyouyanagin A	KB KB-C2 KB-C2 (+Col.) MCF-7 K562 K562/Adr COLO205	38.8 ± 1.3 μg/mL 36.2 ± 2.1 μg/mL 16.8 ± 0.8 μg/mL 27.1 ± 1.1 μg/mL 31.1 ± 0.4 μg/mL 16.6 ± 0.9 μg/mL 26.9 ± 0.8 μg/mL	69
129	methyl *rel*-(1*R*,2*S*,3*S*)-2-(7-methoxy-1,3-benzodioxol-5-yl)-3-(2,4,5-trimethoxyphenyl)cyclobutanecarboxylate	HepG2 A549 HeLa	38.0 ± 2.2 μM 56.4 ± 4.1 μM 64.9 ± 3.4 μM	86
130	methyl *rel*-(1*R*,2*R*,3*S*)-2-(7-methoxy-1,3-benzodioxol-5-yl)-3-(2,4,5-trimethoxyphenyl)cyclobutanecarboxylate	HepG2 A549 HeLa	42.4 ± 3.4 μM 66.3 ± 6.4 μM 77.7 ± 5.3 μM	86
152	geniculatin	PC3	NI	107
175	diyangonin A	NCI-H46 SW480 HepG2	NI NI NI	113
176	diyangonin B	NCI-H46 SW480 HepG2	NI NI NI	113

177	diyangonin C	NCI-H46 SW480 HepG2	NI NI NI	113
178	*rel*-,*trans*-3-bis[6-(4-methoxy-2-pyronyl)]-*cis*-2,*trans*-4-diphenyl cyclobutane	NCI-H46 SW480 HepG2	NI NI NI	113
179	6,6′-(3,4-diphenylcyclobutane-1,2-diyl)bis(4-methoxy-2*H*-pyran-2-one)	NCI-H46 SW480 HepG2	NI NI NI	113
180	velutinindimer A	KB MCF7 NCI-H187	NI NI NI	114
182	katsumadain C	A375 MCF-7 SMMC-7721 HCT-116	37.4 μM 8.7 μM 4.8 μM 18.1 μM	116
185	yangonindimer A	NCI-H46 SW480 HepG2	NI NI NI	117
186	yangonindimer B	NCI-H46 SW480 HepG2	NI NI NI	117
187	yangonindimer C	NCI-H46 SW480 HepG2	NI NI NI	117
188	aniba dimer A	NCI-H46 SW480 HepG2	NI NI NI	117

189	velutinindimer B	KB	NI	114
		MCF7	NI	
		NCI-H187	NI	
190	velutinindimer C	KB	NI	114
		MCF7	NI	
		NCI-H187	NI	
194	triligustilide A	SW480	NI	124
		PANC-1	NI	
		MCF-7	NI	
		HepG2	NI	
195	triligustilide B	SW480	NI	124
		PANC-1	NI	
		MCF-7	NI	
		HepG2	NI	
200	riligustilide	SW480	NI	124, 133
		PANC-1	NI	
		MCF-7	NI	
		A549	13.82 ± 2.23 μM	
		HTC-8	6.79 ± 1.14 μM	
		HepG2	7.92 ± 1.38 μM	
201	tokinolide A	SW480	NI	124, 133
		PANC-1	NI	
		MCF-7	NI	
		A549	47.63 ± 4.51 μM	
		HTC-8	55.84 ± 5.99 μM	
		HepG2	30.92 ± 2.36 μM	
207	neodiligustilide	L1210	5.45 ± 0.19 μM	130
		K562	9.87 ± 0.14 μM	

210	tokinolide C	A549 HTC-8 HepG2	34.34 ± 3.80 μM 27.79 ± 3.42 μM 32.54 ± 2.69 μM	133
211	*cis*-*Z*,*Z'*-3a.7a',7a.3a'-dihydroxyligustilide	A549 HTC-8 HepG2	> 80 μM > 80 μM > 80 μM	134
215	(no trivial name given)	KB MCF-7 A549	NI NI NI	136
220	cajanstilbenoid A	HepG2 MCF-7 A549	2.50 ± 0.2 μM 2.56 ± 0.3 μM 2.14 ± 0.2 μM	138
221	cajanstilbenoid B	HepG2 MCF-7 A549	5.99 ± 0.3 μM 22.63 ± 0.4 μM 6.18 ± 0.3 μM	138
222	(±)-cajanusine	HepG2 HepG2/ADM	16.23 ± 6.12 μM 20.45 ± 4.31 μM	139
222a	(+)-cajanusine	HepG2 HepG2/ADM	17.46 ± 5.03 μM 27.24 ± 7.88 μM	139
222b	(−)-cajanusine	HepG2 HepG2/ADM	18.03 ± 3.08 μM 13.29 ± 3.59 μM	139
223	simmonoside A	A-549 SGC-7901	21.5 μM 26.8 μM	140
224	simmonoside B	A-549 SGC-7901	17.7 μM 20.4 μM	140
229	melicodenine G	DLD-1	9.4 ± 0.3 μM	89
		MDA-MB-231 HeLa	1.9 μM 2.5 μM	

		MGC-803	1.3 μM	
		MCF-7	2.1 μM	
		A549	1.0 μM	
238	dipleosporalone B	MDA-MB-231	3.8 μM	144
		HeLa	3.0 μM	
		MGC-803	2.0 μM	
		MCF-7	> 10 μM	
		A549	3.5 μM	
239	diasteltoxin A	HEK293T	132 μM	145
		H1299	188 μM	
		MCF7	73 μM	
		TrxR	12.8 ± 0.8 μM	
240	diasteltoxin B	HEK293T	102 μM	145
		H1299	164 μM	
		MCF7	127 μM	
		TrxR	11.1 ± 0.2 μM	
241	diasteltoxin C	HEK293T	79 μM	145
		H1299	142 μM	
		MCF7	50 μM	
		TrxR	7.2 ± 0.2 μM	
242a	(+)-phomone A	HL-60	> 50 μM	146
		PC-3	> 50 μM	
		HCT-116,	> 50 μM	
242b	(−)-phomone A	HL-60	> 50 μM	146
		PC-3	> 50 μM	
		HCT-116,	> 50 μM	
243a	5*S*, 6*S*, 7′*R*, 8′*R*-phomone B	HL-60	> 50 μM	146
		PC-3	> 50 μM	

		HCT-116,	> 50 μM	
243b	5*R*, 6*R*, 7′*S*, 8′*S*-phomone B	HL-60	> 50 μM	146
		PC-3	> 50 μM	
		HCT-116,	> 50 μM	
248	rubiginosin B	A549	>100 μM	151
		HCT116	65.72 μM	
		SK-HEP-1	84.66 μM	
		HL-60	>100 μM	
249	rubiginosin C	A549	49.18 μM	151
		HCT116	32.17 μM	
		SK-HEP-1	13.66 μM	
		HL-60	40.07 μM	
250	rubiginosin G	A549	40.45 μM	151
		HCT116	17.43 μM	
		SK-HEP-1	26.26 μM	
		HL-60	16.44 μM	
259	(±)-rubiginosin A	A549	16.15 μM	151
		HCT116	15.56 μM	
		SK-HEP-1	13.80 μM	
		HL-60	12.84 μM	
269	heliosterpenoid A	MDA-MB-231	24.7 μM	164
		A549	NI	
		Hela	NI	
		U118MFG	NI	
		RKO	NI	
270	heliosterpenoid B	MDA-MB-231	NI	164
		A549	NI	
		Hela	NI	

		U118MFG	NI	
		RKO	NI	
273	cracroson D	T24	14.48 ± 0.65 μM	166
		A549	25.64 ± 2.14 μM	
279	tortuosumol	MOLT 4	21.7 μM	62
284	bielschowskysin	EKVX	< 0.01μM (GI_{50})	173
		CAKI-1	0.51 μM (GI_{50})	
285	plumisclerin A	A549	4.7 μM (GI_{50})	174
		HT29	2.1 μM (GI_{50})	
		MDA-MB-231	6.1 μM (GI_{50})	
288	vulgarisin A	A549	57.0 μM	176
289	vulgarisin B	A549	18.0 μM	177
		K562	NI	
		HeLa 60	NI	
290	vulgarisin C	A549	25.7 μM	177
		K562	NI	
		HeLa 60	NI	
291	vulgarisin D	A549	NI	177
		K562	NI	
		HeLa 60	NI	
308	hippolachnin A	HCT-116	NI	192
		A549	NI	
		HeLa	NI	

[a] NI = no inhibition

Table S3 Anti-inflammatory activity of [2 + 2]-cycloaddition-derived cyclobutane natural products reported during the covered period.

NO.	Name	Cell lines	Activity (IC_{50}) Inhibition of NO production	Ref.
22	pipernigramide E	LPS-induced RAW 264.7 cells	4.74 ± 0.18 μM	14
23	pipernigramide F	LPS-induced RAW 264.7 cells	4.08 ± 0.19 μM	14
27	abrusamide A	LPS-induced RAW 264.7 cells	23.4 ± 2.31 μM	18
30	abrusamide D	LPS-induced RAW 264.7 cells	25.2 ± 2.15 μM	18
34	abrusamide H	LPS-induced RAW 264.7 cells	28.3 ± 2.89 μM	18
49	orthidine E	PMA-stimulated human neutrophils	Superoxide Inhibition 10.67 ± 1.57 μM	26
52	quassidine A	Inhibition of NO production Inhibition of TNF-α	88.39 μM 88.41 μM	29
77	xylopidimer D	LPS-induced RAW 264.7 cells	4.59 ± 1.04 μM (no cytotoxicity against RAW264.7 cells)	43
80	artesin A	LPS-stimulated BV-2 cells	38.78 μM	46
83	chololactone H	LPS-induced RAW 264.7 cells	4.4 ± 1.8 μM	51
91	artepestrin A	LPS-stimulated BV-2 cells	27.3 ± 0.7 μM	54
92	artepestrin B	LPS-stimulated BV-2 cells	39.8 ± 2.7 μM	54
93	artepestrin C	LPS-stimulated BV-2 cells	29.8 ± 1.4 μM	54
104	bisotortuolide cyclobutane A	LPS-induced RAW 264.7 cells (fMLF/CB)-induced superoxide radical anion generation and elastase release in human neutrophils	36.7 μM 5.94 ± 1.36 and 6.17 ± 0.48 μM, respectively	62
105a	(+)-hyperterpenoid A	LPS-stimulated BV-2 cells	22.53% (IR[b])	63
105b	(−)-hyperterpenoid A	LPS-stimulated BV-2 cells	23.68% (IR)	63
106a	(+)-hyperterpenoid B	LPS-stimulated BV-2 cells	3.14 μmol/L 0.69% (IR)	63
106b	(−)-hyperterpenoid B	LPS-stimulated BV-2 cells	80.12% (IR)	63

119	magnosalin	LPS-induced RAW 264.7 cells	5.9 μM	194
120	andamanicin	LPS-induced RAW 264.7 cells	53.5 μM	194
146	diinnovanoside B	LPS-induced RAW 264.7 cells	0.284 μM	101
157	biginkgoside E	LPS-stimulated BV-2 cells	2.91 μM	108
160	biginkgoside H	LPS-stimulated BV-2 cells	17.23 μM	108
171	itoside N	—	COX-2 Inhibition 67.3 ± 0.6%	111
178	*rel*-,*trans*-3-bis[6-(4-methoxy-2-pyronyl)]-*cis*-2,*trans*-4-diphenyl cyclobutane	IL-1β-treated hepatocytes	33.8 ± 13.3 μM	113
179	6,6′-(3,4-diphenylcyclobutane-1,2-diyl)bis(4-methoxy-2*H*-pyran-2-one)	IL-1β-treated hepatocytes	25.5 ± 10.6 μM	113
188	aniba dimer A	IL-1β-treated hepatocytes	34.2 ± 14.7 μM	122
192	aniba dimer C	IL-1β-treated hepatocytes	25.3 ± 16.1 μM	122
216	multiflorumiside A	LPS-induced RAW 264.7 cells	22.4 ± 3.8%	137
217	multiflorumiside B	LPS-induced RAW 264.7 cells	16.7 ± 4.4%	137
218	multiflorumiside C	LPS-induced RAW 264.7 cells	22.0 ± 6.0%	137
219	multiflorumiside D	LPS-induced RAW 264.7 cells	16.8 ± 5.0%	137
280	humilisin E	LPS-stimulated BV-2 cells	NI[a]	170
281	humilisin F	LPS-stimulated BV-2 cells	83.96 ± 2.02%	170
283	locrassumin C	LPS-induced mouse peritoneal macrophages	> 30 μM	172
300a	(+)-piperhancin A	LPS-stimulated BV-2 cells	26.1 ± 1.8 μM	186
300b	(−)-piperhancin A	LPS-stimulated BV-2 cells	10.4 ± 1.1 μM	186
301a	(−)-piperhancin B	LPS-stimulated BV-2 cells	1.1 ± 0.2 μM	186
301b	(+)-piperhancin B	LPS-stimulated BV-2 cells	8.2 ± 1.6 μM	186
260a	(−)-anthoponoid B	NF-*κ*B pathway luciferase reporter assay	NI	157
260b	(+)-anthoponoid B	NF-*κ*B pathway luciferase reporter assay	NI	157
261a	(−)-anthoponoid C	NF-*κ*B pathway luciferase reporter assay	NI	157
261b	(+)-anthoponoid C	NF-*κ*B pathway luciferase reporter assay	NI	157

262a	(+)-anthoponoid D	NF-κB pathway luciferase reporter assay	NI	157
262b	(−)-anthoponoid D	NF-κB pathway luciferase reporter assay	NI	157

[a] NI: no inhibition, [b]IR: inhibitory ratio

Table S4 Neuroprotective activity of [2 + 2]-cycloaddition-derived cyclobutane natural products reported during the covered period.

NO.	Name	Cell lines	Activity (Survival rate)	Ref.
27	abrusamide A	CCl_4-induced human L-02 cells	51%	17
28	abrusamide B	CCl_4-induced human L-02 cells	48%	17
105a	(+)-hyperterpenoid A	glutamic acid-induced toxicity in SK-N-SH cells oxygen glucose deprivation (OGD)-induced in SK-N-SH cells	60.7% 50.6%	63
105b	(−)-hyperterpenoid A	glutamic acid-induced toxicity in SK-N-SH cells oxygen glucose deprivation (OGD)-induced in SK-N-SH cells	61.8% 53.5%	63
106a	(+)-hyperterpenoid B	glutamic acid-induced toxicity in SK-N-SH cells oxygen glucose deprivation (OGD)-induced in SK-N-SH cells	57.7% 47.7%	63
106b	(−)-hyperterpenoid B	glutamic acid-induced toxicity in SK-N-SH cells oxygen glucose deprivation (OGD)-induced in SK-N-SH cells	57.8% 69.4%	63
128a	(+)-isatiscycloneolignan A	MPP^+-induced SH-SY5Y cell	77.64%	85
128b	(−)-isatiscycloneolignan A	MPP^+-induced SH-SY5Y cell	78.62%	85
158	biginkgoside F	$A\beta_{25-35}$-induced cell viability decrease in SH-SY5Y cells	increase by 34.3%	108
201	tokinolide A	glutamate-induced SH-SY5Y cells	69.0 ± 7.6%	133
210	tokinolide C	glutamate-induced SH-SY5Y cells	62.5 ± 2.0%	133

Table S5 Antifungal and antibacterial activity of [2 + 2]-cycloaddition-derived cyclobutane natural products reported during the covered period.

NO.	Name	Strain	Activity (% inhibition/MIC)	Ref.
		Alternaria brassicicola	29 ± 1%	
		Leptosphaeria maculans BJ-125	40 ± 2%	
46	biswasalexin A1	*Leptosphaeria maculans* Laird 2	47 ± 8%	24
		Rhizoctonia solani	NI[a]	
		Sclerotinia sclerotiorum	42 ± 10%	
		Alternaria brassicicola	44 ± 3%	
		Leptosphaeria maculans BJ-125	65 ± 3%	
47	biswasalexin A2	*Leptosphaeria maculans* Laird 2	49 ± 4%	24
		Rhizoctonia solani	22 ± 5%	
		Sclerotinia sclerotiorum	63 ± 3%	
		Staphylococcus aureus (MRSA)	NI	
		S. aureus (MSSA)	NI	
56	15'-oxoadenosceptrin	*Micrococcus luteus*	NI	32
		Pseudomonas aeruginosa	NI	
		Klebsiella pneumoniae	NI	
57	hexazosceptrin	methicillin-sensitive *Staphylococcus aureus* ATCC25923	16 μg/mL	33
		methicillin-resistant *S. aureus* ATCC43300	16 μg/mL	
		Escherichia coli ATCC25922	>32 μg/mL	
58	ageleste A	methicillin-sensitive *Staphylococcus aureus* ATCC25923	>32 μg/mL	33
		methicillin-resistant *S. aureus* ATCC43300	>32 μg/mL	
		Escherichia coli ATCC25922	>32 μg/mL	
59	ageleste B	methicillin-sensitive *Staphylococcus aureus* ATCC25923	>32 μg/mL	33
		methicillin-resistant *S. aureus* ATCC43300	>32 μg/mL	
		Cryptococcus neoformans	33.3 μg/mL	
141	sarusubine A			97

		Trichophyton mentagrophytes	33.3 μg/mL	
180	velutinindimer A	*Mycobacterium tuberculosis*	NI	114
189	velutinindimer B	*Mycobacterium tuberculosis*	NI	114
190	velutinindimer C	*Mycobacterium tuberculosis*	NI	114
194	triligustilide A	*Staphylococcus aureus* 209P	NI	124
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
195	triligustilide B	*Staphylococcus aureus* 209P	NI	124
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
196	triangeliphthalide A	*Staphylococcus aureus* 209P	NI	125
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
197	triangeliphthalide B	*Staphylococcus aureus* 209P	NI	125
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
198	triangeliphthalide C	*Staphylococcus aureus* 209P	NI	125
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
199	triangeliphthalide D	*Staphylococcus aureus* 209P	NI	125
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	

200	riligustilide	*Staphylococcus aureus* 209P	NI	124
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
201	tokinolide A	*Staphylococcus aureus* 209P	NI	124
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
202	diangeliphthalide A	*Staphylococcus aureus* 209P	NI	125
		Escherichia coli ATCC0111	NI	
		Canidia albicans FIM709	NI	
		Aspergillus niger R330	NI	
276	aphapolin A	*Aeromonas hydrophila* ATCC 7966	>50 μg/mL	168
		Klebsiella pneumoniae suspension	>50 μg/mL	
		Pneumoniae ATCC 13883	>50 μg/mL	
		Acinetobacter baumannii ATCC 19606TMA	>50 μg/mL	
		Escherichia Coli ATCC 2599	>50 μg/mL	
		MRSA	>50 μg/mL	
286	psathyrin A	*Staphylococcus aureus*	14.3 ± 0.3 μg/mL	175
		Salmonella enterica	77.9 ± 0.2 μg/mL	
		Pseudomonas aeruginosa	>128 μg/mL	
287	psathyrin B	*Staphylococcus aureus*	22.7 ± 0.2 μg/mL	175
		Salmonella enterica	101.6 ± 0.1 μg/mL	
		Pseudomonas aeruginosa	>128 μg/mL	
		Cryptococcus neoformans	0.41 μM	
		Candida albicans	13.1 μM	
		Candida glabrata	1.63 μM	
308	hippolachnin A	*Cryptococcus parapsilosis*	1.63 μM	192

		Aspergillus fumigatus	13.1 μM	
		Trichophyton rubrum	0.41 μM	
		Microsporum gypseum	0.41 μM	
309a	(−)-hippolide J	*Candida albicans* Y0109	4.0 μg/mL	193
		Candida albicans SC5314	0.125 μg/mL	
		Candida parapsilosis ATCC 22019	0.5 μg/mL	
		Cryptococcus neoformans 3260	0.5 μg/mL	
		Candida glabrata 537	0.125 μg/mL	
		Aspergillus fumigatus 07544	4.0 μg/mL	
		Trichophyton rubrum Cmccftla	0.125 μg/mL	
		Microsporum gypseum Cmccfmza	4.0 μg/mL	
309b	(+)-hippolide J	*Candida albicans* Y0109	2.0 μg/mL	193
		Candida albicans SC5314	0.125 μg/mL	
		Candida parapsilosis ATCC 22019	0.5 μg/mL	
		Cryptococcus neoformans 3260	0.25 μg/mL	
		Candida glabrata 537	0.25 μg/mL	
		Aspergillus fumigatus 07544	2.0 μg/mL	
		Trichophyton rubrum Cmccftla	0.125 μg/mL	
		Microsporum gypseum Cmccfmza	2.0 μg/mL	

[a] NI = no inhibition

Table S6 Antiplatelet aggregation activity of [2 + 2]-cycloaddition-derived cyclobutane natural products reported during the covered period.

NO.	Name	Activity	Ref.
194	triligustilide A	31.4 ± 5.0%	124
195	triligustilide B	27.1 ± 5.2%	124
196	triangeliphthalide A	36.4 ± 4.2%	125
197	triangeliphthalide B	30.6 ± 5.6%	125
198	triangeliphthalide C	25.6 ± 5.6%	125
199	triangeliphthalide D	24.6 ± 4.1%	125
200	riligustilide	45.1 ± 4.6%	124
201	tokinolide A	41.7 ± 5.9%	124
202	diangeliphthalide A	31.0 ± 2.6%	125
297	murrayafoline M	82.4 ± 13.8%	183

Table S7 Inhibitory effects on PTP1B of [2 + 2]-cycloaddition-derived cyclobutane natural products reported during the covered period.

NO.	Name	Activity	Ref.
251a	(−)-rhodonoid A	NI[a]	153
251b	(+)-rhodonoid A	NI	153
252a	(−)-rhodonoid B	43.56 ± 8.53 μM	153
252b	(+)-rhodonoid B	30.38 ± 13.41 μM	153
253a	(+)-rhodonoid E	NI	154
253b	(−)-rhodonoid E	NI	154
254a	(+)-rhodonoid F	NI	154
254b	(−)-rhodonoid F	NI	154
255a	(−)-nyingchinoid D	58.2 ± 4.9 μM	155
255b	(+)-nyingchinoid D	NI	155
256a	(+)-fastinoid A	NI	156
256b	(−)-fastinoid A	NI	156
257a	(+)-fastinoid B	47.0 ± 1.7 μmol/L	156
257b	(−)-fastinoid B	54.9 ± 9.7 μmol/L	156
258a	(+)-fastinoid C	NI	156
258b	(−)-fastinoid C	NI	156
259a	(+)-rubiginosin A	40.9 ± 2.6 μmol/L	156
259b	(−)-rubiginosin A	49.2 ± 1.4 μmol/L	156
277	methyl sarcotroate A	NI	169
278	methyl sarcotroate B	6.97 μM	169

[a] NI = no inhibition

Table S8 Other activities of [2 + 2]-cycloaddition-derived cyclobutane natural products reported during the covered period.

NO.	Name	Bioassay	Activity	Ref.
13	pipercyclobutanamide C	against cytochrome P450 2D6 (CYP2D6)	> 100 μM	8, 9
14	dipiperamide A	against cytochrome P450 (CYP3A4)	0.18 μM	10
15	dipiperamide C	against cytochrome P450 (CYP3A4)	0.48 μM	10
16	dipiperamide D	against cytochrome P450 (CYP3A4)	0.79 μM	12
17	dipiperamide E	against cytochrome P450 (CYP3A4)	0.63 μM	12
20	nigramide R	against cytochrome P450 2D6 (CYP2D6)	> 100 μM	8, 9
48	schischkiniin	antioxidant (DPPH assay) brine shrimp toxicity	3.8×10^{-3} mg/mL LD_{50} 7.2×10^{-3} mg/mL	25
144	*β*-truxilloyl 6-*O*-*β*-*D*-glucopyranose diester	scavenge DPPH radical scavenge ABTS radical cation	66.9% 79.3%	100
152	geniculatin	free radical scavenging activity	0.738 ± 0.009 mM	107
53	orthoscuticelline A	antimalarial activity against the chloroquine-sensitive 3D7 strain of *P. falciparum*	10.0 μM	30
54	orthoscuticelline B	antimalarial activity against the chloroquine-sensitive 3D7 strain of *P. falciparum*	> 40.0 μM	30
101	aphadilactone E	antimalarial activity against the chloroquine-sensitive Dd2 strain of *P. falciparum*	1.03 ± 0.13 μM	61
102	aphadilactone F	antimalarial activity against the chloroquine-sensitive Dd2 strain of *P. falciparum*	2.86 ± 0.47 μM	61
103	aphadilactone G	antimalarial activity against the chloroquine-sensitive Dd2 strain of *P. falciparum*	~20 μM	61
180	velutinindimer A	antimalarial activity against *Plasmodium falciparum*	6.4 μM	114
189	velutinindimer B	antimalarial activity against *Plasmodium falciparum*	5.4 μM	114
190	velutinindimer C	antimalarial activity against *Plasmodium falciparum*	5.8 μM	114
284	bielschowskysin	antimalarial activity against *Plasmodium falciparum*	10 μg/mL	173
100	crotoeurin A	neurite outgrowth-promoting activity on NGF-mediated PC12 cells	9.72%	60
107	scopariusic acid	immuno-suppressive activity	2.6 μM	63
108	scopariusicide A	inhibition on T cell proliferation	20.7 μM	63
110	scopariusicide C	inhibition on T cell proliferation inhibition on B cell proliferation	18.4 μM 23.5 μM	64

111	isoscopariusin A	inhibition on ConA-induced T cell proliferation inhibition on LPS-induced B cell proliferation	0.68 μM 13.81 μM	65
112	biyouyanagin A	anti-HIV activity	EC_{50} 0.798 μg/mL	66
244	rhododaurichromanic acid A	anti-HIV activity	EC_{50} 0.37 μg/mL TI 91.9	147
245	rhododaurichromanic acid B	anti-HIV activity	NI[a]	147
68	pauferrol A	against human topoisomerase II	2.1 μM	39
122	endiandrin A	glucocorticoid receptor (GR) binding assay	0.9 μM	80
181	achyrodimer F	inhibition against Tdp1	0.1 μM	115
206	sinaspirolide	competitive binding activities to 5-HT_7 receptors	24.0 ± 6%	129
223	simmonoside A	COX-2 inhibition	13.5 μM	140
224	simmonoside B	COX-2 inhibition	11.4 μM	140
230	euodenine A	TLR receptor activity	4.0 μM	141
269	heliosterpenoid A	Inhibition of P-glycoprotein in MCF-7/ADR	1.28 μM	164
270	heliosterpenoid B	Inhibition of P-glycoprotein in MCF-7/ADR	1.02 μM	164
306	littoralisone	NGF-mediated neurite outgrowth of PC12D cells	enhancing activity 30%	189

[a] NI = no inhibition

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