

Synthesis and Biological Evaluation of 3-Amino-3-hydroxymethyloxindoles as Potential Anti-cancer Agents

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HPLC condition:

ACQUITY UPLC[®] BEH C18 column,
MeCN/H₂O = 5%_95%_4min_common;

flow rate = 0.6 mL / min;

[Gradient Table]

	Time(min)	Flow Rate(mL/min)	%A	%B	%C	%D	Curve
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1.	Initial	0.600	95.0	5.0	0.0	0.0	Initial
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2.	2.80	0.600	10.0	90.0	0.0	0.0	6
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3.	3.20	0.600	10.0	90.0	0.0	0.0	6
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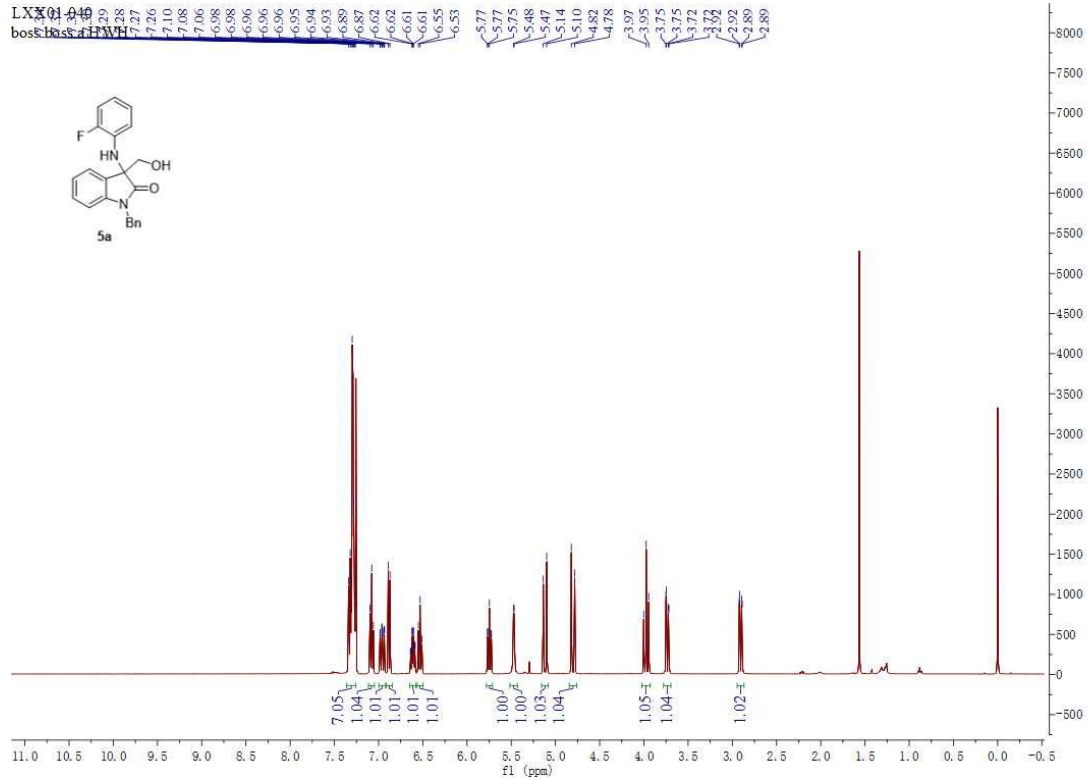
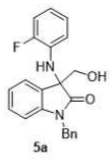
4.	3.50	0.600	100.0	0.0	0.0	0.0	6
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5.	4.00	0.600	100.0	0.0	0.0	0.0	6
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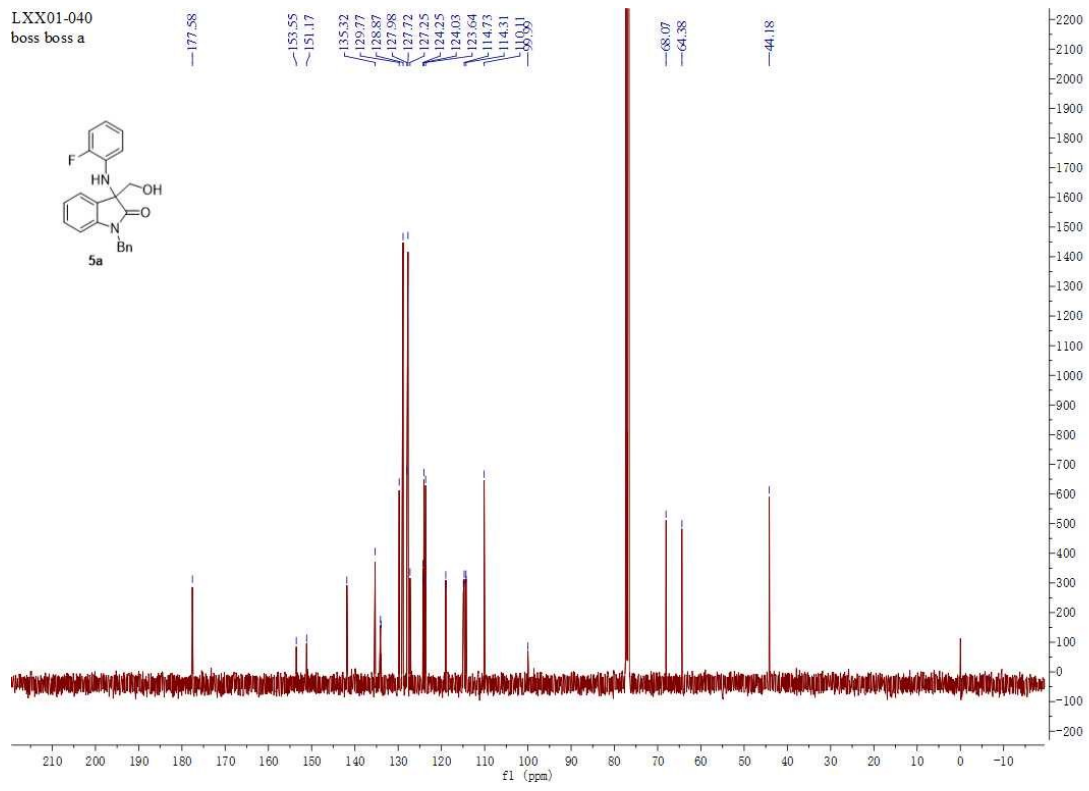
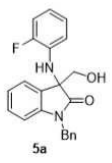
Comment: A:H2O_5%ACN B:ACN t=0min,start 5%B,95%A

Flow Ramp Rate: 0.45 min

LXX01-040
boss boss a



LXX01-040
boss boss a

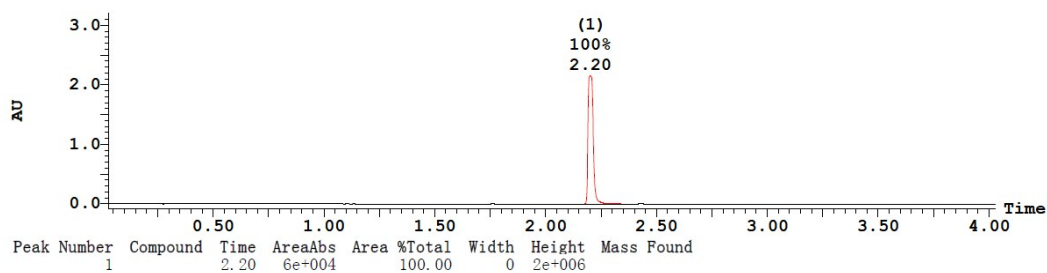


5a Sample Report:

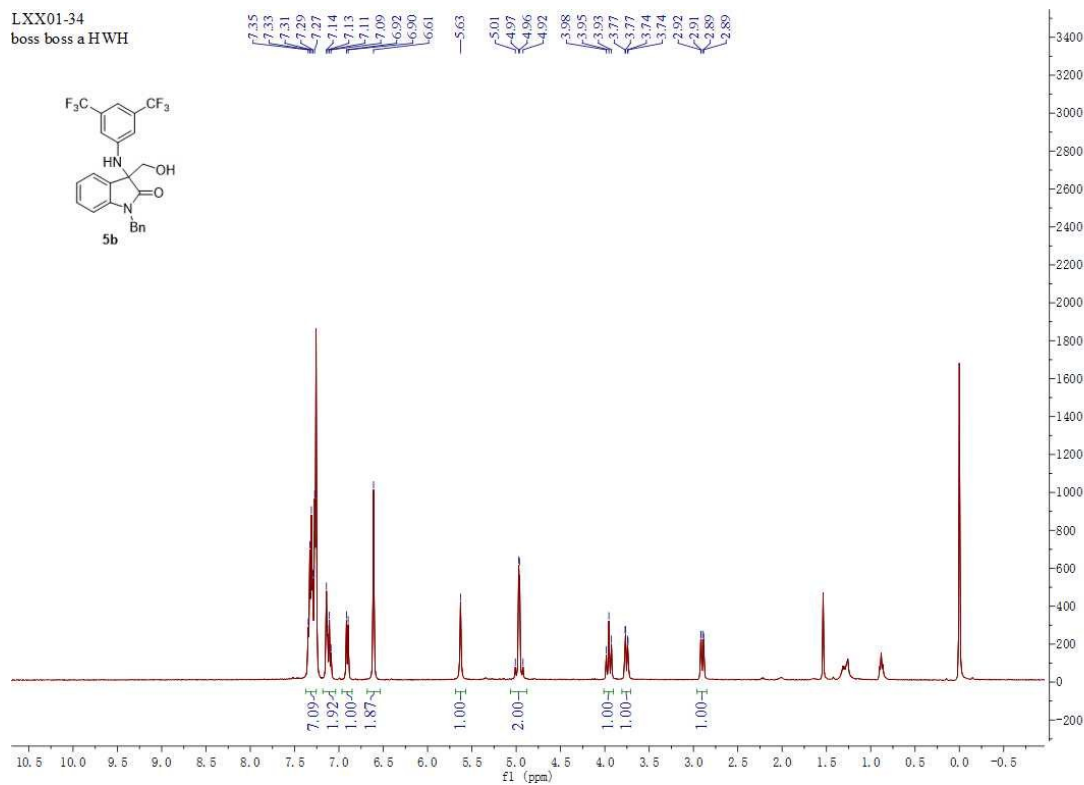
Sample 35 Vial 1:9 ID File LXX01-040 Date 06-Jan-2016 Time 10:50:14 Description

3: UV Detector: 254

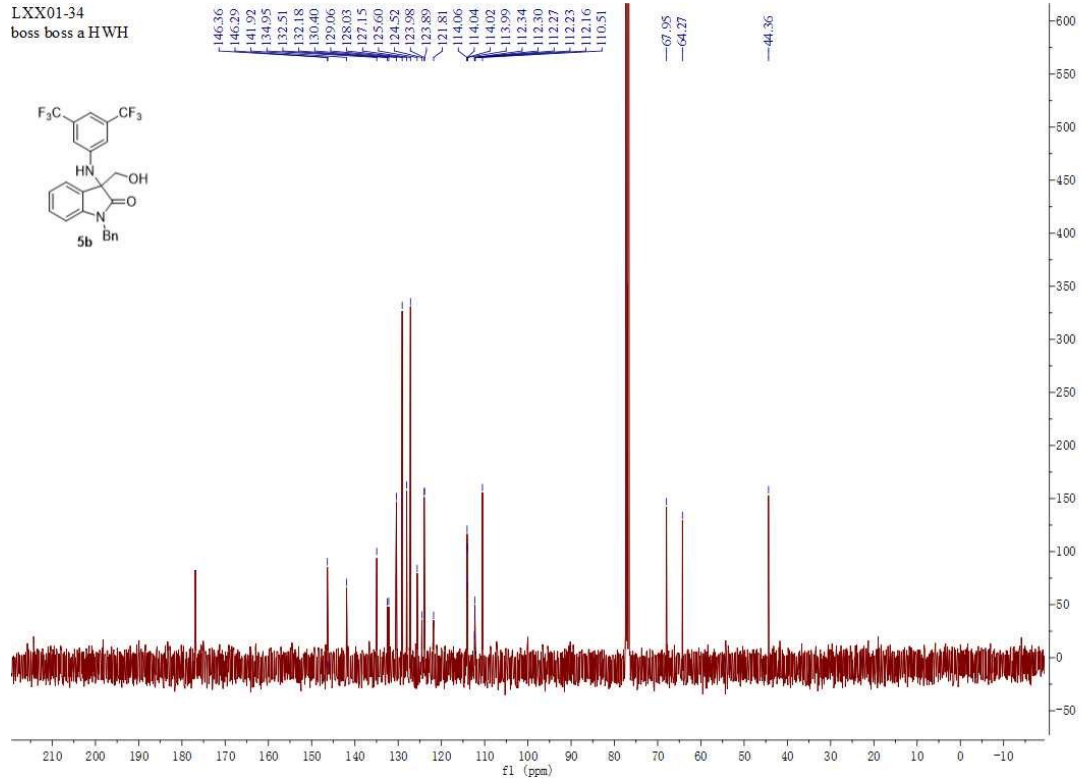
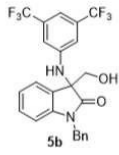
2.161
Range: 2.167



LXX01-34
boss boss a HWH



LXX01-34
boss boss a HWH

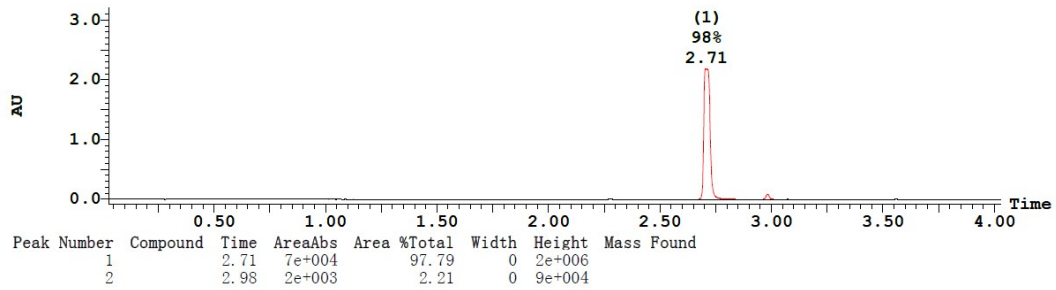


5b Sample Report:

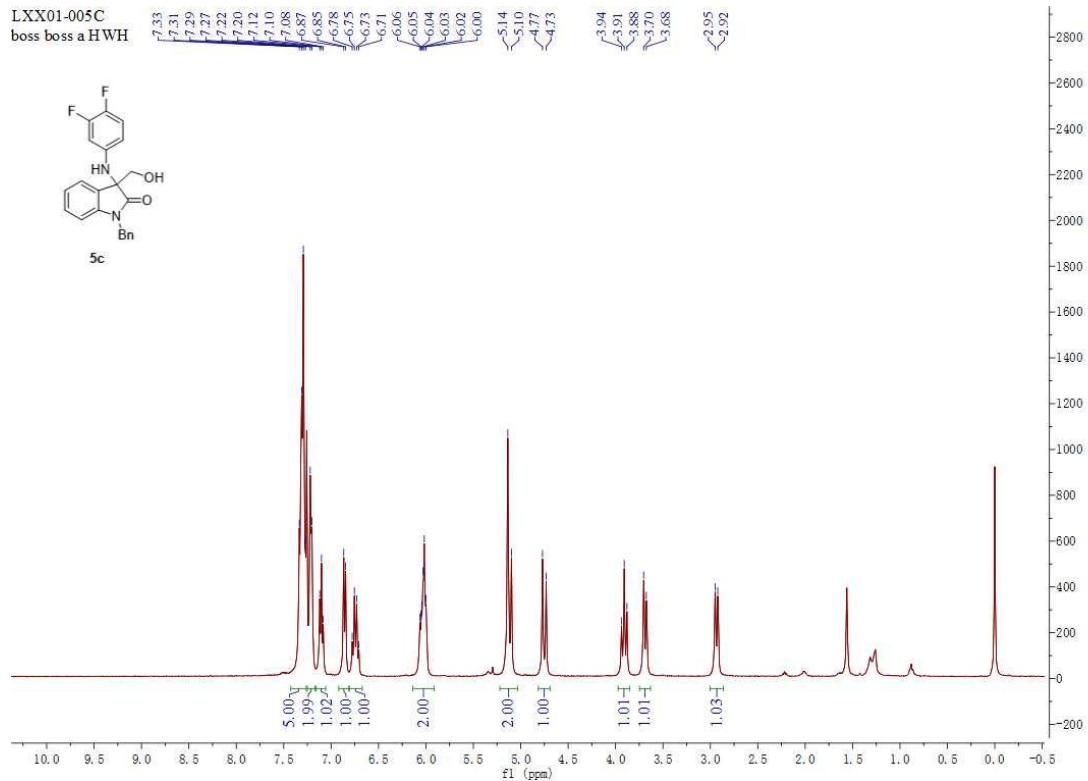
Sample 33 Vial 1:7 ID File LXX01-034 Date 06-Jan-2016 Time 10:36:29 Description

3: UV Detector: 254

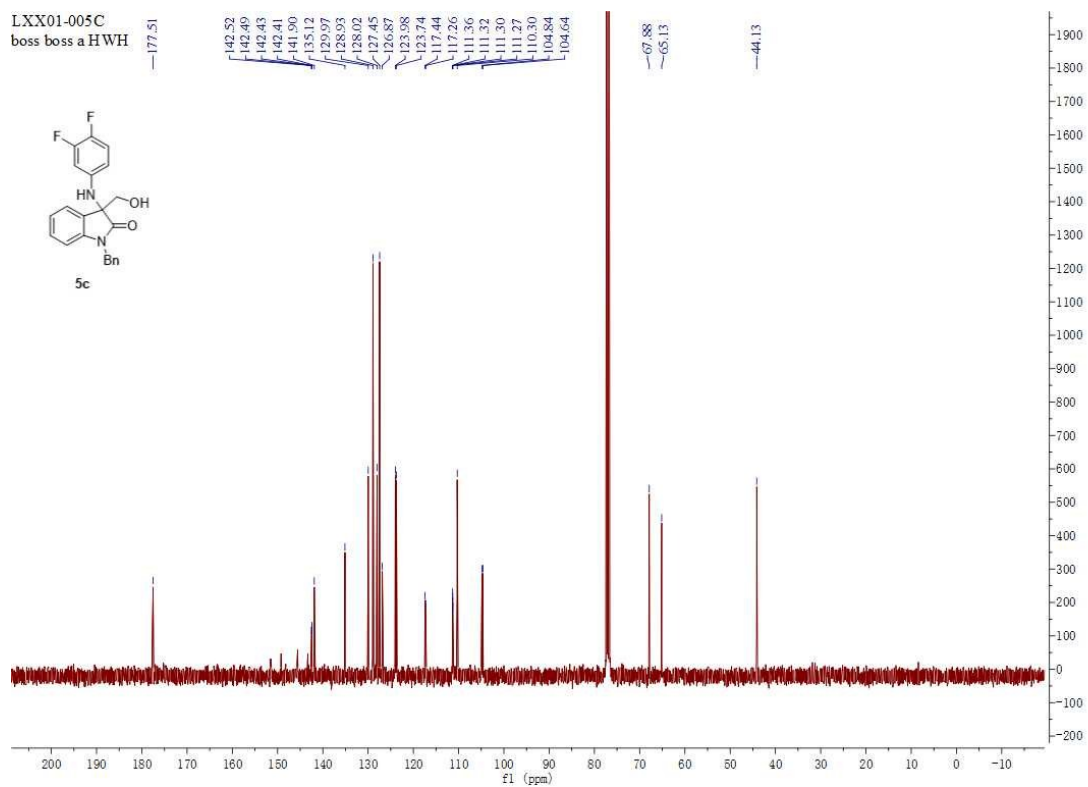
2.188
Range: 2.194



LXX01-005C
boss boss a HWH



LXX01-005C
boss boss a HWH

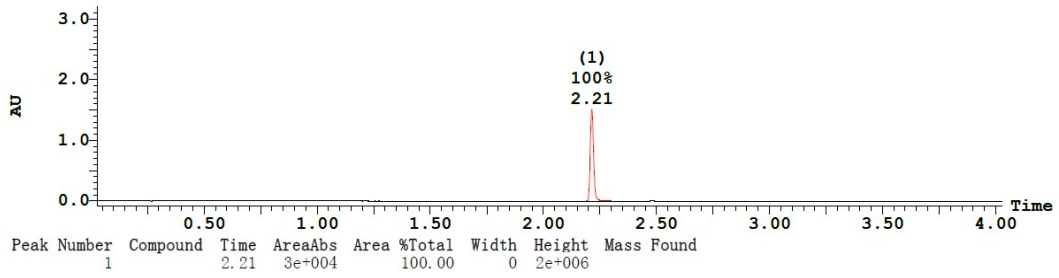


5c Sample Report:

Sample 23 Vial 1:1 ID File LXX01-005 Date 05-Jan-2016 Time 22:26:11 Description

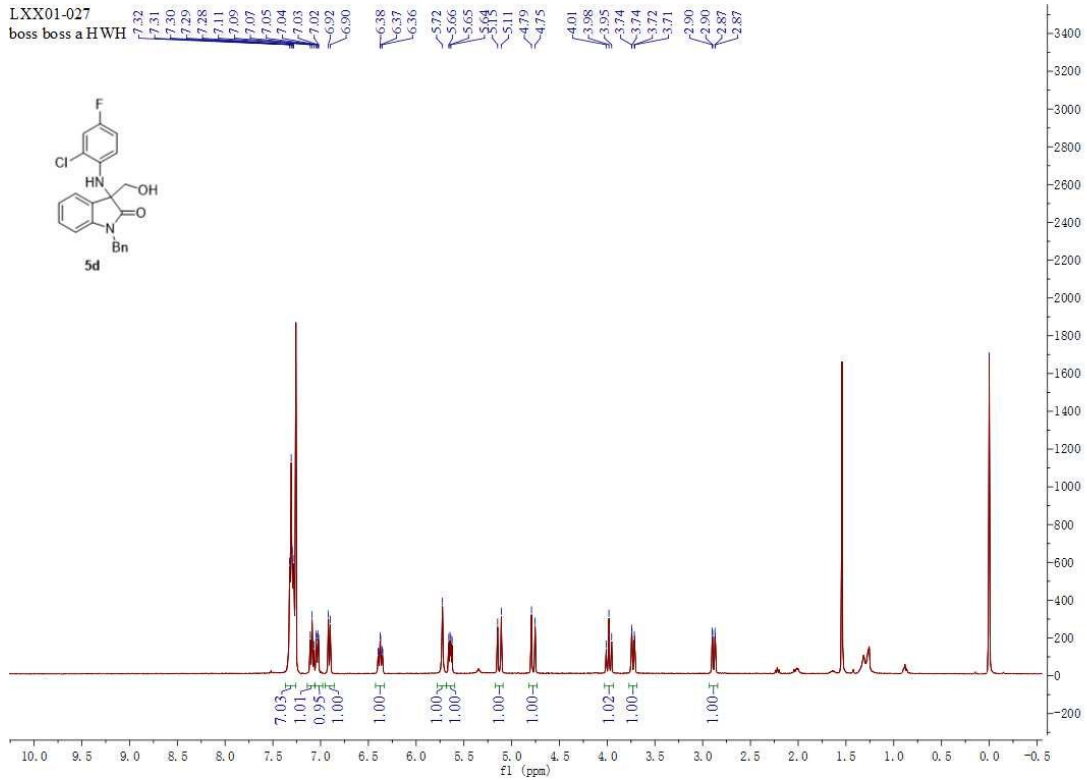
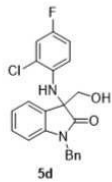
3: UV Detector: 254

1.51
Range: 1.515

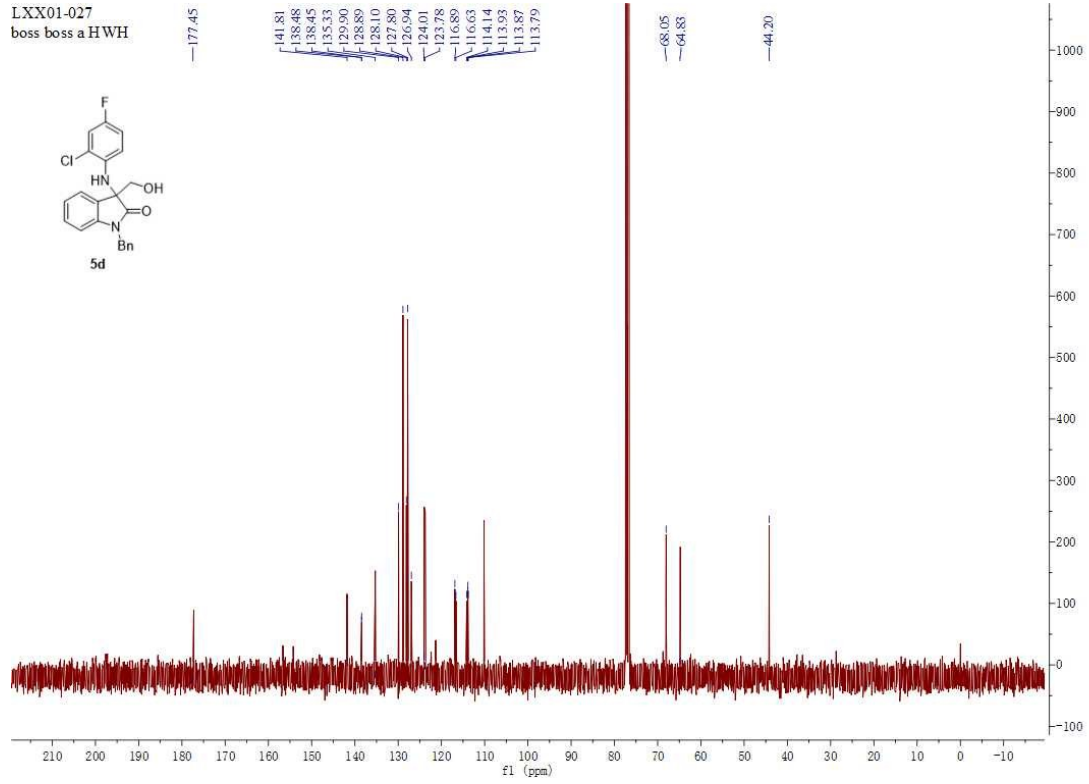
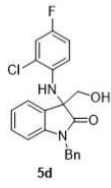


LXX01-027

boss boss a HWH



LXX01-027
boss boss a HWH

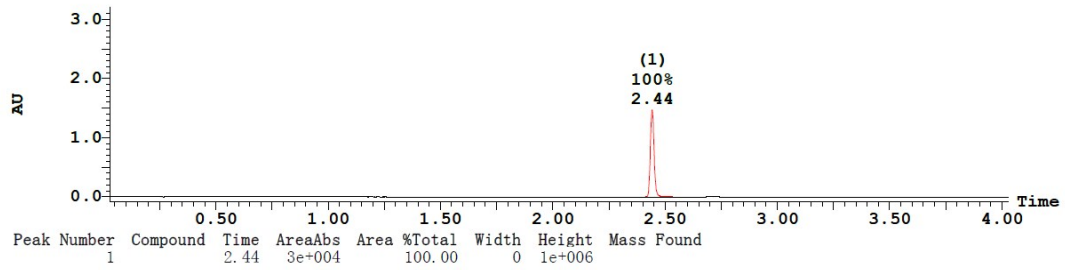


5d Sample Report:

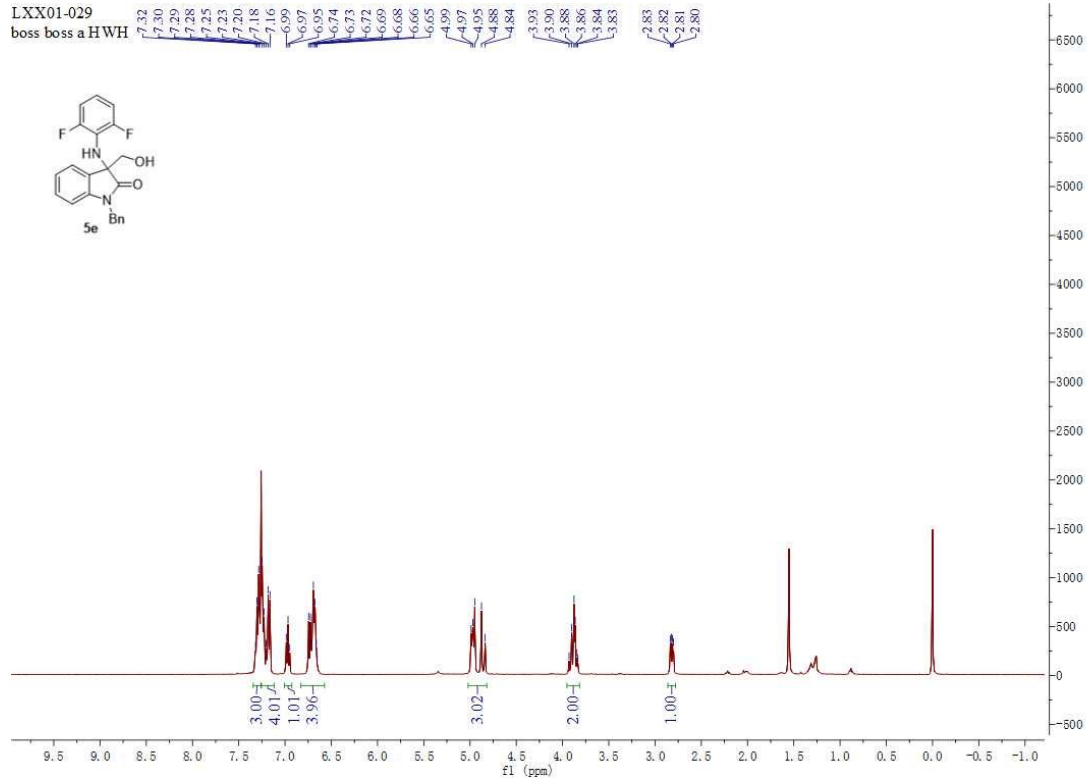
Sample 29 Vial 1:3 ID File LXX01-027 Date 05-Jan-2016 Time 22:39:15 Description

3: UV Detector: 254

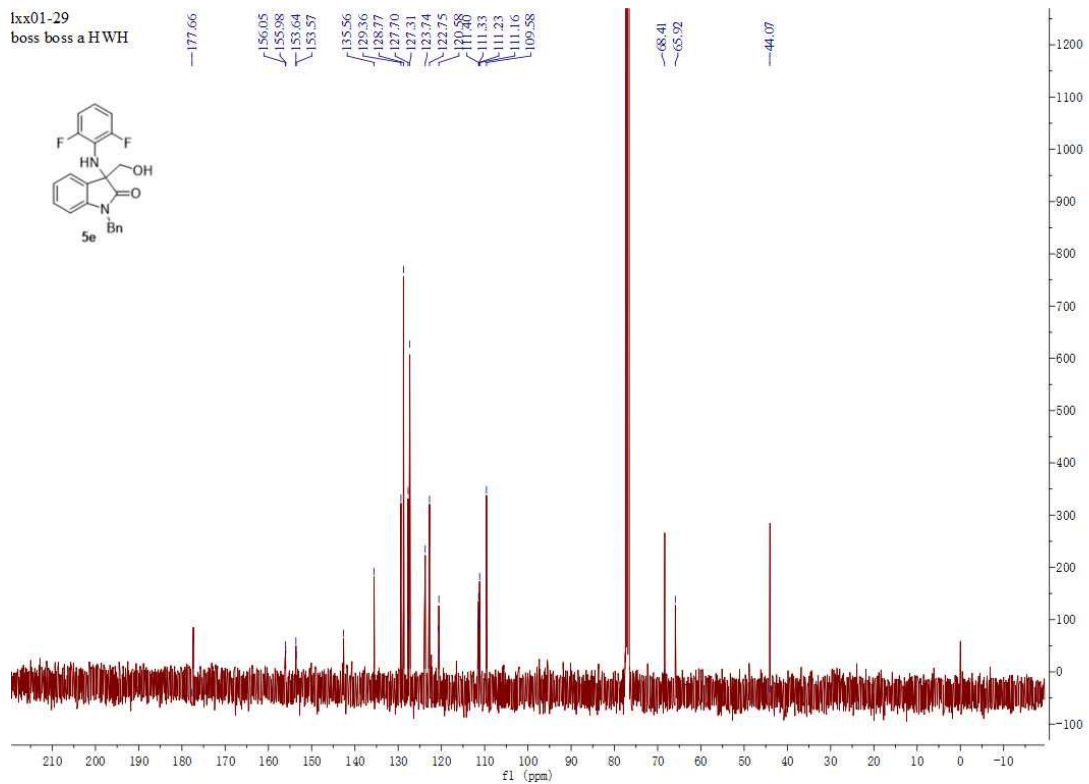
1.468
Range: 1.473



LXX01-029
boss boss a HWH



lxx01-29
boss boss a HWH

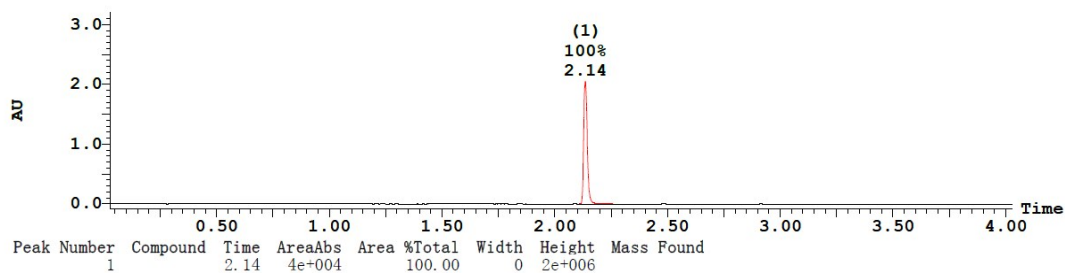


5e Sample Report:

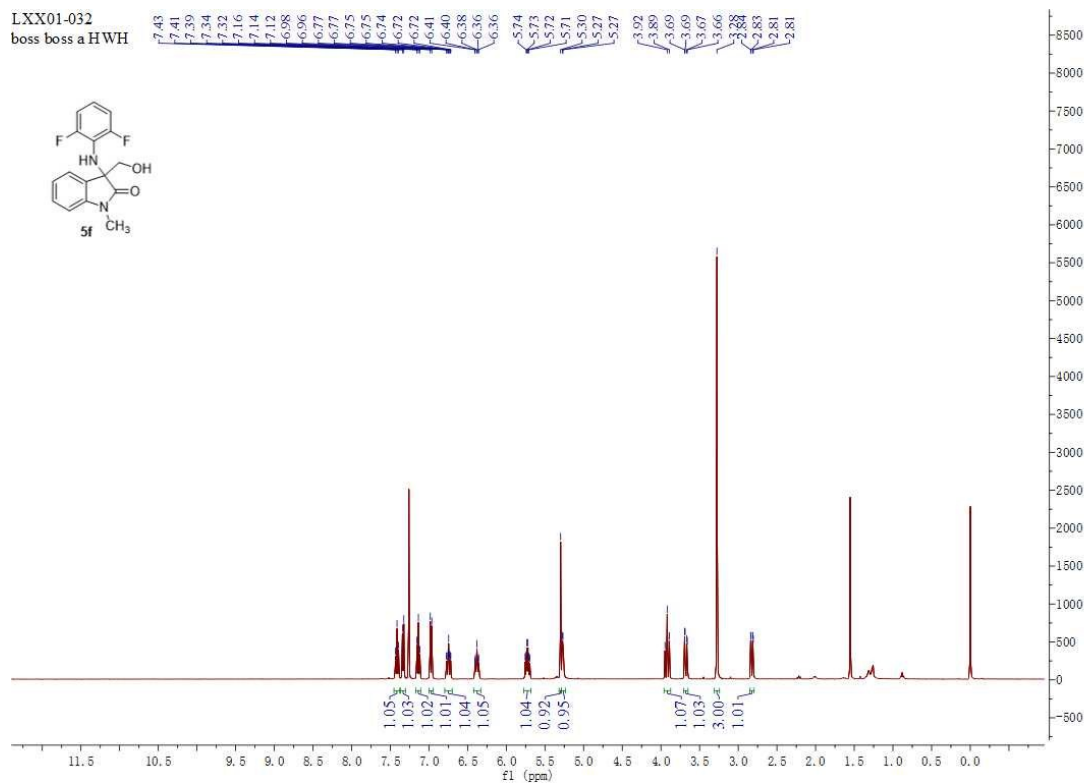
Sample 31 Vial 1:5 ID File LXX01-029 Date 06-Jan-2016 Time 10:23:49 Description

3: UV Detector: 254

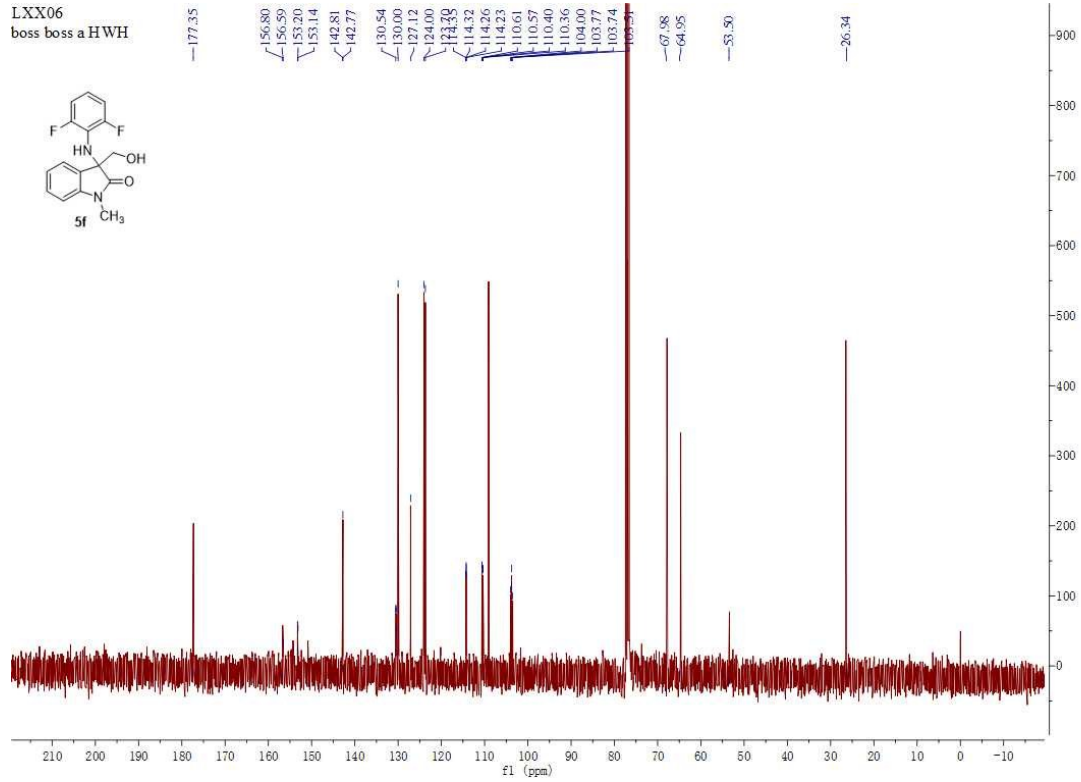
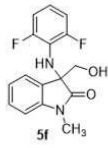
2.048
Range: 2.053



LXX01-032
boss boss a HWH



LXX06
boss boss a HWH

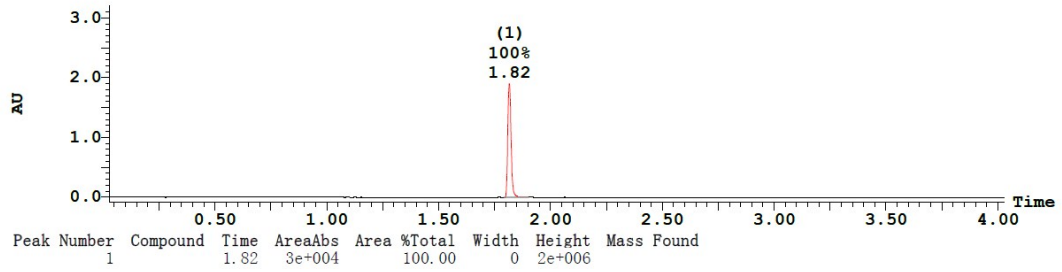


5f Sample Report:

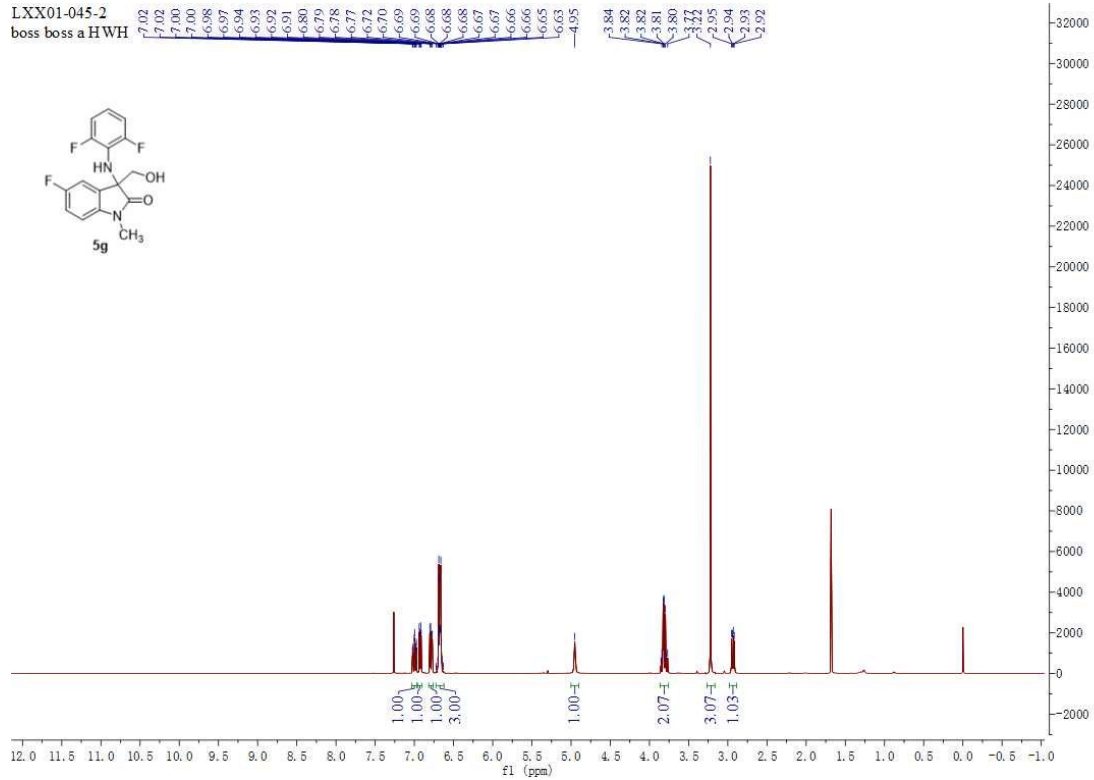
Sample 32 Vial 1:6 ID File LXX01-032 Date 06-Jan-2016 Time 10:29:37 Description

3: UV Detector: 254

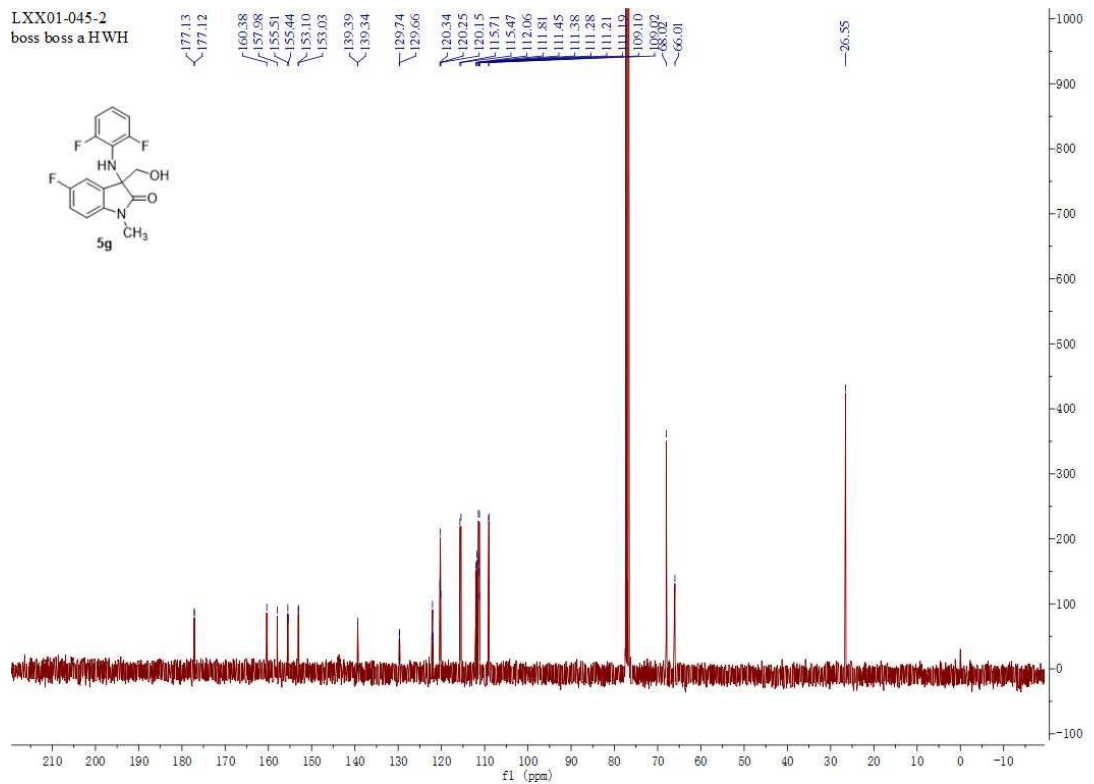
1.901
Range: 1.907



LXX01-045-2
boss boss a HWH



LXX01-045-2
boss boss a HWH

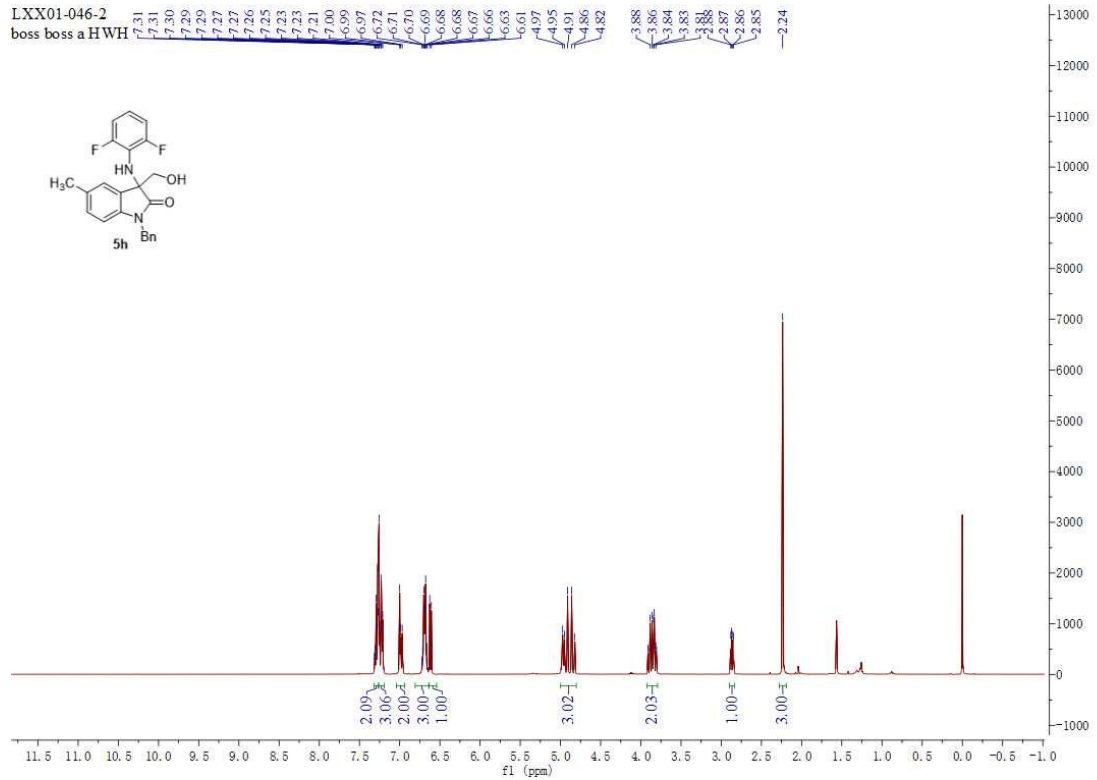
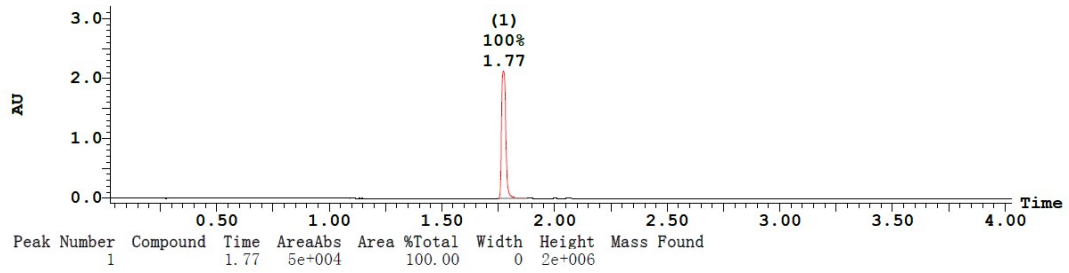


5g Sample Report:

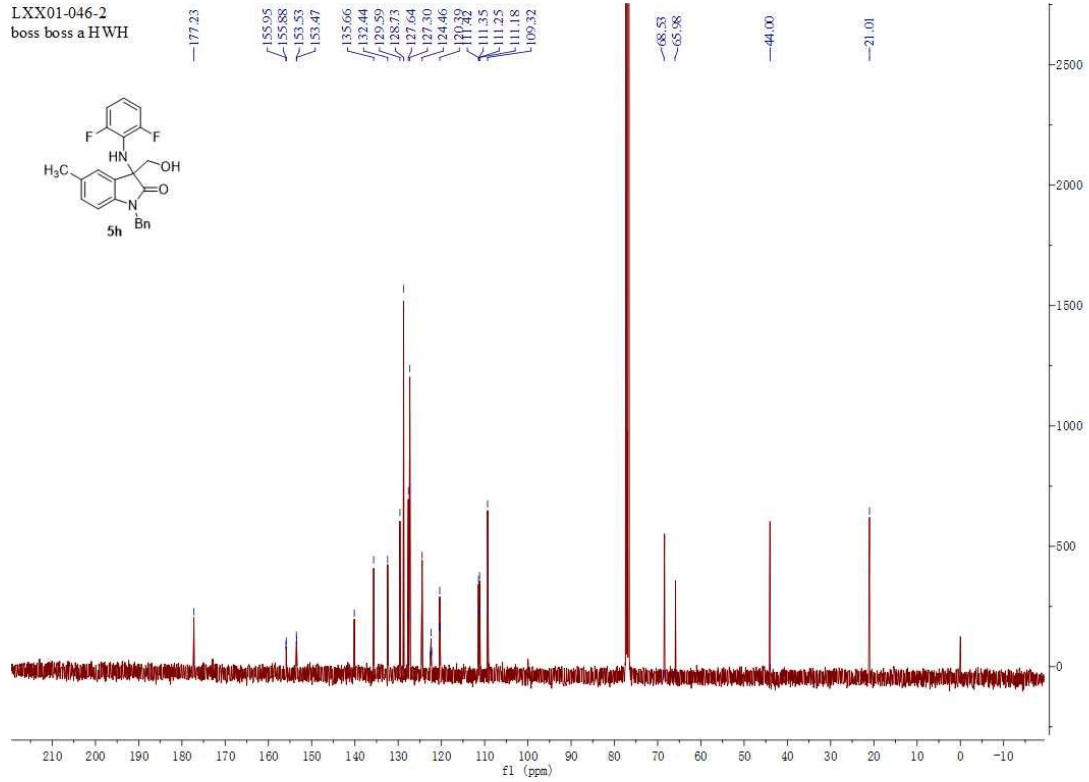
Sample 24 Vial 1:10 ID File LXX01-045 Date 06-Jan-2016 Time 10:56:58 Description

3: UV Detector: 254

2.119
Range: 2.125



LXX01-046-2
boss boss a HWH



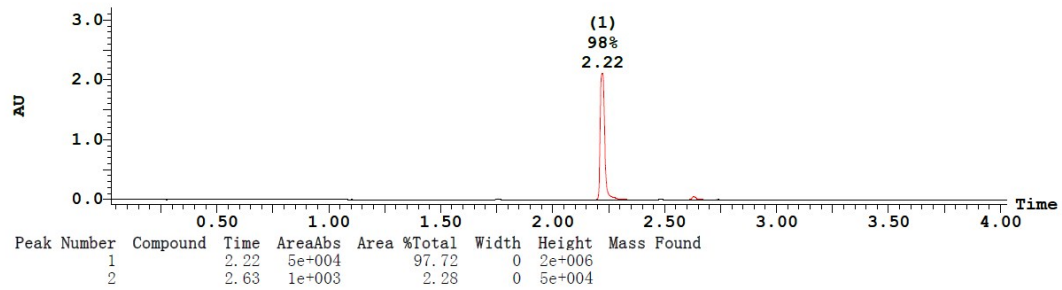
5h Sample Report:

Sample 25 Vial 1:11 ID File LXX01-046 Date 06-Jan-2016 Time 11:03:50 Description

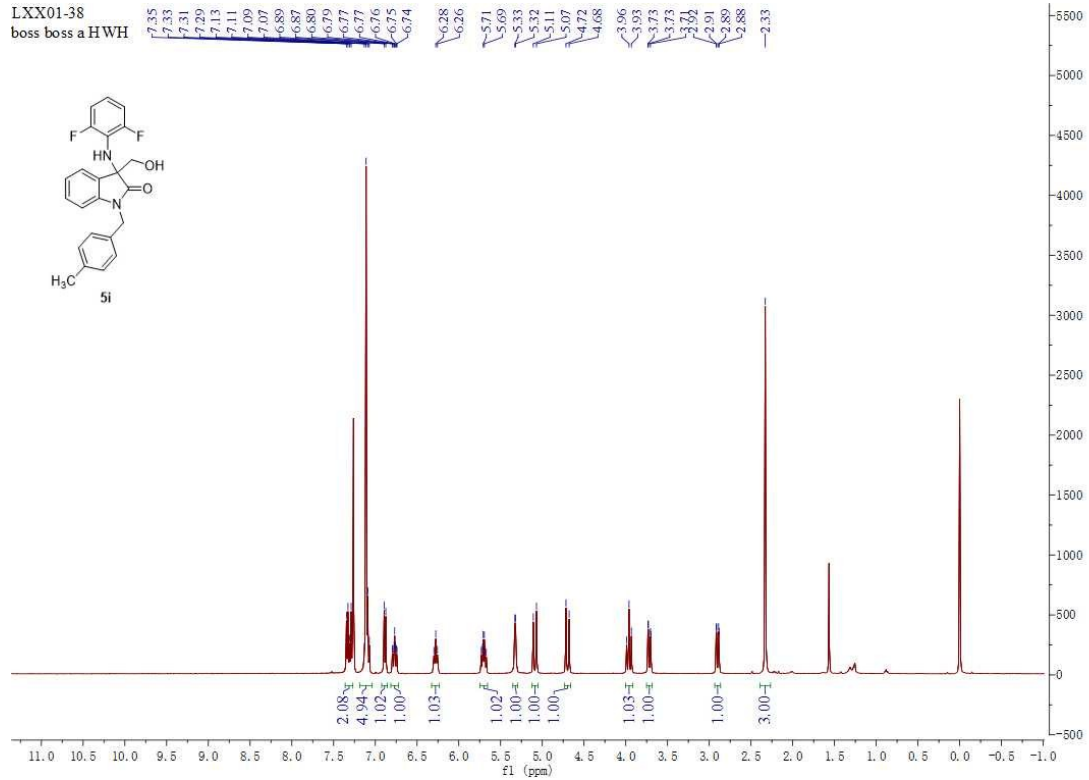
3: UV Detector: 254

2.108

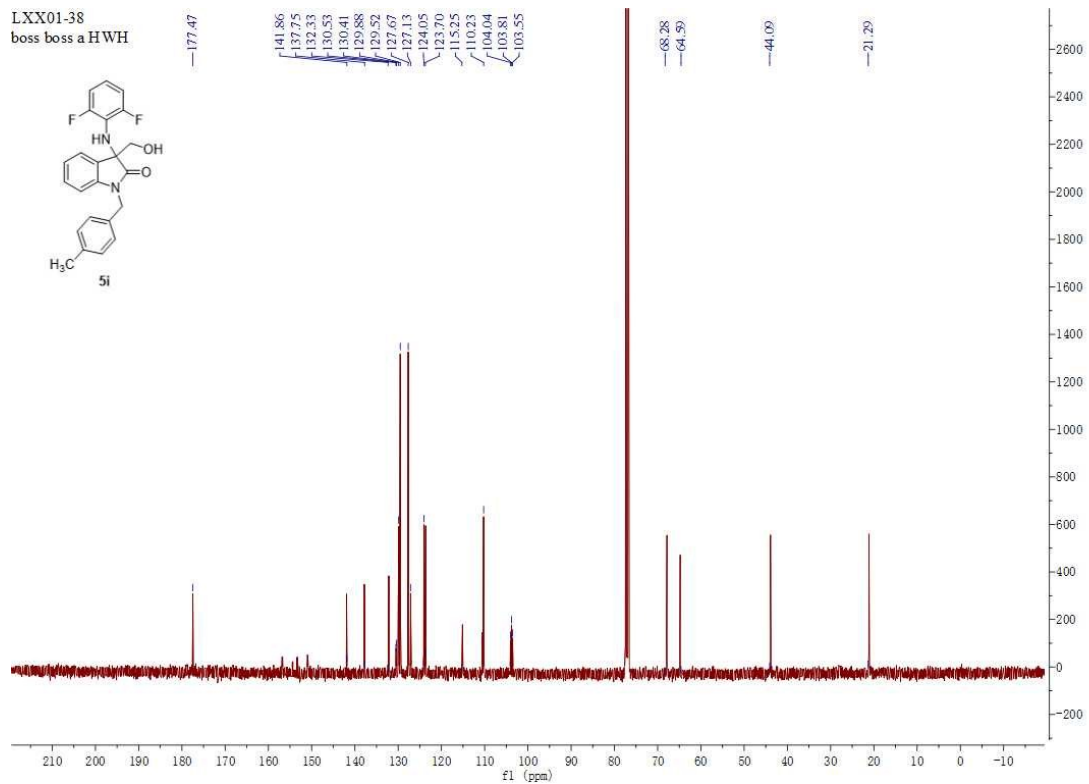
Range: 2.114



LXX01-38
boss boss a HWH



LXX01-38
boss boss a HWH

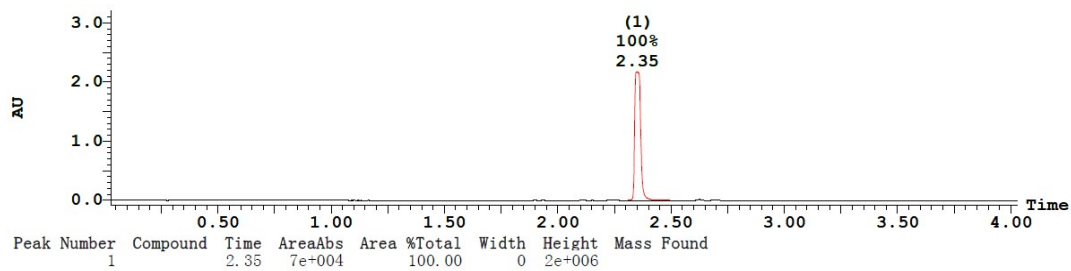


5i Sample Report:

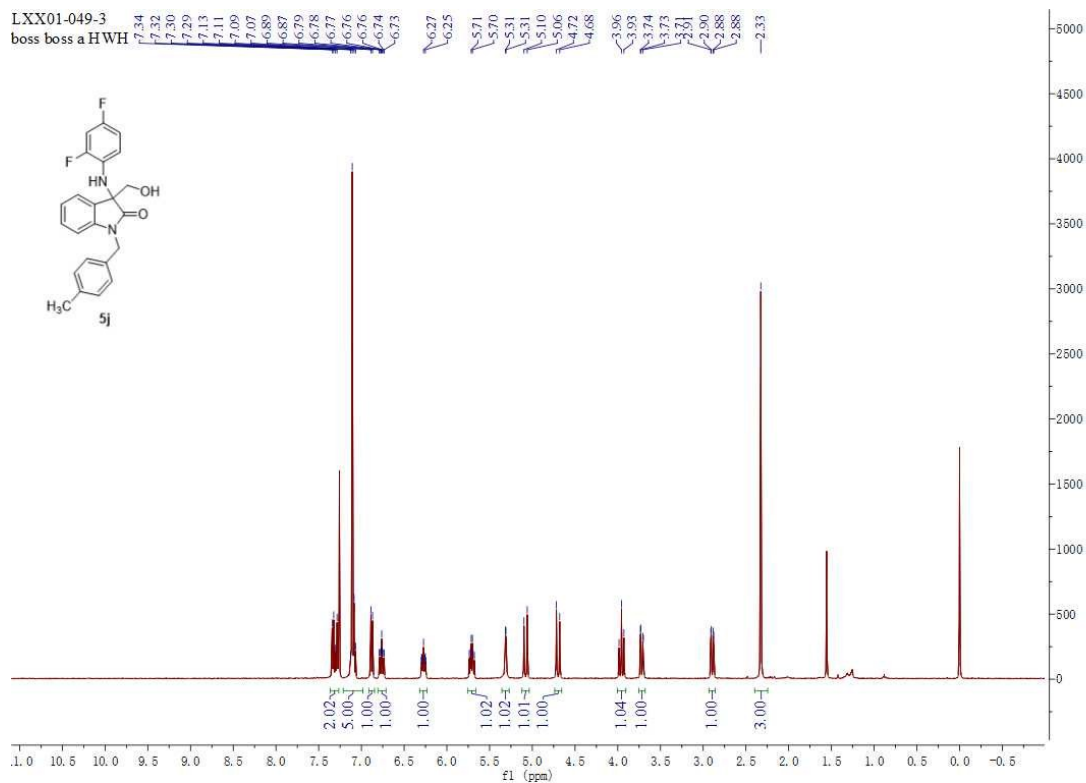
Sample 34 Vial 1:8 ID File LXX01-038 Date 06-Jan-2016 Time 10:43:22 Description

3: UV Detector: 254

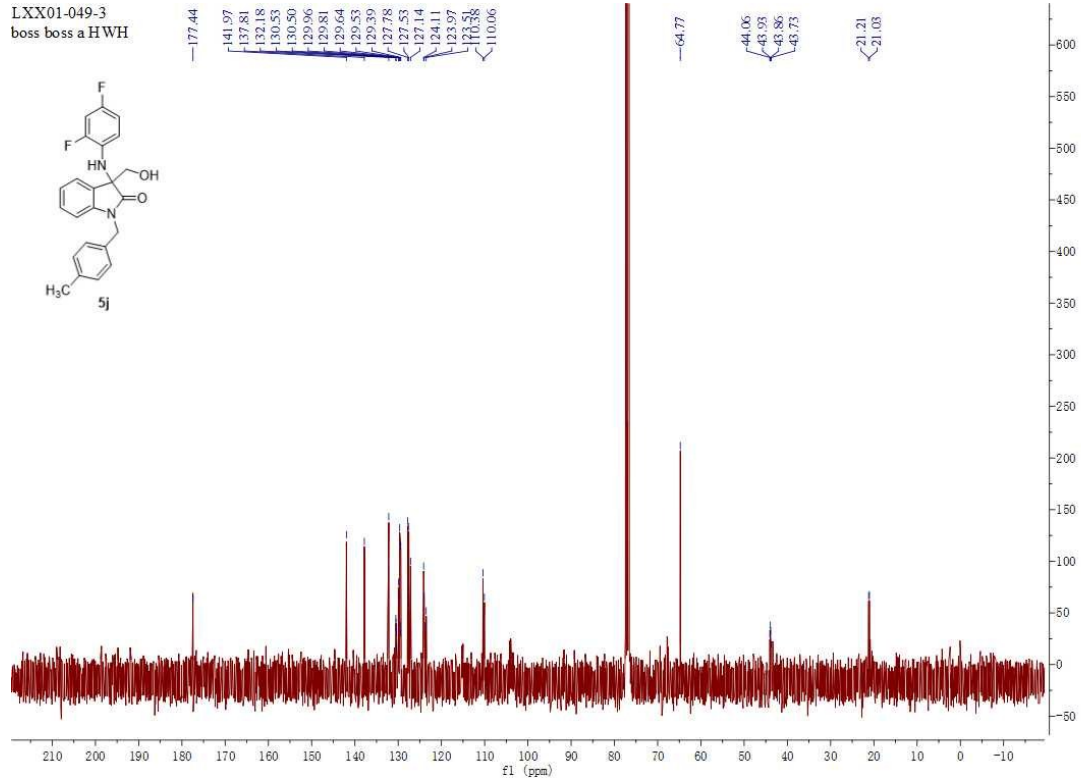
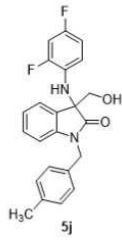
2.173
Range: 2.18



LXX01-049-3
boss boss a HWH



LXX01-049-3
boss boss a HWH

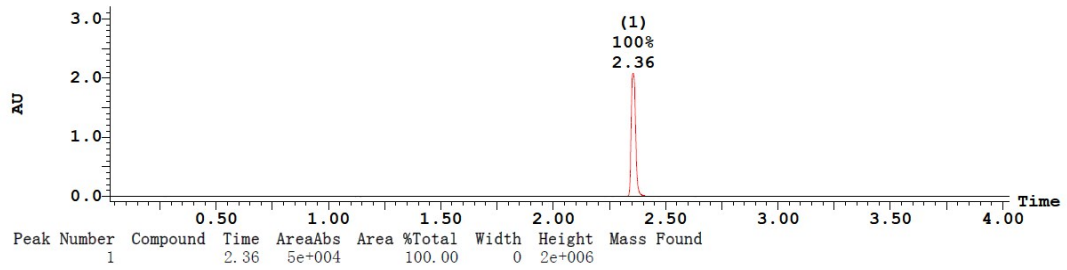


5j Sample Report:

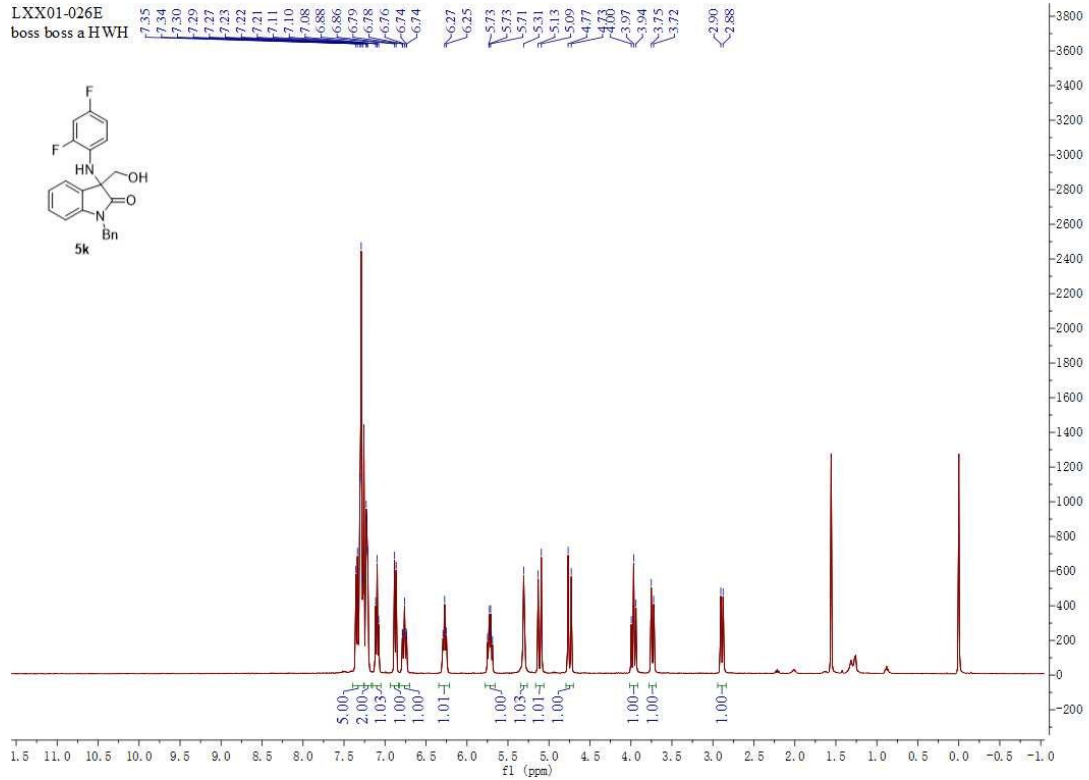
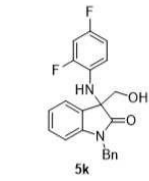
Sample 22 Vial 1:13 ID File LXX01-049 Date 06-Jan-2016 Time 11:17:34 Description

3: UV Detector: 254

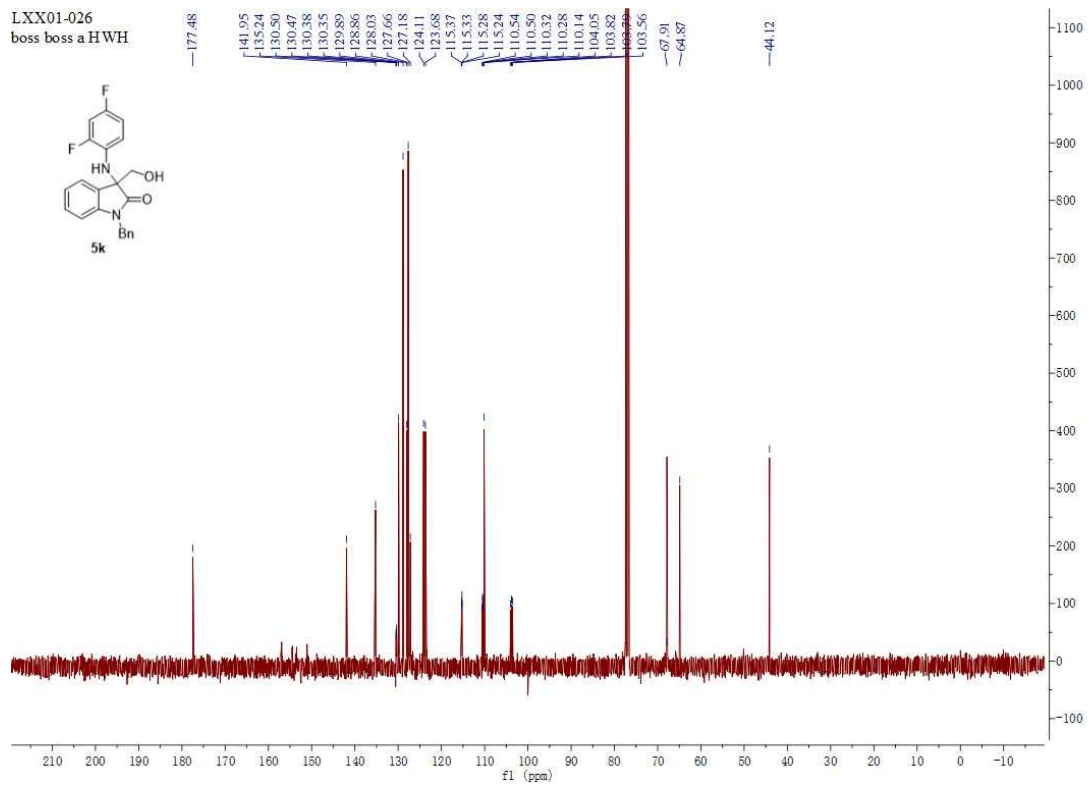
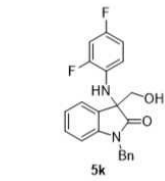
2.083
Range: 2.089



LXX01-026E
boss boss a HWH



LXX01-026
boss boss a HWH

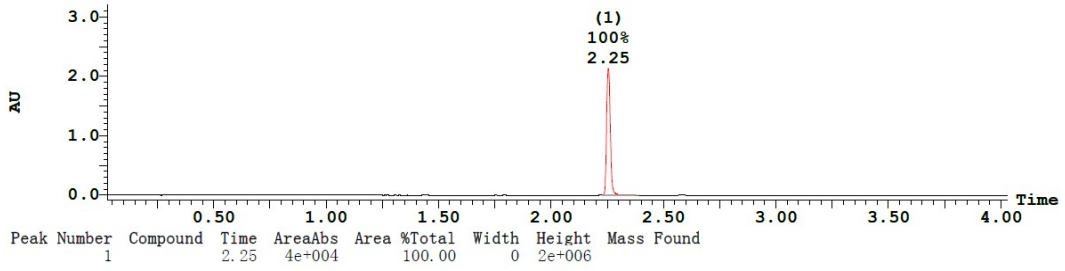


5k Sample Report:

Sample 28 Vial 1:2 ID File LXX01-026 Date 05-Jan-2016 Time 22:32:26 Description

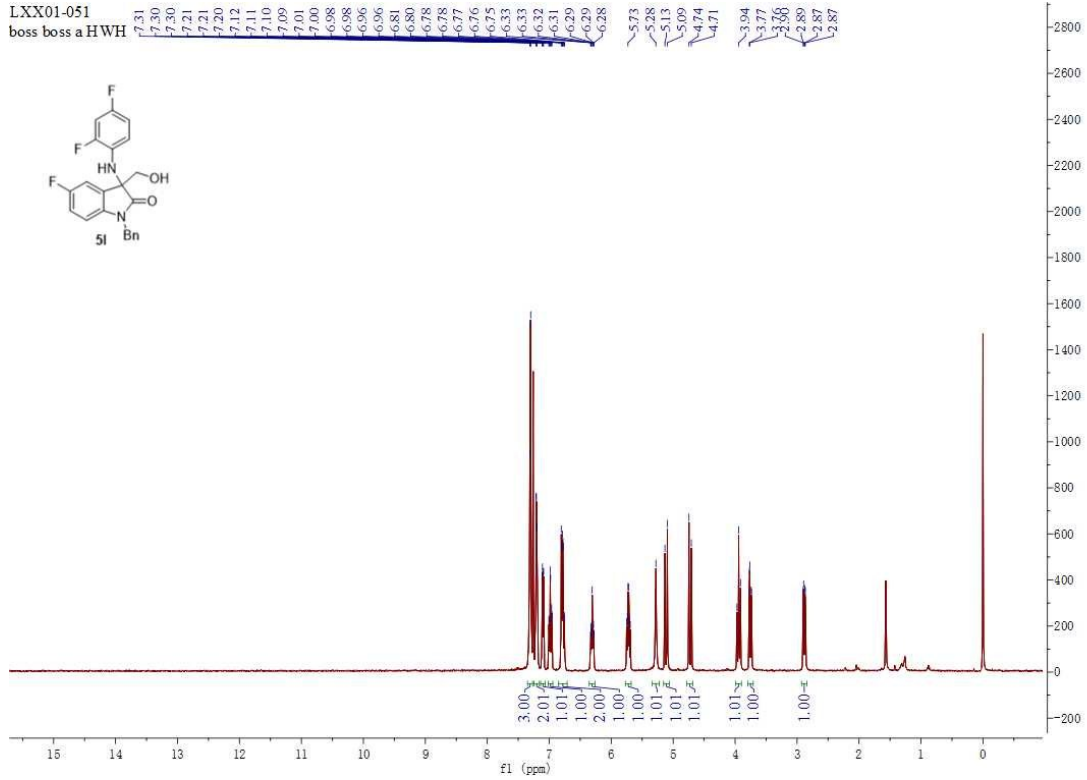
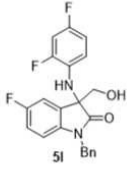
3: UV Detector: 254

2.135
Range: 2.14

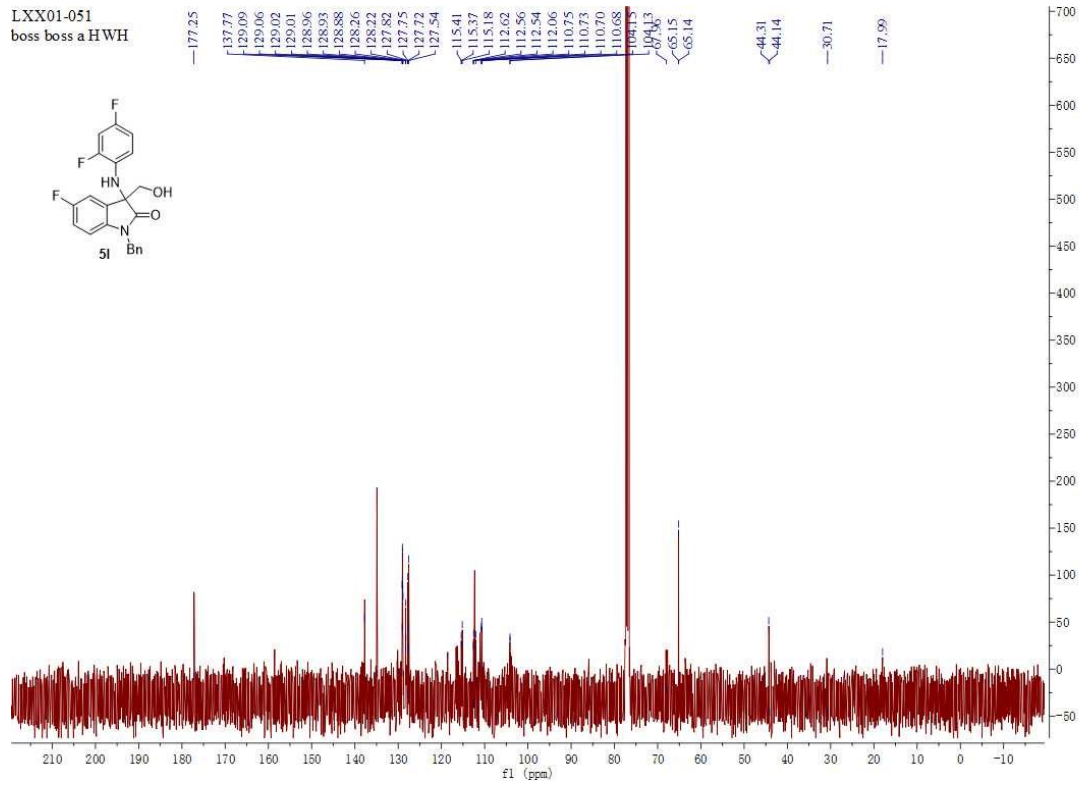
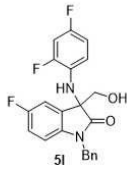


LXX01-051

boss boss a HWH



LXX01-051
boss boss a HWH

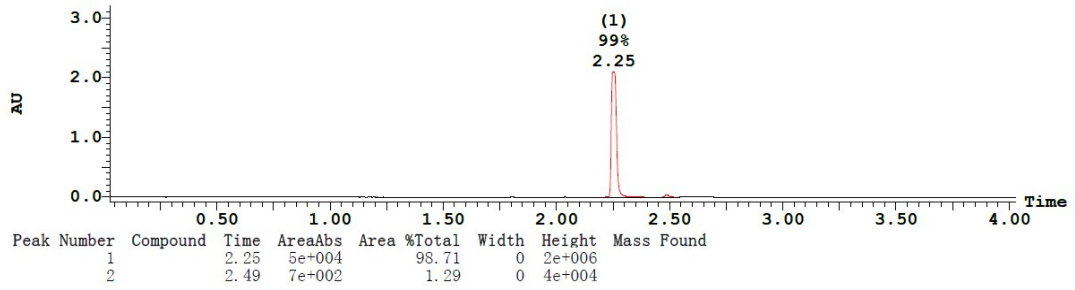


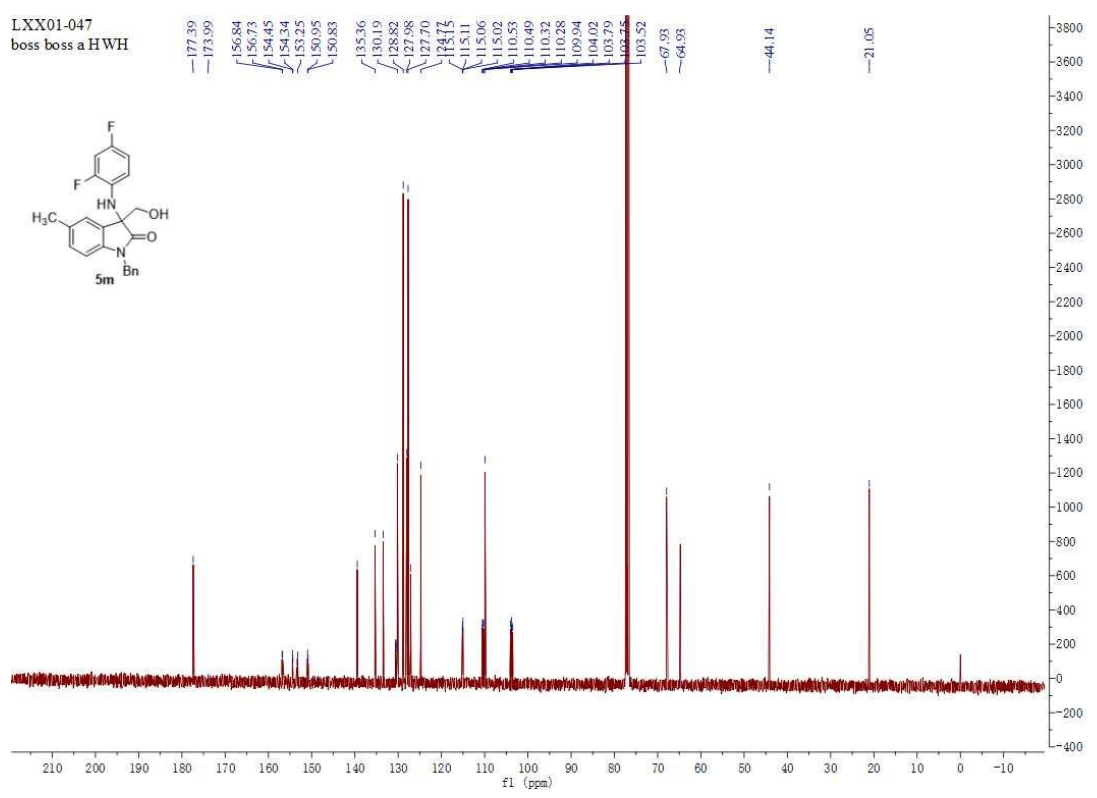
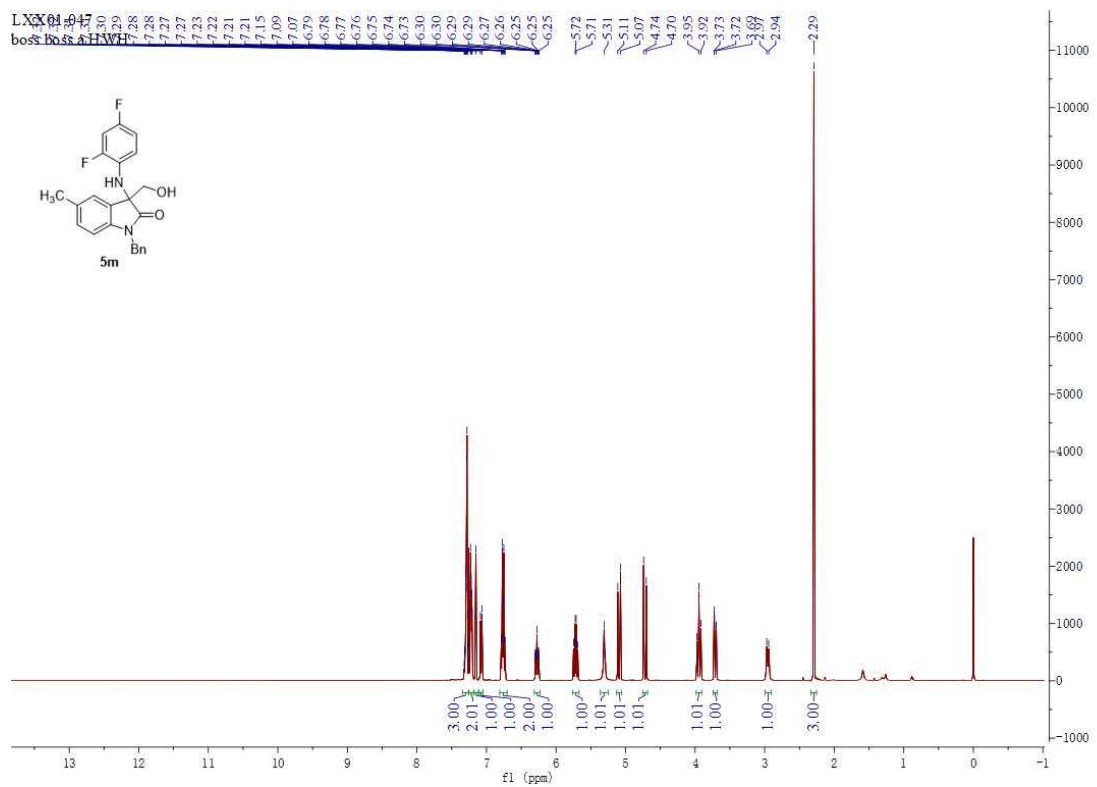
5l Sample Report:

Sample 27 Vial 1:14 ID File LXX01-051 Date 06-Jan-2016 Time 11:24:34 Description

3: UV Detector: 254

2.103
Range: 2.109



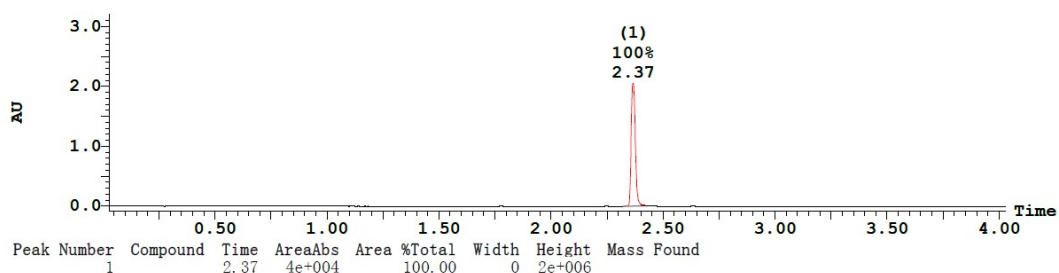


5m Sample Report:

Sample 26 Vial 1:12 ID File LXX01-047 Date 06-Jan-2016 Time 11:10:34 Description

3: UV Detector: 254

2.052
Range: 2.058



Western blot

To study the underlying apoptotic mechanism, SJS-1 cells were treated with compound **5m** at different concentration (0 μ M, 10 μ M, 20 μ M or 40 μ M) for 48 h. Then the cells were collected for western blotting analysis. The proteins of whole cell lysates were prepared in IP and western cell lysis buffer (Beyotime, China), and quantified by the bicinchoninic acid (BCA) method. Immunoblotting analysis of proteins in cell lysates was performed according to the antibody manufacturer's recommendations. Primary antibodies used were as follows: anti-Bcl-2(2872#), anti-Bax (2772#) and anti-tubulin (15115#) were purchased from Cell Signaling Technology (Danvers, MA, USA). The results showed that 5m-treatment induced an increase of anti-apoptosis protein Bcl-2 expression. And the ratio of Bax/Bcl-2 was elevated in 5a-treated cells in a dose-dependent manner, which suggested that 5a might induced cell apoptosis in SJS-1 through an intrinsic mitochondrial pathway. Further study on the investigation of its underlying mechanism is in progress.

