

Hydrophilic Nanoparticles Packed in Oral Tablets Can Improve the Plasma Profile of Short Half-Life Hydrophobic Drugs

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Supporting Information

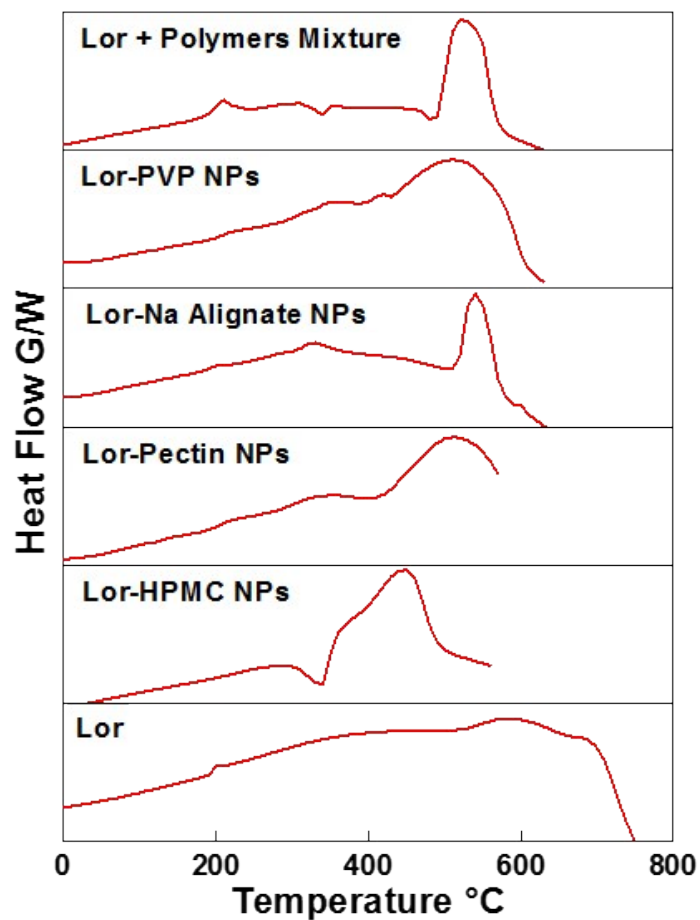
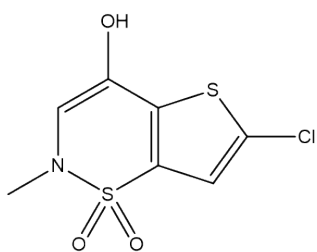
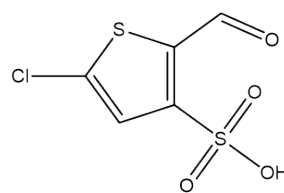


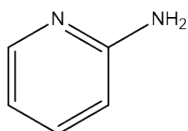
Figure S1. Diffraction Scanning Calorimetry thermogram of Lor and Lor polymeric NPs. The characteristic crystalline peak of Lor, observable at 200 °C, is diminished in thermograms of NPs indicating amorphous state of Lor inside NPs.



6-chloro-4-hydroxy-2-methyl-2H-thieno[2,3-e]-1,2-thiazine-1,1-dioxide



5-chloro-2-formylthiophene-3-sulfonic acid



2-aminopyridine

Figure S2. Degradation products of Lor under hydrolysis as described by Dattatray et. al. ¹

Table S1. Pre-compression and post-compression properties of NC Tablets and CL Tablets powder blend and compression tablets (Mean±SD).

Formulation Blend	Angle of repose	Hausner's ratio	Carr's index (%)	Uniformity of weight (Mean ± SD)	Uniformity of content (Mean ± SD)	Tensile strength (Kg/cm ²) (Mean ± SD)
CL1	28.81±1.21	1.14±0.047	12.3±1.24	151.72 ± 1.93	98.71 ± 2.78	1.685
NC1	27.43±1.33	1.13±0.024	11.9±0.89	149.82 ± 2.01	99.24 ± 2.88	1.699
CL2	27.78±1.54	1.15±0.036	13.18±1.25	149.23 ± 2.67	97.55 ± 4.46	1.749
NC2	26.22±2.01	1.14±0.029	12.4±2.12	150.61 ± 2.57	101.02 ± 1.34	1.736
CL3	28.49±1.98	1.15±0.047	13.3±2.47	152.32 ± 2.51	100.54 ± 3.11	1.875
NC3	25.95±2.34	1.13±0.038	11.6±1.89	151.20 ± 1.84	100.88 ± 4.25	1.829
CL4	29.55±1.51	1.14±0.045	12.1±1.54	149.98 ± 2.79	99.11 ± 3.24	1.679
NC4	25.07±1.24	1.11±0.05	9.8±1.2	150.05 ± 2.37	101.98 ± 3.34	1.738

Table S2. Kinetic modeling by fitting *in-vitro* drug release data in different models

Formulation	Zero order		First order		Higuchi model		Korsmeyer-Peppas		
	R ²	Ko	R ²	K	R ²	K _H	R ²	K ₁	N
CL1	0.8885	14.34	0.6138	0.20	0.9968	47.77	0.9964	50.25	0.45
NC1	0.9877	14.83	0.7243	0.4060	0.9321	30.38	0.9758	18.93	0.84
CL2	0.9776	12.58	0.6321	0.2555	0.9735	31.13	0.9959	21.22	0.74
NC2	0.9885	8.74	0.6454	0.2610	0.9639	21.90	0.9951	15.99	0.79
CL3	0.9455	10.14	0.5759	0.2141	0.992	31.09	0.9934	29.02	0.56
NC3	0.9951	7.27	0.7185	0.3393	0.9232	14.42	0.9909	7.91	0.95
CL4	0.9323	11.19	0.5629	0.2239	0.9904	32.41	0.9973	28.64	0.60
NC4	0.9801	7.77	0.6302	0.2210	0.9514	19.74	0.9552	12.80	0.83
Lor-HPMC NPs	0.6860	13.659	0.9970	0.363	0.9764	35.262	0.9787	37.780	0.461
Lor-Pecting NPs	0.9654	9.738	0.9566	0.181	0.9366	26.875	0.9955	15.798	0.769
Lor-Na Alginate NPs	0.9664	9.229	0.9474	0.163	0.9293	25.446	0.9909	14.503	0.784
Lor-PVP NPs	0.9820	8.609	0.8799	0.136	0.8176	23.248	0.9870	6.572	1.128

Abbreviations: R² = coefficient of determination, Ko = zero order rate constant, K = first order rate constant, KH = Higuchi constant, K₁ = Korsmeyer-Peppas model rate constant, n = release exponent

References

1. D. T. Modhave, T. Handa, R. P. Shah and S. Singh, *Journal of pharmaceutical and biomedical analysis*, 2011, **56**, 538-545.