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# Towards simplified oral lipid-based drug delivery using mono-/di-glycerides as single component excipients

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**Supporting information**

The excipients used for the eight lipid-based drug delivery systems used in this study are listed in Table S 1.

Table S 1 Name and composition of lipid excipients used for lipid-based drug delivery systems.

| Excipient type | Excipient name | Composition |
|---|---|---|
| Long chain triglycerides (LCT) | Sesame oil | Triglycerides of fatty acids: C20 (0.8%); C18:2 (40.4%); C18:1 (45.4%); C18 (4.3%) and C16 (9.1%) [35](Rowe et al., n.d.) |
| Long chain mono-, di-glycerides (LCM) | Maisine CC | Monoglycerides (MG): 32-52%, Diglycerides (DG) : 40-55%, Triglycerides (TG) 5-20% of fatty acids: C18:2 (>50%); C18:1 (10-35%); C18:0 (<6%); C16 (4-20%)* |
| Medium chain triglycerides (MCT) | Labrafac Lipophile WL 1349 | Triglycerides of fatty acids: C6 (2%), C8 (50-80%); C10 (20-50%); C12 (<3%), C14 (1%)* |
| Medium chain mono-, di-glycerides (MCM) | Capmul MCM C8 EP/NF | Monoglycerides (MG): 45-75%, Diglycerides (DG) 20-50%, Triglycerides (TG): <10% of fatty acids: C6 (<1%) C8 (>90%), C10 (<10%), C12 (<1%)* |
| Surfactant (S) | Labrasol | Mixed polyoxyglycerides of fatty acids C6 (<2%); C8 (50-80%); C10 (20-50%); C12 (< 3%); C14 (<1%)* |
| *according to manufacturer certificate of analysis/technical data sheet | | |

Precipitation times and XRD patterns of precipitate observed after dilution and dispersion testing of LBDDS containing celecoxib and cinnarizine are shown inTable S 2, Figure S 1 and Figure S 2, respectively.

Table S 2 Time point when precipitation was observed for lipid-based drug delivery systems after dilution and dispersion in FaSSIF at 37 °C.

| Time (h) | Celecoxib LBDDS | Cinnarizine LBDDS |
|---|---|---|
| 0.25 | LCM+MCT+S<br>MCM+S<br>MCM+LCT+S<br>MCM+MCT+S | MCM+S<br>MCM+LCT+S<br>MCM+MCT+S |
| 1 - 2 | LCM+S<br>LCM+LCT+S<br>MCM | MCM |
| 4 - 24 | LCM (pp at 4H) | |

Precipitate formed after dilution and dispersion of 85% saturated LBDDS was analysed with XRPD and diffractograms for celecoxib and cinnarizine are shown in Figure S 1 and

Figure S 2 respectively. Presence of low intensity peaks are a result of limited available solid material. Absence of enough precipitate material after dispersion of celecoxib LCM system is a consequence of lack of diffractogram in Figure S 1.

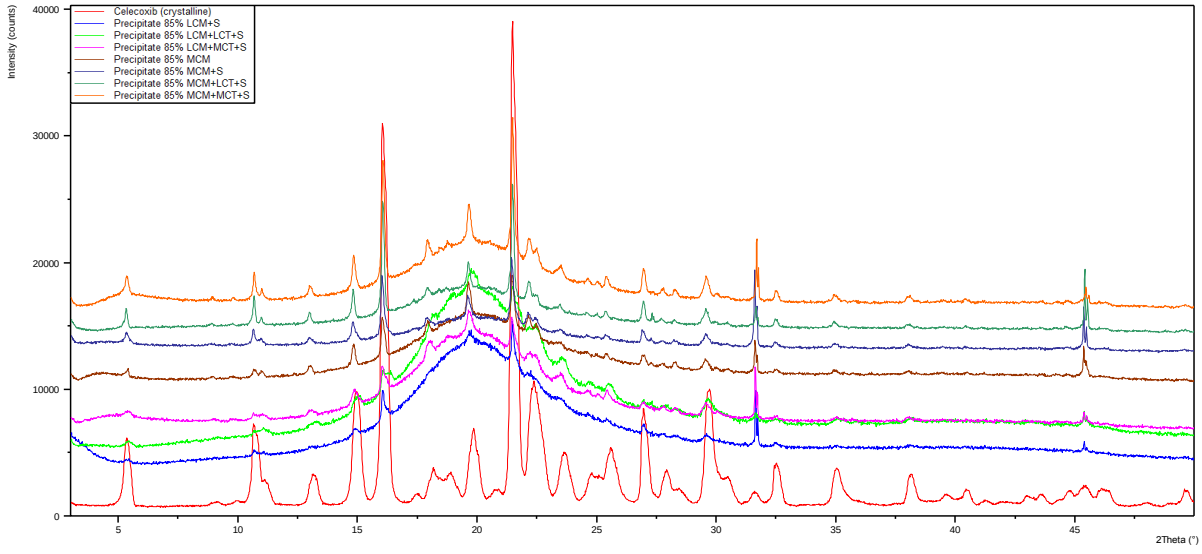



Figure S 1 Overlay of XRD diffractograms for precipitate observed after dilution and dispersion of celecoxib-loaded LBDDS in FaSSIF and crystalline celecoxib.

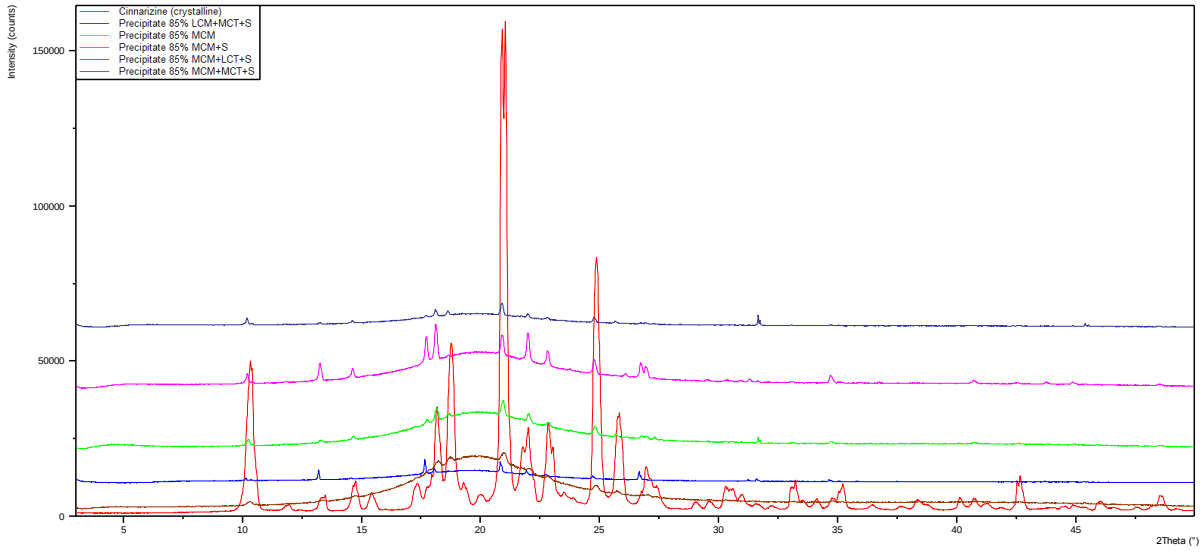



Figure S 2 Overlay of XRD diffractograms for precipitate observed after dilution and dispersion of cinnarizine-loaded LBDDS in FaSSIF and crystalline cinnarizine.

The area under the concentration-time curve of drug concentration in the aqueous phase of the dispersion medium after dispersion of LBDDS in FaSSIF is presented in Table S 3.

Table S 3 Area under the concentration-time curve after *in vitro* testing of LBDDS dispersion in FaSSIF and drug quantification in aqueous environment.

| | **Celecoxib** | **Cinnarizine** | **JNJ-2A** |
|---|---|---|---|
| **LCM** | 366.4 ± 20.1 | 882 ± 129 | 1203.3 ± 37.6 |
| **MCM** | 609 ± 331 | 83.8 ± 18.6 | 252.4 ± 38.9 |
| **LCM+S** | 943 ± 227 | 855 ± 111 | 3400 ± 379 |
| **MCM+S** | 101.0 ± 20.0 | 198.2 ± 33.0 | 1480 ± 134 |
| **LCM+LCT+S** | 1144.7 ± 60.4 | 947.2 ± 64.4 | n.a. |
| **MCM+MCT+S** | 1164 ± 209 | 1645 ± 230 | 1965 ± 292 |
| **LCM+MCT+S** | 1328.8 ± 32.3 | 1627 ± 103 | n.a. |
| **MCM+LCT+S** | 958.4 ± 94.7 | 745.1 ± 32.9 | n.a. |