P-040

Characterization of microemulsion using Lipoid® S100, Tween® 80 and Mygliol

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INTRODUCTION AND OBJECTIVES

Microemulsions (ME) are thermodynamically stable dispersions of oil and water that are stabilized by surfactants and in some cases, cosurfactants (Tenjarla 1999; Bonacucina 2009). They have attracted much interest in recent years because of their great practical importance in terms of drug delivery potential and their interesting physical properties. Good reviews can be found in the literature that highlighted both physical properties and pharmaceutical and cosmetics applications of MEs.

Due to the low interfacial tension between oil and water, a wide range of ME structures is possible. Basically two types of MEs are found: MEs with droplet like structure and bicontinuous ones. The ME structure is an important data for the rate of drug release. In fact, the wide range of possible structures means that MEs can release the solubilised drug at different rates (Bonacucina 2009; Podlogar 2004; Podlogar 2005).

The aim of this work was to produce and characterize ME systems containing Lipoid® S100/Tween® 80 and Miglyol® 812.

MATERIALS AND METHODS

Phase diagrams were built by visual inspection of ternary systems made of surfactant (S) and co-surfactant (CS) admixtures [Tween® 20 - Vetec Química fina Ltda, Brazil (S) and Span® 80 Vetec Química fina Ltda, Brazil (CS)] at the proportion from 10:0 to 0:10, Mygliol 812N as oil phase, at proportions from 1:9 to 9:1, respectively and distilled water, which was added at 25ºC to attain equilibrium (Figure 1). The ME was identified as the area in the phase diagram where clear and transparent formulations were obtained based on visual inspection. Their isotropic and thus non-birefringent behavior was confirmed by examination under polarizing light.

The compositions of the MEs are given in Table 1. The samples were analysed by polarized optical microscopy, Refractive Index (RI), pH, conductivity, Differential Laser Scattering, Zeta potential, Transmission Electronic Microscopy, and diluition and stability test (shef-life, centrifugation test and freeze-thaw cycles).

RESULTS AND DISCUSSION

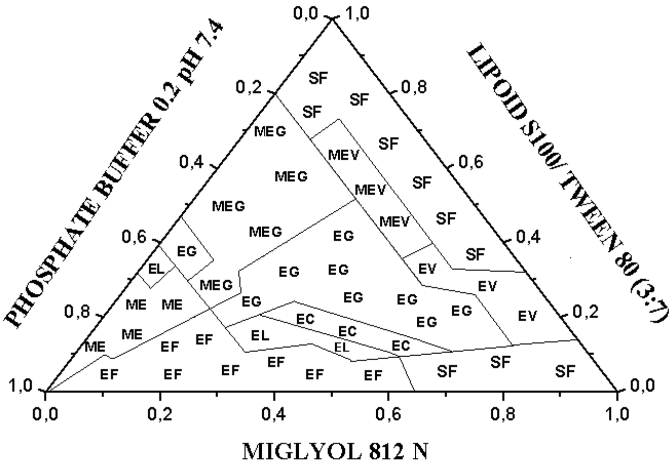

Figure 1. Pseudo-ternary phase diagram.

Table 1. Composition of the ME systems (%$_{w/w}$).

Sample	Mygliol 812	Lipoid® S100	Tween® 80	PBS pH 7.4
F1	68.00	6.30	14.70	11.00
F2	62.00	8.10	18.90	11.00
F3	68.00	8.40	19.60	4.00
F4	76.00	6.30	14.70	3.00
F5	68.00	6.00	14.00	12.00
F6	60.00	6.3	14.70	19.00

The proportions of the components were aproppriate to produce homogeneous and clear MEs, which presented a Winsor IV characteristic.

All the MEs studied remained stable after the diluition with water (1:10), because no physical changes apparently occured during this period of time.

The results from the stability test showed good stability. MEs systems were found to be stable, because no changes occurred to any of their properties when they were assessed at the end of the study.

The high condutance and very small droplet size of these systems is characteristic of a thermodynamically stable oil in water nanoparticle (Table 2).

Table 2. Physicochemical characteristics of the ME systems (droplet size distribution and zeta potential data).

Sample	Size (nm)	PdI	Zeta (mV)
F1	23.43±0.14	0.241±0.003	-7.12±0.55
F2	17.73±0.16	0.197±0.005	-12.00±2.12
F3	33.65±0.22	0.237±0.002	-12.16±0.80
F4	24.33±00.4	0.270±0.001	-8.74±0.73
F5	29.42±0.27	0.241±0.002	-13.43±2.95
F6	36.87±0.38	0.302±0.000	-6.37±0.66

Table 3. Physicochemical characteristics of the ME systems (pH, conductivity and RI data).

Sample	pH	Cond. (µS)	RI
F1	7.404±0.04	733.00±3.48	1.373±0.00
F2	7.406±0.002	721.85±3.54	1.374±0.01
F3	7.409±0.05	756.23±1.35	1.374±0.00
F4	7.411±0.056	899.25±2.00	1.366±0.01
F5	7.401±0.012	736.59±2.37	1.334±0.00
F6	7.412±0.04	639.56±1.03	1.373±0.00

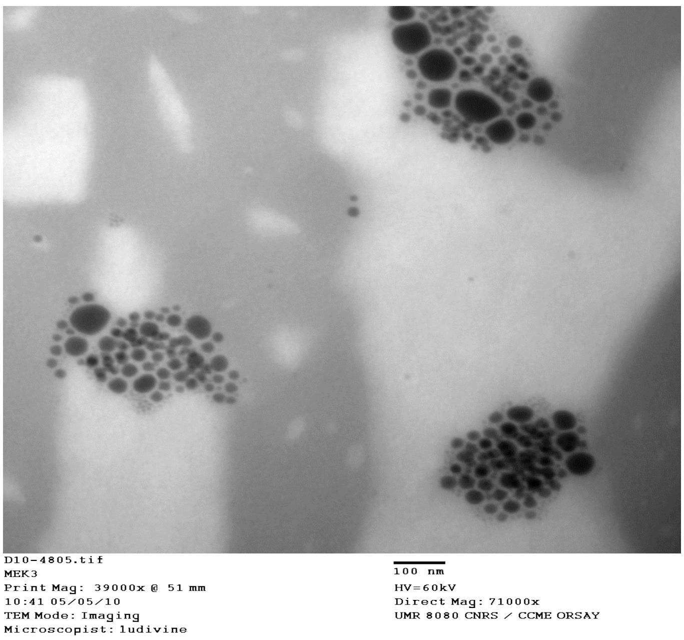

Figure 2. TEM pictury of the Sample ME 3.

The RI values indicate transparency, allows the use of the system by ocular or parenteral applications (Table 3).

The morphology of the ME by TEM analysis revealed a spherical shape and uniform droplet size of the system (Figure 2).

The remarkable characteristic of the present ME system is that it is free of alcohols and all components are of pharmaceutical-grade. Normally, the MEs include the medium chain length alcohols as co-surfactants, but most alcohols are harmful to the human body. The systems presented here can became delivery systems with low irritation or toxicity potential.

CONCLUSION

From the results, it can be concluded that the MEs systems containing Lipoid® S100/Tween® 80, Mygliol® 812 and phosphate buffer seen to be valuable delivery systems in terms of easy manufactuing and high stability, which make them very appropriate for parenteral and ocular applications as drug carriers.

REFERENCES

- Tenjarla, S. (1999) *Microemulsions: An overview and pharmaceutical applications.* Critical Reviews in Therapeutic Drug Carrier Systems. 16(5): 461-521.
- Bonacucina, G., et al. (2009) *Colloidal Soft Matter as Drug Delivery System.* Journal of Pharmaceutical Sciences. 98(1): 1-42.
- Podlogar, F., et al. (2004) *Structural characterisation of water-Tween 40((R))/Imwitor 308((R))-isopropyl myristate microemulsions using different experimental methods.* International Journal of Pharmaceutics. 276(1-2): 115-128.
- Podlogar, F., M.B. Rogac, and M. Gagperlin. (2005) *The effect of internal structure of selected water-Tween 40 (R)-Imwitor 308 (R)-IPM microemulsions on ketoprofene release.* International Journal of Pharmaceutics. 302(1-2): 68-77.