DEVELOPMENT AND ANTIOXIDANT CAPACITY EVALUATION OF SOLID SELF-EMULSIFYING SYSTEMS CONTAINING LINSEED OIL

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Introduction

Self-emulsifying drug delivery systems (SEDDS) are liquid anhydrous mixtures formed by lipids, surfactants, drugs and/or co-solvents and co-surfactants. When ingested with water, the motility of the gastrointestinal tract can promote spontaneous emulsification [1]. Solid self-emulsifying drug delivery systems (SSEDDS) are characterized by the addition of a solid carrier into the liquid system. Their main advantage is the solubility and bioavailability increase from SEDDS with the stability and ease of adherence to treatment from solid pharmaceutical forms [2]. The oils contained in these systems are only used to encapsulate hydrophobic active substances. Given the potential health benefits and the high susceptibility to degradation of natural oils and their bioactive compounds, it is interesting to investigate the viability of SSEDDS as oxidative stability agents [3]. Linseed oil contain unsaturated fatty acids and bioactive compounds, especially tocopherols and carotenoids, which are sensitive to processes involving light, moisture, oxygen, and heat [4]. The aim of this study was the development and antioxidant capacity evaluation of solid self-emulsifying systems containing linseed oil.

Material and Methods

The SEDDS formulation consists of PEG-40 (FAGRON) as a surfactant, Span© 80 (SIGMA-ALDRICH) as a co-surfactant and Linseed Oil (LAZLO) as a bioactive compound. It was used different ratios of surfactant and oil (A – 1:1; B – 3:2). After weighing the materials, the liquid components were homogenized in a magnetic stirrer for 30 minutes at 1000 rpm. Then, the formulations were stored for 24 hours at room temperature. Finally, 5g of the liquid systems were homogenized in a mortar with 9g of Fujicalin© (FUJICHEMICAL), 5g of a 1:1 mixture containing Neusilin©:Fujicalin© or 4g of Aerosil© 200 (RHODIA). The linseed oil, liquid and solid formulations were stored at room temperature with pre-defined times of 0, 15, and 30 days [5]. Antioxidant activity was determined by the Trolox technique with the homogenization of 3 mL of $ABTS^{\bullet+}$ with 30 µL of the sample, previously solubilized in n-hexane. The reaction was monitored at Ultraviolet Spectrophotometer, with a wavelength of 734 nm for 4 minutes, using ethanol as blank and concentrations of 0.02 to 0.75 mmol/L. The results were expressed in Trolox Equivalent mmol/Kg [6].

Results and Discussion

Among the evaluated samples, linseed oil had the highest antioxidant activity (Table 1) (Line 1). All liquid self-emulsifying systems had an increased antioxidant activity when compared to oil (Lines 2 to 5). This significant reduction in SEDDS rates may be related to the possibility of surfactants interference in the interaction between the antioxidant and ABTS, making the reaction not occurring properly. Furthermore, only solid systems containing the mixture Neusilin®: Fujicalin® and Aerosil® 200 generated a response.

There was a decreased antioxidant activity difference when compared to oil and liquid systems (Lines 6 to 12). This fact can be explained by a desorption lack of the liquid system inside of the carrier pores, and consequently, the impediment of the interaction of the oil's antioxidants with the $ABTS^{\bullet+}$ radical [7]. The bioactive oil was protected by the solid carrier; however, it would be important to develop a new methodology to enable a proper extraction of the liquid.

Table 1: Antioxidant activity results in mmol Eq.trolox/Kg for linseed oil, liquid systems (SEDDS) and solid systems (SSEDDS).

	Sample	T0	T15	T30
1	Linseed Oil	1,52 ± 0,13	1,56 ± 0,04	1,30 ± 0,03
2	F7-A SEDDS	0,57 ± 0,04	0,32 ± 0,02	0,29 ± 0,05
3	F7-B SEDDS	0,40 ± 0,02	0,20 ± 0,00	0,22 ± 0,02
4	F8-A SEDDS	0,66 ± 0,03	0,20 ± 0,01	0,20 ± 0,02
5	F8-B SEDDS	0,55 ± 0,03	0,56 ± 0,02	0,27 ± 0,02
6	F7-B + Fujicalin®	Not detected	Not detected	Not detected
7	F7-B + Neusilin®:Fujicalin®	0,14 ± 0,00	0,14 ± 0,01	0,13 ± 0,00
8	F7-B + Aerosil® 200	0,10 ± 0,02	0,09 ± 0,01	0,08 ± 0,00
9	F8-A + Fujicalin®	Not detected	Not detected	Not detected
10	F8-B + Fujicalin®	Not detected	Not detected	Not detected
11	F8-B + Neusilin®:Fujicalin®	0,12 ± 0,02	0,11 ± 0,01	0,12 ± 0,01
12	F8-B + Aerosil® 200	0,09 ± 0,0	0,06 ± 0,01	0,05 ± 0,00

Conclusion

The evaluation of oxidative stability showed that linseed oil presented better antioxidant activity when compared to liquid and solid systems. The surfactants may have influenced the results of the liquid systems. The low antioxidant activity in solid systems can be explained by the incomplete desorption of SEDDS, since parameters such as pore diameter and length can interfere with the results obtained. Although the oil is protected in the SEDDS and SSEDDS, it is necessary to develop a new extraction method so the antioxidant capacity can be adequately evaluated.

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