

Assessment of Solid-State Behaviour and *in vitro* Release of Artemether from Lquisolid Compact Using Mesoporous Material as an Excipient

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ABSTRACT

Background: Artemether is a potent antimalarial drug used in the first-line treatment of multi-drug-resistant malaria. It belongs to BCS II, exist in different polymorphic forms, and exhibits incomplete absorption and low oral bioavailability owing to poor dissolution. **Aim:** The present study evaluates the effect of different mesoporous materials in the liquisolid compact for the augmentation of dissolution of the drug, and polymorphic stability. **Materials and Methods:** In the liquisolid compact Tween 80 was used as a non-volatile solvent for the dispersion of the drug, microcrystalline cellulose as carrier, and Syloid244FP and SyloidXDP as coating materials at different coating and carrier ratios. Eight such formulations were prepared. The formulated liquisolid compact was evaluated for precompression parameters, followed by direct compression process. The tablets thus prepared were assessed for hardness, friability, wetting time, *in-vitro* dissolution, and stability studies. Physico-chemical characterization was done to study drug excipient interaction, thermal behaviour, and surface characteristics. **Results and Discussion:** The study revealed that an increasing quantity of mesoporous material exhibited a better release profile compared to the pure drug. Good compressibility and tablet ability were observed at a carrier and coating materials ratio of 1:5. Compatibility between the drug and excipients was established from the FTIR study. Noteworthy findings of PXRD and DSC suggested the presence of artemether in metastable β form in the formulations. Short-term stability of the formulations was established. **Conclusion:** Hence, the approach of liquisolid compact using mesoporous silicas at a suitable excipient ratio could be an optimistic approach for the enhancement of dissolution and stability of artemether.

Keywords: Artemether, Lquisolid compact, Solid-state behaviour, Dissolution, Mesoporous silica.

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INTRODUCTION

Artemether is an effective and rapidly acting antimalarial drug used in the first-line treatment of multi-drug-resistant malaria. It is methyl ether derivative of artemisinin. It is enlisted in the WHO list of essential medicines.¹ It has shown its efficacy against the resistant strains of *Plasmodium vivax* and *P. falciparum*.

Artemether belongs to BCS II and shows incomplete absorption and low oral bioavailability due to poor dissolution. It acts by interacting with the ferrous ion or ferriprotoporphyrin IX in the parasitic blood and generates cytotoxic radicals and acts as gametocidal agent. The clinical efficacy of artemether is greatly affected by its poor aqueous solubility which is a major limiting factor.²

Artemether exhibits two polymorphic forms – Alpha(α) and Beta(β). The β form has higher antimalarial activity due to the presence of endoperoxide linkage. But this linkage affects the stability of the molecule and makes it unstable to heat resulting in polymorphic changes.³ Hence only solubility enhancement of artemether may not be beneficial to improve its bioavailability, it also requires preservation of the bioactive polymorphic form of the molecule.

Therefore, the present study proposes the formation of a liquisolid compact to preserve the bioactive form of artemether, and the use of different grades of mesoporous silica as coating material in the compact to increase the dissolution of the drug by improving the specific surface area. Formulation of liquisolid compact is a unique process that uses a non-volatile water-miscible solvent, coating, and carrier material to yield a free-flowing, readily compressible powder mixture of the drug. The non-volatile water-miscible solvent ensures molecular dispersion of the drug and is included as a drug solution in the preparation. Hence, the



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excipient used for liquisolid compact should have high porosity and liquid absorption capacity. Mesoporous silica has been widely used as a coating material in liquisolid formulations for its large specific surface area, great loading ability, good flow, and tableting properties with nontoxic and inert characteristics.⁴

Hence, the present investigation is based on the use of ordered mesoporous silica as adsorbent material for liquisolid compact of the model drug for the study, artemether, with an aim to achieve polymorphic retention and observe the effect on the dissolution profile of the drug.

MATERIALS AND METHODS

Artemether was procured from Strides Pvt. Ltd., Bangalore. Syloid 244FP was gifted from Grace Pharmaceuticals, Mumbai. Syloid XDP was obtained as a gift sample from Syngene, Bangalore. Rest all the analytical grade chemicals were purchased from SD Fine Chemicals, Bangalore.

Preformulation studies in liquisolid compacts of artemether

Solubility studies

The solubility studies of artemether in different non-volatile solvents like, PEG 400, PEG 600, tween 20, tween 80, propylene glycol, and glycerine were estimated. Excess of drug was added in the non-aqueous vehicle kept on a mechanical shaker for 24 h at room temperature.⁵ A specific volume was withdrawn and diluted suitably in a mixture of 1N hydrochloric acid and water at a ratio of 1:3 and was estimated spectrophotometrically at 248 nm.⁶ The process was carried out in triplicate.

Fourier Transform Infrared Spectroscopic Studies (FTIR)

The sample was sprinkled on a zinc solenoid crystal plate of Bruker ATR alpha instrument, the samples were scanned in region of 4000-400cm⁻¹ and the spectra were recorded. The experimentation was carried out at 25.0 ± 0.5°C.⁷ FTIR was performed for the pure drug and mixture of drug and mesoporous silica (Syloid 244FP and Syloid XDP) to detect any sign of interaction.

Estimation of liquid load factor for carrier and coating materials

Liquid-retention potential (Φ-value) is termed as the capability of a powder material to hold maximum amount of liquid per unit weight with the perseverance of its flow properties.⁸

An increasing volume (0.25 mL) of non-volatile solvent was added to a certain amount of carrier or coating material separately and thoroughly mixed in glass mortar. The amount of liquid that has been retained by the powder material with acceptable flow property was noted by measuring the angle of slide.

The following equation was used to determine the corresponding Φ-value

$$\Phi \text{ value} = \frac{\text{Weight of liquid}}{\text{Weight of Carrier}}$$

The liquid Load Factor (Lf) of the compact is obtained from the following equation, where Φ_{ca} and Φ_{co} represents liquid retention potential of carrier and coating material respectively and R represents excipient ratio.

$$Lf = \Phi_{ca} + \Phi_{co}(1/R)$$

The excipient ratio (R) represents the ratio of the weight of the carrier (Q) and the coating (q) material.^{9,10}

$$R = \frac{Q}{q}$$

Method of preparation of liquisolid compact

To prepare the liquisolid compact, a concentration of 8%w/v of artemether was dissolved in Tween 80. Microcrystalline cellulose (MCC) was used as carrier and whereas Syloid 244FP and Syloid XDP were used as a coating material. The estimation of the liquid loading factor was considered in the identification of the excipient ratio and the excipients were varied accordingly to maintain a constant tablet weight (250 mg) for all the experimental trials. The excipient ratio was varied from 2.5 to 15 and 8 such formulations were prepared as per Table 1, where the Syloid 244FP and Syloid XDP combinations are referred as LSCSYL and LSCXDP respectively and the different excipients ratio is indicated with a number between 1 to 4. Croscarmellose sodium was used as super disintegrant at a concentration of 2.5% w/w and magnesium stearate was used as lubricant at a concentration 1% w/w for all the formulations and the weight of the tablet was maintained at 250 mg. Excipients were taken into sufficient amount to punch 40 tablets for each coded formulation. The solution of drug in tween 80 was blended with the excipients in the mortar and pestle. The mixture was evaluated for flowability and was compressed into tablet using tablet punching machine (Rimek Mini res, Gujarat, India).¹⁰

Evaluation of Liquisolid compact

Precompression evaluation of liquisolid compact of artemether

Pre-compression studies were determined for the prepared liquisolid compact by estimation of the following parameters and each experimentation was carried out in triplicate.

Bulk density

Bulk density of powder is the ratio of the mass of untapped powder sample to its bulk volume of the powder¹¹ and is calculated by the following formula,

$$\text{Bulk density} = \frac{\text{Weight of powder}}{\text{Bulk volume}}$$

Table 1: Preparation of liquisolid compact with syloid 244FP and syloid XDP as coating material.

Formulation code	LSCSYL1	LSCSYL2	LSCSYL3	LSCSYL4	LSCXDP1	LSCXDP2	LSCXDP3	LSCXDP4
Artemether (mg)	80	80	80	80	80	80	80	80
MCC (mg)	151.17	146.59	134.3	115.17	151.17	146.59	134.3	115.17
Syloid 244FP (mg)	10.08	14.66	26.95	46.08	-	-	-	-
Syloid XDP (mg)	-	-	-	-	10.08	14.66	26.95	46.08
Excipient ratio	15	10	5	2.5	15	10	5	2.5
Loading factor (Lf)	0.011	0.013	0.020	0.034	0.009	0.010	0.014	0.021
Croscarmellose Na (mg)	6.25	6.25	6.25	6.25	6.25	6.25	6.25	6.25
Mg stearate (mg)	2.5	2.5	2.5	2.5	2.5	2.5	2.5	2.5
Total weight (mg)	250	250	250	250	250	250	250	250

Tapped density

The tapped density is obtained by mechanically tapping 100 times of a specific weight of sample in a graduated measuring cylinder in the bulk density apparatus.

The tapped density is expressed in grams per millilitres (g/mL) and calculated using the following formula and measured in the tap density apparatus (Tap density tester (USP), Electrolab),¹²

$$\text{Tapped density} = \frac{\text{Weight of powder}}{\text{Tapped volume}}$$

Angle of repose

Fixed funnel method was used to determine the angle of repose (θ) of the powder admixture.¹³ The powder was allowed to flow through a funnel to form a conical pile, mean radius and height of the pile were measured and the angle of repose was computed from the following equation:

$$\text{Angle of repose } \tan \theta = h/r$$

h = height of the pile

r = radius of the pile

Hausner's Ratio and Compressibility index or Carr's index (%)

Hausner's ratio and Carr's index were calculated as follows:¹⁴

$$\text{Hausner's Ratio} = \frac{\text{Tapped density}}{\text{Bulk density}}$$

$$\text{Carr's index (\%)} = \frac{[(\text{Tapped density} - \text{Bulk density}) \times 100]}{\text{Tapped density}}$$

Post compression evaluation of liquisolid compact of artemether

Post-compression studies were determined for the prepared tablets by performing the following estimations.

Weight variation

Twenty tablets were selected randomly, collective and individual weight were estimated. Average weight was calculated. The % weight variation was determined as follows.¹⁵

$$\% \text{ Weight variation} = \frac{\text{Average weight} - \text{weight of each tablet}}{\text{Average weight}} \times 100$$

Hardness

Monsanto hardness tester was used to perform this test. From each formulation six tablets were selected randomly for the test and the average hardness was calculated and expressed in kg/cm².¹⁶

Friability

Roche friabilator was employed to assess the tablet strength during transport. From each formulation 20 tablets were selected randomly, and weighed prior experimentation. The tablets were rotated for 100 rotations at 25 rpm. Tablets were re-weighed. The % friability was computed.¹⁷

$$\% \text{ Friability} = \frac{\text{Initial weight of tablets} - \text{Final weight of tablets}}{\text{Initial weight of tablets}} \times 100$$

Table 2: Solubility of artemether in various non-aqueous solvent.

Sl. No	Non-volatile solvent	Solubility (mg/mL) \pm SD
1	Tween 20	0.998 \pm 0.04
2	Tween 80	2.610 \pm 0.05
3	PEG 400	0.035 \pm 0.01
4	PEG 600	0.107 \pm 0.15
5	Propylene Glycol	0.037 \pm 0.007
6	Glycerine	0.340 \pm 0.33

*All values are mean \pm SD.

Wetting time

For the estimation of wetting time, a piece of sponge was soaked initially in a small petri dish containing amaranth solution on which the tablet was placed carefully. The time required for the dye solution to colour the entire surface of the tablet was recorded as a wetting time. The study was performed in triplicate.^{18,19}

In-vitro dissolution testing

USP type II apparatus was used for the drug release study. The dissolution was carried out as per the standard of Indian Pharmacopoeia.²⁰ The dissolution media used was 1000 mL of phosphate buffer pH 7.2 containing 2% w/v solution of sodium lauryl sulphate. The basket was set to rotate at 100 rpm for 60 min at $37 \pm 0.5^\circ\text{C}$. At an appropriate intervals sample was withdrawn and replaced with equal volume of fresh buffer solution. The withdrawn samples were diluted with 1N hydrochloric acid and water mixture at a ratio of 1:3 and were analysed at 248 nm by UV-visible spectrophotometer. An average of three trials was considered to quantify the drug release.²¹

A comparative dissolution was carried out with a tablet of pure drug made with diluent MCC, croscarmellose sodium and magnesium stearate.

Dissolution efficiency was calculated for the formulations showing the maximum release using the following equation,²²

$$DE = \frac{AUC_{0-60\text{min}}}{Q_{100,T}} \times 100$$

Statistical analysis

Dunnnett's Multiple comparison test was carried out on the dissolution profile at a significance level $p < 0.05$ to observe the efficacy of the selected formulations (LSCSYL3 and LSCXDP3) on dissolution over the pure drug.

Differential Scanning Calorimetry (DSC)

DSC analysis was performed using Perkin-Elmer- 4000 series. Samples of formulation were placed in aluminium pans. The thermal process under nitrogen purging was carried out at a heating rate of 20°C per minute over a range of 30°C to 300°C .²³

The pure drug and the formulations (LSCSYL3 and LSCXDP3) were subjected for thermal study.

Powder X-ray diffraction (PXRD) analysis

The surface characteristics of the drug and the formulations (LSCSYL3 and LSCXDP3) using Ni filtered Cu K α radiation were studied using Simens D5000 X-ray diffractometer (wavelength 1.540 Å) at 25°C . The scanning was carried out at 2θ range from 2° to 80° and the diffraction patterns were recorded.¹³

Stability study

Stability study of the tablets for the formulations LSCSYL3 and LSCXDP3 was carried out as per ICH Q1A guidelines.²⁴ The 30 tablets of each formulation were placed in an airtight sealed glass container and stored at $40 \pm 2^\circ\text{C}/75 \pm 5\%$ RH for 90 days. The samples were tested after 90 days to observe the effect on friability, hardness, wetting time and drug release study. The data was compared with the fresh batch of the preparations.²⁵

RESULTS AND DISCUSSION

Solubility studies

The solubility studies of artemether in different nonaqueous solvents unveiled the variations in solubility as shown in Table 2. The maximum solubility of drug was observed in tween 80. Hence tween 80 was selected as the non-aqueous vehicle for the preparation of liquisolid compact of artemether.

FTIR

The compatibility study between the drug and the different grades of mesoporous silica were carried out by ATR technique. The IR spectrum of artemether exhibits characteristic peaks at 2914 cm^{-1} (C-H stretching), 1121 cm^{-1} (CO-C bending), 1023 cm^{-1} 1277 cm^{-1} (C-O-C stretching), 1451 cm^{-1} (C-H bending), 3198 cm^{-1} (O-H stretching), 857 cm^{-1} (O-O-C stretching), and 746 cm^{-1} (O-O stretching).

The sustenance of these peaks in the physical mixtures of drug with Syloid 244FP, and Syloid XDP stipulated the absence of interactions between the drug and silicas. Therefore, it can be concluded that the drug and the novel carriers are compatible. The FTIR results are shown in Figure 1.

Liquid load factor for carrier and coating materials

The Φ_{CA} -value (carrier) and Φ_{CO} -value (coating material) quantified the amount of carrier and coating materials respectively required to adsorb a given amount of liquid medication to yield a dry, free flowing and compressible powder admixture.

The Φ value and angle of slide of MCC, syloid 244FP and syloid XDP in Tween 80 were listed in Table 3. The efficacy of mesoporous silicas to hold liquified drug in their porous network structure and exhibit good flow characteristic were established

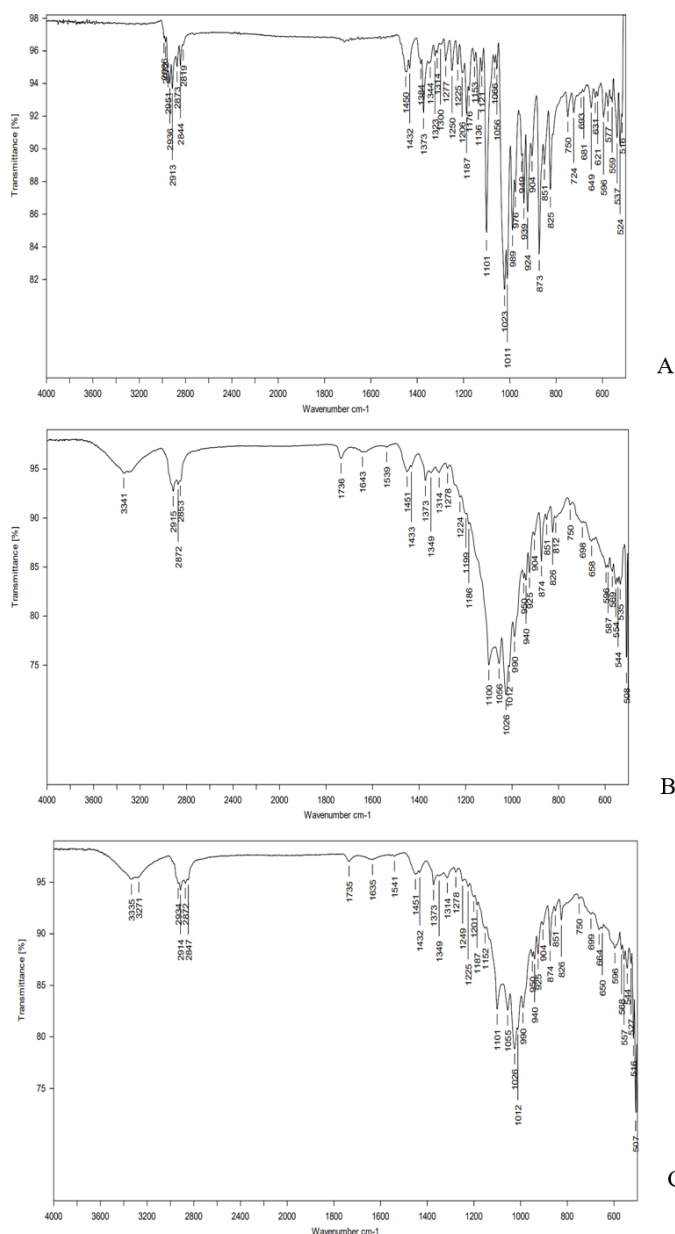


Figure 1: FTIR Spectrum of Pure drug (A), Pure drug with Syloid244FP (B) and Pure drug with Syloid XDP(C).

and proved the suitability as coating materials in the preparation of liquisolid compact.

Evaluation of liquisolid compact

Precompression evaluation

The bulk density of the compacts with syloid 244FP was varied in the range of 0.253 gm/mL to 0.388 gm/mL and tapped density was varied in the range of 0.314 gm/mL to 0.411 gm/mL as presented in Table 4.

Bulk density of the powder blends with syloid XDP was in the range of 0.301 gm/mL to 0.327 gm/mL and tapped density was in the range of 0.351 gm/mL to 0.423 gm/mL.

The angle of repose of all the formulations revealed a good flow characteristic of the compact.

It was observed that as the proportion of silica was increased, the flow ability of the compact was increased, whereas a fall in compressibility (Carr's index) of the compact was observed. The formulations LSCSYL3 and LSCXDP3 showed comparatively good flow characters and compressibility compared to other formulations as presented in Table 4.

Post compression evaluation

The different formulations of liquisolid compact were compressed into tablets and subjected to post compression studies, as shown in Table 5. It was observed that hardness and friability of the tablets were markedly affected by the increment of mesoporous silica in the formulations. At an excipient ratio of 2.5 the formulations (LSCSYL4 and LSCXDP4) were found to be more friable and lack of storage and transportability. Hence LSCSYL4 and LSCXDP4 were not subjected for further evaluation.

The wetting time of the tablets were reduced as the proportion of silica was increased. The wicking effect of mesoporous silica is responsible for water permeation through its highly porous network structure, thereby resulted in fast wetting and rapid dissolution of artemether from its liquisolid compact.

The weight variation of each formulation was measured. The average weight variation of each formulation was found to be within the agreement of Pharmacopeial specifications.²⁶

In vitro dissolution studies

The drug release study revealed that the liquisolid compact of artemether exhibited higher drug release compare to the pure drug. The dissolution graphs (Figure 2) represented that among all other different formulations, LSCSYL3 and LSCXDP3 showed 80% and 75% release in 60 min. A drastic improvement in drug release was observed in the formulations compared to the pure drug which was observed from the calculated values of dissolution efficiencies. The improvement on dissolution of LSCSYL3 and LSCXDP3 was found to be 5 times and 4 times respectively over the pure drug as shown in Table 6. The high dissolution of the formulation might be due to the wicking effect of the mesoporous silica to absorb water, and enhancement of the specific surface area. The molecular dispersion of drug in tween 80 also resulted in high wetting of the drug and hence remarkable improvement in dissolution.

Statistical analysis

The Dunnett's multiple comparison test on dissolution profile also Revealed the remarkable improvement in solubility of artemether in its liquisolid compact form for the formulations LSCSYL3 and LSCXDP3 over the pure drug as shown in Table 7.

Table 3: Liquid load factor for carrier and coating material.

Carrier/Coating	Φ value		Angle of slide
	ΦCA	ΦCO	
MCC	0.0064±0.01	-	35±0.51
Syloid 244FP	-	0.068±0.05	23±0.11
Syloid XDP	-	0.037±0.01	24±0.32

*All values are mean± SD.

Table 4: Precompression evaluation of powder compact with syloid 244FP and syloid XDP.

Formulation code	Bulk density(g/mL)	Tapped density(g/mL)	Hausner's ratio	Carr's index	Angle of repose
LSCSYL1	0.253 ± 0.6	0.314 ± 0.2	1.24	19.42	32.34 ± 0.6
LSCSYL2	0.290 ± 0.2	0.367 ± 0.5	1.26	20.98	31.50 ± 0.9
LSCSYL3	0.388 ± 0.2	0.441 ± 0.2	1.13	12.01	26.63 ± 0.5
LSCSYL4	0.237 ± 0.5	0.316 ± 0.3	1.33	25	24± 0.5
LSCXDP1	0.327 ± 0.01	0.423 ± 0.01	1.29	22.6	31.14 ± 0.5
LSCXDP2	0.321 ± 0.01	0.378 ± 0.01	1.17	15.07	28.21 ± 0.6
LSCXDP3	0.301 ± 0.009	0.351 ± 0.01	1.16	14.2	25.79 ± 0.7
LSCXDP4	0.321 ± 0.02	0.435 ± 0.02	1.35	26.2	23.12 ± 0.2

*All values are mean± SD.

Table 5: Post compression evaluation of tablets prepared with syloid 244FP and syloid XDP.

Formulation code	Weight (mg)	Hardness(kg/cm ²)	Friability (%)	Wetting time (min)
LSCSYL1	250.5±0.05	3 ± 0.6	0.2 ± 0.6	14 ± 0.7
LSCSYL2	249±0.03	3.6 ± 0.5	0.15± 0.7	10 ± 0.5
LSCSYL3	249.5±0.15	3.5 ± 0.2	0.3 ± 0.3	8 ± 0.4
LSCSYL4	250.1±0.23	2 ± 0.3	1.5 ± 0.2	5 ± 0.5
LSCXDP1	248±0.05	3 ± 0.3	0.4 ± 0.3	12 ± 0.2
LSCXDP2	249.2±0.3	3.5 ± 0.2	0.3± 0.4	9 ± 0.1
LSCXDP3	249.6±0.05	3.5 ± 0.2	0.5 ± 0.3	6 ± 0.2
LSCXDP4	250.34±0.65	2 ± 0.3	1.3 ± 0.4	2 ± 0.1

*All values are mean± SD.

Table 6: Determination of dissolution efficiency on dissolution over the pure drug.

Formulation code	Dissolution efficiency
LYCSYL3	55.25
LSCXDP3	44.14
Pure drug	11.48

Table 7: Dunnett's Multiple Comparison Test.

Comparison Test	Mean Diff.	q	Significant? $p < 0.05?$	Summary
Pure drug vs LSCSYL3	-41.77	3.849	Yes	**
Pure drug vs LSCXDP3	-32.52	2.997	Yes	*

Mean diff.: Mean difference; q: difference between two means divided by standard error

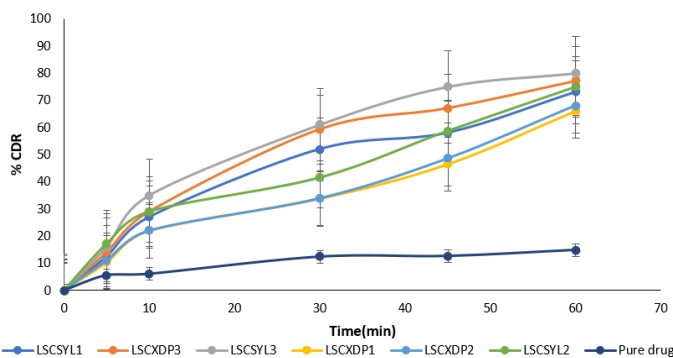


Figure 2: % Cumulative drug release of compact with syloid 244FP, syloid XDP and pure drug.

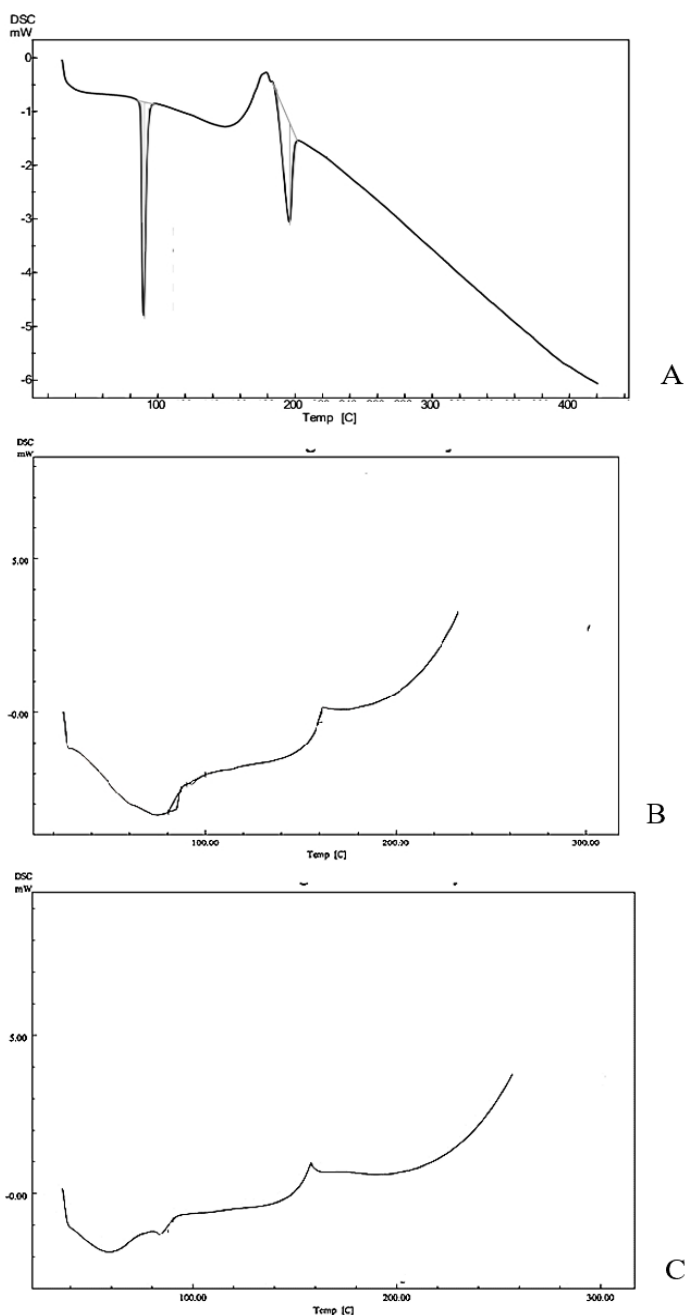


Figure 3: DSC Thermograms of Pure drug (A), LSCSYL3 (B), and LSCXDP3 (C).

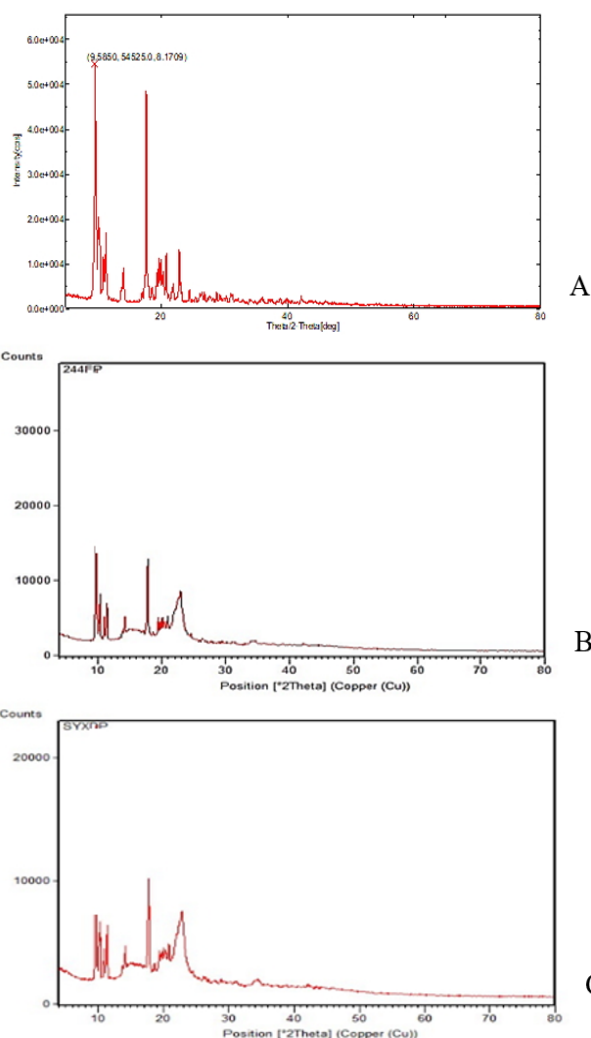


Figure 4: PXRD of Pure drug (A), LSCSYL3 (B), and LSCXDP3 (C).

DSC

The pure drug showed a broad endothermic peak at 86°C corresponding to the melting point of the beta(β) polymorph.²⁷ The peak area of the drug is drastically reduced in the formulations (LSCSYL3 and LSCXDP3) as shown in Figure 3, absence of sharp peak of the drug indicated complete amorphization due to molecular dispersion of the drug in the non-volatile solvent (Tween 80) and adsorption onto the powder admixture. This might be responsible for high dissolution of the drug. These observations further indicated the retention of the drug in β-polymorphic form and resistance of transition to other polymorphic forms during storage, hence ensures the stability of the β form of artemether in the formulation.

PXRD

The XRD patterns of artemether showed high intensity peaks at 2θ diffraction angle at 9°, 10°, 11°, 17°, 22°, 25° etc confirming the crystallinity of β artemether. The peak intensity was markedly reduced for the formulations (LSCSYL3 and LSCXDP3) at same diffraction angles revealing the conversion of crystalline to

Table 8: Stability studies of LSCSYL3 and LSCXDP3.

Sample	Hardness (kg/cm ²)	Friability (%)	Wetting time (min)	Dissolution (%)
Freshly prepared LSCSYL3	3.5 ± 0.2	0.3 ± 0.3	8 ± 0.4	80±2.38
LSCSYL3 after 3 months	3.45 ± 0.32	0.312 ± 0.15	8.3 ± 0.54	80.53±3.81
Freshly prepared LSCXDP3	3.5 ± 0.2	0.5 ± 0.3	6 ± 0.2	75.4±4.10
LSCXDP3 after 3 months	3.35 ± 0.52	0.45 ± 0.26	5.7 ± 0.25	74.9±3.03

*All values are mean± SD.

amorphous form (Figure 4). The amorphization of the drug was further confirmed with the enhancement in dissolution of the drug.

Stability studies

The samples after short term stability studies have revealed that the tablets were capable to meet their integrity, not much variations were observed in friability, hardness, wetting time and dissolution as presented in Table 8.

The stability study indicated that the formulations could retain their properties at the storage conditions, no major changes in the wetting time, friability and hardness stipulated that moisture absorption was minimum during storage, and the drug release was not affected. Hence the formulations LSCSYL3 and LSCXDP3 can be a promising composition for polymorphic stability and improvement of dissolution behaviour of artemether.

CONCLUSION

The present research is focused on improving the solid-state stability and dissolution of an antimalarial drug, artemether by employing liquisolid compact technique using mesoporous silica as novel coating material. The perseverance of the metastable form of artemether (β form) was achieved through molecular dispersion of drug in Tween 80 followed by adsorption into a carrier and porous coating material. Both the coating materials syloid 244FP and syloid XDP were found to be effective in improving the dissolution of the drug. Tableting property was found to be best in the formulations LSCSYL3 and LSCXDP3 at a carrier to coating ratio 5. The DSC and PXRD study demonstrated the conservation of the preferred polymorphic form in the amorphous state. The short-term stability study also manifested the safeguarding of the formulation characteristics and the firmness of both the varieties of mesoporous silica in the formulations. Hence it can be concluded that the liquisolid compact of artemether using tween 80 as non-volatile solvent and mesoporous silicas as coating material can be an optimistic way to preserve the solid-state behaviour of the β polymorphic form and enhance the dissolution of the drug.

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CONFLICT OF INTEREST

The authors declare that there is no conflict of interest.

ABBREVIATIONS

BCS: Biopharmaceutics Classification System; **DSC:** Differential scanning calorimetry; **FTIR:** Fourier-transform infrared spectroscopy; **ICH:** International Council for Harmonisation of Technical Requirements for Pharmaceuticals for Human Use; **PXRD:** Powder X-ray diffraction analysis; **PEG:** Polyethylene glycol; **SD:** Standard Deviation; **RH:** Relative Humidity; **WHO:** World health organization.

SUMMARY

The liquisolid compact of artemether was prepared with a novel mesoporous material with an aim to improve the solubility and retention of bioactive polymorphic form of the drug. Syloid 244FP and Syloid XDP were established as a good coating material. The surface characteristics study, dissolution, and short-term stability study revealed the preservation of the β polymorphic form of artemether and enhancement of the dissolution of the drug.

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