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Development and characterization of hot-melt extruded ocular inserts of moxifloxacin for bacterial keratitis

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PURPOSE
• Bacteria are the major contributors to ocular infections worldwide. Bacterial infections, like keratitis and endophthalmitis, if left untreated, can lead to visual impairments and blindness.
• Ophthalmic preparations of fluoroquinolone antibiotics are used frequently for the treatment of patients with ocular bacterial infections due to their broad spectrum of activity.
• Most of these are administered as eye drops with poor bioavailability due to pre-ocular losses leading to frequent dosing.
• Ocular inserts are promising as they enhance retention and permeation of drugs at the ocular site, in addition to providing a prolonged drug release platform.
• Moxifloxacin (MOX) is a commonly prescribed fluoroquinolone antibiotic. It is frequently used off-label for the treatment of bacterial keratitis (BK) and endophthalmitis.
• Hence, ophthalmic inserts, prepared using hot-melt extrusion (HME), were evaluated as a potential alternative dosage form for the delivery of MOX.

RESULTS
• From the preliminary screening FS and PG were chosen as the independent factors for the design while drug load was kept constant 5% and PEO made up the remaining amount.
• On the other hand, PG has relatively milder impact on the release and shows quadratic behavior i.e., as PG is increased, the % of MOX released decreases initially, but after a certain threshold, a faster release was observed.
• There is a significant interaction between FS and PG as the amount of PG is increased (Fig. 4).

OBJECTIVE
The current study sought to develop and optimize sustained release MOX loaded ophthalmic inserts using Central Composite Design (CCD)

METHODS
• Drug-Polymer compatibility studies: The DSC profiles of the pure MOX, polymers (Polyethylene oxide (PEO) and Eudragit™ FS-100 (FS)) and the extruded formulation were obtained using a DSC 25, TA. The samples were exposed to a temperature range of 25-200°C with a ramp of 10°C/min.
• Preparation of MOX inserts using HME: The polymers, plasticizer and drug were mixed in a mortar and pestle which was then fed into the 6-mm counter-rotating mini extruder. All the formulations were extruded at a temperature of 90°C and screw speed of 50 rpm.
• Study design: Two factors at three levels CCD were applied with 13 experimental runs. The amounts of Eudragit™ FS-100 and propylene glycol (PG) were chosen as the independent variables. Cumulative percent release at 2h an 6h were chosen as the dependent variables.
• Characterization: (1) Drug Content: Three sections from each formulation were randomly cut and weighed using an electronic balance. Thereafter, they were dissolved in a 1:1 ratio of methanol and DMSO, followed by sonication for 20 min to dissolve the drug.
• Release study: 8 mg of the films in 10 ml of phosphate buffered saline at 37°C/2% ethanol/saline were used for the study. All the formulations were prepared and stored in the refrigerator at 4°C. At 4°C a stability study was performed.
• Microscopic study: Prepared formulations were evaluated for their content uniformity and integrity.

CONCLUSIONS
• MOX loaded inserts were prepared using the HME method and optimized using CCD.
• Amount of Eudragit™FS-100 and PG together have a significant effect on the film performance.
• Optimized MOX insert was found to be stable up to 30 days.
• Optimized insert was found to exhibit controlled release up to 24 hours, indicating that MOX inserts could be a potential alternative in the management of BK.

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