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## Preparation and *in-vitro/in-vivo* evaluation of Metformin hydrochloride rectal dosage form

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**Background & Aims:** Metformin hydrochloride (MtHcl) is an oral antidiabetic drug and has been used successfully to treat polycystic ovary and overweight patients. It has poor bioavailability, narrow absorption window and is extensively metabolized in the liver. Children and elders may face difficulty to swallow the commercial oral tablets. The rectal administration may solve some of drug problems and improve patient compliance.

**Methodology:** Suppository fatty bases (Witepsol<sup>®</sup>, Suppocire<sup>®</sup> and Massa<sup>®</sup>; different grades) and polyethylene glycol bases (PEG) 1000, 4000 and 6000 (different ratios), were used to prepare rectal suppositories each containing 500 mg MtHcl by fusion method. The formulations were characterized for mechanical strength, melting time, penetration time, content uniformity, and *in-vitro* drug release. Based on the results, 3 formulations containing Witepsol H12 (F1), Suppocire AP (F2) and Massa Estranium B (F3) were chosen for bioavailability testing in human volunteers using the commercial oral tablets (500mg) as a reference (Ref).

**Results:** The preparation method applied, produced suppositories elegant in shape and free of physical deformities. The fatty bases were superior compared with PEG bases. The average melting time for F1-F3 was 8 min, the drug content uniformity ranged between 95-105%, the mechanical strength ranged between 7-8 kg/cm, the penetration time ranged between 6-8 min and the *in-vitro* drug release was more than 90% in the first hour of dissolution time. The *in-vivo* results were: Tmax 0.25, 0.5, 0.25, 3 hr; C max 7254.11, 8319.19, 8423.36, 1125.01 µg/ml for F1, F2, F3 and Ref respectively. The percent relative bioavailability for F1, F2 and F3 against the Ref. were 76.65, 100.95 and 99.01 respectively.

**Conclusions:** The results indicated that MtHcl rectal suppositories were successfully prepared and characterized and the fatty bases showed better characteristics compared with the PEG bases. F2 and F3 showed comparable bioavailability with the commercial tablets. MtHcl formulated in fatty suppository bases could be a potential alternative to the commercial oral tablets particularly for pediatric and elderly patients.

### Biography

Abdelazim Zaghoul is an Associate Professor of Pharmaceutics at Faculty of Pharmacy, Kuwait University. He earned his BSc of Pharmacy from Alexandria University and his MSc and PhD degrees in Pharmaceutics from Al-Azhar University, Egypt. From 1999-2003, he worked as a Post-doctoral Research Fellow at School of Pharmacy, Texas Tech University. He has published more than 30 articles in peer-reviewed international journals and presented more than 50 podium and poster presentations to national and international meetings. His main research interest focus on drug delivery, design and development of various dosage forms, physicochemical characterization and evaluation of critical process and formulation of variables by optimization of procedures and neural networks.

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