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Buccal drug formulation: Pharmacokinetics of verapamil and its metabolite norverapamil

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Pharmacokinetics of verapamil (V) and its metabolite norverapamil (NV), from buccal drug formulation (BDF) administered in a dose 20 mg in relation to conventional tablets of V 40 mg, used in medical practice, was determined. The employed BDF have a form of a thin elastic disc made of two layers. Composition of the dosing layer is: V 0.02 g; Povidone K-30 0.076 g; glycerol 0.0173 g; polyoxyethylene alkyl ethers (Brij 96) 0.0199. Diameter of the form is 10 mm; thickness is 0.38 mm. Composition of the protective layer is: Povidone K-30 0.152 g; glycerol 0.035 g. Diameter is 12.5 mm, thickness 0.5 mm. Conventional tablets Staveran 40 mg (Polpharma S.A., Starogard Gdański, Poland) were used as the reference drug. BDF has previously been designed as an alternative form of dosing V. Bioavailability was determined by a crossover method in 12 healthy volunteers. Drug concentration in plasma was determined by means of HPLC with a fluorescence detector. For BDF the average values of Cmax and AUC0-24h for V were much higher than for the reference Staveran 40 mg tablets and amounted to 51.28 and 320.23 ng/ml h, respectively. However, for NV the corresponding values for BDF were much lower than for a conventional tablet. It has been demonstrated that the proposed buccal V dosing ensures different metabolism of the drug as compared to tablets. Better parameters of bioavailability of V from BDF of twice a smaller dose than that in the tablet, prove that this new drug might be form more effective clinically than the conventional one. The above data indicate that V released from a BDF to buccal mucosa is quickly absorbed into the blood stream and undergoes metabolism in the liver to only a small extent. More favourable bioavailability parameters of V from a BDF compared to standard Staveran tablets containing twice the dose of drug is clear evidence that the buccal delivery from the system designed in our laboratory is promising.

Biography

Wiesław Sawicki completed his PhD and DSc from Faculty of Pharmacy, Medical University of Gdańsk (Poland). He is the Head of the Department of Physical Chemistry, Dean (2008-2016) of the Faculty of Pharmacy, Medical University of Gdańsk and Member of several scientific and professional bodies. He is the Reviewer of ca. 200 manuscripts of publications and research projects- pharmaceutical technology - tablets, pellets, therapetic systems and physical pharmacy.

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