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The relation between molecular properties of drugs and their transport across the intestinal membrane

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Abstract:

The aim of this study was to investigate the relationship between the intestinal absorption of structurally diverse model drugs across the rat intestinal mucosa and their molecular properties. Permeability coefficients for 13 compounds were determined in anaesthetized rats. Drug solution in phosphate buffered saline (PBS) was perfused through the intestinal segment with flow rate of 0.21 ml/min and samples were taken from outlet tubing at different time points up to 90 min. The permeability values ranged from 1.6×10-5 to 2 ×10-4 cm/sec for atenolol and ibuprofen respectively. Molecular properties of drugs including the number of hydrogen bond donors and acceptors, log P, logD, topological polar surface area and number of rotatable bonds were considered. The results indicated that compounds which meet 10 or fewer number of rotatable bonds and topological surface area equal to or less than 140 A◦ have a high probability of good intestinal permeability and fraction of dose which is absorbed in human. Moreover the results indicated that lower number of hydrogen bond counts and higher logD and logP values are associated with higher permeability and bioavailabilty of drugs. Therefore the experimental and computational methods could be used for the prediction of intestinal drug permeability.

Keywords:

Fa ، Rotatable bond ، Hydrogen bond ، LogP

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