

Oral Bioavailability: A Key Concept in Drug Delivery

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It is my privilege to write this editorial for Acta Scientific Pharmacology (AS Pharmacology). The pharmacology, branch of science deals with the use of drugs, the mode of action of drugs in living systems.

Oral drug absorption and bioavailability are important parameters in pharmacology to consider in drug designing for producing therapeutic efficacy for desired pharmacological effect. There are multiple ways of drug administration established for the existing drug where the intravenous method of administration has 100% bioavailability. The most convenient method of administration for most of the clinically approved drugs is an oral route where most of the drugs face challenges with poor pharmacokinetic properties with regard to their bioavailability [1]. The more bioavailability of a molecule makes it a promising and ideal drug-like compound in intervening pathogenesis. As per the European Medical Agency (EMA), oral drug bioavailability is defined as "The rate and extent to which an active moiety is absorbed from a pharmaceutical form and becomes available in the systematic circulation" [2]. Designing drugs with high bioavailability is a challenging task for drug discovery scientists as they are still trying to overcome the absorption and bioavailability issues with new strategies. Having poor bioavailability or absorption of the drug compromises the chances of developing a successful drug. The correction of the loopholes should enhance the rate of efficiency that might be through smart drug delivery systems. Enhancement of oral bioavailability reduces the dose of the drug and the risk of side effects associated with the drug. Overall, the early prediction of the oral bioavailability of drug molecules may enhance the success rate in drug discovery. Molecular properties of drug candidates such as physicochemical factors influence the oral absorption and bioavailability of a

drug. Bioavailability highly depends on physicochemical parameters like molecular structural features, molecular weight, solubility, first-pass effect, and permeability. Increasing the dosage of drugs, changing the pharmaceutical formulation, and changing in the route of drug administration are the conventional methods to increase oral bioavailability. Biochemical and metabolic processes such as intestinal metabolism by digestive enzymes, and first-pass metabolism may limit the bioavailability of drugs. All these disadvantages may be overcome by enhancing the physicochemical and biochemical properties of the drug candidates. Experimental prediction of human oral bioavailability emerged as an expensive and laborious measurement to carry out [3,4]. The conventional strategy like changing the pharmaceutical formulation of drugs can alter the oral absorption and bioavailability of drugs. Prodrug strategy can help to improve the absorption and bioavailability of a drug by triggering the drug metabolism and first-pass effect. The good cellular permeability of drugs can be achieved by working with their lipophilicity and polarity while designing the drug molecules. The addition of suitable surfactants to the drug may enhance the bioavailability by improving its solubility and permeability during drug formulations. Absorption enhancers, salt formation, and drug complexation techniques may also increase the bioavailability of the drug. Apart from employing the conventional methods to identify the drug bioavailability, one of the effective approaches to enhance drug-like properties and check its oral bioavailability is by developing the in silico models using existing bioactive molecules by predicting its pharmacokinetic properties before drug development using QSAR, QSPR methods. This can be done by calculating molecular descriptors for designing new drug candidates which may improve the success rate of the drug development with maximum

human oral absorption and bioavailability during clinical studies [2,4,5]. As a future perspective, we need to understand the drug development process by keenly looking at their physicochemical, biological properties, drug-drug interactions, metabolism to develop advanced methods to improve the bioavailability of drug candidates as part of a successful drug discovery process.

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