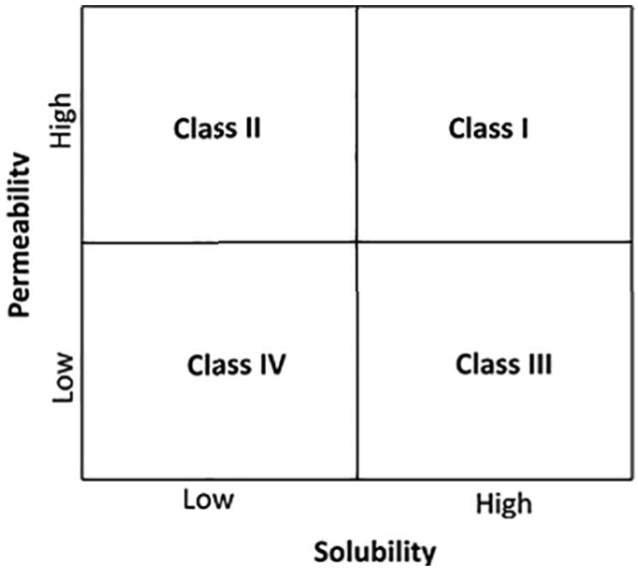
The Biopharmaceutics Classification System (BCS)



Solubility of drugs in biofluids of the gastrointestinal tract (gastric juice, intestinal juice).

Determined in the pH range corresponding to the pH of the biological fluids of the gastrointestinal tract - 1.2 - 6.8 (1.0-7.5).

Carried out by shaking in a thermostated flask (Shake-flask method). Within 24 hours at a constant temperature of 37 ˚ C in triplicate, preferably at pH 1.2; 6.8; 7.4.

Characteristics of biopharmaceutical solubility that allow classifying a drug as a drug with "high solubility" or "low solubility" are Dose/Solubility Ratio (D/S) and the Dose number (D_0)

D/S ≤ 250 ml - "high solubility"

$$D_0 = \frac{M_0}{V_0 \cdot C_{s,\,min}}$$

M_0 – maximum dose of drug, mg

V_0=250 ml

Cs, min – minimum solubility of drugs, mg/ml

$D_0 \leq 1$ – high solubility

$D_0 > 1$ - low solubility

Methods for determining permeability

In vivo method

- determination of bioavailability (absolute bioavailability greater than 85% - high permeability)

- determination of the permeability coefficient by the method of intestinal perfusion (Peff in vivo).

In vitro

- determination of lipophilicity, distribution coefficient in the octanol-water system log P
- determination of permeability on a monolayer of epithelial cells of colon carcinoma Caco-2.

Biopharmaceutics Drug Disposition Classification System (BDDCS)