Biopharmaceutical Classification System

Biopharmaceutical Classification System

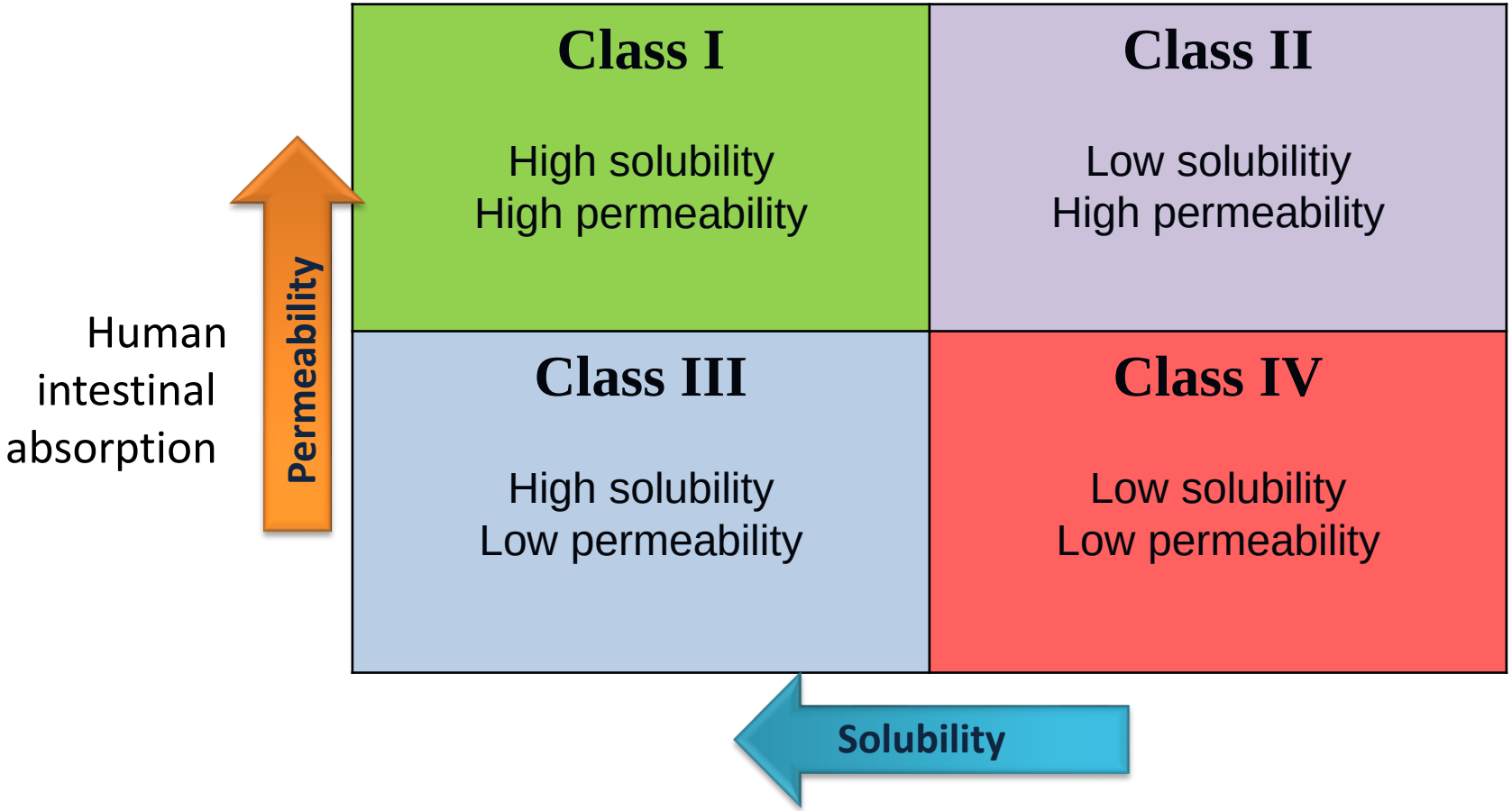
Gordon L. Amidon,

Amidon et al. published the importance of **Biopharmaceutical Clasification System (BCS)** in 1995, which is an important characteristic of the preparation's bioavailability.

According to their suggestion APIs can be categorized into four groups regarding the gastrointestinal absorption by their solubility and permeability characters.

Biopharmaceutical Classification System

APIs can be categorized into four groups according to their solubility and permeability:



Volume of water required to dissolve the highest dose streght across the physilogical pH range (1.2 ; 4.5; 6.8)

BCS Class I

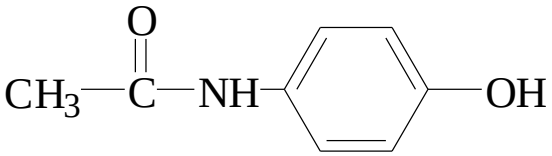
APIs with high solubility and high permeability

API absorbs well (though the metabolism can decrease the bioavailability), the rate controlling step will be the dissolution.

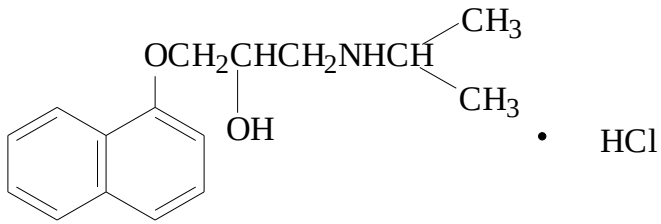
$$k_{diss} << k_{abs}$$

BCS Class I

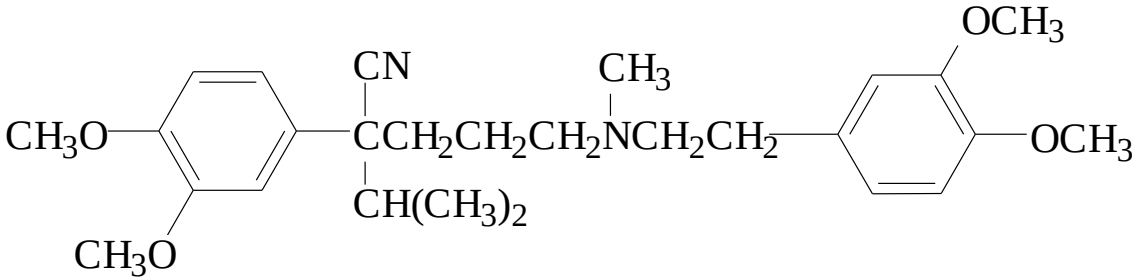
APIs with high solubility and high permeability



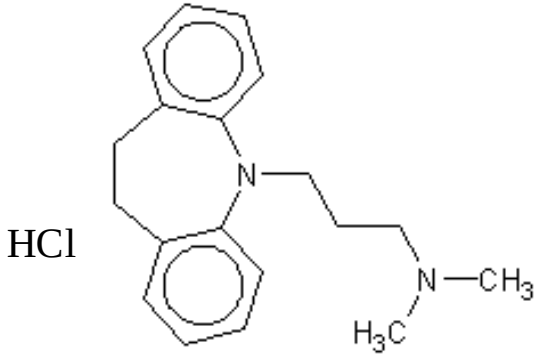
acetaminophen, paracetamol



propranolol



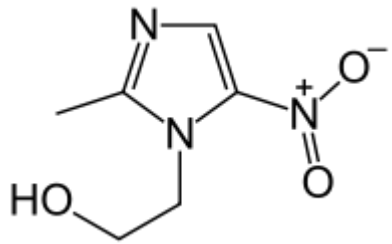
verapamil



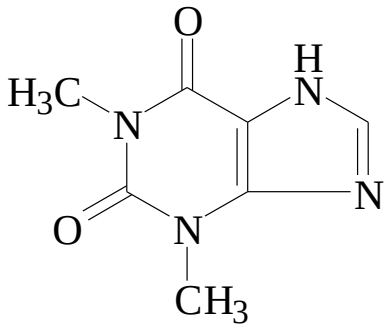
imipramine

BCS Class I

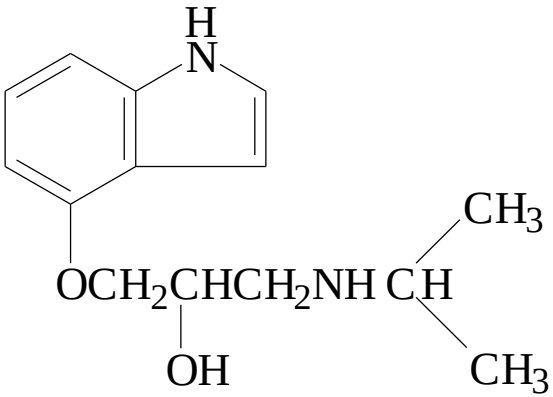
APIs with high solubility and high permeability



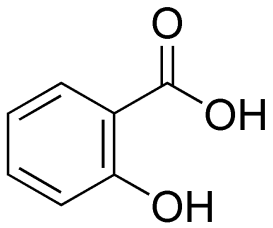
metronidazole



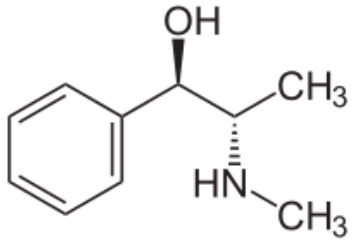
theophylline



pindolol



salicylic acid



ephedrine

BCS Class II

APIs with low solubility and high permeability

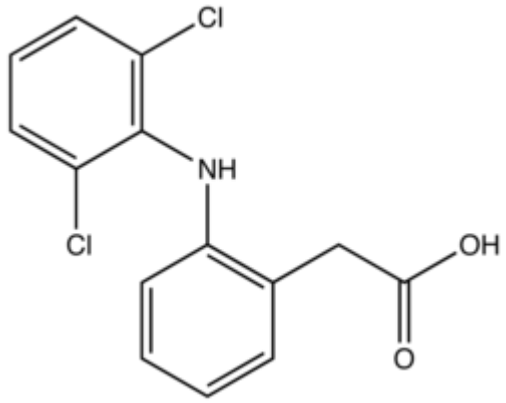
API's absorption is restricted by the dissolution's speed and amount.

Because of the low solubility the absorption occurs at the GI tract's longer regions, the dissolution lasts for a longer period of time and it influences the concentration at the place of absoprtion.

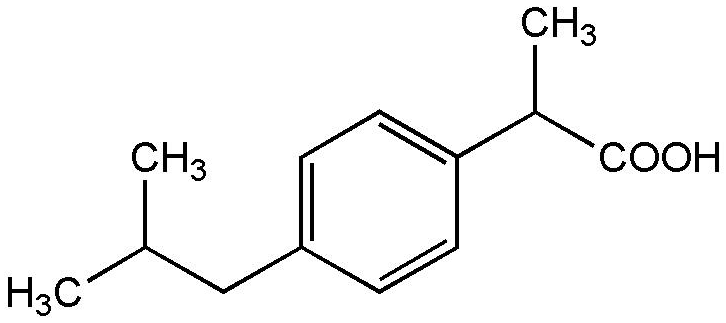
$$k_{diss} \leq k_{abs}$$

BCS Class II

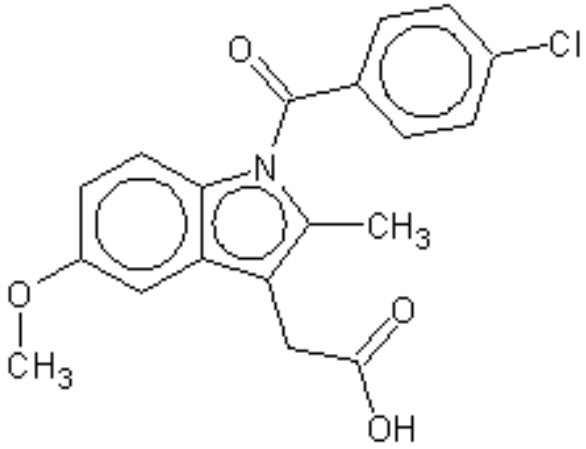
APIs with low solubility and high permeability



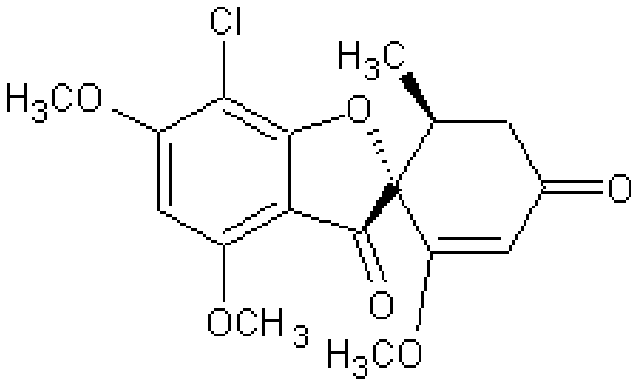
diclofenac



ibuprofen



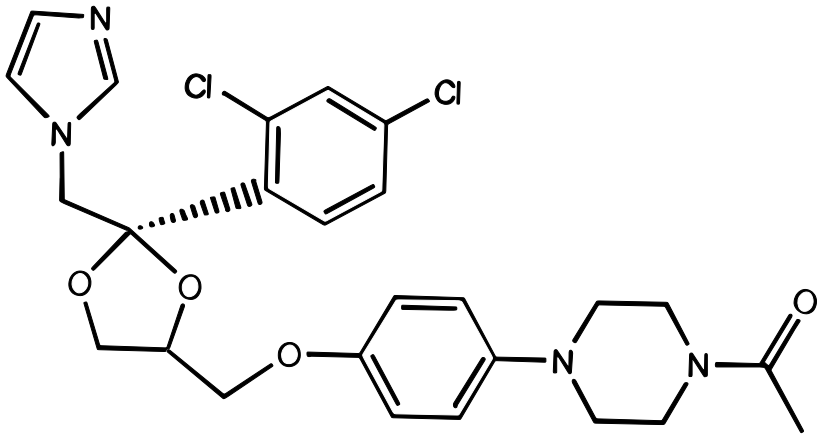
indometacin



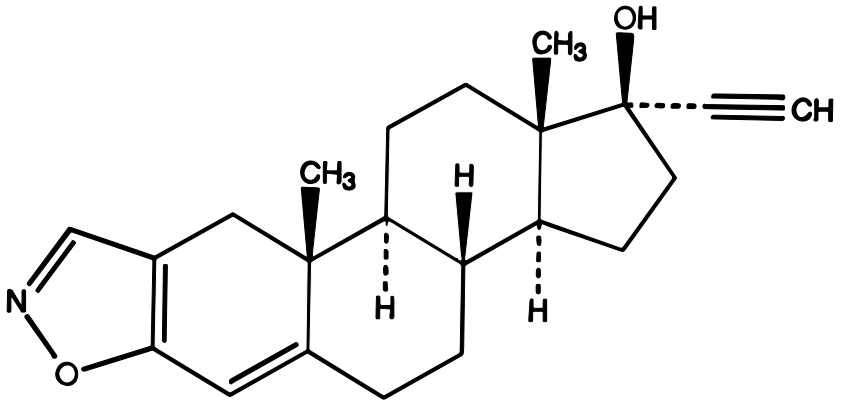
griseofulvine

BCS Class II

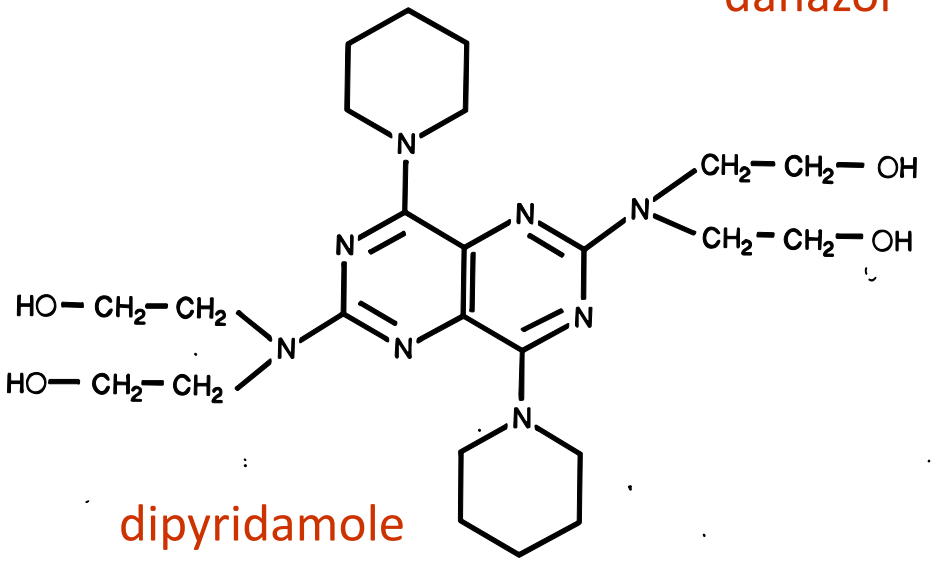
APIs with low solubility and high permeability



ketoconazole



danazol



dipyridamole

BCS Class III

APIs with high solubility and low permeability

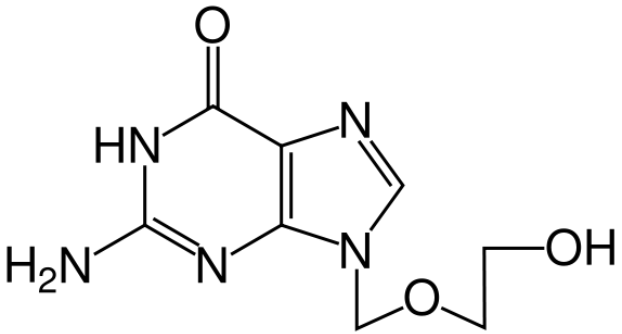
The transfer speed through the membranes is very important in this case.

Long contact time with the mucus is required to increase the absorption (mucoadhesive systems).

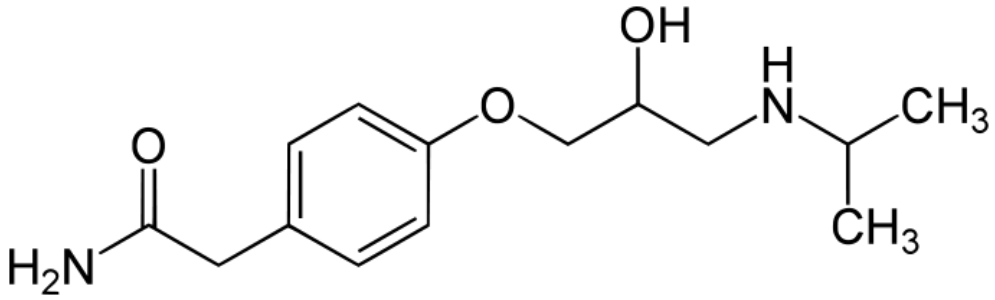
$$k_{diss} >> k_{abs}$$

BCS Class III

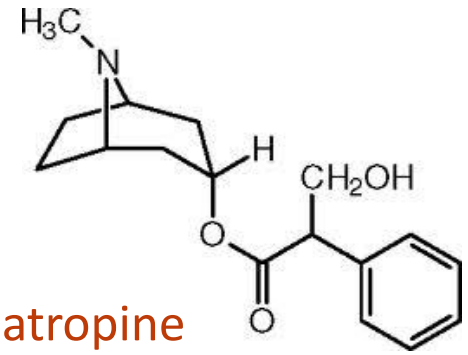
APIs with high solubility and low permeability



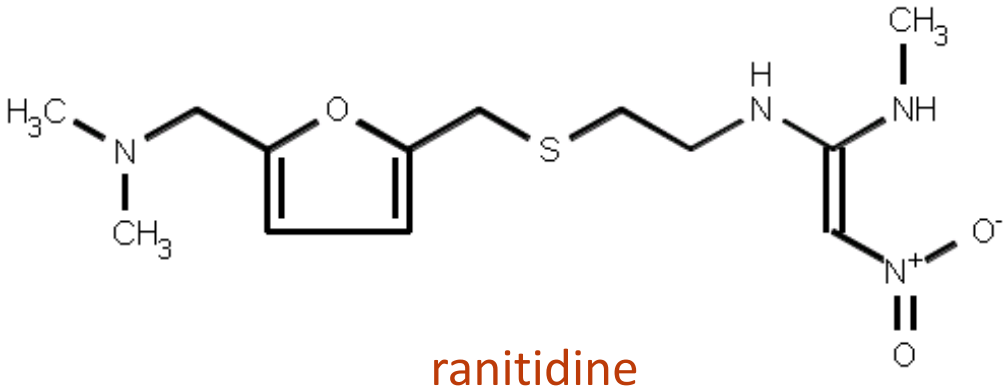
acyclovir



atenolol



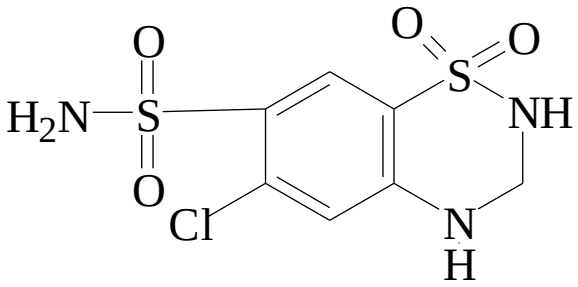
atropine



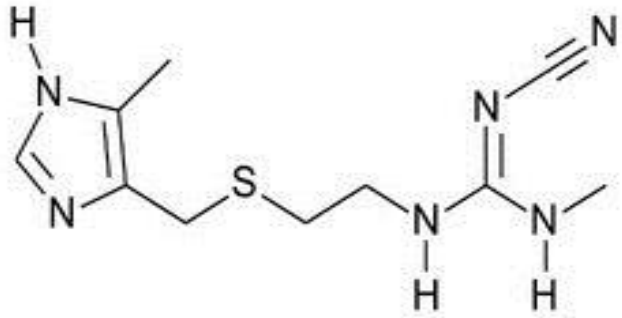
ranitidine

BCS Class III

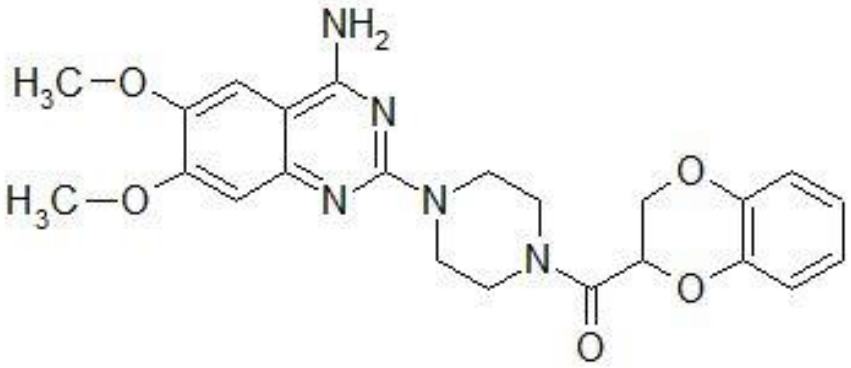
APIs with high solubility and low permeability



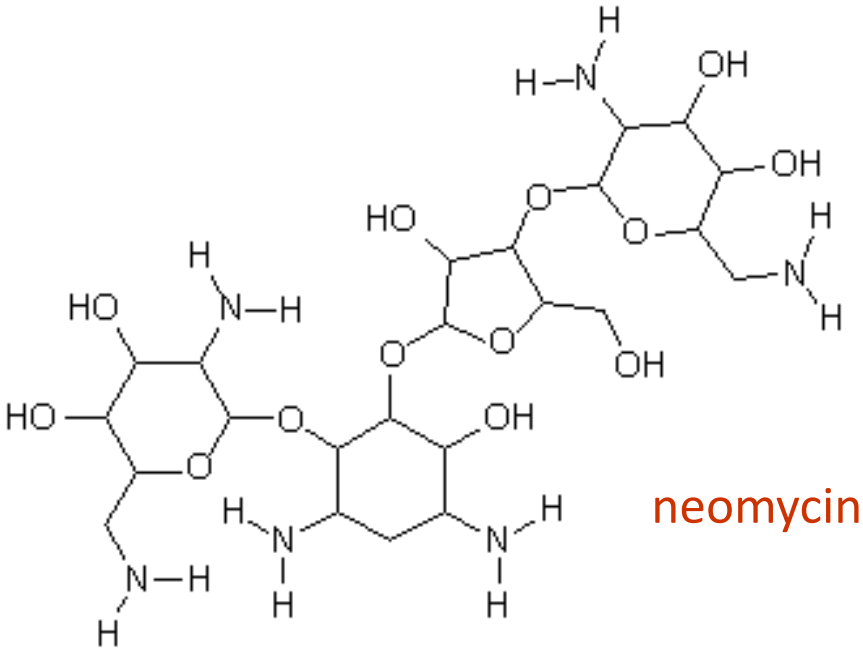
hydrochlorothiazide



cimetidine



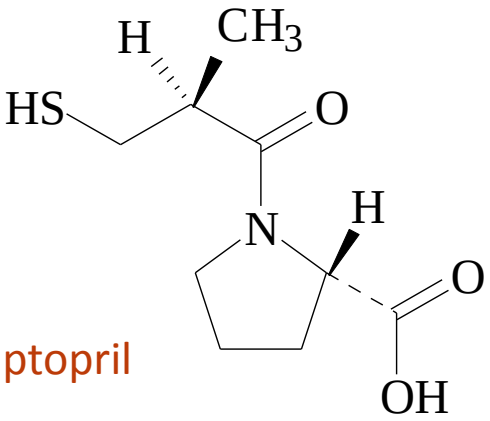
doxazosin



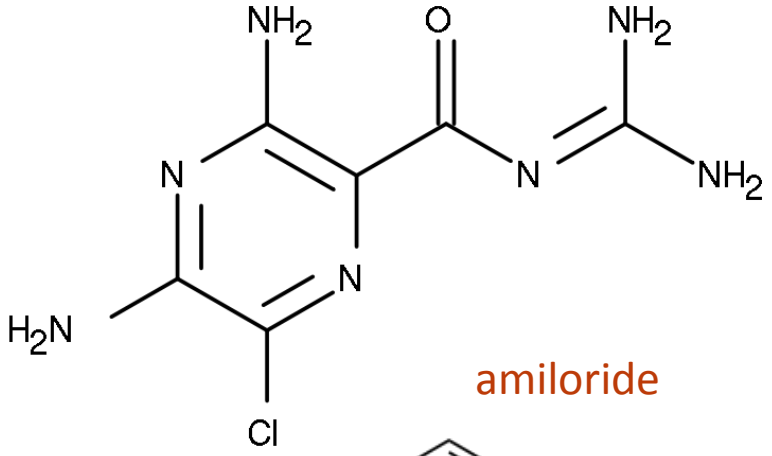
neomycin

BCS Class III

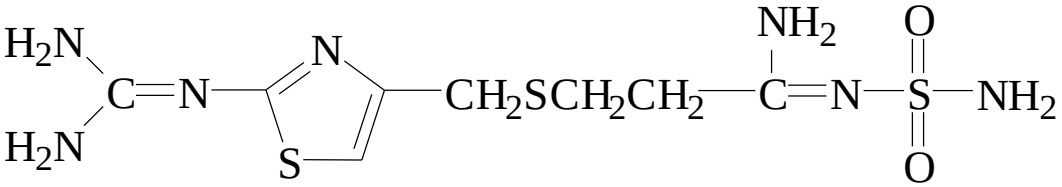
APIs with high solubility and low permeability



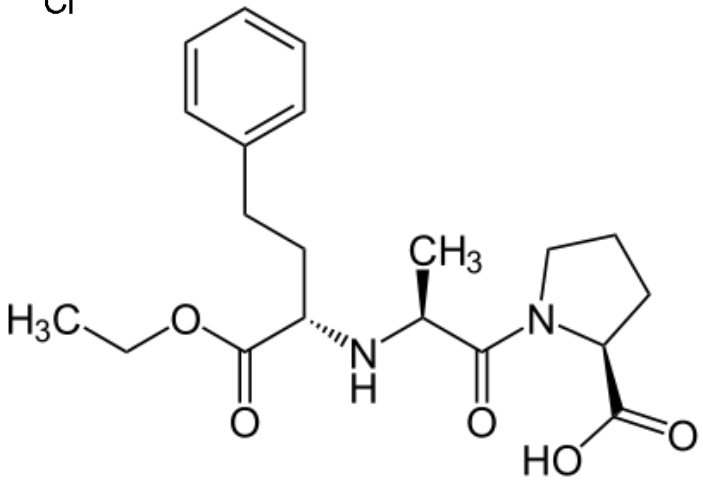
captopril



amiloride



famotidine



enalapril

BCS Class IV

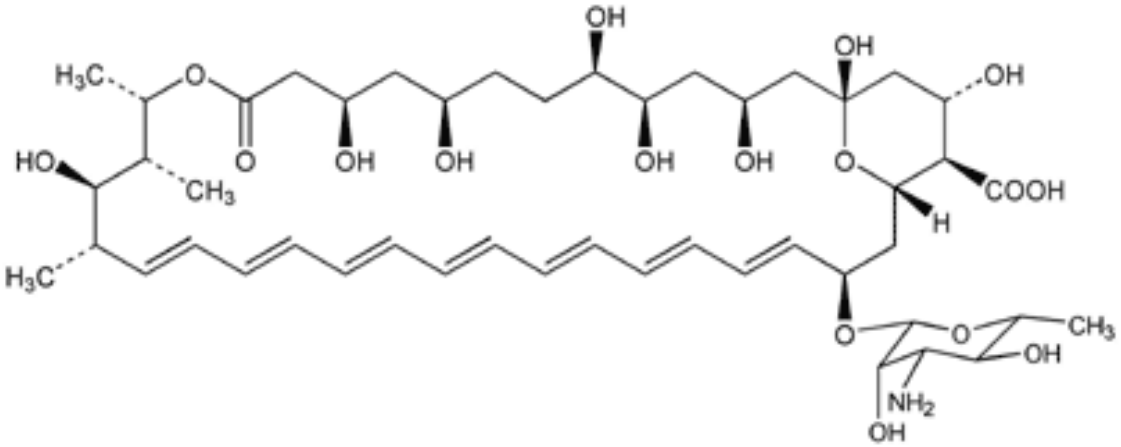
APIs with low solubility and low permeability

Absoprtion of APIs belongign into this group is low, thus peroral administration is doubtful.

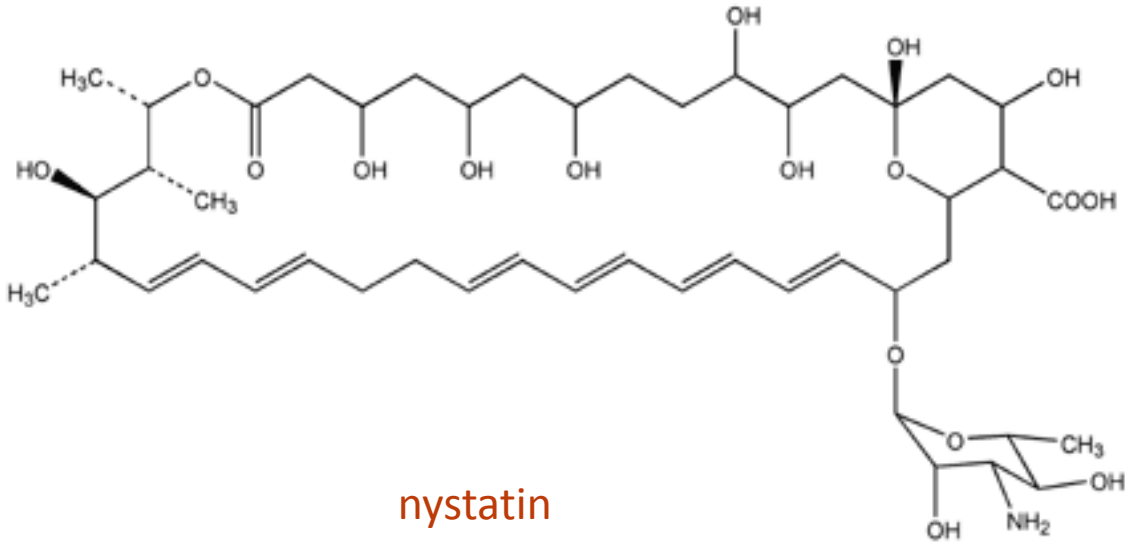
Technologies increasing the solubility should be applied: molecular dispersion, solubilisation.

BCS Class IV

APIs with low solubility and low permeability



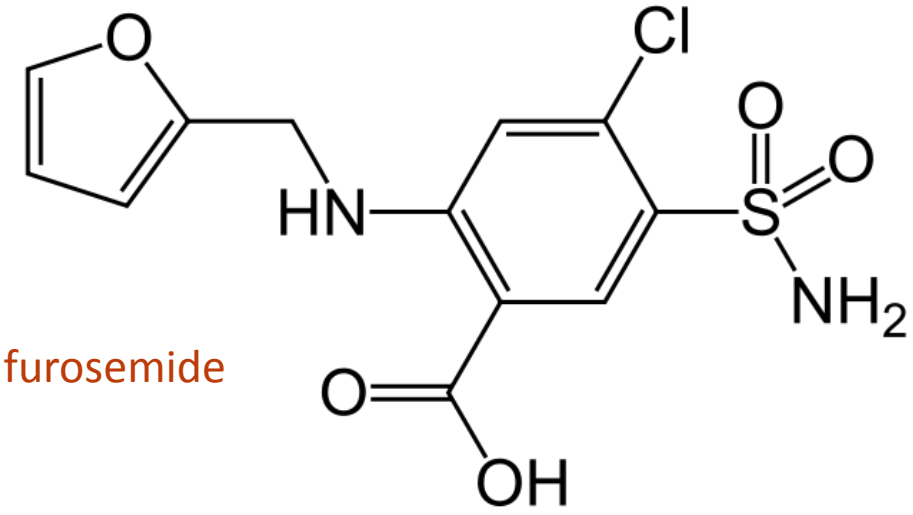
amphotericin B



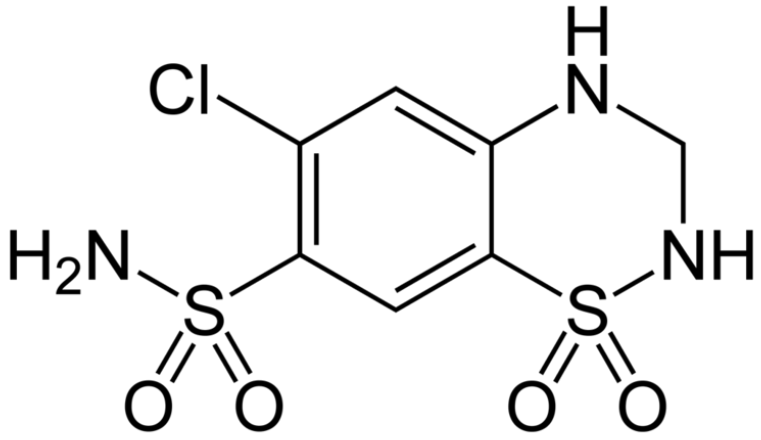
nystatin

BCS Class IV

APIs with low solubility and low permeability

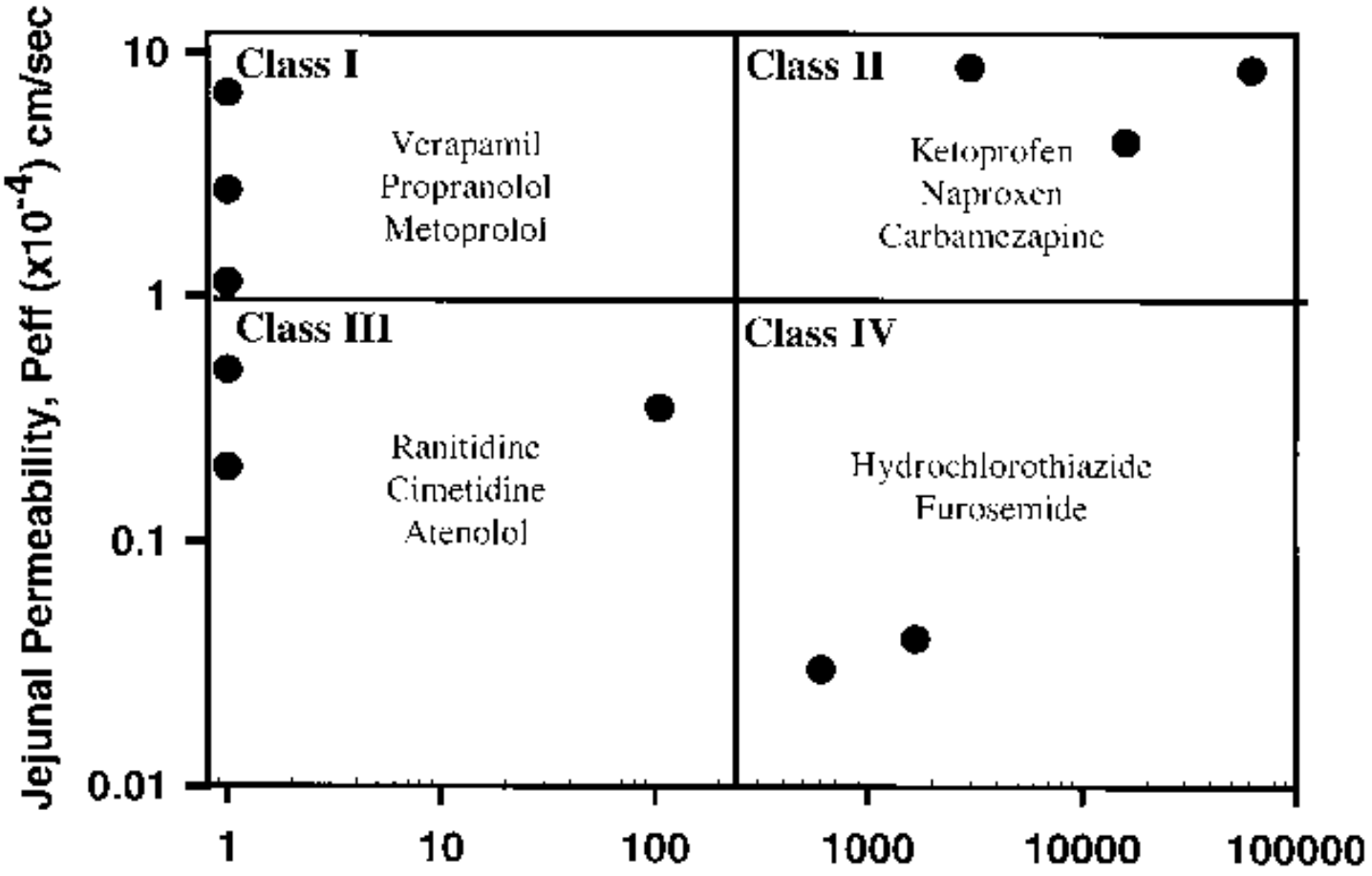


furosemide



hidrochlorotiazide

BCS Class Membership of Selected Model Drugs



Biopharmaceutical Classification System

Three type of rates can be introduced according to the BCS that help researchers to develop preparations:

- absorption rate (A_n)
- dose rate (D_o)
- dissolution rate (D_n)

Biopharmaceutical Classification System

Absorption rate (A_n) – ration of residence time in the small intestine and the time needed for absorption:

$$A_n = \frac{t_{res}}{t_{abs}}$$

t_{res} residence time

t_{abs} time needed for absorption

Biopharmaceutical Classification System

Dose ratio (D_o) – can be calculated by the solubility, dose and tha amount (volume) of water used for the administration:

$$D_o = \frac{D}{V_o C_s}$$

D dose,
V_o volume of water used at the administration,
C_s solubility.

Biopharmaceutical Classification System

Dissolution ratio (D_n) – is the ratio of API's residence time in the GI tract and the time of dissolution:

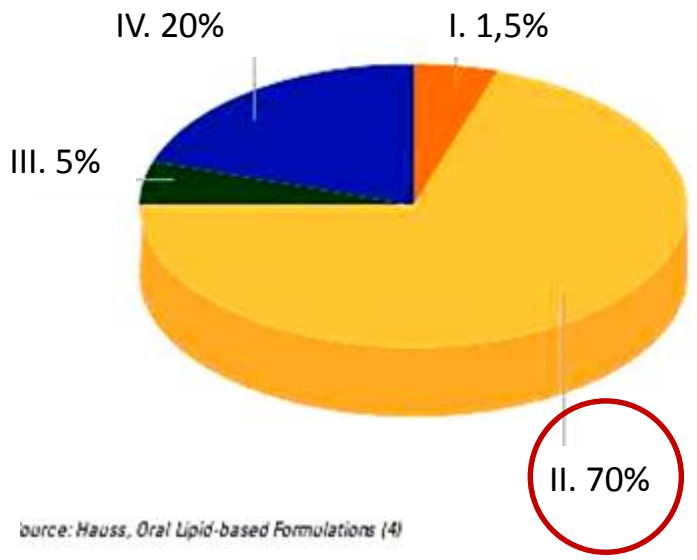
$$D_n = \frac{t_{res}}{t_{diss}}$$

t_{res} residence time,
t_{diss} dissolution time,

Biopharmaceutical Classification System

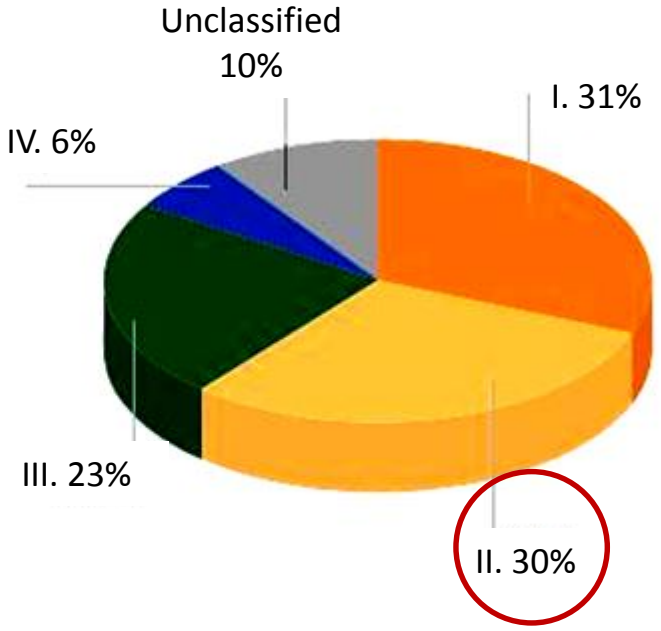
Literature shows that there is an increasing number of poorly soluble compounds in the drug discovery pipeline – including 70 per cent BCS Class II compounds – although only about 30 per cent are BCS Class II in the existing top 200 market

New chemical entities



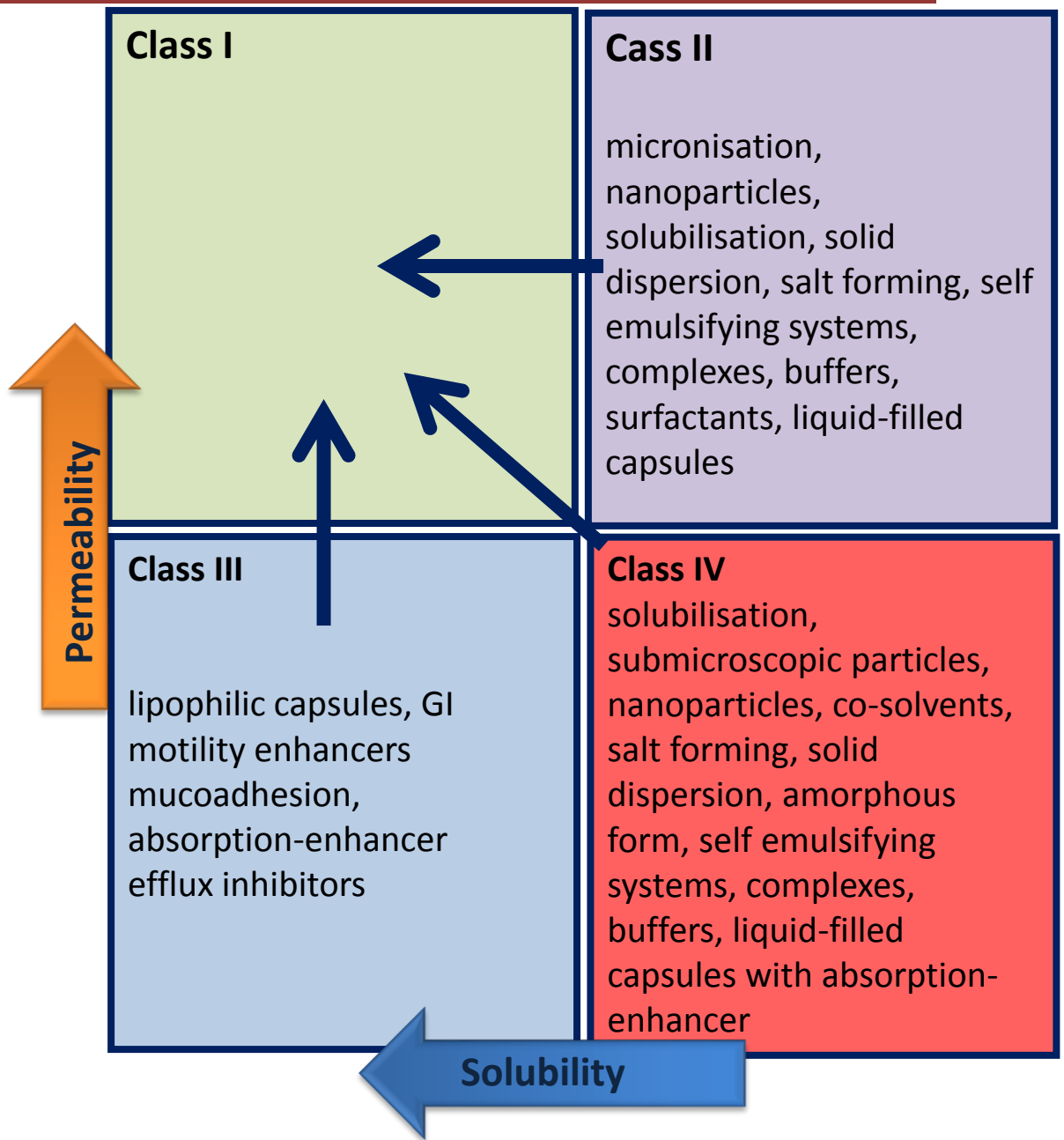
ource: Hauss, Oral Lipid-based Formulations (4)

Top 200 marketed drugs in USA



Biopharmaceutical Classification System

Sources of pharmaceutical technology to increase the bioavailability of drug delivery systems accourding to the BCS



Many THANKS for Your Attention

Dziękuję Ďakujem dhanya-waad Дякую
bedankt ありがとう go raibh maith agat
tesekkürle Спасибо شكرًا Thank you
谢谢 Merci köszi tack så mycket
Thank you faleminderit
Shukriyâ Danke hvala díky kiitos
takk Obrigada Mulţumesc nandri
Ευχαριστώ Grazie anugurihiitosumi תודה
Muchas gracias dhanya-waad köszönöm
tack
너를 감사하십시요 ačiû Terima Kasih
aitäh děkuji vam mange tak salamat