

Who can solve Poor Solubility?

SoluSolve™



Advances in combinatorial chemistry and high throughput screening techniques are producing more drug candidates with greater specificity towards biological targets. However, a great many of the compounds now coming through the development pipelines are Class II drugs according to the BCS classification, which means they exhibit good permeability through biological membranes, are lipophilic and, hence, poorly water soluble.

There are often problems associated with oral administration of this category of drug due to the limited solubility in the aqueous environment within the gastrointestinal tract.

The prime objective for Penn's formulation scientists is to improve the water solubility of these drugs for our clients.


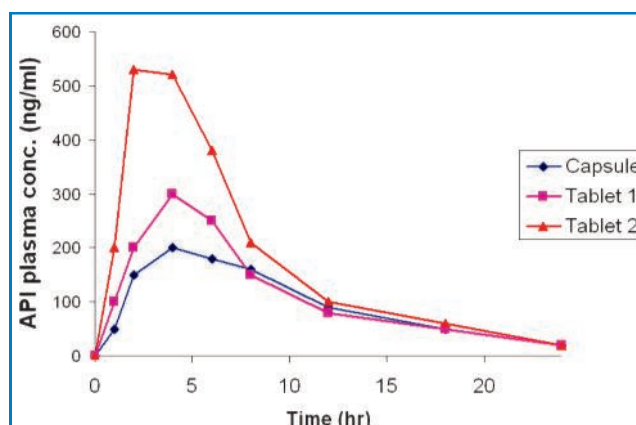
CASE STUDY

Enhanced oral bioavailability for a poorly water soluble API - Tablet matrix

The challenge: The client presented an investigational drug which exhibited very poor water solubility, resulting in low and variable oral bioavailability (when administered in a capsule formulation). The project brief was to enhance the oral bioavailability of the drug - preferably using a tablet formulation.

The solution: Two different tablet formulation strategies were considered:-

- Tablet 1 - Direct compression matrix
- Tablet 2 - Melt granulation-type matrix, using a block copolymer as joint binding agent/solubilising agent.



The oral bioavailability for three presentations (Capsule formulation, Tablet 1 formulation and Tablet 2 formulation) was determined in human volunteers. The profiles obtained (mean values) are shown in the graph.

As seen from the graph, the Tablet 2 formulation (containing the block copolymer) significantly improved the oral bioavailability of the API. Even the conventional tablet formulation (Tablet 1) exhibited a 50% increase in bioavailability.

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