Permeability assay for poorly water-soluble small molecules using a planar freestanding phospholipid bilayer

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Drug absorption after oral administration mostly occurs at the intestine, and it is controlled mainly by the aqueous solubility and the intestinal permeability of the drug. In modern drug discovery, the proportion of drug candidates with poor water solubility has increased, requiring a precise and effective permeability assay for these compounds. In this report, we developed a non-cellular based permeability assay for poorly water-soluble small molecules using a freestanding lipid bilayer. The lipid bilayer was created within a conventional UV cuvette and the amount of transported molecules through the bilayer was estimated by measuring the UV absorbance over time. We then calculated the permeability of four tested compounds with low water solubility, and there was significant permeability difference between Biopharmaceutics Classification System (BCS) class 2 and class 4 compounds as expected. Also we were able to estimate the amount of trapped molecules in the lipid bilayer for each compound.