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A new structure of porous silica to overcome drug solubility challenges

H. Leonhard Ohrem

Merck Millipore, Germany

Abstract

The pharmaceutical industry is facing a serious issue: a shortage of new drugs. Existing patents are expiring and therapeutic pipelines are shrinking as more and more drug candidates fail during development phases. Approximately 40% of the failure can be attributed to poor bioavailability.

One of the primary bioavailability challenges is low solubility of the drug molecule. To put this into perspective we can look at marble, which commonly considered insoluble. Marble has a solubility of approximately 14 µg/ml ($CaCO_3$). A typical drug such as itraconazole is 14,000 times less soluble. Today, developers have to deal with such low solubilities in more than 90% of all projects.

Currently there are several technologies available to address the issue of low solubility including: surfactants, nano-milling, solid dispersion, hot-melt extrusion, cyclodextrins and lipidic formulations.
However, there is not a "one size fits all" solution. Every tool has its strengths and weaknesses. And for each and every drug, the approach and desired outcome is unique. As a result, many solubility problems in early drug development remain unsolved leading to high failure rates.

Porous silica has been used in chromatography, and for catalysis purposes, for many years. Its use as a drug carrier has been described as early as the 1980's[1]. Scientific interest in this application has grown in the recent years and much has been published on the use of ordered mesoporous silica[1], [1].

Materials such as SBA-15 (Santa Barbara Amorphous-15) or MCM-41 (Mobile Composition of Matter – 41) are pure silicon dioxide particles containing mesopores in an ordered structure. According to IUPAC, mesopores are defined in a size range of 2 – 50 nm. Pores smaller than that are called micropores, which are too small to be accessible for drug molecules. Larger pores are defined as macropores. These mesopores provide a large internal surface area to material – more than 1000 m^2/g are possible. This area offers space for the drug molecule to be adsorbed and is crucial for the drug loading capacity.