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Trapping liquid drugs inside crystals

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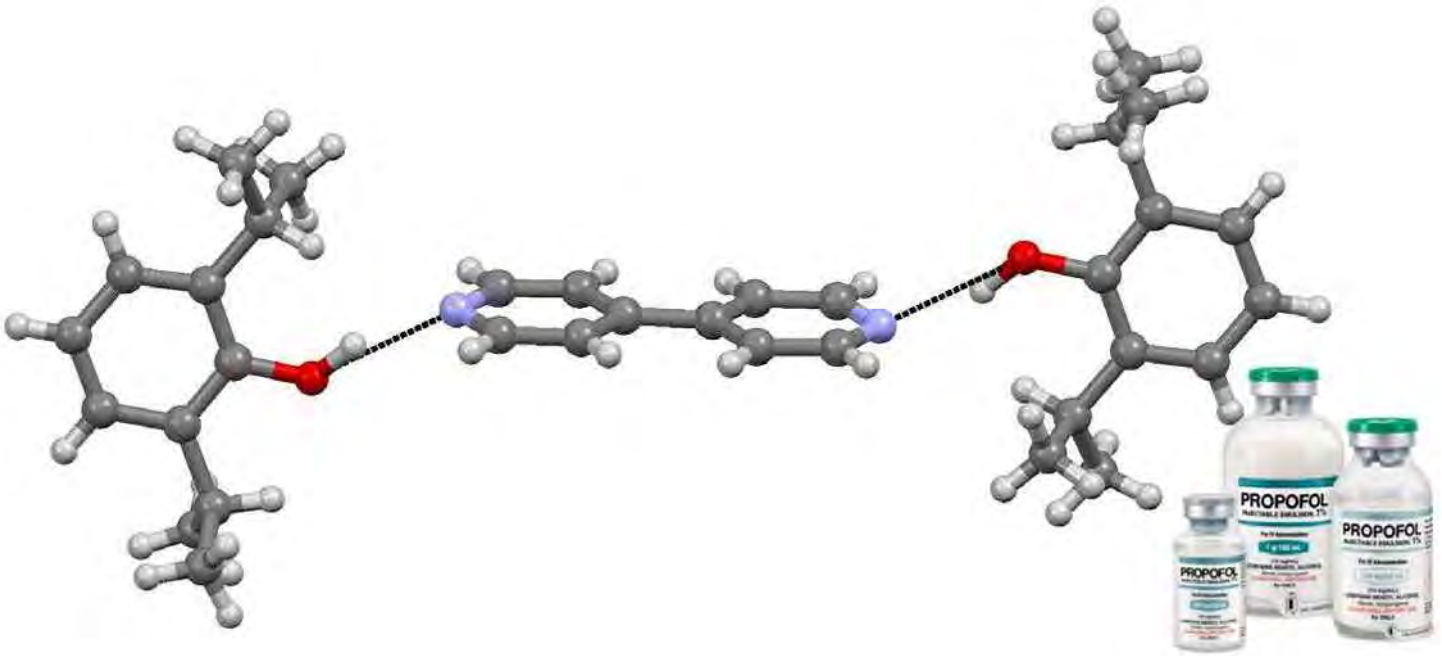
The objective of this work is to embed liquid or volatile pharmaceuticals inside crystalline materials, in order to tune their delivery properties in medicine or agrochemistry, and to explore new regulatory and intellectual properties issues. Liquid or volatile formulations of active pharmaceutical ingredients (APIs) are intrinsically less stable and durable than solid forms; in fact most drugs are formulated as solid dosage because they tend to be stable, reproducible, and amenable to purification. Most drugs and agrochemicals are manufactured and distributed as crystalline materials, and their action involves the delivery of the active molecule by a solubilization process either in the body or on the environment. However some important compounds for the human health or for the environment occur as liquids at room temperature. The formation of co-crystals has been demonstrated as a means of tuning solubility properties of solid phases, and therefore it is widely investigated by companies and by solid state scientists especially in the fields of pharmaceuticals, agrochemicals, pigments, dyestuffs, foods, and explosives. In spite of this extremely high interest towards co-crystallization as a tool to alter solubility, practically no emphasis has been paid to using it as a means to stabilize volatile or labile or low-melting products. In this work we trap and stabilize volatile and liquid APIs and agrochemicals in crystalline matrices by engineering suitable co-crystals. These new materials alter the physic state of the active ingredients allowing to expand the phase space accessible to manufacturing and delivery. We have defined a benchmark of molecules relevant to human health and environment that have been combined with suitable partners according to the well known methods of crystal engineering in order to obtain cocrystals. The first successful results will be discussed; the Figure shows a cocrystal of propofol, a worldwide use anesthetic.



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