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Medicinal Chemistry - Scientific Update - UK

Date: 29 April 2020. Commonly found in small molecule drugs, the humble methyl group can have a dramatic effect on binding affinity. A seemingly mundane change from C-H to C-Me can improve IC₅₀ values by orders of magnitude. In addition methylation can have a favourable effect on solubility, off-target selectivity and has been used to introduce a 'metabolic hotspot' for shortening a persistent drugs half-life.

The Magic Methyl in Medicinal Chemistry - Scientific Update

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Medicinal Chemistry - Royal Society of Chemistry

Date: 26 August 2020. The cyclopropane ring is now part of the established molecular architecture

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The Cyclopropyl Group in Medicinal Chemistry - Scientific ...

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Abstract: Mammalian carboxylesterases are key serine hydrolases that catalyze the hydrolysis of a wide variety of ester compounds in the corresponding carboxylic acids and alcohols. In human, two major carboxylesterases, CES1 and CES2, have been identified and well-studied over the past decade. CES1 inhibitors have potential applications in the treatment of hypertriglyceridaemia, obesity and type 2 diabetes, owing to that this enzyme plays prominent role in the metabolism of cholesteryl esters.