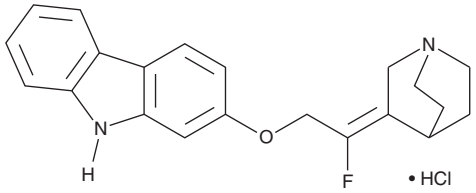
PRODUCT INFORMATION

YM-53601

Item No. 18113

CAS Registry No.: 182959-33-7
Formal Name: 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-9H-carbazole, monohydrochloride
MF: $C_{21}H_{21}FN_2O \cdot HCl$
FW: 372.9
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

YM-53601 is supplied as a crystalline solid. A stock solution may be made by dissolving the YM-53601 in an organic solvent purged with an inert gas. YM-53601 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of YM-53601 in ethanol is approximately 2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

YM-53601 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, YM-53601 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. YM-53601 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

YM-53601 is a squalene synthase inhibitor (IC_{50}s = 79 and 90 nM in HepG2 cells and rat liver microsomes, respectively).[1] It inhibits cholesterol biosynthesis in rats (ED_{50} = 32 mg/kg).[1] YM-53601 dose-dependently reduces plasma triglyceride and non-HDL cholesterol levels in hamsters fed both normal and high-fat diets. It also reduces viral RNA, core and NS3 protein production, and secreted viral particles in Huh7.5.1-8 cells infected with the hepatitis C virus (HCV) strain JFH-1 without affecting cell viability at concentrations ranging from 0.5 to 1.5 µM.[2] YM-53601 (1-10 µM) confers protection against cytotoxicity induced by the bacterial pore-forming toxin pneumolysin in HBE1 and normal human bronchial epithelial (NHBE) cells.[3]

References

1. Ugawa, T., Kakuta, H., Moritani, H., *et al*. YM-53601, a novel squalene synthase inhibitor, reduces plasma cholesterol and triglyceride levels in several animal species. *Brit. J Pharmacol.* **131**, 63-70 (2000).
2. Saito, K., Shirasago, Y., Suzuki, T., *et al*. Targeting cellular squalene synthase, an enzyme essential for cholesterol biosynthesis, is a potential antiviral strategy against hepatitis C virus. *J. Virol.* **89(4)**, 2220-2232 (2015).
3. Statt, S., Ruan, J.W., Hung, L.Y., *et al*. Statin-conferred enhanced cellular resistance against bacterial pore-forming toxins in airway epithelial cells. *Am. J. Respir. Cell Mol. Biol.* **53(5)**, 689-702 (2015).

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