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

 $C_{21}H_{21}FN_2O \cdot HCl$ MF:

FW: 372.9 **Purity:** ≥98%

Stability: ≥2 years at -20°C Supplied as: A crystalline solid

Laboratory Procedures

For long term storage, we suggest that YM-53601 be stored as supplied at -20°C. It should be stable for at least two

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.

Squalene synthase catalyzes the dimerization of two farnesyl pyrophosphate molecules to form the key cholesterol precursor, squalene. YM-53601 inhibits squalene synthase activity in rat hepatic microsomes and human HepG2 cells with IC_{50} values of 90 and 79 nM, respectively.^{1,2} Cholesterol biosynthesis is inhibited in rats with an ED₅₀ value of 32 mg/kg YM-53601, causing a reduction in both cholesterol and triglyceride levels in plasma.¹ Cholesterol-reducing HMG-CoA reductase inhibitors, which have little effect on plasma triglyceride levels, are often paired with fibrates, which can have adverse effects, to treat hyperlipidemia. The lipid-lowering properties of compounds such as YM-53601 make squalene synthase inhibition an attractive alternative to the combination HMG-CoA reductase inhibitor/fibrate therapy.

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. Ishihara, T., Kakuta, H., Moritani, H., et al. Synthesis of 3-ethylidenequinuclidine derivatives as squalene synthase inhibitors. Part 2: Enzyme inhibition and effects on plasma lipid levels. Biooganic & Medicinal Chemistry 11, 3735-3745 (2003).

Related Products

Clofibrate - Item No. 10945 • Fenofibrate - Item No. 10005368 • Bezafibrate - Item No. 10009145 • Fluvastatin (sodium salt) - Item No. 10010337 • Lovastatin - Item No. 10010338 • Mevastatin - Item No. 10010340 • Pravastatin (sodium salt) - Item No. 10010343 • Simvastatin - Item No. 10010344

WARNING: This product is for laboratory research only: not for administration to humans. Not for human or veterinary DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all. of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

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