

Product Data Sheet

Product Name: Mavatrep

Cat. No.: GC14761

Chemical Properties

Cas. No. 956274-94-5

Chemical Name (E)-2-(2-(2-(4-(trifluoromethyl)styryl)-1H-benzo[d]imidazol-6-yl)phenyl)propan-2-ol

SMILES CC(C1=CC=CC=C1C2=CC(N3)=C(N=C3/C([H])=C([H])/C4=CC=C(C(F)(F)F)C=C4)C=C2)(O)C

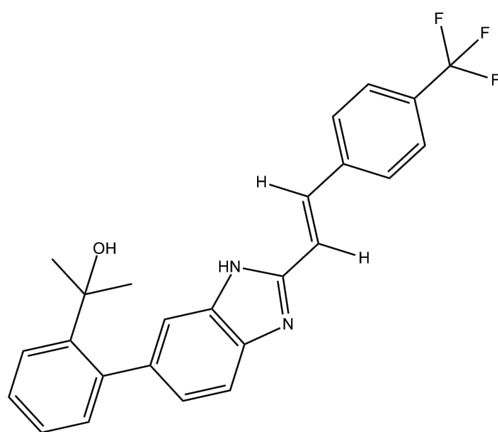
Formula $C_{25}H_{21}F_3N_2O$ M.Wt 422.44

Solubility DMSO : 16.67 mg/mL (39.46 mM; ultrasonic and warming and heat to 60°C) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Mavatrep is a potent and orally bioavailable antagonist of TRPV1 with IC₅₀ value of 4.6 nM [1][2].

Transient receptor potential vanilloid-1 (TRPV1) is a thermosensitive and nonselective cation

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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channel, and is a member of the TRP channel superfamily. The channel is activated by acidic pH (<6.8), thermal stimuli (>43°C), and endogenous lipidic mediators (anandamide and oxidative metabolites of linoleic acid) [1].

Mavatrep is a potent and orally bioavailable TRPV1 antagonist. In HEK293 cells that stably express human or rat TRPV1 (rTRPV1) channels, mavatrep (1 μ M) inhibited capsaicin-induced Ca^{2+} influx with IC_{50} values of 4.6 nM and 21 nM. In whole-cell patch clamp electrophysiology assay, mavatrep concentration-dependently inhibited the activation of hTRPV1 channels by pH (5.0) and capsaicin (1 μ M) with IC_{50} values of 6.8 and 23 nM, respectively. Mavatrep (0.1 μ M) also inhibited $74 \pm 8.1\%$ heat-evoked currents mediated by hTRPV1 [1].

In the CFA model of inflammatory of pain, mavatrep (10 mg/kg po) significantly reversed CFA-induced thermal hypersensitivity with ED_{50} and ED_{80} values of 1.8 and 7.8 mg/kg, respectively [1].

Reference:

[1]. Parsons WH, Calvo RR, Cheung W, et al. Benzo[d]imidazole Transient Receptor Potential Vanilloid 1 Antagonists for the Treatment of Pain: Discovery of trans-2-(2-{2-[2-(4-Trifluoromethyl-phenyl)-vinyl]-1H-benzimidazol-5-yl}-phenyl)-propan-2-ol (Mavatrep). J Med Chem, 2015, 58(9): 3859-3874.

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