Mavatrep

Cat. No.: HY-16935

CAS No.: 956274-94-5

Molecular Formula: $C_{25}H_{21}F_3N_2O$

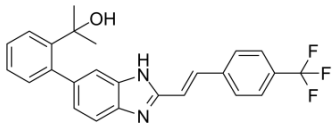
Molecular Weight: 422.44

Target: TRP Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 28 mg/mL (66.28 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3672 mL	11.8360 mL	23.6720 mL
5 mM	0.4734 mL	2.3672 mL	4.7344 mL
10 mM	0.2367 mL	1.1836 mL	2.3672 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.92 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Mavatrep is an orally bioavailable TRPV1 antagonist (Ki=6.5 nM), exhibits minimal effect on the enzymatic activity (IC50 > 25 μM) of CYP isoforms 3A4, 1A2, and 2D6.IC50 value: 6.5 nM (Ki, for TRPV1)Target: TRPV1in vitro: Mavatrep exhibits superior pharmacodynamic properties. In a TRPV1 functional assay, using cells expressing recombinant human TRPV1 channels, Mavatrep antagonizes capsaicin-induced Ca2+ influx, with an IC50 value of 4.6 nM. Mavatrep blocks the activation of hTRPV1 channels by Capsaicin (1 μM) and by pH (5.0) in a concentration-dependent fashion, with IC50 values of 23 and 6.8 nM, respectively. in vivo: Mavatrep exhibits superior pharmacodynamic properties in the CFA model of inflammatory pain.

REFERENCES

[1]. Parsons WH, 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 May 14;58(9):3859-3874.

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