Mavatrep

Cat. No.: HY-16935

CAS No.: 956274-94-5

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

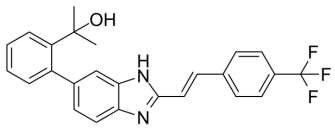
Molecular Weight: 422.44

Target: TRP Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (39.46 mM; ultrasonic and warming and heat to 60°C)

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 (JNJ-39439335) is an orally active, selective and potent TRPV1 antagonist with high affinity for hTRPV1 channels (K_i =6.5 nM). Mavatrep antagonizes capsaicin-induced Ca^{2+} influx with an IC_{50} value of 4.6 nM. Mavatrep can be used in some studies of neuropathic pain[1].

In Vitro

Mavatrep (series of decreasing concentrations from 1 μM; 25 min) inhibits capsaicin-induced Ca^{2+} influx in HEK293 cells expressing TRPV1 channels[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HEK293 cells (stably expressing TRPV1 channels)
Concentration:	Series of decreasing concentrations from 1 μM
Incubation Time:	25 min
Result:	Inhibited capsaicin-induced Ca^{2+} influx with an IC_{50} value of 4.6 nM.

In Vivo

Mavatrep (1, 3, 10, 30 mg/kg; p.o.; single) shows complete reversal of thermal hypersensitivity both in CFA model of inflammatory of pain and (0.1, 0.3, 1, 3, 10 mg/kg) carrageenan model of inflammatory pain[1].
Mavatrep (10 mg/kg; p.o.; single) exhibits substantial bioavailability in the rat (51%)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (195-350 g; CFA model of inflammatory of pain)[1].
Dosage:	10 mg/kg
Administration:	Oral administration, single.
Result:	Significantly reversed CFA-induced thermal hypersensitivity, beginning 30 min after administration and lasting for at least 3 h.

Animal Model:	Male Sprague-Dawley rats (195-350 g; CFA model of inflammatory of pain)[1].
Dosage:	1, 3, 10, 30 mg/kg
Administration:	Oral administration, single.
Result:	Exhibited complete reversal of thermal hypersensitivity, with ED_{50} and ED_{80} values of 1.8 and 7.8 mg/kg, and the corresponding plasma levels were 41.9 and 270.8 ng/mL, respectively.

Animal Model:	Male Sprague-Dawley rats (195-350 g; carrageenan model of inflammatory pain)[1].
Dosage:	0.1, 0.3, 1, 3, 10 mg/kg
Administration:	Oral administration, single.
Result:	Completely reversed carrageenan-induced thermal hypersensitivity, with ED_{50} and ED_{80} values of 0.18 and 0.48 mg/kg, and the corresponding plasma levels were 3.8 and 9.2 ng/mL, respectively.

Animal Model:	Male Sprague-Dawley rats (195-350 g)[1].
Dosage:	2 mg/kg (for i.v.); 10 mg/kg (for p.o.). (Dissolved in 20% HPβCD)
Administration:	Oral administration, single.
Result:	Pharmacokinetic Parameters of Mavatrep in male Sprague-Dawley rats[1].

IV (2 mg/kg)	PO (10 mg/kg)

	CL (mL/min/kg)	V_{ss} (L/kg)	$T_{1/2}$ (h)		C_{max} (ng/mL)	AUC_{max} (ng•h/mL)	$T_{1/2}$ (h)	F (%)
	33	10	3.4		421	4203	3.8	51

REFERENCES

[1]. Parsons W H, 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]-1 H-benzimidazol-5-yl}-phenyl)-propan-2-ol (Mavatrep). Journal of medic

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