MK-3207

Cat. No.: HY-10301

CAS No.: 957118-49-9

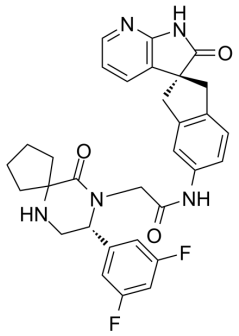
Molecular Formula: $C_{31}H_{29}F_2N_5O_3$

Molecular Weight: 557.59

Target: CGRP Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 150 mg/mL (269.01 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7934 mL	8.9672 mL	17.9343 mL
5 mM	0.3587 mL	1.7934 mL	3.5869 mL
10 mM	0.1793 mL	0.8967 mL	1.7934 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 (4.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MK-3207 is an orally active, highly selective and species-specific CGRP receptor antagonist (for human CGRP receptor: IC_{50} =0.12 nM; K_i=0.024 nM). MK-3207 can be used for migraine studies[1].

In Vitro

MK-3207 (0.3, 0.6, 1.1, 2.3, 4.5, 9.0 nM; 30 min) potently blocks human α-CGRP-stimulated cAMP responses in human CGRP receptor-expressing HEK293 cells[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 human CLR/RAMP1)
Concentration:	0.3, 0.6, 1.1, 2.3, 4.5, 9.0 nM
Incubation Time:	30 min (pre-treat)
Result:	Blocked human α-CGRP-stimulated cAMP responses with an IC_{50} value of 0.12 nM.

In Vivo

MK-3207 (0.3, 0.6, 2.1, 9.1, 21.2, 60.6 μg/kg; i.v.; single) inhibits CIDV in rhesus monkeys with EC_{50} and E_{max} values of approximately 0.8 nM and 81%[1].

MK-3207 (10 mg/kg; p.o.; single) shows the CSF/plasma ratio is 2 to 3%[1].

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

Animal Model:	Adult rhesus monkeys (4.8-12.7 kg; capsaicin-induced)[1].
Dosage:	0.3, 0.6, 2.1, 9.1, 21.2, 60.6 μg/kg
Administration:	Intravenous injection; single.
Result:	Resulted in an exposure-dependent decrease in capsaicin-induced dermal vasodilation in the rhesus monkey forearm.

Animal Model:	Adult rhesus monkeys (4.8-12.7 kg)[1].
Dosage:	10 mg/kg
Administration:	Oral administration; single.
Result:	Exhibited the CSF/plasma ratio was 2 to 3%, however the CSF/plasma ratio was approximately 30% of the unbound fraction (9.4%) in plasma.

CUSTOMER VALIDATION

- Vascul Pharmacol. 2017 Mar;90:36-43.
- Eur J Pharmacol. 2018 Jun 15;829:85-92.
- Pharmacology. 2019;104(5-6):332-341.

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REFERENCES

[1]. Salvatore CA, Moore EL, Calamari A, Pharmacological properties of MK-3207, a potent and orally active calcitonin gene-related peptide receptor antagonist. J Pharmacol Exp Ther. 2010 Apr;333(1):152-60.

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