Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

MK-3207 (Hydrochloride)

Catalog No: tcsc0351

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
957116-20-0

Formula:
$C_{31}H_{30}ClF_2N_5O_3$

Pathway:
GPCR/G Protein;Neuronal Signaling

Target:
CGRP Receptor;CGRP Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (168.34 mM)

Observed Molecular Weight:
594.05

Product Description

MK-3207 (Hydrochloride) is a potent and orally bioavailable **CGRP receptor** antagonist with **IC_{50}** of 0.12 nM and **K_i** of 0.024 nM,

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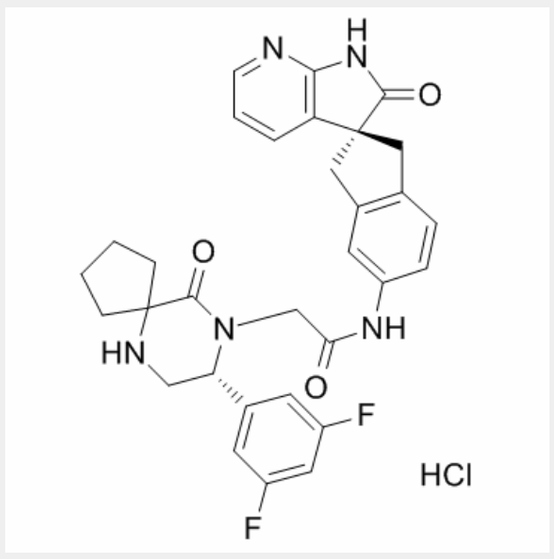
and is highly selective versus human AM1, AM2, CTR, and AMY3.

IC50 & Target: IC50: 0.12 nM (CGRP receptor)

In Vitro: MK-3207 displays a similar affinity (K_i) for the rhesus monkey receptor (0.024±0.001 nM; n=14) as for human, but it displays >400-fold lower affinity for the canine and rat receptors, with values of 10 nM and 10±1.2 nM, respectively. MK-3207 is highly selective versus the human AM1 (CLR/RAMP2) and AM2 (CLR/RAMP3) receptors, with K_i values of 16,500 nM and 156±17 nM, respectively. MK-3207 maintains a high degree of selectivity versus human CTR, with a K_i value of 1.9±0.58 μM. MK-3207 also displays good selectivity versus the AMY3 (CTR/RAMP3) receptor, with a K_i value of 128±25 nM, but it is less selective versus the AMY1 (CTR/RAMP1) receptor, with a K_i value of 0.75±0.13 nM. MK-3207 potently blocks human α-CGRP-stimulated cAMP responses in human CGRP receptor-expressing HEK293 cells, with an IC_{50} value of 0.12±0.02 nM. MK-3207 displays significantly lower potency for the rat CGRP receptor, with a pIC_{50}=7.31±0.09[1].

In Vivo: MK-3207 is CNS-penetrant and therefore significantly engaging central receptors. After an oral dose of 10 mg/kg MK-3207, the CSF/plasma ratio is 2 to 3%[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!