

MK-3207

Catalog No: tcsc1449



Available Sizes

Size: 5mg

Size: 10mg



Specifications

CAS No:

957118-49-9

Formula:

$C_{31}H_{29}F_2N_5O_3$

Pathway:

GPCR/G Protein; Neuronal Signaling

Target:

CGRP Receptor; CGRP Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

557.59

Product Description

MK-3207 is a potent and orally bioavailable CGRP receptor antagonist (IC₅₀= 0.12 nM; K_i value= 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3.

IC₅₀ Value: 0.024 nM (K_i, Human CGRP) [1]

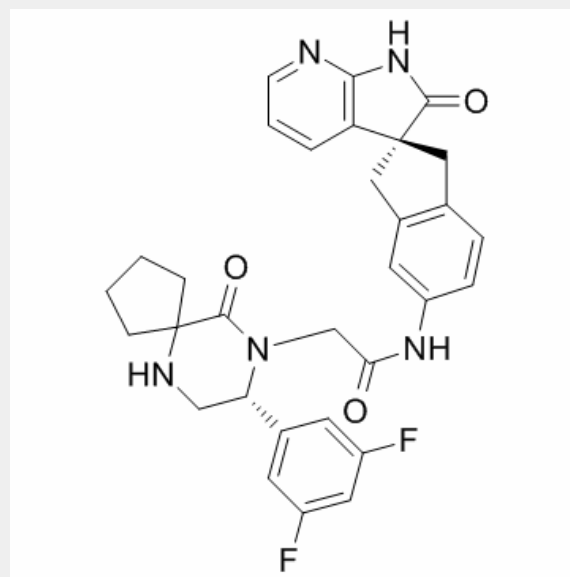
In common with other CGRP receptor antagonists, MK-3207 displays lower affinity for human CGRP receptors from other species,

including canine and rodent.

in vitro: MK-3207 is a potent antagonist of the human and rhesus monkey CGRP receptors ($K(i) = 0.024$ nM).

in vivo: MK-3207 produced a concentration-dependent inhibition of dermal vasodilation, with plasma concentrations of 0.8 and 7 nM required to block 50 and 90% of the blood flow increase, respectively. The tritiated analog [3H]MK-3207 was used to study the binding characteristics on the human CGRP receptor. [3H]MK-3207 displayed reversible and saturable binding ($K(D) = 0.06$ nM), and the off-rate was determined to be 0.012 min^{-1} , with a $t(1/2)$ value of 59 min [1]. After the first interim analysis, the two lowest MK-3207 doses (2.5, 5 mg) were identified as showing insufficient efficacy. Per the pre-specified adaptive design decision rule, only the 2.5-mg group was discontinued and the five highest doses (5, 10, 20, 50, 100 mg) were continued into the second stage [2].

Clinical trial: MK-3207 for the treatment of acute migraines. Phase 2b



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