

# 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 (IC<sub>50</sub>= 0.12 nM; K<sub>i</sub> value= 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3.

IC<sub>50</sub> Value: 0.024 nM (K<sub>i</sub>, Human CGRP) [1]

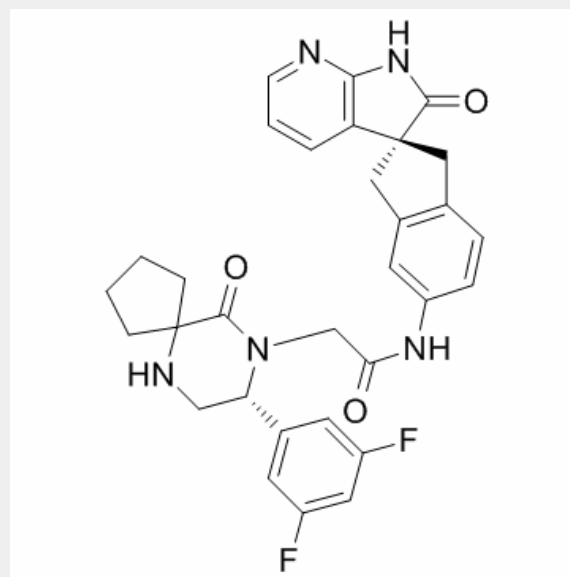
In common with other CGRP receptor antagonists, MK-3207 displays lower affinity for human CGRP receptors from other species,

including canine and rodent.

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

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 ( $K(D) = 0.06 \text{ nM}$ ), and the off-rate was determined to be  $0.012 \text{ min}^{-1}$ , 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



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