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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; Ki value= 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3.

IC50 Value: 0.024 nM (Ki, 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