Web: www.taiclone.com
Tel: +886-2-2735-9682
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AMG 517

Catalog No: tcsc0980

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
659730-32-2

Formula:
$C_{20}H_{13}F_3N_4O_2S$

Pathway:
Membrane Transporter/Ion Channel

Target:
TRP Channel

Purity / Grade:
>98%

Solubility:
DMSO : 12.91 mg/mL (30.00 mM; Need ultrasonic)

Observed Molecular Weight:
430.4

Product Description

AMG 517 is a potent and selective vanilloid receptor-1 (**TRPV1**) antagonist with an $\mathbf{IC_{50}}$ of 0.5 nM.

IC50 & Target: IC50: 0.5 nM (TRPV1)[1]

In Vitro:

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AMG 517 retains potency in the capsaicin- and acid-mediated assays with IC_{50} values of 0.9 and 0.5 nM[1]. AMG 517 inhibits capsaicin, pH 5, and heat-induced $^{45}Ca^{2+}$ uptake into cells expressing TRPV1 with IC_{50} values of 1 to 2 nM. AMG 517 blocks capsaicin-, proton-, and heat-induced inward currents in TRPV1-expressing cells similarly. AMG 517 inhibits native TRPV1 activation by capsaicin in rat dorsal root ganglion neurons with an IC50 value of 0.68 ± 0.2 nM. AMG 517 is a competitive antagonist of both rat and human TRPV1 with dissociation constant (Kb) values of 4.2 and 6.2 nM, respectively[2].

In Vivo: AMG 517 is shown to be effective in a rodent “on-target” biochemical challenge model (capsaicin-induced flinch, ED_{50}=0.33 mg/kg p.o.) and is antihyperalgesic in a model of inflammatory pain (CFA-induced thermal hyperalgesia, MED=0.83 mg/kg, p.o.)[1] .The minimally effective dose is 0.3 mg/kg for AMG 517 and the corresponding plasma concentration is 90 ng/mL. Oral administration of AMG 517 reverses established thermal hyperalgesia in a dose-dependent manner at 21 h after CFA injection. AMG 517 causes transient hyperthermia in rodents, dogs, and monkeys. AMG 517 induces hyperthermia in a steep dose-dependent manner, with 0.3, 1, and 3 mg/kg associated with 0.5, 0.6, and 1.6°C increases in body temperature, respectively. Body temperatures of rats treated with all doses of AMG 517 return to baseline within 10 to 20 h[2].