

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

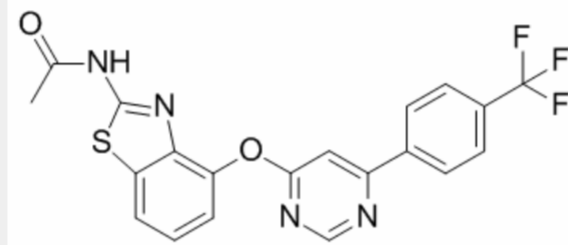
AMG 517 is a potent and selective vanilloid receptor-1 (**TRPV1**) antagonist with an **IC₅₀** of 0.5 nM.

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

In Vitro:

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 IC_{50} value of 0.68 ± 0.2 nM. AMG 517 is a competitive antagonist of both rat and human TRPV1 with dissociation constant (K_b) 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].



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