

# 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

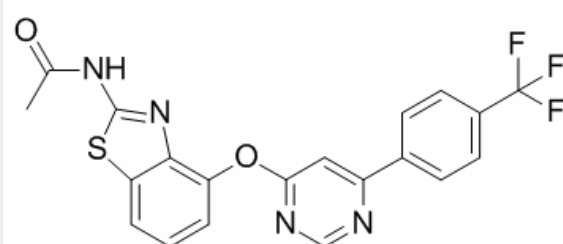
AMG 517 is a potent and selective vanilloid receptor-1 (**TRPV1**) antagonist with an **IC<sub>50</sub>** of 0.5 nM.

IC50 & Target: IC50: 0.5 nM (TRPV1)<sup>[1]</sup>

***In Vitro:***

AMG 517 retains potency in the capsaicin- and acid-mediated assays with  $IC_{50}$  values of 0.9 and 0.5 nM<sup>[1]</sup>. 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  $0.68 \pm 0.2$  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<sup>[2]</sup>.

***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.)<sup>[1]</sup>. 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<sup>[2]</sup>.



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