

AM-0902

Catalog No: tcsc0028325



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1883711-97-4

Formula:

$C_{17}H_{15}ClN_6O_2$

Pathway:

Membrane Transporter/Ion Channel

Target:

TRP Channel

Purity / Grade:

>98%

Solubility:

DMSO : 150 mg/mL (404.54 mM; Need ultrasonic and warming)

Observed Molecular Weight:

370.79

Product Description

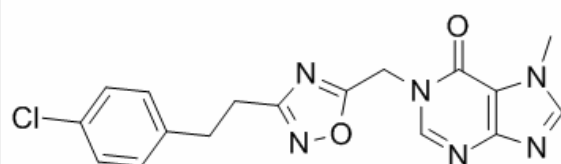
AM-0902 is a potent, selective transient receptor potential A1 (**TRPA1**) antagonist with **IC₅₀**s of 71 and 131 nM for **rTRPA1** and **hTRPA1**

, respectively.

IC₅₀ & Target: IC₅₀: 71 nM (rTRPA1), 131 nM (hTRPA1)^[1]

In Vitro: AM-0902 is a potent, selective antagonist of TRPA1 with IC₅₀s of 71 and 131 nM for rTRPA1 and hTRPA1, respectively. AM-0902 is highly permeable (average P_{app} = 44.5 μ m/s in MDCK cells), an unlikely substrate for P-gp (efflux ratio = 1.3 in P-gp overexpressing MDCK cells), and demonstrates good solubility (PBS pH 7.4: 226 μ M, SIF: 248 μ M). AM-0902 shows good selectivity over other TRP channels, as no activity is observed against human TRPV1 or TRPV4, or rat TRPV1, TRPV3, or TRPM8, at concentrations up to 10 μ M. AM-0902 inhibits $^{45}\text{Ca}^{2+}$ flux upon activation of rat TRPA1 with methylglyoxal with an IC₅₀ of 0.019 μ M [1].

In Vivo: AM-0902 is a potent, selective antagonist of TRPA1 in vivo. AM-0902 has moderate terminal elimination half-life ($t_{1/2}$ = 0.6 h and 2.8 h for rat (0.5 mg/kg, iv), rat (30 mg/kg, oral)). A dose-dependent reduction of allyl isothiocyanate (AITC)-induced flinching is observed for AM-0902, with a significant reduction in flinching observed postdosing of 10 and 30 mg/kg. The unbound plasma concentrations (C_u) at 1 h for the 1, 3, 10, and 30 mg/kg doses are 0.051 ± 0.024 (n=8), 0.19 ± 0.11 (n=8), 0.58 ± 0.35 (n=8), and 2.2 ± 0.40 (n=8) μ M, covering the in vitro rat TRPA1 $^{45}\text{Ca}^{2+}$ IC₅₀ at 0.72, 2.7, 8.2, and 30.3 fold, respectively. A good exposure-response relationship is observed in this target coverage model. An unbound in vivo IC₅₀ of 0.35 μ M, which is in good agreement with the in vitro rat TRPA1 $^{45}\text{Ca}^{2+}$ IC₅₀, and unbound in vivo IC₉₀ of 1.7 μ M are determined. It is noteworthy that at a dose of 30 mg/kg, AM-0902 engages TRPA1 at concentrations that exceed the in vivo IC₉₀, making it a useful tool for exploration of in vivo models of acute pain^[1].



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