Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 **IC_{50}**s of 71 and 131 nM for **rTRPA1** and **hTRPA1**

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, respectively.

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

In Vitro: AM-0902 is a potent, selective antagonist of TRPA1 with IC_{50}s of 71 and 131 nM for rTRPA1 and hTRPA1, respectively. AM-0902 is highly permeable (average P_{app}=44.5 µcm/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 µM, SIF: 248 µM). 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 µM. AM-0902 inhibits $^{45}Ca^{2+}$ flux upon activation of rat TRPA1 with methylglyoxal with an IC_{50} of 0.019 µM [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) µM, covering the in vitro rat TRPA1 $^{45}Ca^{2+}$ IC_{50} 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 IC_{50} of 0.35 µM, which is in good agreement with the in vitro rat TRPA1 $^{45}Ca^{2+}$ IC_{50}, and unbound in vivo IC_{90} of 1.7 µM are determined. It is noteworthy that at a dose of 30 mg/kg, AM-0902 engages TRPA1 at concentrations that exceed the in vivo IC_{90}, making it a useful tool for exploration of in vivo models of acute pain[1].