

# AM-0902

## Catalog No: tcsc0028325

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

**Size:** 100mg

**Specifications** 

CAS No:

1883711-97-4

Formula:

 $\mathsf{C}_{17}\mathsf{H}_{15}\mathsf{CIN}_6\mathsf{O}_2$ 

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**<sub>50</sub>s of 71 and 131 nM for **rTRPA1** and **hTRPA1** 

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, respectively.

#### IC50 & Target: IC50: 71 nM (rTRPA1), 131 nM (hTRPA1)<sup>[1]</sup>

*In Vitro:* AM-0902 is a potent, selective antagonist of TRPA1 with IC<sub>50</sub>s of 71 and 131 nM for rTRPA1 and hTRPA1, respectively. AM-0902 is highly permeable (average  $P_{app} = 44.5 \mu$ cm/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  $\mu$ M, SIF: 248  $\mu$ 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  $\mu$ M. AM-0902 inhibits  ${}^{45}$ Ca<sup>2+</sup> flux upon activation of rat TRPA1 with methylglyoxal with an IC<sub>50</sub> of 0.019  $\mu$ 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  $0.051\pm0.024$  (n=8),  $0.19\pm0.11$  (n=8),  $0.58\pm0.35$  (n=8), and  $2.2\pm0.40$  (n=8)  $\mu$ M, covering the in vitro rat TRPA1  $^{45}$ Ca<sup>2+</sup> IC<sub>50</sub> 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<sub>50</sub> of 0.35  $\mu$ M, which is in good agreement with the in vitro rat TRPA1  $^{45}$ Ca<sup>2+</sup> IC<sub>50</sub>, and unbound in vivo IC<sub>90</sub> of 1.7  $\mu$ 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 IC<sub>90</sub>, making it a useful tool for exploration of in vivo models of acute pain<sup>[1]</sup>.



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