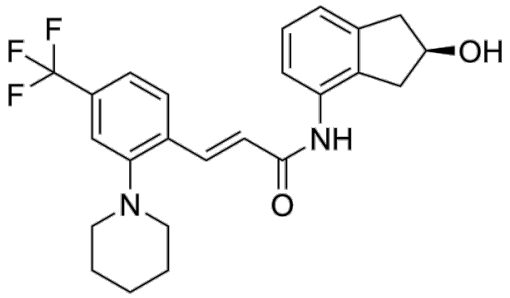
Product Data Sheet

Product Name: AMG-8562
Cat. No.: GC79527

Chemical Properties

Cas. No.	1041478-78-7		
Formula	$C_{24}H_{25}F_3N_2O_2$	M.Wt	430.47
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

AMG-8562 is a selective, orally active transient receptor potential vanilloid 1 (TRPV1) modulator that inhibits the activation of rat TRPV1 by Capsaicin, Anandamide and N-arachidonyldopamine, with IC_{50} values of 1.75, 9.29 and 3.87 nM, respectively. AMG-8562 blocks capsaicin-induced activation, potentiates pH5-induced activation and has no effect on heat-induced activation of rat TRPV1, whereas it completely blocks capsaicin- and heat-induced activation and partially blocks pH5-induced activation of human TRPV1. AMG-8562 can be used in studies of TRPV1 activation patterns, hyperalgesia and pain-related research [1].

Caution: Product has not been fully validated for medical applications. For research use only.
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In Vivo, AMG-8562 (0.1-3 mg/kg; p.o.; single administration) dose-dependently blocks Capsaicin -induced withdrawal behavior in rats, achieving 100% blockade at an oral dose of 3 mg/kg[1]. AMG-8562 (30-100 mg/kg; p.o.; single administration) reduces the hyperalgesic window by 27% at oral doses of 30 and 100 mg/kg in a rat model of CFA-induced thermal hyperalgesia[1]. AMG-8562 (30-100 mg/kg; p.o.; single administration) reduces the area of hyperalgesia by 29% at oral doses of 30 and 100 mg/kg in a rat model of heat hyperalgesia induced by plantar skin incision[1]. AMG-8562 (30 mg/kg; p.o.; single administration) significantly reduces acetic acid -induced writhing responses in mice by 59% at an oral dose of 30 mg/kg[1]. AMG-8562 (1-30 mg/kg; p.o.; single dose; 3 mg/kg; i.v.; single dose) does not induce hyperthermia in rats, but causes a mild, dose-dependent decrease in core body temperature, with a maximum reduction of 1.0°C at the 3 mg/kg i.v. dose and 0.6°C at the highest p.o. dose of 30 mg/kg[1]. AMG-8562 (100 mg/kg; p.o.; single administration) does not impair spontaneous locomotor activity in mice or rats at an oral dose of 100 mg/kg, indicating that its analgesic efficacy is not interfered with by sedation or motor impairment[1].

In Vitro, AMG-8562 completely blocks capsaicin - and heat-induced activation of human TRPV1, and partially blocks pH 5-induced activation of human TRPV1[1]. AMG-8562 is selective for TRPV1, with an IC_{50} of approximately 3 μM against human TRPV4, and IC_{50} values all >20 μM against rat TRPA1, rat TRPM8, rat TRPV2 and human TRPV3. It also exhibits no partial agonist activity on these channels[1]. AMG-8562 blocks the activation of rat TRPV1 by capsaicin, anandamide, and NADA, with corresponding IC_{50} values of 1.75 nM, 9.29 nM, and 3.87 nM, respectively. This reagent does not block heat-induced activation, enhances activation under pH 5 conditions, and exhibits no partial agonist activity under physiological pH conditions[1].

References:

[1]. Lehto SG, et al. Antihyperalgesic effects of (R,E)-N-(2-hydroxy-2,3-dihydro-1H-inden-4-yl)-3-(2-(piperidin-1-yl)-4-(trifluoromethyl)phenyl)acrylamide (AMG8562), a novel transient receptor potential vanilloid type 1 modulator that does not cause hyperthermia in rats. Journal of Pharmacology and Experimental Therapeutics. 2008;326(1):218-229.

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