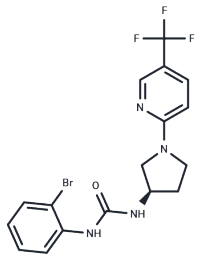
Data Sheet (Cat.No.T6660)

TargetMol

SB-705498

Chemical Properties



CAS No. : 501951-42-4

Formula: C17H16BrF3N4O

Molecular Weight: 429.23

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SB705498 is a TRPV1 antagonist for hTRPV1. SB-705498 has been investigated for the treatment of Rhinitis, Chronic Cough, and Non-allergic Rhinitis.
Targets(IC50)	TRP/TRPV Channel
In vitro	SB705498 (0.3 nM-1 μM) effectively blocks capsaicin-induced activation of human TRPV1 channels in 1321N1 and HEK293 cells, with apparent pKi values of 7.5 and 7.6, respectively. A 100 nM SB705498 coapplication rapidly, completely, and reversibly suppresses hTRPV1 in HEK293 cells, without significantly affecting [Ca2+] responses induced by carbachol activation of muscarinic acetylcholine receptors or Ca2+ entry through store-operated channels following thapsigargin-induced Ca2+ store depletion. SB705498 also shows negligible antagonistic effects on TRPV4 activation in HEK293 cells within the 10 pM to 1 μM range and selectively inhibits TRPV1, demonstrating notable potency against rat and guinea pig TRPV1 with pKi values of 7.5 and 7.3, respectively. At 100 nM-10 μM, it rapidly and completely inhibits hTRPV1 in sustained capsaicin responses at -70 mV, with IC50 values of 3 nM and 17 nM at -70 mV and +70 mV, respectively. Furthermore, 1 μM SB705498 fully and reversibly inhibits TRPV1-mediated conductance during the response plateau, uniformly blocking both chemical and physical TRPV1 activation with minimal to no activity against other ion channels, receptors, and enzymes, including inhibition of TRPV1 by heat and altered pH levels.
In vivo	SB705498 demonstrates potent and reversible inhibition of TRPV1 receptor activation through various modes, including vanilloid (capsaicin), heat, and acid mediation. It shows remarkable efficacy at 10 and 30 mg/kg orally, effectively reversing allodynia. Additionally, SB705498 achieves an 80% reduction in allodynia within the guinea pig FCA model at a dosage of 10 mg/kg orally [2].

Solubility Information

Solubility	Ethanol: 16 mg/mL (37.28 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 60 mg/mL (139.79 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (5.82 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3298 mL	11.6488 mL	23.2975 mL
5 mM	0.466 mL	2.3298 mL	4.6595 mL
10 mM	0.233 mL	1.1649 mL	2.3298 mL
50 mM	0.0466 mL	0.233 mL	0.466 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Gunthorpe MJ, et al. J Pharmacol Exp Ther. 2007, 321(3), 1183-1192.
Chen W T, Lin G B, Lin S H, et al. Static magnetic field enhances the anticancer efficacy of capsaicin on HepG2 cells via capsaicin receptor TRPV1. PloS One. 2018 Jan 16;13(1):e0191078
Rami HK, et al. Bioorg Med Chem Lett. 2006, 16(12), 3287-3291.
Chen W T, Lin G B, Lin S H, et al. Static magnetic field enhances the anticancer efficacy of capsaicin on HepG2 cells via capsaicin receptor TRPV1[J]. PloS one. 2018 Jan 16;13(1):e0191078.