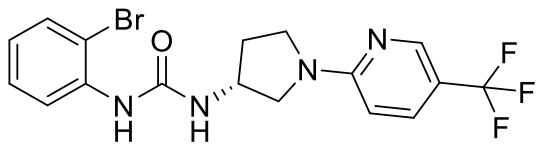
Product data sheet

MedKoo Cat#: 526766 Name: SB-705498 CAS#: 501951-42-4 Chemical Formula: $C_{17}H_{16}BrF_3N_4O$ Exact Mass: 428.0460 Molecular Weight: 429.24	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
SB-705498 is an orally bioavailable, competitive antagonist of the capsaicin-mediated activation of TRPV1 receptors.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100	232.98

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.33 mL	11.65 mL	23.30 mL
5 mM	0.47 mL	2.33 mL	4.66 mL
10 mM	0.23 mL	1.16 mL	2.33 mL
50 mM	0.05 mL	0.23 mL	0.47 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Gunthorpe MJ, Hannan SL, Smart D, Jerman JC, Arpino S, Smith GD, Brough S, Wright J, Egerton J, Lappin SC, Holland VA, Winborn K, Thompson M, Rami HK, Randall A, Davis JB. Characterization of SB-705498, a potent and selective vanilloid receptor-1 (VR1/TRPV1) antagonist that inhibits the capsaicin-, acid-, and heat-mediated activation of the receptor. J Pharmacol Exp Ther. 2007 Jun;321(3):1183-92. doi: 10.1124/jpet.106.116657. Epub 2007 Mar 28. PMID: 17392405.
2. Rami HK, Thompson M, Stemp G, Fell S, Jerman JC, Stevens AJ, Smart D, Sargent B, Sanderson D, Randall AD, Gunthorpe MJ, Davis JB. Discovery of SB-705498: a potent, selective and orally bioavailable TRPV1 antagonist suitable for clinical development. Bioorg Med Chem Lett. 2006 Jun 15;16(12):3287-91. doi: 10.1016/j.bmcl.2006.03.030. Epub 2006 Mar 31. PMID: 16580202.

In vivo study

1. Changani K, Hotee S, Campbell S, Pindoria K, Dinnewell L, Saklatvala P, Thompson SA, Coe D, Biggadike K, Vitulli G, Lines M, Busza A, Denyer J. Effect of the TRPV1 antagonist SB-705498 on the nasal parasympathetic reflex response in the ovalbumin sensitized guinea pig. Br J Pharmacol. 2013 Jun;169(3):580-9. doi: 10.1111/bph.12145. PMID: 23441756; PMCID: PMC3682706.
2. Chizh BA, O'Donnell MB, Napolitano A, Wang J, Brooke AC, Aylott MC, Bullman JN, Gray EJ, Lai RY, Williams PM, Appleby JM. The effects of the TRPV1 antagonist SB-705498 on TRPV1 receptor-mediated activity and inflammatory hyperalgesia in humans. Pain. 2007 Nov;132(1-2):132-41. doi: 10.1016/j.pain.2007.06.006. Epub 2007 Jul 30. PMID: 17659837.

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7. Bioactivity

Biological target:

SB-705498 is an antagonist of the capsaicin-mediated activation of TRPV1 receptors (pKis = 7.6, 7.5, and 7.3 for human, rat, and guinea pig, respectively). SB-705498 inhibits the capsaicin-, acid-, and heat-mediated activation of the receptor.

In vitro activity

SB-705498 displayed potent and selective inhibitory action against the capsaicin-mediated activation of TRPV1 receptor orthologs. SB-705498 demonstrated rapid and reversible inhibition of TRPV1 activation by capsaicin, acid, and heat. It exhibited some voltage-dependent characteristics, indicating potential efficacy in neuronal conditions.

Reference: J Pharmacol Exp Ther. 2007 Jun;321(3):1183-92. https://pubmed.ncbi.nlm.nih.gov/17392405/

In vivo activity

SB-705498 as a therapeutic option for rhinitis, especially when administered intranasally with optimized formulation. Intranasal administration of SB-705498 reduced capsaicin-induced contralateral nasal secretions. Oral and intranasal administration of SB-705498 resulted in a notable reduction in secretory responses.

Reference: Br J Pharmacol. 2013 Jun;169(3):580-9. https://pubmed.ncbi.nlm.nih.gov/23441756/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.