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SB-705498

Catalog No: tcsc0757

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
501951-42-4

Formula:
$C_{17}H_{16}BrF_3N_4O$

Pathway:
Membrane Transporter/Ion Channel

Target:
TRP Channel

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
429.23

Product Description

SB-705498 is a potent, selective and orally bioavailable **transient receptor potential vanilloid 1 (TRPV1) receptor** antagonist

with a **pIC_{50}** of 7.1.

IC50 & Target: pIC50: 7.1

In Vitro: SB705498 (0.3 nM-1 μM) potently inhibits capsaicin-induced activation of human TRPV1 expressed in 1321N1 cells or HEK293 cells with apparent pK_i of 7.5 or 7.6, respectively. Coapplication of 100 nM SB705498 rapidly, completely and reversibly inhibits hTRPV1 expressed in HEK293 cells. SB705498 has no significant effect on endogenous [Ca^{2+}] responses in HEK293 cells produced by muscarinic acetylcholine receptor activation with carbachol or store-operated channel-mediated Ca^{2+} entry after depletion of intracellular stores with the Ca^{2+} pump inhibitor thapsigargin. SB705498 (10 pM-1 μM) also has no significant antagonist effect versus the close TRPV1 receptor paralog TRPV4 transiently expressed in HEK293 cells and activated using the synthetic ligand 4α-phorbol-12,13-didecanoate (10 μM). SB705498 reveals good antagonist potency against both the rat and guinea pig TRPV1. SB705498 antagonizes rat and guinea pig TRPV1 with pK_i of 7.5 and 7.3, respectively. Coapplication of 100 nM to 10 μM SB705498 to the steady state of a maintained capsaicin response leads to rapid and complete inhibition of hTRPV1 at -70 mV. SB705498 inhibits capsaicin-mediated activation of hTRPV1 with IC_{50} of 3 nM and 17 nM at positive and negative holding potentials (-70 mV and + 70 mV), respectively. Coapplication of 1 μM SB705498 to the plateau period of the response produces complete and reversible inhibition of the TRPV1-mediated conductance[1]. SB705498 shows approximately equal activity versus multiple and diverse chemical and physical modes of TRPV1 receptor activation. SB705498 shows little or no activity versus a wide range of ion channels, receptors and enzymes. SB705498 produces full blockade of heat as well as pH activation of hTRPV1[2].

In Vivo: SB705498 exhibits potent and reversible blockade against the multiple modes of TRPV1 activation, namely the vanilloid (capsaicin), heat- and acid-mediated activation of the receptor. SB705498 displays excellent activity at 10 and 30 mg/kg po with good reversal of allodynia. SB705498 (10 mg/kg p.o.) gives 80% reversal of allodynia in the guinea pig FCA model[2].