Product Data Sheet

Product Name: JYL 1421 (SC 0030)
Cat. No.: GC31236

Chemical Properties

Cas. No.	401907-26-4		
SMILES	CS(=O)(NC1=CC=C(CNC(NCC2=CC=C(C(C)(C)C)C=C2)=S)C=C1F)=O		
Formula	$C_{20}H_{26}FN_3O_2S_2$	M.Wt	423.57
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	JYL 1421 (SC 0030)		

Protocol

Animal experiment:

Rats[1]Pretreatment with JYL 1421 (0.4, 1, 2, or 5 mg/kg) is performed i.p. 20 min before capsaicin administration. In control rats the solvent is injected in the same volume, in the reference group capsazepine (2 or 5 mg/kg) is administered i.p. The number of wiping movements in response to 50 µL capsaicin solution (10 µg/mL) drops into the left eye of male Wistar rats (180-220 g) is determined during 60 s after instillation. The body temperature is maintained at 37°C by a heating lamp. Drugs are administered through a cannula inserted into the right jugular vein. The pulmonary chemoreflex is evoked by rapid injections of capsaicin (1 and 2 µg/kg i.v.) separated by a 5 min interval. Thereafter JYL 1421 (0.4 and 1.6 mg/kg i.v.) is administered and 5 min later capsaicin injections are repeated at increasing doses until the magnitude of the control responses could be achieved. For quantitative analysis the area under the curve (AUC) of the capsaicin-induced hypotension is determined[1].

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References:

[1]. Jakab B, et al. Pharmacological characterization of the TRPV1 receptor antagonist JYL1421 (SC0030) in vitro and in vivo in the rat. Eur J Pharmacol. 2005 Jul 4;517(1-2):35-44.

Background

JYL1421 is an antagonist of transient receptor potential vanilloid 1 (TRPV1).[1] It inhibits calcium uptake induced by capsaicin in CHO cells expressing rat TRPV1 (EC_{50} = 9.2 nM). JYL1421 inhibits capsaicin-induced release of the neuropeptides somatostatin, substance P , and calcitonin gene-related peptide (CGRP) from isolated rat trachea (IC_{50}s = 227-491 nM).[2] It inhibits capsaicin-induced hypothermia and hypotension in rats when administered at doses of 2 and 0.4 mg/kg, respectively. JYL1421 (2 mg/kg) also reduces the number of wiping movements induced by ocular administration of capsaicin in rats. Unlike several other TRPV1 antagonists, JYL1421 does not induce hyperthermia in rats when administered at doses ranging from 1.02 to 32.77 μmol/kg.[3]

1.Wang, Y., Szabo, T., Welter, J.D., et al.High affinity antagonists of the vanilloid receptorMol. Pharmacol.62(4)947-956(2002) 2.Jakab, B., Helyes, Z., Varga, A., et al.Pharmacological characterization of the TRPV1 receptor antagonist JYL1421 (SC0030) in vitro and in vivo in the ratEur. J. Pharmacol.517(1-2)35-44(2005) 3.Garami, A.,

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Shimansky, Y.P., Pakai, E., et al.Contributions of different modes of TRPV1 activation to TRPV1 antagonist-induced hyperthermiaJ. Neurosci.30(4)1435-1440(2010)

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