Product Data Sheet

Product Name: A 784168
Cat. No.: GC17091

Chemical Properties

Cas. No.	824982-41-4		
Chemical Name	3'-(trifluoromethyl)-N-(4-((trifluoromethyl)sulfonyl)phenyl)-3,6-dihydro-2H-[1,2'-bipyridine]-4-carboxamide		
SMILES	FC(F)(C1=CC=CN=C1N2CCC(C(NC(C=C3)=CC=C3S(=O)(C(F)(F)F)=O)=O)=CC2)F		
Formula	$C_{19}H_{15}F_6N_3O_3S$	M.Wt	479.4
Solubility	<47.94mg/ml in DMSO; <23.97mg/ml in ethanol	Storage	Store at RT
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	A 784168		

Background

A 784168 is a potent antagonist of TRPV1 receptor with pKi value of 7.15 [1].

The transient receptor potential cation channel subfamily V member 1 (TrpV1) receptor is a nonselective cation channel and distributes throughout the nervous system. TrpV1 receptor is activated by a wide variety of physical and chemical stimuli [1].

A 784168 is a potent TRPV1 receptor antagonist with pKi value of 7.15 for recombinant hTRPV1 receptor. In the Ca2+ flux assay, A 784168 inhibited 50 nM CAP-induced calcium flux with pIC50 value of 7.13 of the recombinant hTRPV1 receptor [1]. A 784168 inhibited TRPV1 activation by 50 nM capsaicin, pH 5.5, 3 μM NADA and 10 μM anandamide with IC50 values of 25, 14, 33.7, 35.1 nM, respectively. In rat dorsal root ganglion neurons, A 784168 inhibited 1 μM capsaicin-induced currents with IC50 value of 10 nM [2].

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In CFA-induced thermal hyperalgesia, A-784168 (30 μM/kg) reduced capsaicin-induced nocifensive behaviors with ED50 value of 10 μM/kg [2]. In rats, A 784168 inhibited 1% formalin-induced secondary mechanical hyperalgesia and allodynia in the contralateral and ipsilateral paws [3].

References:

[1]. Bianchi BR, El Kouhen R, Neelands TR, et al. [3H]A-778317 [1-((R)-5-tert-butyl-indan-1-yl)-3-isoquinolin-5-yl-urea]: a novel, stereoselective, high-affinity antagonist is a useful radioligand for the human transient receptor potential vanilloid-1 (TRPV1) receptor. J Pharmacol Exp Ther, 2007, 323(1): 285-293.
[2]. Cui M, Honore P, Zhong C, et al. TRPV1 receptors in the CNS play a key role in broad-spectrum analgesia of TRPV1 antagonists. J Neurosci, 2006, 26(37): 9385-9393.
[3]. Martínez-Rojas VA, Barragán-Iglesias P, Rocha-González HI, et al. Role of TRPV1 and ASIC3 in formalin-induced secondary allodynia and hyperalgesia. Pharmacol Rep, 2014, 66(6): 964-971.

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