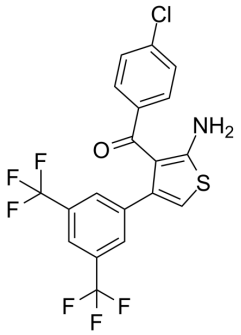
MIPS521

Cat. No.:	HY-139644
CAS No.:	1146188-19-3
Molecular Formula:	$C_{19}H_{10}ClF_6NOS$
Molecular Weight:	449.8
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (55.58 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2232 mL	11.1161 mL	22.2321 mL
	5 mM	0.4446 mL	2.2232 mL	4.4464 mL
	10 mM	0.2223 mL	1.1116 mL	2.2232 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

MIPS521 is a positive allosteric modulator of adenosine A_1 receptor (A_1AR). MIPS521 also has a lower A_1R allosteric affinity (pK_B=4.95; K_B=11 μM). MIPS521 exhibits pain-relieving effects in vivo through modulation of the increased levels of endogenous adenosine[1][2].

IC_{50} & Target

A_1AR

In Vitro

MIPS521 (compound 13o) (3-10 μM) improves the ability of R-PIA to promote A_1AR-mediated ERK1/2 phosphorylation[1].
MIPS521 (0.3-30 μM; pretreament for 10 min, co-treatment for 30 min) produces a concentration-dependent potentiation of signalling by ADO in an inhibition of cAMP assay (expressed as a percentage of the inhibition of 3 μM forskolin-mediated cAMP) in CHO cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

MIPS521 (1-30 μg in 10 μL; intrathecal administration) reverses mechanical hyperalgesia in rats, promoting robust antinociception[2].
MIPS521 (10 μg in 10 μL; intrathecal administration) significantly reduces spontaneous pain in a conditioned place

preference model[2].

MIPS521 (1-30 μg in 10 μL; intrathecal administration) reduces eEPSCs in spinal cord from nerve-injured rats, with a pEC_{50} of 6.9. The maximum MIPS521-induced decrease in synaptic current amplitude is significantly greater in nerve-injured rats than in sham surgery controls[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male and female Sprague-Dawley rats (7-12 weeks) were performed a partial nerve ligation (PNL) or sham surgery[2]
Dosage:	1, 3, 10, 30 μg in 10 μL
Administration:	Intrathecal administration
Result:	Reduced eEPSCs in spinal cord from nerve-injured rats and reversed mechanical hyperalgesia.

REFERENCES

[1]. Aurelio L, et, al. Allosteric modulators of the adenosine A1 receptor: synthesis and pharmacological evaluation of 4-substituted 2-amino-3-benzoylthiophenes. J Med Chem. 2009 Jul 23;52(14):4543-7.

[2]. Draper-Joyce CJ, et, al. Positive allosteric mechanisms of adenosine A 1 receptor-mediated analgesia. Nature. 2021 Sep;597(7877):571-576.

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