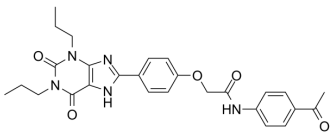
MRS-1706

Cat. No.:	HY-103186
CAS No.:	264622-53-9
Molecular Formula:	$C_{27}H_{29}N_5O_5$
Molecular Weight:	503.55
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 : 240 mg/mL (476.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9859 mL	9.9295 mL	19.8590 mL
	5 mM	0.3972 mL	1.9859 mL	3.9718 mL
	10 mM	0.1986 mL	0.9930 mL	1.9859 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 6 mg/mL (11.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6 mg/mL (11.92 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.64 mg/mL (1.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MRS-1706 is a potent and selective adenosine A_{2B} receptor inverse agonist. MRS-1706 has K_i values of 1.39, 112, 157, and 230 nM for human A_{2B}, A_{2A}, A_1 and A_3 receptors respectively. MRS-1706 blocks adenosine-mediated cAMP induction[1][2].

IC_{50} & Target

Ki: 1.39 (human A_{2B} receptor), 112 (human A_{2A} receptor), 157 (human A_1 receptor), 230 nM (human A_3 receptor)[2]

In Vitro

MRS-1706 (0.1-5 μM) has antagonist effect of NECA on the wild-type adenosine A_{2B} receptor in a dose-dependent manner[1]. MRS-1706 (0.1-10000 nM) induces inhibition of yeast growth, which yeast cells expressing seven CAM adenosine A_{2B}

	receptors, with IC_{50} values of 43, 54, 40, 98, 166, 133 nM for F84L, F84S, F84L/S95G, T42A, T42A/V54A, N36S/T42A, respectively[1]. MRS-1706 (1 μM) inhibits the adenosine-mediated induction of cAMP in wild-type corpus cavernosal strips (CCSs) and decreases the level of cAMP[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MRS-1706 (1-10 μM; intracavernous injection; $Ada^{-/-}$ mice) reduces the magnitude and duration of electrical field stimulation (EFS)-induced contraction of corpus cavernosal strips (CCSs) from sickle cell disease (SCD) transgenic mice and inhibits the level of cAMP[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	$Ada^{-/-}$ mice[2]
Dosage:	1 and 10 μM
Administration:	Intracavernous injection
Result:	Inhibited A2BR signaling and reduced the magnitude and duration. Inhibited the level of cAMP.

REFERENCES

[1]. Li Q, et, al. ZM241385, DPCPX, MRS1706 are inverse agonists with different relative intrinsic efficacies on constitutively active mutants of the human adenosine A2B receptor. J Pharmacol Exp Ther. 2007 Feb;320(2):637-45.

[2]. Mi T, et, al. Excess adenosine in murine penile erectile tissues contributes to priapism via A2B adenosine receptor signaling. J Clin Invest. 2008 Apr;118(4):1491-501.

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