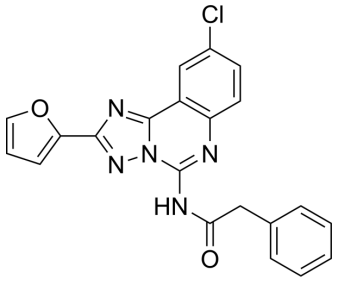
MRS1220

Cat. No.:	HY-103190
CAS No.:	183721-15-5
Molecular Formula:	$C_{21}H_{14}ClN_5O_2$
Molecular Weight:	403.82
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 4 mg/mL (9.91 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4764 mL	12.3818 mL	24.7635 mL
	5 mM	0.4953 mL	2.4764 mL	4.9527 mL
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

MRS1220, a highly potent and selective human A3 adenosine receptor (hA3AR) antagonist with a K_i of 0.59 nM, has therapeutic potential for the research of diseases of the central nervous system[1]. MRS1220 reduces glioblastoma tumor size and blood vessel formation in vivo[2].

In Vitro

MRS 1220 reverses the effect of A3 agonist-elicited inhibition of tumor necrosis factor-α formation in the human macrophage U-937 cell line with an IC_{50} of 0.3 μM[1].

VEGF secretion in U87MG glioblastoma stem-like cells (GSCs) decreases ~25% with MRS1220 after 72 h of hypoxia[2].

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

Cell Viability Assay[2]

Cell Line:	U87MG GSCs
Concentration:	10 μM
Incubation Time:	72 hours
Result:	Decreased ~25% VEGF secretion.

In Vivo

MRS1220 (0.15 mg/kg; intraperitoneal inoculation) reduces tumor size and blood vessel formation in vivo. MRS1220 exhibits a strong in vivo anti-angiogenic effect[2].

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

Animal Model:	Eight, 8 week-old male Sprague-Dawley rats bearing C6 (GSCs)[2]
Dosage:	0.15 mg/kg/72 h
Administration:	Administered by intraperitoneal inoculation, for fifteen days
Result:	A reduction close to 80% and 90% in tumor volume compared to the vehicle-treated group at day ten and fifteen post-treatment, respectively.

REFERENCES

[1]. K A Jacobson, et al. Pharmacological characterization of novel A3 adenosine receptor-selective antagonists. Neuropharmacology. 1997 Sep;36(9):1157-65.

[2]. René Rocha, et al. The Adenosine A_3 Receptor Regulates Differentiation of Glioblastoma Stem-Like Cells to Endothelial Cells under Hypoxia. Int J Mol Sci. 2018 Apr 18;19(4):1228.

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