Proteins

Screening Libraries

MRS2500 tetraammonium

Cat. No.: HY-108658 CAS No.: 630103-23-0

Molecular Formula: $C_{13}H_{30}IN_9O_8P_2$

Molecular Weight: 629.28

Target: P2Y Receptor Pathway: GPCR/G Protein

Storage: -20°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

H₂O: 50 mg/mL (79.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.5891 mL	7.9456 mL	15.8912 mL
	5 mM	0.3178 mL	1.5891 mL	3.1782 mL
	10 mM	0.1589 mL	0.7946 mL	1.5891 mL

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

BIOLOGICAL ACTIVITY

Description MRS2500 tetraammonium is a potent, selective and stable antagonist of the P2Y1 receptor (K_i=0.78 nM for recombinant

human P2Y1 receptor). MRS2500 tetraammonium inhibits the ADP-induced aggregation of human platelets with an IC $_{50}$

value of 0.95 nM. Antithrombotic activity^{[1][2][3]}.

In Vitro MRS2500 tetraammonium inhibits platelet aggregation to 10 μM ADP with an IC₅₀ of 0.95 nM in human washed platelets.

MRS2500 tetraammonium inhibits platelet aggregation to $10\mu M$ ADP with an IC₅₀ of 0.49 μM in human PRP^[4].

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

In Vivo MRS2500 (2 mg/kg; i.v.) decreases acute systemic thromboembolism through selective inhibition of the P2Y1 receptor^[3]. MRS2500 exhibited strong antithrombotic efficacy in the prevention of arterial thrombosis in the monkey ECAT model $^{[4]}$.

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

Animal Model:	20-25 g WT Male mice (acute vascular occlusion model) ^[3]
Dosage:	2 mg/kg

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Administration:	Intravenous
Result:	Reduced platelet consumption.

REFERENCES

- [1]. Hechler B, et al. MRS2500 [2-iodo-N6-methyl-(N)-methanocarba-2'-deoxyadenosine-3',5'-bisphosphate], a potent, selective, and stable antagonist of the platelet P2Y1 receptor with strong antithrombotic activity in mice. J Pharmacol Exp Ther. 2006;316(2):556
- [2]. Cattaneo M, et al. Antiaggregatory activity in human platelets of potent antagonists of the P2Y 1 receptor. Biochem Pharmacol. 2004;68(10):1995-2002.
- [3]. Wong PC, et al. The P2Y1 receptor antagonist MRS2500 prevents carotid artery thrombosis in cynomolgus monkeys. J Thromb Thrombolysis. 2016;41(3):514-521.

Caution: Product has not been fully validated for medical applications. For research use only.

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