MRS 2578

Cat. No.: HY-13104

CAS No.: 711019-86-2

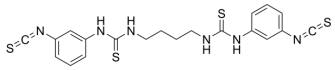
Molecular Formula: $C_{20}H_{20}N_6S_4$

Molecular Weight: 472.67

Target: P2Y Receptor; Apoptosis

Pathway: GPCR/G Protein; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (105.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1156 mL	10.5782 mL	21.1564 mL
	5 mM	0.4231 mL	2.1156 mL	4.2313 mL
	10 mM	0.2116 mL	1.0578 mL	2.1156 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: ≥ 2.5 mg/mL (5.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.29 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MRS 2578 is a selective and potent P2Y6 receptor antagonist with IC_{50}s of 37 nM (human) and 98 nM (rat). MRS 2578 exhibits insignificant activity at P2Y1, P2Y2, P2Y4, and P2Y11 receptors[1][2].

IC_{50} & Target

P2Y6 Receptor

In Vitro

MRS2578 (1 μM) completely blocks the protection by UDP undergoing TNFα-induced apoptosis in 1321N1 astrocytoma cells [1].

?MRS 2578 (10 μM) completely abolishes TNF-α induced NF-κB reporter activity in HMEC-1 cells. MRS 2578 (10 μM) significant reduces TNF-α–induced proinflammatory gene expression in HMEC-1 cells[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MRS2578 (3 mg/kg; i.p.; for 3 days) significantly suppresses pressure overload-induced collagen deposition without affecting cardiomyocyte hypertrophy after transverse aortic constriction (TAC)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-week-old male C57BL/6J mice[4]
Dosage:	3 mg/kg
Administration:	Intraperitoneal injection; daily for 3 days after TAC
Result:	Significantly suppressed pressure overload-induced collagen deposition.

CUSTOMER VALIDATION

- Cancer Immunol Res. 2020 Dec;17(12):1269-1271.
- Int Immunopharmacol. August 2022, 108909.
- CNS Neurosci Ther. 2022 Jun;28(6):851-861.
- Research Square Preprint. 2020 Aug.

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REFERENCES

[1]. Mamedova LK, et al. Diisothiocyanate derivatives as potent, insurmountable antagonists of P2Y6 nucleotide receptors. Biochem Pharmacol, 2004, 67(9), 1763-1770.

[2]. Riegel AK, et al. Selective induction of endothelial P2Y6 nucleotide receptor promotes vascular inflammation. Blood, 2011, 117(8), 2548-2555.

[3]. Vieira RP, et al. Purinergic receptor type 6 contributes to airway inflammation and remodeling in experimental allergic airway inflammation. Am J Respir Crit Care Med, 2011, 184(2), 215-223.

[4]. Nishida M, et al. P2Y6 receptor-Galpha12/13 signalling in cardiomyocytes triggers pressure overload-induced cardiacfibrosis. EMBO J. 2008 Dec 3;27(23):3104-15.

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