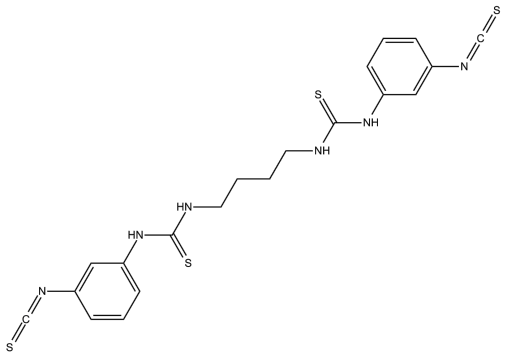
Product Data Sheet

Product Name: MRS 2578
Cat. No.: GC11078

Chemical Properties

Cas. No.	711019-86-2		
Chemical Name	1-(3-isothiocyanatophenyl)-3-[4-[(3-isothiocyanatophenyl)carbamothioylamino]butyl]thiourea		
SMILES	C1=CC(=CC(=C1)NC(=S)NCCCCNC(=S)NC2=CC=CC(=C2)N=C=S)N=C=S		
Formula	C20H20N6S4	M.Wt	472.68
Solubility	≥ 19.75mg/mL in DMSO	Storage	Store at 2-8°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines HeLa cells (human epithelial immortal cell line)

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Preparation Method	HeLa cells were maintained in RPMI 1640 medium supplemented with 10% fetal bovine serum (FBS) at 37°C, 5% CO_2. Cells were treated with MRS 2578 (37nM) for 60min prior to the addition of tested nucleotides.
Reaction Conditions	37nM; 60min prior to the addition of tested nucleotides
Applications	MRS 2578 blocked UDP-, UTP-, and TMPS-mediated migratory responses of HeLa cells.

Animal experiment [2]:

Animal models	ICR mice
Preparation Method	Mice were intraperitoneally administered MRS 2578 (3mg/kg) once a day for 3 days after transient middle cerebral artery occlusion (tMCAO). Mice were sacrificed at 14 days after tMCAO for brain analysis.
Dosage form	3mg/kg; i.p.; once daily for 3 days
Applications	MRS 2578 treatment enlarged brain atrophy and edema volume, and aggravated neurological function deficits after ischemic stroke.

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References:
[1] Gendaszewska-Darmach E, Szustak M. Thymidine 5'-O-monophosphorothioate induces HeLa cell migration by activation of the P2Y6 receptor. Purinergic Signal. 2016 Jun;12(2):199-209.
[2] Wen RX, Shen H, Huang SX, et al. P2Y6 receptor inhibition aggravates ischemic brain injury by reducing microglial phagocytosis. CNS Neurosci Ther. 2020 Apr;26(4):416-429.

Background

MRS 2578 is a potent and selective P2Y6 receptor antagonist (IC_{50}=37nM). MRS 2578 can regulate purinergic signaling by inhibiting UDP-induced inositol phospholipid accumulation and blocking the protective effect of UDP against TNFα-induced apoptosis. MRS 2578 reduces inflammatory responses by inhibiting NF-κB activity. MRS 2578 can be used in research related to vascular function, inflammatory diseases, and neuroprotection[1-4].

In vitro, MRS 2578 (5-10μM) was used to treat colorectal cancer cell lines (SW480 and HCT116) for 24-72 hours. MRS 2578 significantly inhibited cell viability and increased cell sensitivity to etoposide[5]. MRS 2578 (37nM) was used to pretreat HeLa cells 60 minutes before the addition of tested nucleotides (100μM). MRS 2578 blocked UDP-, UTP-, and TMPS-mediated migratory responses of HeLa cells[6].

In vivo, MRS 2578 (3mg/kg) was administered intraperitoneally once daily for 3 days to ICR mice subjected to transient middle cerebral artery occlusion (tMCAO). MRS 2578 significantly enlarged brain atrophy and edema volume and aggravated neurological function deficits[7]. MRS 2578 (2mg/kg) was administered as a single intratumoral injection to C57BL/6 mice bearing EL-4 lymphoma. MRS 2578 significantly reduced tumor growth, decreased the migratory potential of tumor cells, and improved the behavioral responses of the mice[8].

References:
[1] Mamedova LK, Joshi BV, Gao ZG, et al. Diisothiocyanate derivatives as potent, insurmountable antagonists of P2Y6 nucleotide receptors. Biochem Pharmacol. 2004 May 1;67(9):1763-70.
[2] Nishida M, Sato Y, Uemura A, et al. P2Y6 receptor-Galpha12/13 signalling in cardiomyocytes triggers pressure overload-induced cardiac fibrosis. EMBO J. 2008 Dec 3;27(23):3104-15.
[3] Riegel AK, Faigle M, Zug S, et al. Selective induction of endothelial P2Y6 nucleotide receptor

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promotes vascular inflammation. Blood. 2011 Feb 24;117(8):2548-55.
[4] Vieira RP, Müller T, Grimm M, et al. Purinergic receptor type 6 contributes to airway inflammation and remodeling in experimental allergic airway inflammation. Am J Respir Crit Care Med. 2011 Jul 15;184(2):215-23.
[5] Li X, Wu Z, An X, et al. Blockade of the LRP16-PKR-NF-κB signaling axis sensitizes colorectal carcinoma cells to DNA-damaging cytotoxic therapy. Elife. 2017 Aug 18;6:e27301.
[6] Gendaszewska-Darmach E, Szustak M. Thymidine 5'-O-monophosphorothioate induces HeLa cell migration by activation of the P2Y6 receptor. Purinergic Signal. 2016 Jun;12(2):199-209.
[7] Wen RX, Shen H, Huang SX, et al. P2Y6 receptor inhibition aggravates ischemic brain injury by reducing microglial phagocytosis. CNS Neurosci Ther. 2020 Apr;26(4):416-429.
[8] Ghimeray K, Sharma S, Rahman MM, et al. P2Y6 receptor inhibition arrests tumor cell progression in a mouse lymphoma model. Nucleosides Nucleotides Nucleic Acids. 2025 Nov 7:1-19.

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