MRS2279

Cat. No.: HY-108657 CAS No.: 367909-40-8

Molecular Formula: $C_{13}H_{18}CIN_5O_8P_2$

Molecular Weight: 469.71

Target: P2Y Receptor Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description	MRS2279 is a selective and high affinity P2Y1 receptor antagonist, with a K_i of 2.5 nM and an IC ₅₀ of 51.6 nM. MRS2279 competitively inhibits ADP-promoted platelet aggregation with an apparent affnity (pK _B =8.05) ^{[1][2][3]} .
IC ₅₀ & Target	P2Y1 Receptor 51.6 nM (IC ₅₀)
In Vitro	MRS2279 antagonizes 2-MeSADP-stimulated inositol phosphate formation in turkey erythrocyte membranes with a pK _b value of 7.75 ^[2] . MRS2279 shows high affinity competitive antagonism to human P2Y1 receptor with a pK _b value of 8.10 in 1321N1 human astrocytoma cells ^[2] . MRS2279 shows specific effect for the P2Y1 receptor, but shows no effect on activation of the human P2Y2, P2Y4, P2Y6, or P2Y11 receptors by their cognate agonists ^[2] . MRS2279 shows no ability to block the capacity of ADP to act through the Gi/adenylyl cyclase linked P2Y receptor of platelets to inhibit cyclic AMP accumulation ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MRS2279 (2 μ L, 1 nM; intracerebroventricular injection; 30 min prior to mechanical ventilation) reduces mouse brain injury induced by mechanical ventilation in high-pressure ventilation mice ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

• Bioengineered. 2022 Feb;13(2):2346-2359.

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REFERENCES

[1]. Wei W, et al. Mechanical ventilation induces lung and brain injury through ATP production, P2Y1 receptor activation and dopamine release. Bioengineered. 2022 Feb;13(2):2346-2359.

[2]. Nandanan E, et al. Synthesis, biological activity, and molecular modeling of ribose-modified deoxyadenosine bisphosphate analogues as P2Y(1) receptor ligands. J Med Chem. 2000;43(5):829-842.
[3]. Boyer JL, et al, Ravi RG, Jacobson KA, Harden TK. 2-Chloro N(6)-methyl-(N)-methanocarba-2'-deoxyadenosine-3',5'-bisphosphate is a selective high affinity P2Y(1) receptor antagonist. Br J Pharmacol. 2002;135(8):2004-2010.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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