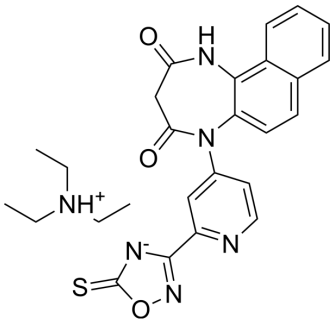
MRS4719

Cat. No.:	HY-151547
CAS No.:	2840581-32-8
Molecular Formula:	$C_{26}H_{13}N_5O_3S.C_6H_{15}N$
Molecular Weight:	504.6
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	MRS4719 is a potent P2X4 receptor antagonist with an IC_{50} value of 0.503 μM for human P2X4 receptor. MRS4719 can reduce infarct volume and reduce brain atrophy, showing neuroprotective and neuro-rehabilitative activities in ischemic stroke model. MRS4719 also reduces ATP-induced $[Ca^{2+}]_i$ influx in primary human monocyte-derived macrophages. MRS4719 can be used to research ischemic stroke[1].
IC_{50} & Target	IC_{50}: 0.503 μM (P2X4 receptor)[1]
In Vitro	MRS4719 (compound 21u) (0.1, 0.3, 0.6, 1.0 and 3.0 μM; 15 min) inhibits P2X4R-mediated Ca^{2+} influx in human subjects[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MRS4719 (compound 21u) (0.5-3 mg/kg; 3 days continuous infusion with an Alzet minipump) reduce infarct volume and reduced brain atrophy; does not improve motor coordination and balance as assessed using rotarod; improves learning and memory after stroke[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male and female young C57B/6 mice (8-12 weeks; induced transient focal cerebral ischemia by a 60 min right middle cerebral artery occlusion)[1]
Dosage:	0.5, 1.5 and 3 mg/kg
Administration:	3 days continuous infusion with an Alzet minipump
Result:	Caused significant neuroprotection using total hemispheric infarct volume size at doses 1.5 and 3.0 mg/kg.

Animal Model:	Middle-aged C57B/6 mice (11-12 month-old; induced transient focal cerebral ischemia by a 60 min right middle cerebral artery occlusion)[1]
Dosage:	1.5 and 3 mg/kg
Administration:	3 days continuous infusion with an Alzet minipump
Result:	Did not improve motor coordination and balance as assessed using rotarod.

Animal Model:	Middle-aged C57B/6 mice (11-12 month-old; induced transient focal cerebral ischemia by a 60 min right middle cerebral artery occlusion)[1]
Dosage:	3 mg/kg
Administration:	3 days continuous infusion with an Alzet minipump
Result:	Improved dose-dependently learning and memory after stroke and reached statistical significance at a dose of 3 mg/kg.

REFERENCES

[1]. Toti KS, et al. Structure-Activity Relationship and Neuroprotective Activity of 1,5-Dihydro-2H-naphtho[1,2-b][1,4]diazepine-2,4(3H)-diones as P2X4 Receptor Antagonists. J Med Chem. 2022 Sep 23.

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