MRS2365 trisodium

Cat. No.: HY-108656A

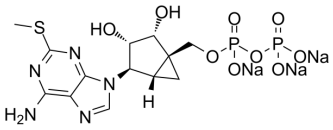
Molecular Formula: $C_{13}H_{16}N_5Na_3O_9P_2S$

Molecular Weight: 549.28

Target: P2Y Receptor; Arrestin

Pathway: GPCR/G Protein

Storage: Solution, -20°C, 2 years



BIOLOGICAL ACTIVITY

Description	MRS2365 trisodium is a potent and selective P2Y1 receptor (EC_{50}=0.4 nM)/[^{35}S]GTPγS binding/β-arrestin 2 recruitment agonist. MRS2365 trisodium relieves mechanical allodynia and increases mechanical sensitivity[1][2][3][4].
IC_{50} & Target	P2Y1 Receptor 0.4 nM (EC50)
In Vitro	MRS2365 trisodium (1 μM or 3 μM; 2 min) weakens adenosine diphosphate (ADP)-induced platelet aggregation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MRS2365 trisodium (0.03-0.3 mg/kg; i.p.; single dose) significantly alleviates the mechanical allodynia in the male wistar rats neuropathy (Seltzer) model with dose-dependent manner[3]. MRS2365 trisodium (0.1-2 mg/kg; i.p.; single dose) increases the paw withdrawal threshold (PWT) in male wistar rats with neuropathic pain model[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats with neuropathic pain (250-350 g)[3].
Dosage:	0.03, 0.1, 0.3, 1 and 2 mg/kg.
Administration:	Intraperitoneal injection; single dose.
Result:	Relieved mechanical allodynia and increased the paw withdrawal threshold.

REFERENCES

[1]. Mariya Chhatriwala, et al. Induction of novel agonist selectivity for the ADP-activated P2Y1 receptor versus the ADP-activated P2Y12 and P2Y13 receptors by conformational constraint of an ADP analog. J Pharmacol Exp Ther. 2004 Dec;311(3):1038-43.

[2]. D M Bourdon, et al. (N)-methanocarba-2MeSADP (MRS2365) is a subtype-specific agonist that induces rapid desensitization of the P2Y1 receptor of human platelets. J Thromb Haemost. 2006 Apr;4(4):861-8.

[3]. Andó RD, et al. A comparative analysis of the activity of ligands acting at P2X and P2Y receptor subtypes in models of neuropathic, acute and inflammatory pain. Br J Pharmacol. 2010 Mar;159(5):1106-17.

[4]. Gao ZG, et al. Distinct Signaling Patterns of Allosteric Antagonism at the P2Y1 Receptor. Mol Pharmacol. 2017 Nov;92(5):613-626.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA