NF449 octasodium

Cat. No.: HY-112461A

CAS No.: 627034-85-9

Molecular Formula: $C_{41}H_{24}N_6Na_8O_{29}S_8$

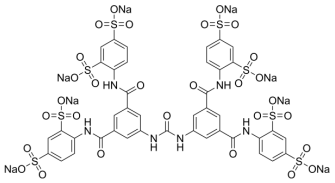
Molecular Weight: 1505.09

Target: P2X Receptor

Pathway: Membrane Transporter/Ion Channel

Storage: -20°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 10 mg/mL (6.64 mM; Need ultrasonic and warming)

DMSO : 10 mg/mL (6.64 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.6644 mL	3.3221 mL	6.6441 mL
5 mM	0.1329 mL	0.6644 mL	1.3288 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

NF449 octasodium is a highly potent $P2X_1$ receptor antagonist, with IC_{50}s of 0.28, 0.69, and 120 nM for $rP2X_1$, $rP2X_{1+5}$, $P2X_{2+3}$, respectively. NF449 octasodium is a $G_{s\alpha}$-selective G Protein antagonist. NF449 octasodium suppresses the rate of GTP[γS] binding to $G_{s\alpha\text{-}s}$, inhibits the stimulation of adenylyl cyclase activity, and blocks the coupling of β-adrenergic receptors to G_s [1][2].

IC_{50} & Target

p2x1 Receptor

In Vitro

NF449 octasodium suppressed the rate of GTP[γS] binding to $rG_{s\alpha\text{-}s}$ while barely affecting binding to $rG_{i\alpha\text{-}1}$ (IC_{50}=140 nM), inhibits stimulation of adenylyl cyclase activity in S49 cyc? membranes (deficient in endogenous Gsα) by exogenously added Gsα-s, and blocks the coupling of β-adrenergic receptors to G_s (EC_{50}=7.9 μM)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

At a dose of 10 mg/kg, NF449 octasodium inhibits the ex vivo aggregation triggered by 5 g/ml collagen in WT mouse platelets without affecting that induced by 5 μM ADP. At a higher dose (50 mg/kg), NF449 octasodium inhibits ex vivo platelet aggregation in response to not only 10 g/ml collagen but also 5 M ADP, indicating nonselective inhibition of the P2Y1 and/or P2Y12 receptor[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Vet Parasitol. 2022 Nov 19;312:109841.

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REFERENCES

[1]. Rettinger J, et al. Profiling at recombinant homomeric and heteromeric rat P2X receptors identifies the suramin analogue NF449 as a highly potent P2X1 receptor antagonist. Neuropharmacology. 2005;48(3):461-468.

[2]. Hechler B, et al. Inhibition of platelet functions and thrombosis through selective or nonselective inhibition of the platelet P2 receptors with increasing doses of NF449 [4,4',4'',4'''-(carbonylbis(imino-5,1,3-benzenetriylbis-(carbonylimino)))tetrakis-benzene-1,3-disulfonic acid octasodium salt]. J Pharmacol Exp Ther. 2005;314(1):232-243.

[3]. Hohenegger M, et al. Gsalpha-selective G protein antagonists. Proc Natl Acad Sci U S A. 1998;95(1):346-351.

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