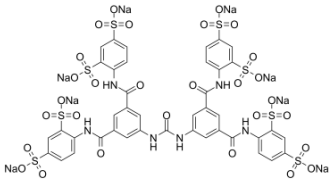
NF449 octasodium

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:	Please store the product under the recommended conditions in the COA.



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].
In Vitro	NF449 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].
In Vivo	At a dose of 10 mg/kg, NF449 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 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].

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.

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