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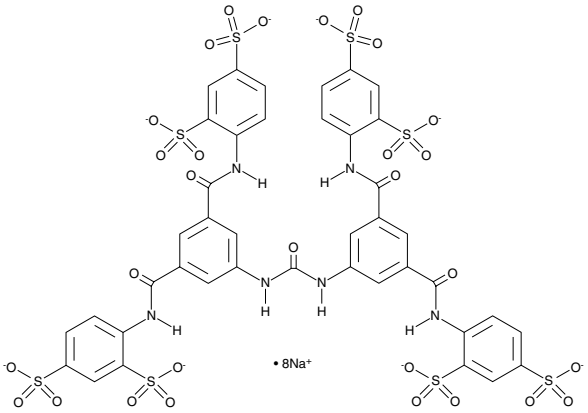
Product Information

NF449 (sodium salt)

Item No. 13324

CAS Registry No.: 627034-85-9
Formal Name: 4,4',4",4"'-[carbonylbis[imino-5,1,3-benzenetriylbis(carbonylimino)]] tetrakis-1,3-benzenedisulfonic acid, octasodium salt
MF: $C_{41}H_{24}N_6O_{29}S_8 \bullet 8Na$
FW: 1,505.1
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 277 nm



Laboratory Procedures

For long term storage, we suggest that NF449 (sodium salt) be stored as supplied at -20°C. It should be stable for at least two years.

NF449 (sodium salt) is supplied as a crystalline solid. NF449 (sodium salt) is sparingly soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. For biological experiments, we suggest that organic solvent-free aqueous solutions of NF449 (sodium salt) be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of NF449 (sodium salt) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

NF449 is an analog of suramin that selectively inhibits $P2X_1$ purinergic receptors (pIC_{50} = 6.3) with a potency 19-fold greater than at $P2X_3$, $P2Y_1$, $P2Y_2$, or $P2Y_{11}$.[1,2] Through selective inhibition of the $P2X_1$ receptor, 10 mg/kg NF449 has been used to decrease intravascular platelet aggregation in a mouse model of systemic thromboembolism.[3] NF449 has also demonstrated selective antagonism of the $G_{s\alpha}$-subunit G protein, which suppresses the association rate of GTPγS binding to $G_{s\alpha\text{-}s}$, inhibits the stimulation of adenylyl cyclase activity, and blocks G protein coupling to certain GPCRs.[4]

References

1. Kassack, M.U., Braun, K., Ganso, M., *et al.* Structure-activity relationships of analogues of NF449 confirm NF449 as the most potent and selective known $P2X_1$ receptor antagonist. *Eur. J. Med. Chem.* **39(4)**, 345-357 (2004).
2. El-Ajouz, S., Ray, D., Allsopp, R.C., *et al.* Molecular basis of selective antagonism of the $P2X_1$ receptor for ATP by NF449 and suramin: Contribution of basic amino acids in the cysteine-rich loop. *Br. J. Pharmacol.* **165(2)**, 390-400 (2012).
3. Hechler, B., Magnenat, S., Zighetti, M.L., *et al.* Inhibition of platelet functions and thrombosis through selective or nonselective inhibition of the platelet P_2 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.* **314(1)**, 232-243 (2005).
4. Hohenegger, M., Waldhoer, M., Beindl, W., *et al.* $G_{s\alpha}$-selective G protein antagonists. *Proc. Natl. Acad. Sci. USA* **95(1)**, 346-351 (1998).

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