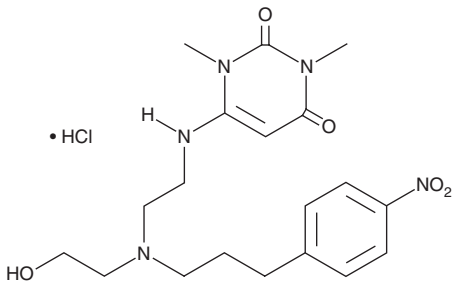
PRODUCT INFORMATION

Nifekalant (hydrochloride)

Item No. 20997

CAS Registry No.: 130656-51-8
Formal Name: 6-[[2-[(2-hydroxyethyl)[3-(4-nitrophenyl)propyl]amino]ethyl]amino]-1,3-dimethyl-2,4(1H,3H)-pyrimidinedione, monohydrochloride
Synonym: MS-551
MF: $C_{19}H_{27}N_5O_5 \bullet HCl$
FW: 441.9
Purity: ≥98%
UV/Vis.: λ_{max}: 267 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Nifekalant (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the nifekalant (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Nifekalant (hydrochloride) is slightly soluble in methanol.

Nifekalant (hydrochloride) is slightly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Nifekalant is a class III antiarrhythmic agent.[1] It inhibits the rapidly activating delayed rectifier potassium channel (I_{Kr}; IC_{50} = 10 µM) and prolongs cardiac action potential duration (APD) in isolated dog Purkinje fibers when used at concentrations of 1 and 3 µg/ml.[1,2] Nifekalant (3 mg/kg) inhibits arrhythmias induced by programmed electrical stimulation (PES) in dogs post myocardial infarction.[3] It increases atrial and ventricular effective refractory periods (ERPs) in anesthetized dogs.[4] Nifekalant also inhibits human multidrug and toxin extrusion (MATE) transporter 1 (MATE1; IC_{50} = 6.5 µM).[5] Formulations containing nifekalant have been used in the treatment of arrhythmias and ventricular tachycardia.

References

1. Katakami, T., Yokoyama, T., Miyamoto, M., *et al.* Synthesis and pharmacological studies of N-substituted 6-[(2-aminoethyl)amino]-1,3-dimethyl-2,4(1H,3H)-pyrimidinediones, novel class III antiarrhythmic agents. *J. Med. Chem.* **35(18)**, 3325-3330 (1992).
2. Du, L.P., Tsai, K.C., Li, M.Y., *et al.* The pharmacophore hypotheses of I_{Kr} potassium channel blockers: Novel class III antiarrhythmic agents. *Bioorg. Med. Chem. Lett.* **14(18)**, 4771-4777 (2004).
3. Kamiya, J., Ishii, M., and Katakami, T. Antiarrhythmic effects of MS-551, a new class III antiarrhythmic agent, on canine models of ventricular arrhythmia. *Jpn. J. Pharmacol.* **58(2)**, 107-115 (1992).
4. Kamiya, J., Ishii, M., Yoshihara, K., *et al.* MS-551: Pharmacological profile of a novel class III antiarrhythmic agent. *Drug Develop. Res.* **30(1)**, 37-44 (1993).
5. Wittwer, M.B., Zur, A.A., Khuri, N., *et al.* Discovery of potent, selective multidrug and toxin extrusion transporter 1 (MATE1, SLC47A1) inhibitors through prescription drug profiling and computational modeling. *J. Med. Chem.* **56(3)**, 781-795 (2013).

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