Nifekalant hydrochloride

Cat. No.: HY-B0772A

CAS No.: 130656-51-8

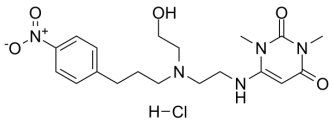
Molecular Formula: $C_{19}H_{28}ClN_5O_5$

Molecular Weight: 441.91

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (282.86 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2629 mL	11.3145 mL	22.6290 mL
	5 mM	0.4526 mL	2.2629 mL	4.5258 mL
	10 mM	0.2263 mL	1.1315 mL	2.2629 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nifekalant hydrochloride (MS-551), a class III antiarrhythmic agent, is a IKr potassium channel blocker with an IC_{50} of 10 μM. Nifekalant hydrochloride can be used for refractory ventricular tachyarrhythmias research[1][2].

In Vitro

Nifekalant interacts with the cardiac M2 and the peripheral M3 receptors with a K_i value of 27 and 74 mM, respectively. Nifekalant dose dependently blocks HERG channels with an IC_{50} value of 7.9 mM, but Nifekalant does not block minK currents in the Xenopus oocyte expression system. Nifekalant blocks HERG channels mainly in their open state in a frequency dependent manner. As a pure K^+ channel blocker, Nifekalant does not have negative inotropic effects which amiodarone has via a β-blocking action and does not affect cardiac conduction[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In rats (a species deficient in functional cardiac IK), before coronary ligation, 3 mg/kg and 10 mg/kg MS-551 decreased the heart rate by 6% and 12%, and increased mean arterial pressure (MAP) by 14% and 33%, respectively. MS-551 prolongs the QT interval and reduced the incidence of sustained ventricular fibrillation (VF) after reperfusion[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lü-Pei Du, et al. The pharmacophore hypotheses of I(Kr) potassium channel blockers: novel class III antiarrhythmic agents. Bioorg Med Chem Lett. 2004 Sep 20;14(18):4771-7.

[2]. Ioannis N Pantazopoulos, et al. Nifekalant in the treatment of life-threatening ventricular tachyarrhythmias. World J Cardiol. 2011 Jun 26;3(6):169-76.

[3]. J Chen, et al. IK independent class III actions of MS-551 compared with sematilide and dofetilide during reperfusion in anaesthetized rats. Br J Pharmacol. 1996 Nov;119(5):937-42.

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