Flecainide acetate

Cat. No.: HY-17429

CAS No.: 54143-56-5

Molecular Formula: $C_{19}H_{24}F_6N_2O_5$

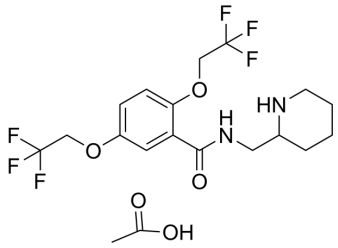
Molecular Weight: 474.39

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (105.40 mM; Need ultrasonic)

H_2O : 20 mg/mL (42.16 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1080 mL	10.5399 mL	21.0797 mL
5 mM	0.4216 mL	2.1080 mL	4.2159 mL
10 mM	0.2108 mL	1.0540 mL	2.1080 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: ≥ 2.5 mg/mL (5.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Flecainide acetate (R-818) is a class 1C antiarrhythmic agent especially used for the management of supraventricular arrhythmia; works by blocking the Nav1.5 sodium channel in the heart, causing prolongation of the cardiac action potential.

IC_{50} & Target

$Na_V1.5$

In Vitro

Flecainide is a class 1C antiarrhythmic drug especially used for the management of supraventricular arrhythmia. Flecainide works by blocking the Nav1.5 sodium channel in the heart, causing prolongation of the cardiac action potential.in vitro: Under the current-clamp condition, flecainide (1-100 microM) prolonged the action potential duration at both the early and

the late phases of repolarization in a concentration-dependent manner without affecting the resting membrane potential [1]. At a holding potential (HP) of -120 mV, flecainide use-dependently blocked WT and G1306E I(Na) equally but was more potent on R1448C channels. For WT, the extent of block depended on a holding voltage more negative than the activation threshold, being greater at -90 mV as compared to -120 and -180 mV [2].in vivo: Flecainide (80-130 mg/m(2) orally) resulted in termination of the tachycardia in all 8 patients. Acute pharmacological termination of arrhythmia occurred with oral flecainide loading in 1 and temporarily with intravenous esmolol loading in 1 patient. Adjuvant therapy in form of propranolol was used in 5 and digoxin in 2 [3].

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

REFERENCES

[1]. Yamashita T, Nakajima T, Hamada E, Flecainide inhibits the transient outward current in atrial myocytes isolated from the rabbit heart. J Pharmacol Exp Ther. 1995 Jul;274(1):315-21.

[2]. Desaphy JF, De Luca A, Didonna MP, Different flecainide sensitivity of hNav1.4 channels and myotonic mutants explained by state-dependent block. J Physiol. 2004 Jan 15;554(Pt 2):321-34.

[3]. Kohli V. Oral flecainide is effective in management of refractory tachycardia in infants. Indian Heart J. 2013 Mar-Apr;65(2):168-71.

Caution: Product has not been fully validated for medical applications. For research use only.

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