Ibutilide fumarate

Cat. No.: HY-B0387

CAS No.: 122647-32-9

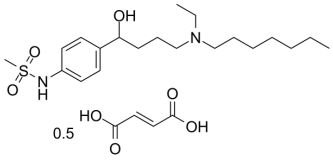
Molecular Formula: $C_{24}H_{40}N_2O_7S$

Molecular Weight: 442.61

Target: Potassium 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 (112.97 mM)
H_2O : 50 mg/mL (112.97 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2593 mL	11.2966 mL	22.5933 mL
	5 mM	0.4519 mL	2.2593 mL	4.5187 mL
	10 mM	0.2259 mL	1.1297 mL	2.2593 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 37.5 mg/mL (84.72 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.65 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.65 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.65 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ibutilide (U70226E) fumarate, an action potential-prolonging antiarrhythmic, is a potent blocker of the rapidly activating delayed rectifier K^+ current (I_{Kr}) in AT-1 cells[1].

In Vitro

Ibutilide fumarate is a potent I_{Kr} blocker with an EC_{50} value of 20 nM at +20 mV in atrial tumor myocytes (AT-1) cells[1].
Ibutilide fumarate blocks I_{Kr} in cells expressing HERG+MDR1*1 to the same extent as cells expressing HERG alone (IC_{50}: 22.5

	nM vs 27.4 nM). However, cells expressing MDR1*7 show a marked resistance to Ibutilide (IC_{50}: 105.3 nM vs 27.4 nM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ibutilide fumarate prolongs cardiac repolarization in vitro and in vivo[1]. Ibutilide (administered cumulatively in three doses, 0.01, 0.02 and 0.05 mg/kg i.v., each as a 10-min infusion) fumarate results in both polymorphic and monomorphic non-sustained ventricular tachycardia[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Fifteen adult mongrel dogs of either sex[1]
Dosage:	0.01, 0.02 and 0.05 mg/kg
Administration:	Intravenous injection; each as a 10-min infusion
Result:	The action potential duration at 90% (APD_{90}) prolongation with Ibutilide (0.01 mg/kg) was significantly greater in congestive heart failure (CHF) vs. controls. An increased dispersion of left-right ventricular APD_{90} was observed in CHF at 0.01 mg/kg, but not in controls.

REFERENCES

[1]. Ibutilide, a methanesulfonanilide antiarrhythmic, is a potent blocker of the rapidly activating delayed rectifier K+ current (IKr) in AT-1 cells. Concentration-, time-, voltage-, and use-dependent effects. Circulation. 1995 Mar 15;91(6):1799-806.

[2]. B F McBride, et al. Influence of the G2677T/C3435T haplotype of MDR1 on P-glycoprotein trafficking and Ibutilide-induced block of HERG. Pharmacogenomics J. 2009 Jun;9(3):194-201.

[3]. S S Chugh, et al. Altered response to Ibutilide in a heart failure model. Cardiovasc Res. 2001 Jan;49(1):94-102.

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