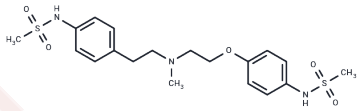
Data Sheet (Cat.No.T6476)

TargetMol

Dofetilide

Chemical Properties



CAS No. : 115256-11-6

Formula: C19H27N3O5S2

Molecular Weight: 441.56

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Dofetilide (UK 68789) is a sulfonamide class III antiarrhythmic agent and potassium channel blocker. Dofetilide selectively blocks cardiac ion channels of the rapid component of the delayed rectifier potassium current Ikr. This antiarrhythmic agent prolongs cardiac action potential duration and effective refractory period due to delayed repolarization without affecting conduction velocity. This results in a normal sinus rhythm. Dofetilide is used in the treatment of atrial fibrillation and flutter.
Targets(IC50)	Potassium Channel
In vitro	Dofetilide blocks HERG currents in excised macro patches of Xenopus oocytes. [1] Dofetilide (1 μM) reduces the amplitude of IKr to 61% of control currents in guinea pig cardiomyocytes, as measured by 200-ms test pulses and analysis of the deactivating tail currents of IKr. [2] Dofetilide increases apico-basal disparity of repolarization, due to a more marked increase of ERPs in the apex than in the base in the intact canine heart. [3]
In vivo	Dofetilide (100 mg/kg, i.v.) does not suppress automaticity arrhythmias induced by two-stage coronary ligation and epinephrine or the coronary ligation and reperfusion arrhythmias, but suppresses the reentry arrhythmia induced by PES in dogs with old myocardial infarction (MI). Dofetilide also shows antiarrhythmic effect in some dogs with digitalis arrhythmia. Dofetilide increases QT interval and shows negative chronotropic effect like that of other class III drugs, but is different in antiarrhythmic profiles from those of other class III agents such as D-sotalol, E-4031, and MS-551 in that it does not prevent the occurrence of ventricular fibrillation (VF) immediately after coronary reperfusion and has some antiarrhythmic effects on digitalis arrhythmia. [4] Dofetilide causes increased resorptions and the same stage-dependent malformations in Sprague-Dawley rats. [5]

Solubility Information

Solubility	DMSO: 250 mg/mL (566.17 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.53 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2647 mL	11.3235 mL	22.647 mL
5 mM	0.4529 mL	2.2647 mL	4.5294 mL
10 mM	0.2265 mL	1.1323 mL	2.2647 mL
50 mM	0.0453 mL	0.2265 mL	0.4529 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kiehn J, et al. Circulation, 1996, 94(10), 2572-2579.
Kiehn J, et al. J Cardiovasc Pharmacol, 1994, 24(4), 566-572.
Bauer A, et al. J Cardiovasc Pharmacol, 2002, 39(3), 460-467.
Chen J, et al. J Cardiovasc Pharmacol, 1996, 28(4), 576-584.
Webster WS, et al. Teratology, 1996, 53(3), 168-175.