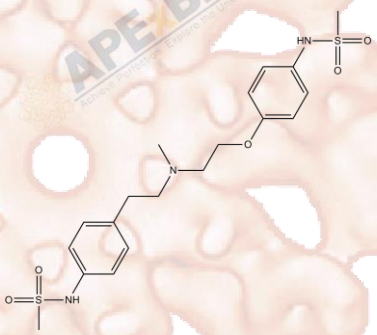
Product Data Sheet

Dofetilide



Cat. No.: A8417
CAS No.: 115256-11-6
Formula: C19H27N3O5S2
M.Wt: 441.56
Synonyms:
Target: Membrane Transporter/Ion Channel
Pathway: Potassium Channel
Storage: Store at -20°C

Solvent & Solubility

In Vitro

≥21.15 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.2647 mL	11.3235 mL	22.6470 mL
5 mM	0.4529 mL	2.2647 mL	4.5294 mL
10 mM	0.2265 mL	1.1323 mL	2.2647 mL

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

Biological Activity

Shortsummary	Potassium channel inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HEK293 cells, guinea pig cardiomyocytes
Preparation method:	The solubility of this compound in DMSO is >21.2 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μM

	Applications:	In a human cell line and human embryonic kidney 293 transfected with HERG, dofetilide induced channel block with the EC50 of 12 ± 2 nM. Induction of block depended on depolarization beyond the threshold for channel opening. Dofetilide acted as a slow-onset/slow-offset open channel blocker of this current at nanomolar concentrations. Dofetilide (1 μM) reduced 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.
In Vivo	**Animal experiment**	
	Animal models:	Dogs with old myocardial infarction (MI)
	Dosage form:	Intravenous injection, 100 mg/kg
	Applications:	Dofetilide (100 mg/kg, i.v.) suppressed the reentry arrhythmia induced by PES in dogs with old myocardial infarction (MI). Dofetilide showed antiarrhythmic effect in some dogs with digitalis arrhythmia. Dofetilide increased QT interval and showed negative chronotropic effect.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Blanchette AD, Grimm FA, et al. "Thorough QT/QTc in a Dish: An In Vitro Human Model That AccuratelyPredicts Clinical Concentration-QTc Relationships." Clin Pharmacol Ther. 2018 Oct 22.PMID:30346629

2. House JS, Grimm FA, Jet al. "A Pipeline for High-Throughput Concentration Response Modeling of Gene Expression for Toxicogenomics." Front Genet. 2017 Nov 1;8:168.PMID:29163636

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References

[1]. Snyders D J, Chaudhary A. High affinity open channel block by dofetilide of HERG expressed in a human cell line[J]. Molecular Pharmacology, 1996, 49(6): 949-955.

[2]. Kiehn J, Villena P, Beyer T, et al. Differential effects of the new class III agent dofetilide on potassium currents in guinea pig cardiomyocytes[J]. Journal of cardiovascular pharmacology, 1994, 24(4): 566-572.

[3]. Chen J, Xue Y, Eto K, et al. Effects of dofetilide, a class III antiarrhythmic drug, on various ventricular arrhythmias in dogs[J]. Journal of cardiovascular pharmacology, 1996, 28(4): 576-584.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com