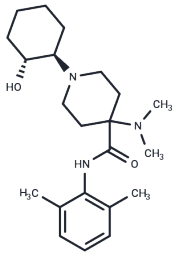
Data Sheet (Cat.No.T26287)

TargetMol

Transcainide

Chemical Properties



CAS No. : 88296-62-2

Formula: C22H35N3O2

Molecular Weight: 373.53

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	Transcainide(R 54718), a new lidocaine analog, is an orally active antiarrhythmic agent. Transcainide blocks the open state of BTX-activated sodium channels in bovine heart and rat skeletal muscle.
Targets(IC50)	Others,Sodium Channel
In vitro	Transcainide (IC50=0.3 μM) inhibited equilibrium [3H]batrachotoxinin binding to sodium channels present on freshly isolated rat cardiac myocytes. Scatchard analysis of [3H] batrachotoxinin binding showed that Transcainide both reduced maximal binding and altered the KD for [3H]batrachotoxinin binding, indicating noncompetitive, allosteric inhibition. Inhibition by Transcainide of [3H]batrachotoxinin binding was reversible within 60 min. Transcainide had little effect on the k-1 of [3H]batrachotoxinin even at concentrations 1000-fold greater than its IC50, indicating a low affinity of Transcainide for activated channels. However, Transcainidee decreased the k + 1 of [3H] batrachotoxinin at a concentration very close to its IC50 concentration for inhibiting equilibrium [3H] batrachotoxin binding. The results are discussed in terms of a model in which Transcainide inhibits [3H] batrachotoxin binding by binding specifically to and stabilizing a nonactivated state of the cardiac sodium channel.[1] Reduction of sodium current by Transcainide was concentration-dependent, with an ED50 of approximately 0.5 μM (n = 9).[2]

Solubility Information

Solubility	DMSO: 3.74 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6772 mL	13.3858 mL	26.7716 mL
5 mM	0.5354 mL	2.6772 mL	5.3543 mL
10 mM	0.2677 mL	1.3386 mL	2.6772 mL
50 mM	0.0535 mL	0.2677 mL	0.5354 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

Hill RJ, et al. Transcainide: biochemical evidence for state-dependent interaction with the class I antiarrhythmic drug receptor. Eur J Pharmacol. 1991 Oct 2;203(1):51-8.
Bennett PB, et al. Sodium channel block by a potent, new antiarrhythmic agent, transcainide, in guinea pig ventricular myocytes. J Cardiovasc Pharmacol. 1987 Jun;9(6):661-7.
Carmeliet E, et al. Electrophysiologic, antiarrhythmic and hemodynamic effects of transcainide. Arch Int Pharmacodyn Ther. 1987 Jun;287(2):272-90.
Zamponi GW, et al. Transcainide causes two modes of open-channel block with different voltage sensitivities in batrachotoxin-activated sodium channels. Biophys J. 1994 Sep;67(3):1028-39.

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