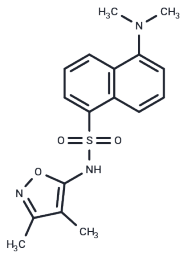
Data Sheet (Cat.No.T26841)

TargetMol

BMS 182874

Chemical Properties



CAS No. : 153042-42-3

Formula: C17H19N3O3S

Molecular Weight: 345.42

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	BMS 182874 is an orally effective and highly selective endothelin receptor ETA antagonist with an IC50 value of 0.150 μM for ETA and a Ki value of 0.055 μM for ETA. BMS 182874 is able to reduce arterial pressure in a rat hypertension model induced by Deoxycorticosterone acetate. BMS 182874 was able to reduce Deoxycorticosterone-induced arterial pressure in a rat model of hypertension and can be used to study cardiovascular disease.
Targets(IC50)	Endothelin Receptor
In vitro	BMS-182874 (dimethyla minonalogue 11) is an effective functional antagonist of ETA-induced intracellular Ca2+ increase, with an IC50 value of 0.150 μM in vs-A10 cells. Ki value is 0.055 μM. The KB value in the rabbit carotid artery ring is 0.520 μM/[1]
In vivo	BMS 182874 (100 μM/kg; Single oral) administration causes a slow decrease of 25% in arterial blood pressure from the control level. After 12 and 24 hours of administration, arterial blood pressure remained 12% lower than the control level.[1]

Solubility Information

Solubility	DMSO: 55 mg/mL (159.23 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.895 mL	14.4751 mL	28.9503 mL
5 mM	0.579 mL	2.895 mL	5.7901 mL
10 mM	0.2895 mL	1.4475 mL	2.895 mL
50 mM	0.0579 mL	0.2895 mL	0.579 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

Abdullaha M, et al. Methoxy-naphthyl-Linked N-Benzyl Pyridinium Styryls as Dual Cholinesterase Inhibitors: Design, Synthesis, Biological Evaluation, and Structure-Activity Relationship. ACS Omega. 2023;8(20):17591-17608.

Holm P, et al. The ETA receptor antagonist, BMS-182874, reduces acute hypoxic pulmonary hypertension in pigs in vivo. Cardiovasc Res. 1998;37(3):765-771.

Webb ML, et al. BMS-182874 is a selective, nonpeptide endothelin ETA receptor antagonist. J Pharmacol Exp Ther. 1995;272(3):1124-1134.

Chong S, et al. Pharmacokinetics and metabolism of endothelin receptor antagonist: contribution of kidneys in the overall in vivo N-demethylation. Arch Pharm Res. 2003;26(1):89-9

Park JB, et al. ET(A) receptor antagonist prevents blood pressure elevation and vascular remodeling in aldosterone-infused rats. Hypertension. 2001;37(6):1444-1449.