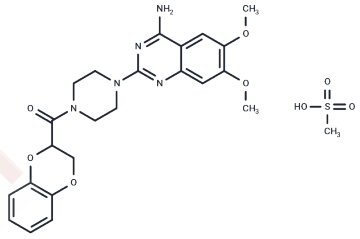
Data Sheet (Cat.No.T0101)

TargetMol

Doxazosin mesylate

Chemical Properties



CAS No. : 77883-43-3

Formula: C24H29N5O8S

Molecular Weight: 547.58

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	Doxazosin mesylate (UK 33274 mesylate)(UK 33274) is a quinazoline-derivative. It is a selectively antagonizes postsynaptic α1-adrenergic receptors.
Targets(IC50)	Mitophagy,Adrenergic Receptor,Autophagy
In vitro	Doxazosin reduces mean arterial pressure by 18% without affecting the heart rate in all hamsters. It also significantly decreases the wet weight of mouse prostate reconstitution (MPR) in Beta TGF-β1-infected mice.
In vivo	Doxazosin, similar to chenodeoxycholic acid, decreases plasma total cholesterol, LDL plus VLDL cholesterol, and mean total triglycerides by 46%, 61%, and 45%, respectively. It diminishes the viability of neonatal rat cardiomyocytes in primary culture, with Hoechst staining in vivo indicating apoptosis in human-derived cardiomyocytes induced by Doxazosin. It prompts DNA damage and cell death in the HL-1 cell line. The apoptosis induced by Doxazosin can be blocked by a specific caspase-8 inhibitor, suggesting caspase-8's functional involvement in the cell apoptosis triggered by Doxazosin. Moreover, Doxazosin antagonizes the VEGF-mediated angiogenic response in HUVEC cells by obliterating cell adhesion to fibronectin and collagen surfaces, and by inhibiting cell migration through downregulation of Vascular Endothelial Growth Factor expression. Doxazosin also increases FADD recruitment and caspase-8 activation, implying Fas-mediated apoptosis as a fundamental mechanism of Doxazosin's action in prostate cells.
Kinase Assay	Protease assays: To determine the inhibition constants (Ki) for each Prt inhibitor, purified HIV-1 RF wild-type Prt (2.5 nM) is incubated at 37 ℃ with 1 μM to 15 μM fluorogenic substrate in reaction buffer (1 M NaCl, 1 mM EDTA, 0.1 M sodium acetate [pH 5.5], 0.1% polyethylene glycol 8000) in the presence or absence of Atazanavir. Cleavage of the substrate is quantified by measuring an increase in fluorescent emission at 490 nM after excitation at 340 nM using a Cytofluor 4000. Reactions are carried out using 1.36 μM, 1.66 μM, 2.1 μM, 3.0 μM, 5.0 μM, or 15 μM substrate in the presence of five concentrations of Atazanavir (1.25 nM to 25 nM). Substrate cleavage is monitored at 5-min intervals for 30 min. Cleavage rates are then determined for each sample at early time points in the reaction, and Ki values are determined from the slopes of the resulting Michaelis-Menten plots.

Solubility Information

Solubility	DMSO: 50 mg/mL (91.31 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 (3.65 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 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	1.8262 mL	9.1311 mL	18.2622 mL
5 mM	0.3652 mL	1.8262 mL	3.6524 mL
10 mM	0.1826 mL	0.9131 mL	1.8262 mL
50 mM	0.0365 mL	0.1826 mL	0.3652 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

Garrison JB, et al. Cancer Res, 2006, 66(1), 464-472.
Kowala MC, et al. Atherosclerosis, 1991, 91(1-2), 35-49.
González-Juanatey JR, et al. Circulation. 2003 Jan 7;107(1):127-31.
Keledjian K, et al. J Cell Biochem, 2005, 94(2), 374-388.
Yang G, et al. Prostate, 1997, 33(3), 157-163.