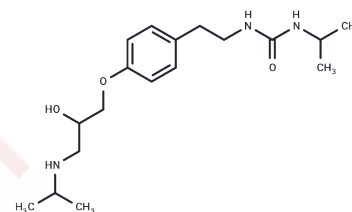


Pafenolol

Chemical Properties

CAS No. : 75949-61-0
 Formula: C₁₈H₃₁N₃O₃
 Molecular Weight: 337.46
 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	Pafenolol is a P-glycoprotein modulator with a Ki value of 5.5 μM. pafenolol is an orally available and selective beta-adrenergic receptor antagonist.
Targets(IC50)	Adrenergic Receptor,P-gp
In vivo	Pafenolol (50 mg or 100 mg; once daily; patients with essential hypertension). A submaximal exercise test was performed before and at the end of the treatment period. Pafenolol 50 mg and 100 mg significantly reduced recumbent and standing blood pressure compared with baseline with a mean reduction of 17/14 and 10/12 mm Hg on pafenolol 50 mg and 15/10 and 14/10 mm Hg on pafenolol 100 mg, respectively. Heart rate, both at rest and during exercise, was significantly reduced (p less than 0.05) on pafenolol 100 mg compared with placebo. The reduction in exercise heart rate (percent) was dose-dependent and significantly correlated to the log plasma concentration of pafenolol (r = 0.60; p less than 0.05). It can be concluded that pafenolol had a clinically relevant antihypertensive effect when given once daily. No difference between 50 and 100 mg could be demonstrated.[1]

Solubility Information

Solubility	DMSO: 50 mg/mL (148.17 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9633 mL	14.8166 mL	29.6331 mL
5 mM	0.5927 mL	2.9633 mL	5.9266 mL
10 mM	0.2963 mL	1.4817 mL	2.9633 mL
50 mM	0.0593 mL	0.2963 mL	0.5927 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

Dahlöf B, et al. Pafenolol in hypertension: a double-blind randomized trial of a new beta 1-selective adrenoceptor blocker. *J Cardiovasc Pharmacol.* 1986;8(1):55-59.

Löfdahl CG, et al. Pafenolol, a highly selective beta 1-adrenoceptor-antagonist, in asthmatic patients: interaction with terbutaline. *Clin Pharmacol Ther.* 1983;33(1):1-9.

Lennernäs H, et al. Regional gastrointestinal absorption of the beta-blocker pafenolol in the rat and intestinal transit rate determined by movement of ¹⁴C-polyethylene glycol (PEG) 4000. *Pharm Res.* 1993;10(1):130-135.

Regardh CG, et al. Pharmacokinetics of pafenolol after i.v. and oral administration of three separate doses of different strength to man. *Biopharm Drug Dispos.* 1990;11(7):607-617.

Lennernäs H, et al. Dose-dependent intestinal absorption and significant intestinal excretion (exsorption) of the beta-blocker pafenolol in the rat. *Pharm Res.* 1993;10(5):727-731.

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