Iloprost

Cat. No.: HY-A0096

CAS No.: 78919-13-8

Molecular Formula: $C_{22}H_{32}O_4$

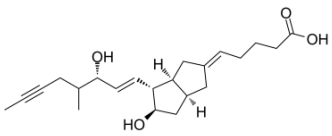
Molecular Weight: 360.49

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (277.40 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7740 mL	13.8700 mL	27.7400 mL
	5 mM	0.5548 mL	2.7740 mL	5.5480 mL
	10 mM	0.2774 mL	1.3870 mL	2.7740 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Iloprost (ZK 36374) is a synthetic analogue of prostacyclin PGI2.Target: Iloprost is a stable prostacyclin analog commonly employed in the treatment of peripheral vascular disease and also indicated in the treatment of patients affected by systemic sclerosis (SSc) in the presence of severe Raynaud's phenomenon (RP). [1] Iloprost dilates systemic and pulmonary arterial vascularbeds. Iloprost also affects platelet aggregation but the relevance of this effect to the treatment of pulmonary hypertension is unknown. The two diastereoisomers of iloprost differ in their potency in dilating blood vessels, with the 4S isomer substantially more potent than the 4R isomer.[2] Iloprost is a stable carbacyclin derivative of

prostacyclin, was studied during electrically-induced coronary artery thrombosis in the open chest anesthetized pig. Infusion of ZK 36374 (100 ng/kg/min, n = 6) had no effect on heart rate and cardiac output, but caused a 20% reduction in mean arterial blood pressure by peripheral vasodilation. In animals receiving solvent or no drug prior to thrombosis induction, the time to occlusive coronary artery thrombosis (TOT) was 30 +/- 2 minutes (mean +/- SEM, n = 17). Pretreatment with an i.v. infusion of ZK 36374 (100 ng/kg/min) prolonged TOT by 50% to 47 +/- 7 minutes (p less than 0.005, n = 6). This prolongation of TOT was not due to the lower blood pressure in the ZK 36374 group, as dihydralazine in a dose that lowered arterial blood pressure to the same extent had no effect on TOT (32 +/- 4 minutes, n = 4). The results indicate that ZK 36374 may be useful in delaying (or preventing) occlusive coronary artery thrombi. [3]

REFERENCES

[1]. Della Bella S, et al. Novel mode of action of iloprost: in vitro down-regulation of endothelial cell adhesion molecules. Prostaglandins Other Lipid Mediat. 2001 Jun;65(2-3):73-83.

[2]. van der Giessen WJ, et al. The effect of the stable prostacyclin analogue ZK 36374 on experimental coronary thrombosis in the pig. Thromb Res. 1984 Oct 1;36(1):45-51.

[3]. Addonizio VP Jr, et al. Prevention of heparin-induced thrombocytopenia during open heart surgery with iloprost (ZK36374). Surgery. 1987 Nov;102(5):796-807.

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