

Macitentan

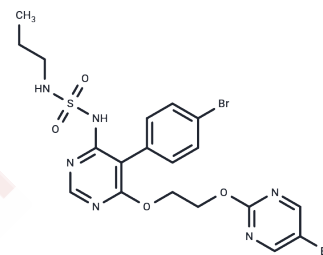
Chemical Properties

CAS No. : 441798-33-0

Formula: C₁₉H₂₀Br₂N₆O₄S

Molecular Weight: 588.27

Storage: Store at low temperature, Keep away from direct sunlight, Keep away from moisture
 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	Macitentan (ACT-064992) is an endothelin receptor antagonist utilized in the treatment of pulmonary arterial hypertension (PAH).
Targets(IC50)	Apoptosis, Endothelin Receptor
In vitro	In DOCA-salt-induced hypertensive rats, Macitentan (10 mg/kg) demonstrated a dose-dependent reduction in mean arterial blood pressure. Similarly, in a model of pulmonary arterial hypertension using monocrotaline-treated rats, oral administration of Macitentan (30 mg/kg/day) dose-dependently prevented the development of pulmonary arterial hypertension and right ventricular hypertrophy. Additionally, in type 2 diabetic db/db mice, oral Macitentan (25 mg/kg/day) reduced mRNA expression while concurrently enhancing NF-κB activation.
In vivo	In primary human lung smooth muscle cells (IC ₅₀ =1 nM), Macitentan inhibits the increase of intracellular calcium ions induced by ET-1. Additionally, Macitentan suppresses ET-1-induced contraction in isolated rat aortic rings. Furthermore, in isolated rat tracheal rings, Macitentan inhibits contraction induced by S6c.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H ₂ O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 242.5 mg/mL (412.23 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: 3.3 mg/mL (5.61 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6999 mL	8.4995 mL	16.999 mL
5 mM	0.340 mL	1.6999 mL	3.3998 mL
10 mM	0.170 mL	0.8499 mL	1.6999 mL
50 mM	0.034 mL	0.170 mL	0.340 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

Iglarz M, et al. J Pharmacol Exp Ther, 2008, 327(3), 736-745.

Sen S, et al. Life Sci, 2012, 91(13-14), 658-668.

Kim SJ, et al. Neoplasia, 2011, 13(2), 167-179.

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