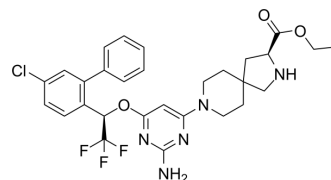


Rodatristat ethyl

Cat. No.:	HY-101124		
CAS No.:	1673571-51-1		
Molecular Formula:	C ₂₉ H ₃₁ ClF ₃ N ₅ O ₃		
Molecular Weight:	590.04		
Target:	5-HT Receptor; Tryptophan Hydroxylase		
Pathway:	GPCR/G Protein; Neuronal Signaling; Metabolic Enzyme/Protease		
Storage:	Powder	-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 (169.48 mM; ultrasonic and warming and heat to 60°C)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	1.6948 mL	8.4740 mL	16.9480 mL
	5 mM	0.3390 mL	1.6948 mL	3.3896 mL
	10 mM	0.1695 mL	0.8474 mL	1.6948 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.53 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	Rodatristat ethyl (KAR5585) is a first-in-class oral tryptophan hydroxylase 1 (TPH1) Inhibitor with nanomolar in vitro potency. Rodatristat ethyl reduces the level of 5-HT and significantly reduces pulmonary arterial hypertension (PAH) ^{[1][2]} .		
IC₅₀ & Target	5-HT ₁ Receptor	TPH1	
In Vivo	Rodatristat ethyl (100 or 200 mg/kg; oral administration; once daily; for 28 days; male Sprague-Dawley rats) treatment decreases erum, gut and lung 5-HT levels in a dose-dependent manner and significantly reduces pulmonary arterial pressure, and pulmonary vessel wall thickness and occlusion in male rats with monocrotaline (MCT) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
Animal Model:	Male Sprague-Dawley rats (175-200 g) ^[1]		

Dosage:	100 mg/kg or 200 mg/kg
Administration:	Oral administration; once daily; for 28 days
Result:	Decreased serum, gut and lung 5-HT levels in a dose-dependent manner and significantly reduced pulmonary arterial pressure, and pulmonary vessel wall thickness and occlusion in male rats.

REFERENCES

- [1]. Aiello RJ, et al. Tryptophan hydroxylase 1 Inhibition Impacts Pulmonary Vascular Remodeling in Two Rat Models of Pulmonary Hypertension. J Pharmacol Exp Ther. 2017 Feb;360(2):267-279.
- [2]. Alice Melão, MSc. Early Results on Rodatristat Ethyl Support Launch of Phase 2 Trial in PAH Patients. FEBRUARY 4, 2019.
-

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA