Rodatristat

Cat. No.: HY-120083

CAS No.: 1673568-73-4

Molecular Formula: $C_{27}H_{27}ClF_3N_5O_3$

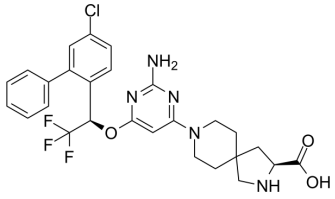
Molecular Weight: 561.98

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 : 20 mg/mL (35.59 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7794 mL	8.8971 mL	17.7942 mL
	5 mM	0.3559 mL	1.7794 mL	3.5588 mL
	10 mM	0.1779 mL	0.8897 mL	1.7794 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 mg/mL (3.56 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2 mg/mL (3.56 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2 mg/mL (3.56 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Rodatristat (KAR5417) is a potent tryptophan hydroxylase 1 (TPH1) and TPH2 inhibitor with IC_{50}s value of 33 nM and 7 nM, respectively, and shows robust reduction of intestinal serotonin (5-HT) levels in mice[1].

IC_{50} & Target

TPH1	TPH2	serotonin
33 nM (IC_{50})	7 nM (IC_{50})	

In Vivo

Rodatristat (10-50 mg/kg; oral administration; mice) treatment decreases intestinal 5-HT concentrations at 50 mg/kg, their

efficacy drop off significantly at the lower 10 mg/kg dose[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice[1]
Dosage:	10 mg/kg and 50 mg/kg
Administration:	Oral administration
Result:	Decreased intestinal 5-HT concentrations at 50 mg/kg, their efficacy dropped off significantly at the lower 10 mg/kg dose.

REFERENCES

[1]. Goldberg DR, et al. Optimization of spirocyclic proline tryptophan hydroxylase-1 inhibitors. Bioorg Med Chem Lett. 2017 Feb 1;27(3):413-419.

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