Enarodustat

Cat. No.: HY-109057

CAS No.: 1262132-81-9

Molecular Formula: $C_{17}H_{16}N_4O_4$

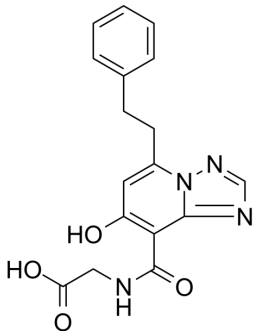
Molecular Weight: 340.33

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (244.85 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9383 mL	14.6916 mL	29.3832 mL
5 mM	0.5877 mL	2.9383 mL	5.8766 mL
10 mM	0.2938 mL	1.4692 mL	2.9383 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: ≥ 2.08 mg/mL (6.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Enarodustat is a potent and orally active hypoxia-inducible factor prolyl hydroxylase inhibitor, with an EC_{50} of 0.22 μM. Enarodustat has the potential for renal anemia treatment.

IC_{50} & Target

EC50: 0.22 μM (factor prolyl hydroxylase)[1]

In Vitro

Enarodustat (JTZ-951) is a potent and orally active hypoxia-inducible factor prolyl hydroxylase inhibitor, with an EC_{50} of 0.22 μM. Enarodustat exhibits neither CYP (IC_{50} > 100 μM; CYP3A4/5, CYP2C9, CYP2D6, CYP1A2, CYP2A6, CYP2C19, CYP2C8,

	CYP2B6) nor hERG (IC_{50} > 100 μM) inhibition[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Enarodustat (1 and 3 mg/kg, p.o.) increases hemoglobin levels in a dose-dependent manner with daily oral dosing in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- ACS Omega. August 29, 2022.

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REFERENCES

[1]. Ogoshi Y, et al. Discovery of JTZ-951: A HIF Prolyl Hydroxylase Inhibitor for the Treatment of Renal Anemia. ACS Med Chem Lett. 2017 Nov 20;8(12):1320-1325.

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