Vadadustat

Cat. No.: HY-101277

CAS No.: 1000025-07-9

Molecular Formula: $C_{14}H_{11}ClN_2O_4$

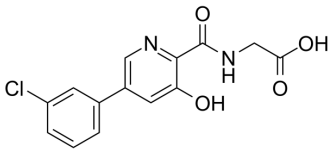
Molecular Weight: 306.7

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: 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 (326.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2605 mL	16.3026 mL	32.6051 mL
	5 mM	0.6521 mL	3.2605 mL	6.5210 mL
	10 mM	0.3261 mL	1.6303 mL	3.2605 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 (8.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vadadustat (PG-1016548) is a titratable, oral hypoxia-inducible factor prolyl hydroxylase (HIF-PH) inhibitor[1]. Vadadustat is an erythropoiesis-stimulating agent and has the potential for anemia treatment in chronic kidney disease in vivo[1][2].

IC_{50} & Target

IC50: HIF-PH[1]

In Vitro

Vadadustat induces endogenous erythropoietin synthesis and enhances iron mobilization. Vadadustat is well-tolerated in healthy volunteers and patients with chronic kidney disease, where it increases reticulocytes, plasma EPO, and Hb levels in a

dose-dependent manner. The increase in plasma EPO levels seen with vadadustat is comparable in magnitude to that occurring physiologically at moderate altitude and shows a normal diurnal pattern with a return to baseline levels prior to the next dose. Vadadustat improves iron homeostasis by decreasing hepcidin and increasing transferrin levels. once-daily oral administration of vadadustat, titrated to increase and maintain Hb in the target range, may provide multiple advantages over conventional ESAs[1]. Vadadustat is observed to have a half-life of approximately 4.5 hours. Overall, patients demonstrate an increase in Hb levels, from 9.91 g/dL at baseline to 10.54 g/dL by day 29. Ferritin levels decrease from 334.1 ng/mL at baseline to 271.7 ng/mL by day 29[2].

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

CUSTOMER VALIDATION

- Cells. 2020 Nov 1;9(11):2396.
- J Biol Chem. 2021 Feb 8;100397.
- Drug Test Anal. 2020 Aug 27.

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REFERENCES

[1]. Pergola PE, et al. Vadadustat, a novel oral HIF stabilizer, provides effective anemia treatment in nondialysis-dependent chronic kidney disease. Kidney Int. 2016 Nov;90(5):1115-1122.

[2]. Gupta N, et al. Hypoxia-Inducible Factor Prolyl Hydroxylase Inhibitors: A Potential New Treatment for Anemia in Patients With CKD. Am J Kidney Dis. 2017 Feb 24. pii: S0272-6386(17)30110-5.

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