Daprodustat

Cat. No.: HY-17608

CAS No.: 960539-70-2

Molecular Formula: $C_{19}H_{27}N_3O_6$

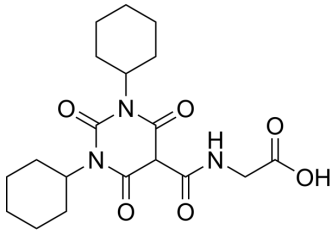
Molecular Weight: 393.43

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 19.5 mg/mL (49.56 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5417 mL	12.7087 mL	25.4175 mL
	5 mM	0.5083 mL	2.5417 mL	5.0835 mL
	10 mM	0.2542 mL	1.2709 mL	2.5417 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Daprodustat (GSK1278863) is an orally active hypoxia-inducible factor prolyl hydroxylase (HIF-PH) inhibitor being developed for the treatment of anemia associated with chronic kidney disease.

In Vitro

GSK1278863 is an orally administered small-molecule PHI, and stimulates endogenous EPO synthesis and induce effective erythropoiesis[1].
GSK1278863 has been shown to increase erythropoietin levels, leading to increases in hemoglobin, hematocrit and red blood cell numbers[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2024 Jan 31.
- iScience. 2023 Nov 7.
- J Biol Chem. 2021 Feb 8;100397.
- Anal Bioanal Chem. 2022 Oct 1.
- J Pharmaceut Biomed. 2020, 113870.

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REFERENCES

[1]. Brigandi RA, et al. A Novel Hypoxia-Inducible Factor-Prolyl Hydroxylase Inhibitor (GSK1278863) for Anemia in CKD: A 28-Day, Phase 2A Randomized Trial. Am J Kidney Dis. 2016 Jun;67(6):861-71

[2]. Hara K, et al. Pharmacokinetics, pharmacodynamics and safety of single, oral doses of GSK1278863, a novel HIF-prolyl hydroxylase inhibitor, in healthy Japanese and Caucasian subjects. Drug Metab Pharmacokinet. 2015 Dec;30(6):410-8

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