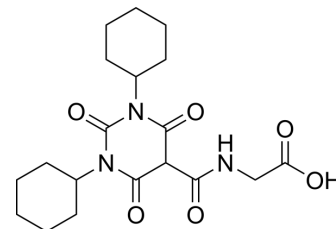


## Daprodustat

Cat. No.:	HY-17608		
CAS No.:	960539-70-2		
Molecular Formula:	C <sub>19</sub> H <sub>27</sub> N <sub>3</sub> O <sub>6</sub>		
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	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 19.5 mg/mL (49.56 mM; Need ultrasonic and warming)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> <li>Add each solvent one by one: 5% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 50% saline Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution</li> <li>Add each solvent one by one: 5% DMSO &gt;&gt; 95% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution</li> </ol>				

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

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## CUSTOMER VALIDATION

- J Biol Chem. 2021 Feb 8;100397.
- Anal Bioanal Chem. 2022 Oct 1.
- J Pharmaceut Biomed. 2020, 113870.
- Drug Test Anal. 2020 Aug 27.
- J Anal Toxicol. 2020 May 20;bkaa055.

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

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