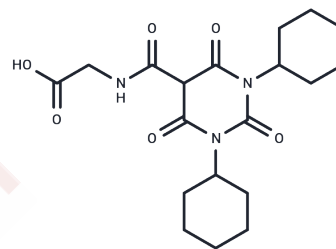


Chemical Properties

keep away from direct sunlight,keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Description	Daprodustat (GSK1278863) is a HIF-prolyl hydroxylase inhibitor.
Targets(IC50)	HIF/HIF Prolyl-Hydroxylase,HIF
In vitro	GSK1278863 induces an effective EPO response and stimulates non-EPO mechanisms for erythropoiesis. [1]
Kinase Assay	SK Assay: A medium-throughput assay suitable for screening for inhibitors of recombinant human SK has been established. Briefly, 5 µg of purified GST-SK fusion protein are combined with 12 nM sphingosine, which contains a 100-fold dilution of [3-3H]sphingosine (20 Ci/mmol), 1 mM ATP, 1 mM magnesium chloride, and 200 µL of assay buffer [20 mM Tris HCl (pH 7.4), 20% glycerol, 1 mM beta-mercaptoethanol, 1 mM EDTA, 20 mM zinc chloride, 1 mM sodium orthovanadate, 15 mM sodium fluoride, and 0.5 mM 4-deoxypyridoxine]. Assays are run for 30 min at 25°C with shaking and contains either 1% DMSO or 5 g/mL test compound, which corresponds to concentrations of 10–25 µM. The reactions are terminated with 50 µL of concentrated ammonium hydroxide, followed by extraction of the assay mixture with chloroform:methanol (2:1). The aqueous portion is transferred to scintillation vials and radioactivity is quantified as a measure of [3H]]S1P formation using a Beckman LS 3801 Scintillation Counter. The intra-assay coefficient of variation is ~10%, whereas interassay variation is ~20%.

Solubility	Ethanol: <1 mg/mL, Sonication is recommended. H2O: <1 mg/mL, DMSO: 10 mg/mL (25.42 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0508 mL	0.2542 mL	0.5083 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Brigandi RA, et al. Am J Kidney Dis. 2016. doi: 10.1053/j.ajkd.2015.11. 021.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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