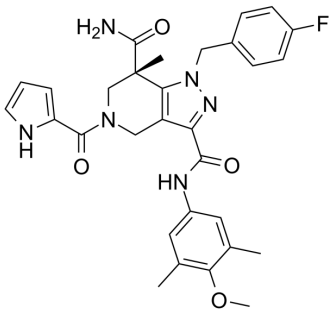
GSK864

Cat. No.:	HY-19540
CAS No.:	1816331-66-4
Molecular Formula:	$C_{30}H_{31}FN_6O_4$
Molecular Weight:	558.6
Target:	Isocitrate Dehydrogenase (IDH)
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 : 100 mg/mL (179.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7902 mL	8.9509 mL	17.9019 mL
	5 mM	0.3580 mL	1.7902 mL	3.5804 mL
	10 mM	0.1790 mL	0.8951 mL	1.7902 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 (4.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.48 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK864 is an isocitrate dehydrogenase 1 (IDH1) mutant inhibitor; inhibits IDH1 mutants R132C, R132H, and R132G with IC_{50} values of 8.8, 15.2 and 16.6 nM.

IC_{50} & Target

IC50: 8.8 nM (IDH1 mutants R132C), 15.2 nM (IDH1 mutants R132H), 16.6 nM (IDH1 mutants R132G)[1]

In Vitro

GSK864 inhibits 2-HG production in R132C IDH1 mutant HT1080 fibrosarcoma cells with an EC_{50} of 320 nM by LCMS/MS analysis[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Following intraperitoneal (IP) administration in CD-1 mice, significant concentrations of GSK864 are maintained in peripheral blood samples of mice for up to 24 hours. Analysis of BM cells for expression of markers of early differentiation reveals slightly increased numbers of huCD45$^+$ CD38$^+$ cells in R132C or R132H IDH1 mutant engrafted mice treated with GSK864[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice: Mice receive GSK864 (213 mg/kg, 10 mL/kg) via intraperitoneal (ip) administration. IP formulation is PG:DMSO:PEG400:H2O (16.7:3.3:40:40). Serial blood samples (~0.030 mL) are collected via the femoral artery catheter at 15, 30, 45, 60, 120, 180 min following administration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Gut. 2020 Feb;69(2):231-242.
- Nat Commun. 2022 Aug 15;13(1):4785.
- ACS Med Chem Lett. 2018 May 1;9(7):606-611.
- bioRxiv. 2024 Feb 11.

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REFERENCES

[1]. Okoye-Okafor UC, et al. New IDH1 mutant inhibitors for treatment of acute myeloid leukemia. Nat Chem Biol. 2015 Nov;11(11):878-86.

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