JHU-083

Cat. No.: HY-122218

CAS No.: 1998725-11-3

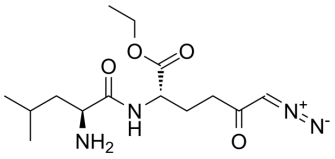
Molecular Formula: $C_{14}H_{24}N_4O_4$

Molecular Weight: 312.36

Target: Glutaminase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 133.33 mg/mL (426.85 mM; Need ultrasonic)

DMSO : 100 mg/mL (320.14 mM; Need ultrasonic)

H_2O : 50 mg/mL (160.07 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass → Concentration	1 mg	5 mg	10 mg
1 mM	3.2014 mL	16.0072 mL	32.0143 mL
5 mM	0.6403 mL	3.2014 mL	6.4029 mL
10 mM	0.3201 mL	1.6007 mL	3.2014 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 (8.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JHU-083, a proagent of 6-diazo-5-oxo-L-norleucine (DON; HY-108357), is an orally active and selective glutaminase antagonist. JHU-083 blocks glutaminase activity in brain $CD11b^+$ cells and experimental cerebral malaria (ECM) resulting in a net decrease of glutamate levels in the animals[1][2].

In Vivo

JHU-083 (1.82 mg/kg; PO; every other day for 12 days) ameliorates social avoidance behavior and anhedonia-like behavior induced by CSDS[1].

JHU-083 (1.82 mg/kg, PO) attenuates CSDS-induced increase in glutaminase activity in CD11b+ cells in the prefrontal cortex

and hippocampus, but not in the cerebellum. JHU-083 treatment suppresses the CSDS-induced upregulation of IL-1β and TNF-α expression[1].

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

Animal Model:	Male 7-to 8-week-old C57BL/6J (C57) mice (25-30 g) with chronic social defeat stress (CSDS)[1]
Dosage:	1.82 mg/kg
Administration:	PO; every other day for 12 days
Result:	Ameliorated social avoidance behavior and anhedonia-like behavior induced by CSDS.

CUSTOMER VALIDATION

- Cancer Cell. 2020 Sep 14;38(3):334-349.e9.
- Free Radic Biol Med. 2023 May 3.
- Front Immunol. 2022 May 19;13:880262.

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REFERENCES

[1]. Zhu X, et al. JHU-083 selectively blocks glutaminase activity in brain CD11b+ cells and prevents depression-associated behaviors induced by chronic social defeat stress. Neuropsychopharmacology. 2019 Mar;44(4):683-694.

[2]. Riggle BA, et al. MRI demonstrates glutamine antagonist-mediated reversal of cerebral malaria pathology in mice. Proc Natl Acad Sci U S A. 2018 Dec 18;115(51):E12024-E12033.

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