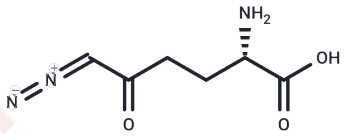
Data Sheet (Cat.No.T8373)

TargetMol

6-Diazo-5-oxo-L-nor-Leucine

Chemical Properties



CAS No. : 157-03-9

Formula: C6H9N3O3

Molecular Weight: 171.15

Storage: Store at low temperature,Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	6-Diazo-5-oxo-L-nor-Leucine (DON) is a glutamine antagonist, an irreversible glutaminolysis inhibitor (Ki=6 μM) with antitumor (particularly pancreatic cancer), antibacterial, and antiviral activities.
Targets(IC50)	Glutaminase,Antibacterial,Antibiotic,Influenza Virus
In vitro	**Methods**: Thyroid cancer TPC-1, K1, BCPAP, FTC133, and 8305C cells were used to evaluate 6-Diazo-5-oxo-L-nor-Leucine in vitro activity through CCK-8, EdU incorporation, and colony formation assays. Cells were treated at concentrations of 0.5-2.0 μmol/L for 48-72 hours or 5-10 days. **Results**: The compound concentration-dependently inhibited cell viability, DNA synthesis, and colony formation, induced S phase arrest, and downregulated cell cycle-related proteins including CDK2 and Cyclin A.[1] **Methods**: U251, U87, and SF767 glioblastoma cells were used to evaluate 6-Diazo-5-oxo-L-nor-Leucine in vitro activity through MTS cell proliferation assay. Cells were treated with increasing concentrations of 6-Diazo-5-oxo-L-nor-Leucine for 72 hours. **Results**: The compound dose-dependently inhibited proliferation of the above cell lines, and showed synergistic enhancement when combined with L-asparaginase.[2] **Methods**: C4-2/MDVR castration-resistant prostate cancer cells were used to validate 6-Diazo-5-oxo-L-nor-Leucine in vitro activity through metabolic profiling and isotope tracing experiments. Cells were treated at 5 μmol/L concentration for 48 hours. **Results**: The compound significantly increased intracellular glutamine levels, reduced tricarboxylic acid cycle intermediates and nucleotide metabolites, while blocking glutamine carbon metabolism and nitrogen metabolism pathways.[3]
In vivo	**Methods**: A K1 thyroid cancer xenograft model was established by subcutaneous transplantation in BALB/c nude mice. The prodrug of 6-Diazo-5-oxo-L-nor-Leucine, JHU-083 (2 mg/kg), was administered every other day, initially by oral gavage and subsequently by intraperitoneal injection, with PBS as the control. **Results**: JHU-083 significantly inhibited tumor growth, reduced tumor weight, decreased Ki-67-positive cells, and caused no obvious toxicity, confirming the in vivo antitumor activity of 6-Diazo-5-oxo-L-nor-Leucine. [1] **Methods**: A U87 human glioma xenograft model was established by subcutaneous transplantation in female athymic mice. 6-Diazo-5-oxo-L-nor-Leucine was administered

In vivo	by intraperitoneal injection at a dose of 0.8 mg/kg once daily for 6 consecutive days, with HEPES-buffered saline as the vehicle; the control group received an equal volume of vehicle. **Results**: 6-Diazo-5-oxo-L-nor-Leucine significantly inhibited tumor growth compared to the control group, with statistical significance. [4]

Solubility Information

Solubility	DMSO: 7 mg/mL (40.9 mM),Sonication is recommended. H2O: 12.5 mg/mL (73.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.8428 mL	29.2141 mL	58.4283 mL
5 mM	1.1686 mL	5.8428 mL	11.6857 mL
10 mM	0.5843 mL	2.9214 mL	5.8428 mL
50 mM	0.1169 mL	0.5843 mL	1.1686 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Zhang, G-Q et al. Targeting glutamine metabolism exhibits anti-tumor effects in thyroid cancer. Journal of endocrinological investigation vol. 47,8 (2024): 1953-1969.
Ohba, Shigeo, and Yuichi Hirose. L-asparaginase and 6-diazo-5-oxo-L-norleucine synergistically inhibit the growth of glioblastoma cells. Journal of neuro-oncology vol. 146,3 (2020): 469-475.
Moon, David et al. Targeting glutamine dependence with DRP-104 inhibits proliferation and tumor growth of castration-resistant prostate cancer. The Prostate vol. 84,4 (2024): 349-357.
Rais, Rana et al. Discovery of 6-Diazo-5-oxo-l-norleucine (DON) Prodrugs with Enhanced CSF Delivery in Monkeys: A Potential Treatment for Glioblastoma. Journal of medicinal chemistry vol. 59,18 (2016): 8621-33.

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