

Product Data Sheet

Product Name: DI-1548
Cat. No.: GC79725

Chemical Properties

Cas. No. 2247060-97-3

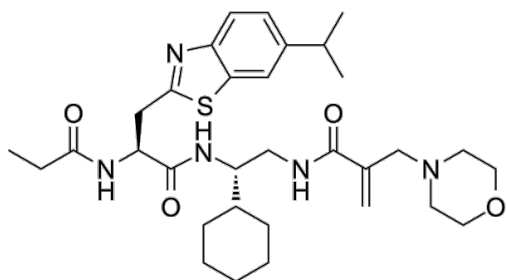
Formula $C_{32}H_{47}N_5O_4S$ M.Wt 597.81

Solubility Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

DI-1548 is a potent, selective, and irreversible covalent inhibitor of DCN1 ($IC_{50} = 4.6$ nM). DI-1548 potently and selectively inhibits cullin 3 neddylation at nanomolar concentrations, and exhibits no obvious effect on the neddylation of other cullin members (including cullin 1, 2, 4A, 4B, and 5). DI-1548 exhibits no cytotoxicity. DI-1548 covalently binds to DCN1, disrupting the DCN1-UBC12 interaction, causing the collapse of the neddylation complex, inactivating CRL3, leading to NRF2 accumulation and upregulation of its target genes, and ultimately protecting mice from liver damage. DI-1548 can be used in liver injury research [1].

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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In Vivo, DI-1548 (25 mg/kg, i.p., once) elevates hepatic NRF2 protein levels in C57BL/6 WT mice [1].

In Vitro, DI-1548 (1-1000 nM, 24 h) inhibits cullin 3 neddylation at concentrations as low as 1 nM and are approximately 1000 times more potent than DI-591 in U2OS cells^[1]. DI-1548 (0.3-1000 nM, 24 h) inhibits the neddylation of cullin 3 with over 1000-fold selectivity over other cullin members in various cell lines, including U2OS, MDA-MB-231, KYSE70, and HCT116^[1]. DI-1548 (0-1000 nM, 72 h) shows no cytotoxicity in U2OS, MDA-MB-231, HCT116 and KYSE70 cells at concentrations up to 1000 nM^[1]. DI-1548 (30 nM, 0-48 h) has fast kinetics in selective inhibition of the neddylation of cullin 3 over cullin 1^[1]. DI-1548 (0.3-1000 nM, 1 h) selectively and potently stabilizes cellular DCN1 in a dose-dependent manner at nanomolar concentrations, with no observable effect on DCN3^[1].

References:

[1]. Zhou H, et al. Selective inhibition of cullin 3 neddylation through covalent targeting DCN1 protects mice from acetaminophen-induced liver toxicity. Nat Commun. 2021 May 11;12(1):2621.

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