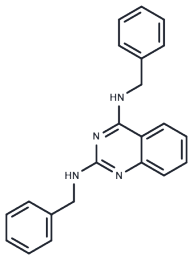
Data Sheet (Cat.No.T1969)

TargetMol

DBEQ

Chemical Properties



CAS No. : 177355-84-9

Formula: C22H20N4

Molecular Weight: 340.42

Storage: 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	DBEQ (JRF 12) is a selective, potent, reversible, and ATP-competitive p97 inhibitor.
Targets(IC50)	Apoptosis,Autophagy,p97
In vitro	10 μM DBEQ completely inhibited the degradation of virus and antibody, but not IgG Fc. 10 μM DBeQ rapidly promoted caspases-3 and -7 activation in HeLa cells. DBeQ activated the intrinsic caspase-9 apoptotic pathway more efficiently than the extrinsic caspase-8 pathway, while STS activated both pathways to a similar extent. 10 μM DBeQ effectively inhibited the degradation of TCRα-GFP in HEK293 cells. 10 μM DBeQ dose-dependently induced CHOP in HEK293 cells within 3 hours, but it did not increase the level of p21. DBeQ in U20S cells decreased basic and nutrient-stimulated MTOR target phosphorylation, similar to the effect of rapamycin.15 μM DBeQ in Hela cells induced a large accumulation of LC3-II in the nucleus as well as in condensed membrane and cytoplasmic fractions.DBeQ in HeLa cells inhibited the degradation of UbG76V-GFP, ODD-Luc and Luc-ODC degradation, and inhibited the degradation of UbG76V-GFP. Luc-ODC degradation with IC50s of 2.6 μM, 56 μM and 45 μM, respectively.
In vivo	At a concentration of 10 μM, DBeQ effectively inhibits the degradation of viruses and antibodies, without affecting the degradation of IgG Fc. It rapidly facilitates the activation of caspases-3 and -7 in HeLa cells, demonstrating a more potent activation of the intrinsic caspase-9 apoptosis pathway compared to the extrinsic caspase-8 pathway, while Staurosporine (STS) similarly activates both pathways. Additionally, 10 μM DBeQ blocks the degradation of TCRα-GFP in HEK293 cells. In HEK293 cells, DBeQ dose-dependently induces CHOP within 3 hours without increasing p21 levels. It diminishes the phosphorylation of basal and nutrient-stimulated mTOR targets in U2OS cells, similar to the effects of Rapamycin. At 15 μM, DBeQ induces a significant accumulation of LC3-II in the nuclei, membrane concentrations, and cytoplasm of HeLa cells. Furthermore, DBeQ inhibits the degradation of UbG76V-GFP, ODD-Luc, and Luc-ODC in HeLa cells, with IC50 values of 2.6 μM, 56 μM, and 45 μM, respectively.
Kinase Assay	Manual ATPase Assay: Assay Buffer [20 μL of 2.5× concentration, where 1× = 50 mM Tris (pH 7.4), 20 mM MgCl2, 1 mM EDTA, and 0.5 mM tris(2-carboxyethyl)phosphine (TCEP)] is dispensed into each well of a 96-well plate. Puri?ed p97 (25 μL of 50 μM) is diluted in 975 μL of 1× Assay Buffer, and 10 μL is dispensed in each well. DBeQ (10 μL) or 5% DMSO (10 μL) is then added to each well, and the plate is incubated at room temperature for 10

Kinase Assay	min. The ATPase assay is carried out by adding to each well 10 μL of 500 μM ATP (pH 7.5), incubating at room temperature for 60 min, and then adding 50 μL Kinase Glo Plus reagent, followed by a ?nal 10-min incubation at room temperature in the dark. Luminescence is read on an Analyst AD. DBeQ is assayed at a range of concentrations (0, 0.048, 0.24, 1.2, 6, and 30 μM) in triplicate.
Cell Research	Cells are seeded on a 384-well solid white plate (5,000 cells/well). Cells are transfected with luciferase siRNA or p97 siRNA (10 nM) for 48 hours or treated with DBeQ for the indicated amount of time. Caspase-3/7 Glo, caspase-6 Glo, caspase-8 Glo, or caspase-9 Glo is added into each well and mixed by shaking at 500 rpm for 1 min. Luminescence signal is determined after incubation at room temperature for 1 hour. Cellular viability is determined with CellTiter-Glo reagen. To determine the IC50 of cellular viability, cells are treated with MG132 or DBeQ at seven concentrations (threefold serial dilutions starting at 33 μM) for 48 hours. IC50 values are calculated from ?tting the percentage of luminescence signal normalized to DMSO treated cells).(Only for Reference)

Solubility Information

Solubility	DMSO: 23.18 mg/mL (68.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.94 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9375 mL	14.6877 mL	29.3755 mL
5 mM	0.5875 mL	2.9375 mL	5.8751 mL
10 mM	0.2938 mL	1.4688 mL	2.9375 mL
50 mM	0.0588 mL	0.2938 mL	0.5875 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

Chou TF, et al. Proc Natl Acad Sci U S A, 2011, 108(12), 4834-4839.
Wang J, Qiu Y, Yang L, et al.Preserving mitochondrial homeostasis protects against drug-induced liver injury via inducing OPTN (optineurin)-dependent Mitophagy.Autophagy.2024: 1-20.
Chou TF, et al. Autophagy, 2011, 7(9), 1091-1092.
Hauler F, et al. Proc Natl Acad Sci U S A, 2012, 109(48), 19733-19738.
Ching JK, et al. Autophagy, 2013, 9(5), 799-800.

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