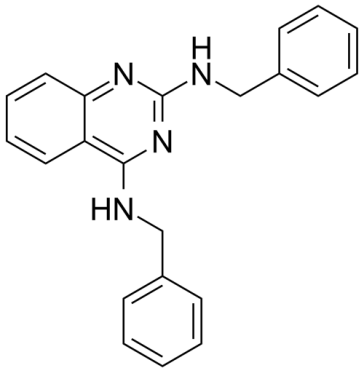
Data Sheet

Product Name:	DBeQ
Cat. No.:	CS-2717
CAS No.:	177355-84-9
Molecular Formula:	C22H20N4
Molecular Weight:	340.42
Target:	Apoptosis; Autophagy; p97
Pathway:	Apoptosis; Autophagy; Cell Cycle/DNA Damage
Solubility:	DMSO : ≥ 47 mg/mL (138.06 mM)



BIOLOGICAL ACTIVITY:

DBeQ is a selective, potent, reversible, and ATP-competitive **p97** inhibitor, with an **IC_{50}** value of 1.5 μM and 1.6 μM for p97(wt) and p97(C522A), respectively; DBeQ also inhibits **Vps4** with an **IC_{50}** of 11.5 μM. IC50 & Target: IC50: 1.5 μM (p97)[1], 11.5 μM (Vps4)[2] **In Vitro:** DBeQ is a ATP-competitive p97 inhibitor, with an IC_{50} value of 1.5 μM and 1.6 μM for p97(wt) and p97(C522A), respectively. DBeQ inhibits p97 competitively with respect to ATP, with a K_i of 3.2 ± 0.4 μM. DBeQ inhibits degradation of the p97-dependent substrate UbG76V-GFP, with IC_{50} value of 2.6 μM. DBeQ (10 μM) also significantly suppresses degradation of TCRα-GFP, induces CHOP but does not increase p21 level. Moreover, DBeQ inhibits the viability of MRC-5, Hek293, HeLa and RPMI8226 cells, with GI_{50}s of 6.6 ± 2.9, 4 ± 0.6, 3.1 ± 0.5 and 1.2 ± 0.3, respectively[1]. DBeQ potently inhibits the AAA ATPase p97 by specifically binding to the ATPase site of its D2 domain (p97D2). DBeQ also inhibits Vps4, with an IC_{50} of 11.5 μM. Furthermore, DBeQ (30 μM) inhibits hyphal growth of the wild-type cell (strain YLZ0)[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: DBeQ is dissolved in DMSO[1].[1]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 h** 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 h. Cellular viability is determined with CellTiter-Glo reagent. To determine the IC_{50} of cellular viability, cells are treated with MG132 or **DBeQ at seven concentrations** (threefold serial dilutions starting at 33 μM) for 48 h. IC_{50} values are calculated from fitting the percentage of luminescence signal normalized to DMSO treated cells)[1].

References:

[1]. Chou TF, et al.Reversible inhibitor of p97, DBeQ, impairs both ubiquitin-dependent and autophagic protein clearance pathways. Proc Natl Acad Sci U S A. 2011 Mar 22;108(12):4834-9.

[2]. Zhang Y, et al. The AAA ATPase Vps4 Plays Important Roles in Candida albicans Hyphal Formation and is Inhibited by DBeQ. Mycopathologia. 2016 Jun;181(5-6):329-39.

CAIndexNames:

2,4-Quinazolinediamine, N2,N4-bis(phenylmethyl)-

SMILES:

C1(NCC2=CC=CC=C2)=NC(NCC3=CC=CC=C3)=C4C=CC=CC4=N1

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA