

Product Data Sheet

Product Name: Salubrinal

Cat. No.: GC17331

Chemical Properties

Cas. No. 405060-95-9

Chemical Name (E)-3-phenyl-N-[2,2,2-trichloro-1-(quinolin-8-ylcarbamothioylamino)ethyl]prop-2-enamide

SMILES C1=CC=C(C=C1)C=CC(=O)NC(C(Cl)(Cl)Cl)NC(=S)NC2=CC=CC3=C2N=CC=C3

Formula $C_{21}H_{17}Cl_3N_4OS$ M.Wt 479.81

Solubility $\geq 48\text{mg/mL}$ in DMSO Storage 4°C , protect from light

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.

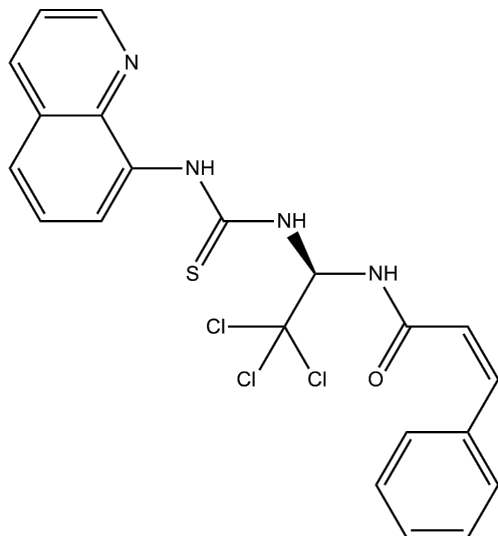
Caution: Product has not been fully validated for medical applications. For research use only.

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

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

Product Data Sheet

Structure



Protocol

Cell experiment [1]:

Cell lines Human skin fibroblasts

Preparation Method Human skin fibroblasts were pretreated with 0-20 μ M Salubrinal for 1h and then irradiated with UVB (15mJ/cm²). Cell viability was determined by MTT.

Reaction
Conditions 0-20 μ M; 1h

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

Product Data Sheet

Applications Salubrinal protects human skin fibroblasts against UVB radiation-induced cell death in a dose dependent manner.

Animal experiment [2]:

Animal models Adult male ICR mice

Mice were subjected to Traumatic brain injury (TBI) in the left part of the brain using a weightdrop controlled cortical impact device. After injury, the scalp was sutured and mice returned to their cages to recover from anesthesia. After TBI, mice were sacrificed 6 h, 1 d, 2 d, 3 d, 7 d, 10 d and 14 d after surgery, and control mice were sacrificed on day 2. The groups of this experiment were as follows: vehicle-treated sham group (Sha+Veh), Salubrinal-treated sham group (Sha+Sal), vehicle-treated TBI group (TBI+Veh) and Salubrinal-treated TBI group (TBI+Sal). Salubrinal (1mg/kg, first solubilized in DMSO to 100mg/kg and then in saline to the final concentration) was administered by intraperitoneal injection 2h after the onset of TBI and subsequent daily dose for 2 or 21d after TBI. Solvent control mice received a vehicle injection (1% DMSO in saline) at the same time points after TBI. Sham animals also received vehicle or Salubrinal.

Dosage form 1mg/kg/day for 20 days; i.p.

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

Product Data Sheet

Applications Salubrinal ameliorated neurological deficits after TBI. Salubrinal reduced lesion volume after TBI. Salubrinal decreased TBI-induced ER stress-autophagic activation.

References:

[1] Ji C, Yang B, Huang S, et al. Salubrinal protects human skin fibroblasts against UVB-induced cell death by blocking endoplasmic reticulum (ER) stress and regulating calcium homeostasis[J]. Biochemical and biophysical research communications, 2017, 493(4): 1371-1376.

[2] Wang Z, Gao C, Chen W, et al. Salubrinal offers neuroprotection through suppressing endoplasmic reticulum stress, autophagy and apoptosis in a mouse traumatic brain injury model[J]. Neurobiology of learning and memory, 2019, 161: 12-25.

Background

Salubrinal is a potent and selective inhibitor of eukaryotic translation initiation factor 2 α (eIF2 α) dephosphorylation^[1]. Salubrinal acts as a dual-specificity phosphatase 2 (Dusp2) inhibitor, inhibiting anti-collagen antibody-induced arthritis^[2]. Salubrinal has anti-HSV-1 viral activity and inhibits the dephosphorylation of eIF2 α mediated by the HSV-1 protein ICP34.5^[3].

In vitro, treatment of human skin fibroblasts with Salubrinal (0-20 μ M) for 1h protected cells from UVB radiation-induced cell death in a dose-dependent manner and maintained intracellular Ca²⁺ homeostasis^[4]. Treatment of bone marrow-derived cells isolated from mice with Salubrinal (1, 2, 5 μ M) for 6 days inhibited osteoclast differentiation and inhibited migration and adhesion of preosteoclasts in a dose- and time-dependent manner^[5].

In vivo, Salubrinal (1mg/kg/day) was treated intraperitoneally in mice with traumatic brain injury (TBI) for 20 days, which effectively reduced TBI-induced plasma membrane permeability, reduced lesion volume, improved neurological deficits, and reduced ER stress-induced autophagy activation^[6]. Salubrinal (1mg/kg) was treated intraperitoneally in rats with acute myocardial infarction (MI) for 30min, which increased myocardial eIF2 α phosphorylation, reduced the expression of caspase-12 and C/EBP homologous protein (CHOP), and reduced myocardial cell apoptosis and infarct size^[7].

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

Product Data Sheet

References:

- [1] Wang R, Sun D Z, Song C Q, et al. Eukaryotic translation initiation factor 2 subunit α (eIF2 α) inhibitor salubrinal attenuates paraquat-induced human lung epithelial-like A549 cell apoptosis by regulating the PERK-eIF2 α signaling pathway[J]. Toxicology In Vitro, 2018, 46: 58-65.
- [2] Hamamura K, Nishimura A, Chen A, et al. Salubrinal acts as a Dusp2 inhibitor and suppresses inflammation in anti-collagen antibody-induced arthritis[J]. Cellular signalling, 2015, 27(4): 828-835.
- [3] Bryant K F, Macari E R, Malik N, et al. ICP34. 5-dependent and-independent activities of salubrinal in herpes simplex virus-1 infected cells[J]. Virology, 2008, 379(2): 197-204.
- [4] Ji C, Yang B, Huang S, et al. Salubrinal protects human skin fibroblasts against UVB-induced cell death by blocking endoplasmic reticulum (ER) stress and regulating calcium homeostasis[J]. Biochemical and biophysical research communications, 2017, 493(4): 1371-1376.
- [5] Yokota H, Hamamura K, Chen A, et al. Effects of salubrinal on development of osteoclasts and osteoblasts from bone marrow-derived cells[J]. BMC musculoskeletal disorders, 2013, 14: 1-11.
- [6] Wang Z, Gao C, Chen W, et al. Salubrinal offers neuroprotection through suppressing endoplasmic reticulum stress, autophagy and apoptosis in a mouse traumatic brain injury model[J]. Neurobiology of learning and memory, 2019, 161: 12-25.
- [7] Li R J, He K L, Li X, et al. Salubrinal protects cardiomyocytes against apoptosis in a rat myocardial infarction model via suppressing the dephosphorylation of eukaryotic translation initiation factor 2 α [J]. Molecular Medicine Reports, 2015, 12(1): 1043-1049.

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