

Product Data Sheet

Product Name: DS16570511

Cat. No.: GC43575

Chemical Properties

Cas. No. 2446154-84-1

SMILES O=C(C1=CN(CCCC(O)=O)C2=C1C=CC=C2)C3=CC(NCC4=C(C)ON=C4C5=C(Cl)C=C C=C5Cl)=CC=C3

Formula $C_{30}H_{25}Cl_2N_3O_4$ M.Wt 562.4

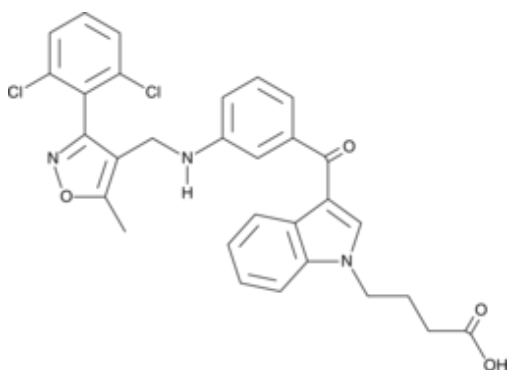
Solubility DMSO: 30 mg/mL, DMSO: 30 mg/mL, Ethanol: 30 mg/mL, Ethanol: PBS(pH 7.2) (1:1): 0.5 mg/mL

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



Protocol

Cell experiment [1]:

Cell lines HEK293A cells

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

Preparation Method For dynamic measurements of mitochondrial Ca^{2+} levels in intact cells, HEK293A cells were stably transfected with pIRES-puro vector expressing mitochondria-targeted aequorin. One day after plating on a 15-cm dish (8×10^6 cells/dish), the cells were harvested and incubated with $2.5 \mu\text{M}$ coelenterazine h in aequorin assay buffer (200mM Hanks Balanced Salt Solution, 25mM HEPES (pH 7.0) and 0.1% bovine serum albumin) for 2h at room temperature. The cells (8.1×10^4 cells/well) were then treated with 0-30 μM DS16570511 for 20min in a 96-well plate at room temperature. For induction of intracellular Ca^{2+} , the cells were treated with 10% fetal bovine serum, and luminescence levels were measured by using a Centro LB960 luminometer.

Reaction Conditions 0-30 μM ; 20min

Applications DS16570511 inhibits Ca^{2+} uptake in isolated mitochondria from HEK293A cells.

References:

[1] Kon N, Murakoshi M, Isobe A, et al. DS16570511 is a small-molecule inhibitor of the mitochondrial calcium uniporter[J]. Cell death discovery, 2017, 3(1): 1-7.

Background

DS16570511 is a cell-permeable mitochondrial calcium uniporter inhibitor with an IC_{50} value of $7 \mu\text{M}$ for channel blockade^[1]. Calcium entry into mitochondria is mediated by a highly selective calcium channel, called the mitochondrial calcium uniporter, which consists of a pore-forming subunit, MCU, and regulatory subunits such as MICU1^[2]. DS16570511 can inhibit respiratory chain complexes and FoF1-ATPase/adenine nucleotide translocator, particularly respiratory chain complex II^[3].

In vitro, treatment of HEK293A cells with DS16570511 (0-30 μM) for 20min inhibited Ca^{2+} uptake in

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

isolated mitochondria^[4]. Treatment of neurons with DS16570511 (30, 45 μ M) attenuated the occurrence of glutamate-induced delayed calcium dysregulation in neurons and inhibited cell death^[5]. Treatment of vitrified MII oocytes with DS16570511 (8 μ M) reduced intracellular mitochondrial membrane potential, phosphorylated pyruvate dehydrogenase (p-PDH), and ATP levels^[6].

References:

- [1] Payne R, Li C, Fernandez-Garcia E, et al. The MCU inhibitor Ds16570511 has off-target effects on mitochondrial membrane potential[J]. Biophysical Journal, 2019, 116(3): 270a.
- [2] Kamer K J, Mootha V K. The molecular era of the mitochondrial calcium uniporter[J]. Nature reviews Molecular cell biology, 2015, 16(9): 545-553.
- [3] Yamada A, Watanabe A, Nara A, et al. Multiple Inhibitory Mechanisms of DS16570511 Targeting Mitochondrial Calcium Uptake: Insights from Biochemical Analysis of Rat Liver Mitochondria[J]. International Journal of Molecular Sciences, 2025, 26(6): 2670.
- [4] Kon N, Murakoshi M, Isobe A, et al. DS16570511 is a small-molecule inhibitor of the mitochondrial calcium uniporter[J]. Cell death discovery, 2017, 3(1): 1-7.
- [5] Belosludtsev K N, Sharipov R R, Boyarkin D P, et al. The effect of DS16570511, a new inhibitor of mitochondrial calcium uniporter, on calcium homeostasis, metabolism, and functional state of cultured cortical neurons and isolated brain mitochondria[J]. Biochimica et Biophysica Acta (BBA)-General Subjects, 2021, 1865(5): 129847.
- [6] Lan T, Zhang K, Lin F, et al. Effects of MICU1-mediated mitochondrial calcium uptake on energy metabolism and quality of vitrified-thawed mouse metaphase II oocytes[J]. International Journal of Molecular Sciences, 2022, 23(15): 8629.

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