Azoramide

Cat. No.: HY-18705

CAS No.: 932986-18-0

Molecular Formula: $C_{15}H_{17}ClN_2OS$

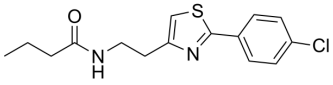
Molecular Weight: 308.83

Target: Apoptosis; Reactive Oxygen Species; Caspase; Bcl-2 Family; Mitochondrial Metabolism

Pathway: Apoptosis; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (97.14 mM)

Ethanol : 2 mg/mL (6.48 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2380 mL	16.1901 mL	32.3803 mL
5 mM	0.6476 mL	3.2380 mL	6.4761 mL
10 mM	0.3238 mL	1.6190 mL	3.2380 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Azoramide is a potent, orally active small-molecule modulator of the unfolded protein response (UPR). Azoramide improves ER protein folding and elevates ER chaperone capacity, which together protects cells against ER stress. Azoramide alleviates PLA2G6 mutant-induced ER stress through modulating unfolded protein response, and enhances the CERB signaling to rescue mitochondrial function, thereby preventing apoptosis of DA neurons. Azoramide has antidiabetic activity[1][2].

In Vitro

Azoramide (0.01-100 μM; 0-24 h; Huh7 cells) regulates ER folding and secretion capacity without inducing ER stress[1].
Azoramide (15 μM; 2-16 h; Huh7 cells) protects cells from induced ER stress. Azoramide counteracts Tunicamycin (Tm, HY-A0098)-induced ATF6LD-Cluc secretion and Tm-induced decrease of ASGR-Cluc secretion. Azoramide suppresses Tm-induced GRP78 and CHOP protein expression[1].
Azoramide (15 μM; 2-16 h; Hepa 1-6 cells) alters ER calcium homeostasis and retains a greater fraction of Ca^{2+} in the ER[1].
Azoramide (0-10 μM; 5 d) attenuates loss of PLA2G6$^{D331Y/D331Y}$ iPSC-derived midbrain DA neurons[2].
Azoramide (10 μM; 5 d) reduces the increase in ROS and ameliorates the decline in mitochondrial membrane potential in PLA2G6$^{D331Y/D331Y}$ midbrain DA neurons[2].
Azoramide (10 μM; 0-30 d) suppresses mitochondrial fragmentation in PLA2G6$^{D331Y/D331Y}$ midbrain DA neurons[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	DA neurons
Concentration:	0, 0.1, 0.3, 1, 3, and 10 μM
Incubation Time:	5 days
Result:	Enhanced cell viability with 27 and 39% for 3 and 10 μM, respectively.

Western Blot Analysis[2]

Cell Line:	DA neurons
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Decreased the cleaved level of caspase 3 and the ratio of Bax/Bcl2 in PLA2G6 mutant neurons. Enhanced expression of CREB in PLA2G6 mutant neurons.

Western Blot Analysis[2]

Cell Line:	DA neurons
Concentration:	10 μM
Incubation Time:	30 days
Result:	Suppressed increased expression of UPR proteins, elevated the decreased expression level of mfn1, and inhibited the elevated expression levels of DRP1 and Fis1 in PLA2G6 mutant neurons

In Vivo

Azoramide (150 mg/kg; p.o.; daily, for 7 d; Huh7 cells; ob/ob mice) improves glucose homeostasis in mice with genetic obesity and preserves beta cell function and survival during metabolic ER stress[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ob/ob mice (9-12 weeks of age)[1]
Dosage:	150 mg/kg
Administration:	Oral administration; daily, for 7 days
Result:	Reduces ER stress and improves metabolism in ob/ob mice. Increased the levels of Pdx1 mRNA and improved beta cell function.

CUSTOMER VALIDATION

- Cell Prolif. 2021 Sep 28;e13133.
- Stem Cell Res Ther. 2018 Mar 9;9(1):57.
- Free Radic Biol Med. 2023 Aug 23;S0891-5849(23)00601-9.
- J Biol Chem. 2020 Feb 28;295(9):2713-2723.

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REFERENCES

[1]. Fu S, et, al. Phenotypic assays identify azoramide as a small-molecule modulator of the unfolded protein response with antidiabetic activity. Sci Transl Med. 2015 Jun 17;7(292):292ra98.

[2]. Ke M, et, al. Azoramide protects iPSC-derived dopaminergic neurons with PLA2G6 D331Y mutation through restoring ER function and CREB signaling. Cell Death Dis. 2020 Feb 18;11(2):130.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

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