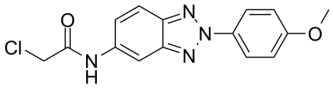
HG106

Cat. No.:	HY-W451275
CAS No.:	928712-10-1
Molecular Formula:	$C_{15}H_{13}ClN_4O_2$
Molecular Weight:	316.74
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	-20°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (394.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1572 mL	15.7858 mL	31.5716 mL
	5 mM	0.6314 mL	3.1572 mL	6.3143 mL
	10 mM	0.3157 mL	1.5786 mL	3.1572 mL

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

BIOLOGICAL ACTIVITY

Description

HG106 is an effective SLC7A11 inhibitor. HG106 mediates apoptosis by increasing oxidative stress and endoplasmic reticulum stress, and it has antitumor activity[1].

IC_{50} & Target

SLC7A11

In Vitro

HG106 (1.25-10 μM, 3 min) shows a concentration-dependent inhibition of [^{14}C] cystine consumption and glutathione production[1].
HG106 (0.1-100 μM, 72 h) has a stronger cytotoxic effect on KRAS mutant cell lines[1].
HG106 (0-10 μM, 6 h) dose-dependently increases the total ROS levels in A549 cells[1].
HG106 (0-5 μM, 24 h) causes mitochondrial dysfunction and endoplasmic reticulum stress in A549 cells[1].
HG106 (0-10 μM, 72 h) significantly induces apoptosis in KRAS mutant LUAD cells and inhibits colony formation[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	H441 KRAS(G12V), HPNE, HPNE/KRAS

Concentration:	0.1, 1, 10, 100 μM
Incubation Time:	72 h
Result:	Inhibited cell proliferation, with greater effects on KRAS mutant cell lines.

Western Blot Analysis[1]

Cell Line:	A549
Concentration:	0, 1.25, 2.5, 5 μM
Incubation Time:	24 h
Result:	Increased activation of ER stress-related markers IRE1α, PERK and GRP78.

Apoptosis Analysis[1]

Cell Line:	KRAS mutant LUAD
Concentration:	0, 1.25, 2.5, 5, 10; 0, 0.5, 1, 2 μM
Incubation Time:	72 h
Result:	Did not cause cell autophagy, induced cell apoptosis, and inhibited colony formation.

In Vivo

HG106 (0-4 mg/kg, once a day, intraperitoneal injection, 26 days) inhibits tumor growth in A549 mouse transplant model[1]. HG106 (0-4 mg/kg, once a day, intraperitoneal injection, 20 days) inhibits tumor growth in mice in a xenograft model and induces apoptosis by triggering endoplasmic membrane stress[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A549 mouse transplant model[1]
Dosage:	0, 1, 2, 4 mg/kg; daily; 26 days
Administration:	Intraperitoneal injection (i.p.)
Result:	Inhibited tumor growth and prolonged the inhibition time of tumor growth.

Animal Model:	LUAD patient-derived xenograft (PDX) models harboring the G12V mutation in KRAS[1]
Dosage:	0, 1, 2, 4 mg/kg; daily; 20 days
Administration:	Intraperitoneal injection (i.p.)
Result:	Inhibited tumor growth, increased ROS generation and TUNEL signal in patient-derived xenografts validated that HG106 triggered endoplasmic membrane stress-induced apoptosis in vivo.

REFERENCES

[1]. Hu K, et al. Suppression of the SLC7A11/glutathione axis causes synthetic lethality in KRAS-mutant lung adenocarcinoma. J Clin Invest. 2020 Apr 1;130(4):1752-1766.

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

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