O6-Benzylguanine

Cat. No.: HY-W002585

CAS No.: 19916-73-5

Molecular Formula: $C_{12}H_{11}N_5O$

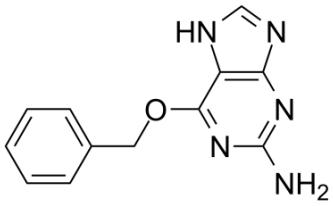
Molecular Weight: 241.25

Target: DNA/RNA Synthesis; Apoptosis

Pathway: Cell Cycle/DNA Damage; Apoptosis

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (455.96 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	4.1451 mL	20.7254 mL	41.4508 mL
	5 mM	0.8290 mL	4.1451 mL	8.2902 mL
	10 mM	0.4145 mL	2.0725 mL	4.1451 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: ≥ 2.75 mg/mL (11.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (11.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

O6-Benzylguanine, a guanine analog, is the DNA repair enzyme O6-alkylguanine-DNA alkyltransferase (MGMT/AGT) inhibitor. O6-Benzylguanine acts as an AGT substrate, which transfers its benzyl group to the AGT cysteine residue, thereby irreversibly inactivating AGT and preventing DNA repair. O6-Benzylguanine induces tumor cell apoptosis. Antineoplastic activity[1][2].

In Vitro

The L3.6pl cells are relatively sensitive to O6-Benzylguanine (24-72 hours) in a dose- and time-dependent manner. The IC_{50} is 50 μg (at 48 hours)[2].

O6-Benzylguanine (50 μg; 48 hours) modulates p53 downstream target protein expression, induces apoptosis, and decreases cell proliferation[2].

O6-Benzylguanine (50 μg; 48 hours) significantly decreases the MGMT transcriptional activity in L3.6pl[2].

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

Western Blot Analysis[2]

Cell Line:	L3.6pl and PANC1 cells
Concentration:	50 μg
Incubation Time:	48 hours
Result:	Expressions of O6 methyl guanine DNA methyl transferase (MGMT), cyclin B1, cyclin B2, cyclin A, p53, and ki-67 were decreased, whereas p21 was increased. The levels of cyto C and caspase 9 were increased, whereas the levels of PARP1 protein were decreased.

RT-PCR[2]

Cell Line:	L3.6pl cells
Concentration:	50 μg
Incubation Time:	48 hours
Result:	Decreased the MGMT transcriptional activity in L3.6pl.

In Vivo

O6-Benzylguanine (100 μg; i.p.; daily for 35 days) inhibits pancreatic cancer cell growth and increases pancreatic cell sensitivity to Gemcitabine (100 mg/kg)[2].
O6-Benzylguanine inhibits pancreatic cancer cell proliferation and induces tumor cell apoptosis in vivo[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male athymic nude mice (NCI-nu) (bearing human pancreatic cancer L3.6pl cells)[2]
Dosage:	100 μg
Administration:	i.p; daily for 35 days
Result:	Significantly decreased median tumor volume and weight.

REFERENCES

[1]. Rabik CA, Njoku MC, Dolan ME. Inactivation of O6-alkylguanine DNA alkyltransferase as a means to enhance chemotherapy. Cancer Treat Rev. 2006;32(4):261-276.

[2]. Konduri, Santhi D et al. Blockade of MGMT expression by O6 benzyl guanine leads to inhibition of pancreatic cancer growth and induction of apoptosis. Clinical cancer research : an official journal of the American Association for Cancer Research vol. 15,19 (2009): 6087-95.

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