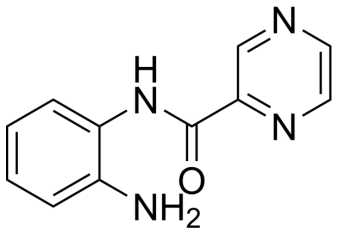
BG45

Cat. No.:	HY-18712
CAS No.:	926259-99-6
Molecular Formula:	$C_{11}H_{10}N_4O$
Molecular Weight:	214.22
Target:	HDAC; Apoptosis; Caspase
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Apoptosis
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 : ≥ 48 mg/mL (224.07 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.6681 mL	23.3405 mL	46.6810 mL
	5 mM	0.9336 mL	4.6681 mL	9.3362 mL
	10 mM	0.4668 mL	2.3340 mL	4.6681 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 (11.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BG45 is a potent HDAC3 inhibitor with IC_{50} values of 0.289, 2, 2.2 and ⊠20 μM for HDAC3, HDAC1, HDAC2 and HDAC6, respectively. BG45 selectively targets multiple myeloma (MM) cells and induces caspase-dependent apoptosis[1][2].

IC_{50} & Target

HDAC3	HDAC1	HDAC2	HDAC6
0.289 μM (IC_{50})	2.0 μM (IC_{50})	2.2 μM (IC_{50})	>20 μM (IC_{50})

In Vitro

BG45 (1.875-30 μM; 48 and 72 h) targets multiple myeloma (MM) cells and inhibits cell growth in a dose-dependent manner[1].

BG45 (15 μM; 0-48 h; MM.1S cells) induces apoptosis via caspase-3/PARP cleavage[1].

BG45 (10 and 20 μM; 12 h; MM.1S cells) induces acetylation of histone H2A, H3, and H4 in a dose-dependent manner[1].
BG45 (10 and 20 μM; 10 h; MM.1S cells) induces multiple myeloma (MM) cells toxicity is associated with hyperacetylation of histones and STAT3 and downregulation of p-STAT3[1].

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

Cell Viability Assay[1]

Cell Line:	MM.1S, RPMI8226, U266, OPM1, and H929 cells
Concentration:	1.875, 3.75, 7.5, 15, and 30 μM
Incubation Time:	48 and 72 hours
Result:	Inhibited multiple myeloma (MM) cells growth in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	MM.1S cells
Concentration:	15 μM
Incubation Time:	0, 6, 12, 24, and 48 hours
Result:	Induced caspase-dependent apoptosis in multiple myeloma (MM) cells.

Western Blot Analysis[1]

Cell Line:	MM.1S cells
Concentration:	10 and 20 μM
Incubation Time:	12 hours
Result:	Increased acetylation of histone in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	MM.1S cells
Concentration:	10 and 20 μM
Incubation Time:	10 hours
Result:	Downregulated p-STAT3 in a dose-dependent manner. Increased acetylation of STAT3 in MM.1S cells.

In Vivo

BG45 (15-50 mg/kg; i.p.; 5 days a week for 3 weeks; CB17 SCID mice with MM.1S xenograft model) inhibits human multiple myeloma (MM) cells growth and enhances bortezomib (HY-10227) induced cytotoxicity in vivo[1].

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

Animal Model:	CB17 SCID mice (48-54 days old) with MM.1S xenograft model[1]
Dosage:	15 and 50 mg/kg
Administration:	Intraperitoneal injection; 5 days a week for 3 weeks
Result:	Inhibited MM tumor growth in a dose-dependent fashion. Enhanced either single agent activity in combination with bortezomib (HY-10227).

CUSTOMER VALIDATION

- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- Oncol Rep. 2018 Apr;39(4):1957-1965.

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REFERENCES

[1]. Minami J, et, al. Histone deacetylase 3 as a novel therapeutic target in multiple myeloma. Leukemia. 2014 Mar;28(3):680-9.

[2]. Iaconelli J, et, al. HDAC6 inhibitors modulate Lys49 acetylation and membrane localization of β-catenin in human iPSC-derived neuronal cells. ACS Chem Biol. 2015 Mar 20;10(3):883-90.

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