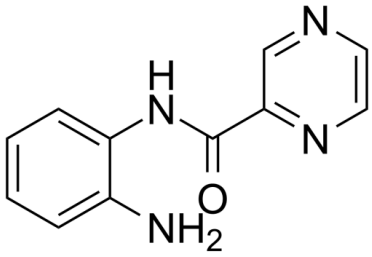
Data Sheet

Product Name:	BG45
Cat. No.:	CS-5084
CAS No.:	926259-99-6
Molecular Formula:	C11H10N4O
Molecular Weight:	214.22
Target:	Apoptosis; HDAC
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Epigenetics
Solubility:	DMSO : ≥ 48 mg/mL (224.07 mM)



BIOLOGICAL ACTIVITY:

BG45 is an HDAC class I inhibitor with selectivity for HDAC3 (IC50 = 289 nM). It inhibits HDAC1, HDAC2, and HDAC6 with greatly reduced potency (IC50s = 2, 2.2, and >20 μM, respectively). IC50 value: 289 nM (HDAC3), 2 μM (HDAC1), 2.2 μM (HDAC2), >20 μM (HDAC6) Target: HDAC At concentrations up to 50 mg/kg, BG45 alone or in combination with Bortezomib has been shown to dose-dependently inhibit tumor growth in a mouse model of multiple myeloma.1

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [1] NPCs were cultured in media containing 70% DMEM with high glucose, 30% Ham's F12 with L-glutamine, penicillin/streptomycin, and B27 supplement as well as 20 ng/mL epidermal growth factor (EGF), 20 ng/mL basic fibroblast growth factor (bFGF), and 5 μg/mL heparin. Plates were coated with 20 μg/mL poly-L-ornithine solution in ddH2O followed by 5 μg/mL laminin in PBS. Cells were lysed by boiling in Laemmli sample buffer with 5% 2-mercaptoethanol. Proteins in the lysate were separated by SDS-PAGE and transferred to PVDF membrane. The blots were probed with antibodies against β-catenin (carboxy-terminal antigen), phospho-β-catenin (Ser45), phospho-β-catenin (Ser33/Ser37/Thr41), Ac-Lys49-β-catenin, GAPDH, and integrin β1. Accell HDAC6 siRNA and nontargeting siRNA were initially diluted in buffer to prepare 100 μM solutions and subsequently diluted to a 1 μM solution in delivery media supplemented with 20 ng/mL EGF, 20 ng/mL bFGF, and 5 μg/mL heparin. For the knockdown experiments, NPCs were plated at 25% confluency in 96-well plates precoated with poly-L-ornithine and laminin and incubated overnight. NPC media was then replaced with100 μL of the HDAC6 siRNA solution incubated for 72 h. HDAC6 knockdown was assessed by Western blot as well as immunostaining. For immunoprecipitation experiments, lysates were incubated with primary antibody and A/G Plus-Agarose beads overnight at 4°C. Cell fractionation was performed using standard protocols as described in the Cell Fractionation Kit. Western blot quantification was performed using ImageJ analysis software.

References:

[1]. Iaconelli J, et al. HDAC6 Inhibitors Modulate Lys49 Acetylation and Membrane Localization of β-Catenin in Human iPSC-Derived Neuronal Cells. ACS Chemical Biology (2015), 10(3), 883-890.

[2]. Tang D, et al. Metformin facilitates BG45-induced apoptosis via an anti-Warburg effect in cholangiocarcinoma cells. Oncol Rep. 2018 Apr;39(4):1957-1965.

CAIndexNames:

2-Pyrazinecarboxamide, N-(2-aminophenyl)-

SMILES:

O=C(C1=NC=CN=C1)NC2=CC=CC=C2N

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

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