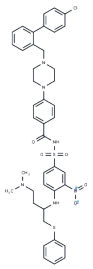
Data Sheet (Cat.No.T2099)

TargetMol

ABT-737

Chemical Properties



CAS No. : 852808-04-9

Formula: C42H45ClN6O5S2

Molecular Weight: 813.43

Appearance: no data available

Storage: keep away from direct sunlight,store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	ABT-737 is a BH3 mimetic and an inhibitor of Bcl-2, Bcl-xL, and Bcl-w (EC50=30.3 nM/78.7 nM/197.8 nM). ABT-737 exhibits antitumor activity and anti-aging activity.
Targets(IC50)	Mitophagy,Bcl-2 Family,Autophagy
In vitro	**METHODS**: AML cell line HL-60 was treated with ABT-737 (10-250 nM) for 24-72 h. Cell growth was detected by live cell counting. **RESULTS**: HL-60 cells showed high sensitivity to ABT-737 with IC50=50 nM.[1] **METHODS**: Thyroid cancer cells were treated with ABT-737 (1 μM) for 24 h and cell cycle was detected by flow cytometer. **RESULTS**: In all five cell lines analyzed, there was a significant increase in cells at the subG1 level, suggesting that ABT-737 induced cell death and DNA breaks.The highest percentage of cells at the subG1 peak was found in ABT-737-treated papillary BHT101 and mesenchymal SW1736 cells (54.8% and 39.9%). [2]
In vivo	**METHODS**: To test the antitumor activity in vivo, Insulin (0.035 mg per mouse) and anti-PD1 (0.25 mg per mouse) were intraperitoneally injected into C57BL/6 mice bearing mouse colorectal carcinoma tumor MC38 every two days for five administrations. **RESULTS**: anti-PD1 significantly inhibited the growth of MC38 tumors, while Insulin promoted the growth of MC38 tumors. The therapeutic effect of the combination of Insulin and anti-PD1 on MC38 tumor suppression was attenuated compared to anti-PD1 treatment alone. anti-PD1 significantly increased the number of infiltrating CD8+ T cells, whereas Insulin significantly decreased the number of tumor-infiltrating CD8+ T cells. [4] **METHODS**: To study virus-induced insulin-dependent diabetes mellitus (IDDM), Insulin (1 mg) was administered orally to RIP-LCMV tg mice twice a week for two months. **RESULTS**: Insulin treatment was effective in preventing the progression of islet infiltration to overt IDDM in pre-diabetic tg mice. Oral administration of Insulin did not affect the production of LCMV-NP-specific anti auto-cytotoxic T lymphocytes or the infiltration of lymphocytes into the pancreas. [5]
Kinase Assay	To determine the binding affinity of GST-BCL-2 family proteins to the FITCconjugated BH3 domain of BIM, FPAs were performed as described. Briefly, 100 nM of GST-BCL-2 family fusion proteins were incubated with serial dilutions of ABT-737 in PBS for 2 min. Then, 20 nM of FITC-BIM BH3 peptide was added. Fluorescence polarization was measured using a Detection System after 10 min using the 96-well black plate. IC50s

	were determined [1].
Cell Research	Cells were seeded into 96-well plates (5 × 10^3 cells/well) and cultured for 12 h at 37 °C, as described above. Then, the medium was replaced with RPMI 1640 containing various concentrations of ATO (1, 2, 4 and 8 nM), ABT-737 (2.5, 5, 10 and 20 μM) or combinations of ATO and ABT-737, and cells were cultured for a further for 24, 48 or 72 h at 37 °C. Cells cultured in RPMI 1640 containing an equal volume of 0.01 M phosphate-buffered saline (PBS, pH 7.4; vehicle) served as controls. Cell viability was measured using Cell Counting Kit-8, according to the manufacturer's instructions. The cell proliferation rate was calculated according to the formula: experimental optical density (OD) value/control OD value × 100%. Experiments were repeated in triplicate [2].
Animal Research	Mice were housed under standard conditions and had free access to water and food, under a 12-h light/12-h dark cycle in a room maintained at 18 - 22 °C and 50 - 65% humidity. SGC7901 cells (5 × 10^6) were subcutaneously inoculated into the right flank of BALB/c mice (H-2b). Tumour volume was measured using callipers and estimated according to the formula: π ? 6 × a2 × b, where a was the short axis, and b was the long axis. After 10 days, when the tumours had reached about 0.2 cm in diameter, the mice were randomly assigned to four groups (n = 8 per group), using a randomization schedule generated by the SAS software package. The groups were: control; ABT-737; ATO; ABT737 + ATO. They received, respectively: vehicle (1% DMSO, 99% 0.01 M PBS; pH 7.4); ABT-737 (50 mg/kg); ATO (2.5 mg/kg); ABT737 (50 mg/kg) + ATO (2.5 mg/kg) intraperitoneally (i.p.) every 2 days. Drugs were dissolved in the vehicle solution. To standardize the experiments, each mouse received a similar volume of solution. After 15 days, the mice were euthanized and the solid SGC-7901 tumours were harvested, fixed with 4% paraformaldehyde, frozen in optimal cutting temperature compound and stored at -80 °C [2].

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 50 mg/mL (61.47 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.2294 mL	6.1468 mL	12.2936 mL
5 mM	0.2459 mL	1.2294 mL	2.4587 mL
10 mM	0.1229 mL	0.6147 mL	1.2294 mL
50 mM	0.0246 mL	0.1229 mL	0.2459 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Konopleva M, et al. Mechanisms of apoptosis sensitivity and resistance to the BH3 mimetic ABT-737 in acute myeloid leukemia. Cancer Cell. 2006 Nov;10(5):375-88.
Zhang W, Li X, Jiang M, et al.SOCS3 deficiency-dependent autophagy repression promote the survival of early-stage myeloid-derived suppressor cells in breast cancer by activating the Wnt/mTOR pathway.Journal of Leukocyte Biology.2023: qiad020.
Li R, Li Y, Jiang K, et al.Lighting up arginine metabolism reveals its functional diversity in physiology and pathology.Cell Metabolism.2024
Sun XP, et al. ABT-737 Synergizes with Arsenic Trioxide to Induce Apoptosis of Gastric Carcinoma Cells In Vitro and In Vivo.J Int Med Res. 2012;40(4):1251-64.
Oltersdorf T, et al. An inhibitor of Bcl-2 family proteins induces regression of solid tumours. Nature. 2005 Jun 2;435 (7042):677-81.
Ishitsuka K, et al. Targeting Bcl-2 family proteins in adult T-cell leukemia/lymphoma: in vitro and in vivo effects of the novel Bcl-2 family inhibitor ABT-737. Cancer Lett. 2012 Apr 28;317(2):218-25.
Sui B, Wang R, Chen C, et al. Apoptotic Extracellular Vesicles (ApoEVs) Safeguard Liver Homeostasis and Regeneration via Assembling an ApoEV-Golgi Organelle[J]. bioRxiv. 2021