SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

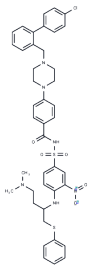
linkedin.com/company/szaboscandic

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: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	ABT-737 is a BH3 mimetic inhibitor of Bcl-xL, Bcl-2 and Bcl-w (EC50s: 78.7/30.3/197.8 nM).
Targets(IC50)	Mitophagy,BCL,Autophagy
In vitro	ABT-737 induced the disruption of the BCL-2/BAX complex and BAK-dependent but BIM-independent activation of the intrinsic apoptotic pathway. In cells with phosphorylated BCL-2 or increased MCL-1, ABT-737 was inactive. Inhibition of BCL-2 phosphorylation and reduction of MCL-1 expression restored sensitivity to ABT-737 [1]. ABT-737 inhibited proliferation and induced apoptosis in SGC-7901 and MGC-803 cells in concentration- and time-dependent manners. ABT-737 disturbed the binding of B cell lymphoma (Bcl) -2 homologous antagonist killer and Bcl-extra large [2]. ABT-737 does not directly initiate the apoptotic process, but enhances the effects of death signals, displaying synergistic cytotoxicity with chemotherapeutics and radiation. ABT-737 exhibits single-agent-mechanism-based killing of cells from lymphoma and small-cell lung carcinoma lines, as well as primary patient-derived cells [3].
In vivo	ABT-737 and ATO significantly suppressed SGC-7901 xenograft growth, synergistically inhibited tumour growth and induced apoptosis in vivo [2]. H146 tumours were treated with a single dose of ABT-737. A significant increase in caspase-3-positive cells was noted as early as 2 h after treatment, with a 12-fold increase achieved within 16 h. Examination of liver, heart, and intestine revealed no increase in caspase-3 activation in these normal tissues [3]. Treatment with either ABT-737 (100 mg/kg/day) was initiated on the day following inoculation. On day 21 post-treatment, the mean tumor volume, weight, and serum level of sIL-2Ra were significantly lower than those of vehicle-treated mice [4].
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), (< 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

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