For Research Use Only

ABT-737

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Catalog Number: CM03111

产品信息

Catalog Number:
CM03111

CAS号:
852808-04-9

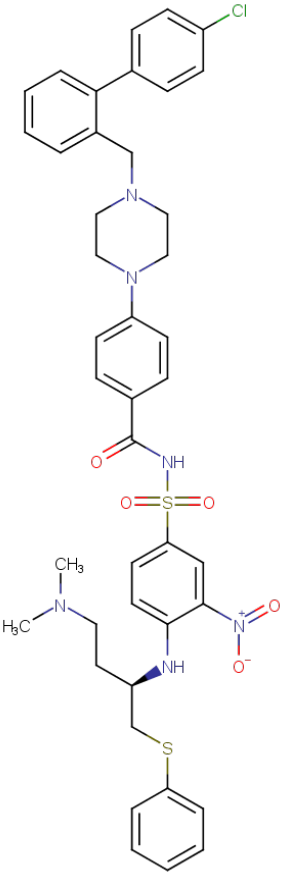
分子式:
$C_{42}H_{45}ClN_6O_5S_2$

主要靶点:
Autophagy|Mitophagy|BCL

主要通路:
凋亡|自噬|自噬

分子量:
813.43

溶解度:
DMSO:50 mg/mL (61.47 mM);Ethanol:< 1 mg/mL (insoluble or slightly soluble);H2O:< 1 mg/mL (insoluble or slightly soluble)



靶点活性

BCL-B:1820 nM(EC50, cell free)|BCL-W:197.8 nM(EC50, cell free)|BCL-XL:78.7 nM(EC50, cell free)|BCL2:30.3 nM(EC50, cell free)

体外活性

方法：AML 细胞系 HL-60 用 ABT-737 (10-250 nM) 处理 24-72 h，通过活细胞计数检测细胞生长。**结果：**HL-60 细胞对 ABT-737 显示出高敏感性，IC50=50 nM。[1]**方法：**甲状腺癌细胞用 ABT-737 (1 μM) 处理 24 h，通过 flow cytometer 检测细胞周期。**结果：**在所分析的所有五个细胞系中，subG1 级细胞显著增加，表明 ABT-737 诱导了细胞死亡和 DNA 断裂。ABT-737 处理的乳头状 BHT101 和间变性 SW1736 细胞中处于 subG1 峰的细胞百分比最高 (54.8% 和 39.9%)。[2]

体内活性

方法：为检测体内抗肿瘤活性，将 ABT-737 (20-30 mg/kg，30% propylene glycol+5% Tween 80+65% D5W (5% dextrose in water)，pH 4?5) 腹腔注射给注射 luc-FD/ Δ Raf-1:ER 细胞的 SCID 小鼠，每天一次，持续 21 天。**结果：**ABT-737 在 20 和 30 mg/kg 剂量水平下分别将白血病负担抑制了 48% 和 53%，并显著延长了这种侵袭性白血病模型中小鼠的存活期，中位存活期为 28-32.5 天，而对照组为 19.5 天。[1]

动物实验

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].

细胞实验

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].

储存

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