For Research Use Only

ABT-751

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Catalog Number: CM05112

产品信息

Catalog Number:
CM05112

CAS号:
141430-65-1

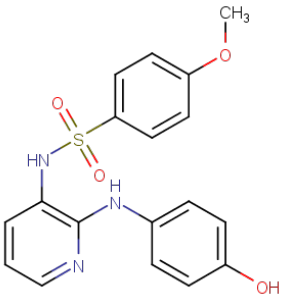
分子式:
$C_{18}H_{17}N_3O_4S$

主要靶点:
Autophagy|Microtubule Associated

主要通路:
细胞骨架|自噬

分子量:
371.41

溶解度:
DMSO:37.1 mg/mL (100 mM),Ethanol:9.3 mg/mL (25 mM)



靶点活性

neuroblastoma:1.5 μM

体外活性

在HT-29结肠异种移植模型中,ABT-751也显示出显著的作为单一药剂的抗肿瘤活性,并且在与5-FU联用产生剂量依赖性的生长延迟增强. 在此Calu-6异种移植模型中,ABT-751作为100和75 mg/kg /天的单一药剂显示出显著的抗肿瘤活性,而与顺铂组合时,ABT-751显示剂量依赖性的生长延迟增强.在患淋巴癌的犬中,ABT-751限制剂量限制性毒性,包括呕吐、腹泻,厌食,最大耐受剂量为350 mg/m(2) PO q24 h.

体内活性

ABT-751显示出对动态微管的选择性作用并且保留稳定的微管,从而解释了在ABT-751的IC90浓度下乙酰化和去酪氨酸α-微管蛋白阳性聚合小管的持久性。在体外,ABT-751显示选择性细胞毒性,在神经母细胞瘤中IC50为0.6-2.6 μM,在其他实体瘤细胞系中为0.7-4.6 μM。

细胞实验

Cells, in 1640 RPMI media with FBS, are plated in triplicate onto 96 well tissue culture plates in numbers determined optimal for confluent monolayer growth (5,000 cells/well for HOS, HTB-186 Daoy; 10,000 cells/well for TC-71, RD, SK-N-AS, SK-N-DZ, LD; 30,000 cells/well for KCNR), with an automated, multichannel pipette system. Cells are incubated for 24 hours at 37 °C/5% CO2 then exposed to vehicle control (1.25% DMSO/Water), VCR (0.1–1000 nM), ABT-751 (0.1 nM–100 μM), and in 4 cell lines (SK-N-AS, KCNR, RD, TC-71) combretastatin (0.1–1000 nM) for 72 hours. Cells are fixed with trichloroacetic acid (final concentration 10%) at 4 °C, washed, then dried at room temperature, stained with SRB in 1% acetic acid and dye is then solubilized with Tris base. Optical density measurements are performed at 540 and 405 nm dual wavelengths in a Bio-Tek EL 340 UV plate reader. (Only for Reference)

描述

ABT-751 (E7010) has been investigated for the treatment of Lung Cancer, Non-Small Cell Lung Cancer, and Non-Small-Cell Lung Cancer.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years