For Research Use Only

PAC-1

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Catalog Number: CM03299

产品信息

Catalog Number:
CM03299

CAS号:
315183-21-2

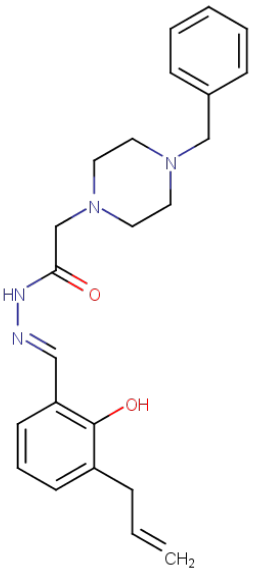
分子式:
$C_{23}H_{28}N_4O_2$

主要靶点:
Autophagy|Apoptosis|Caspase

主要通路:
蛋白酶体|凋亡|自噬

分子量:
392.49

溶解度:
1eq. HCl:29.4 mg/mL (75 mM),DMSO:39.3 mg/mL (100 mM)



靶点活性

Procaspase-3:0.22 μM(EC50)

体外活性

PAC-1由于激活procaspase-3和随后诱导凋亡发挥体内抗肿瘤效果,与体外活性一致.用5 mg的稳定释放低剂量PAC-1处理小鼠,明显抑制ACHN肾移植瘤生长.用50或100 mg/kg PAC-1口服处理,明显剂量依赖性阻碍NCI-H226肺癌移植瘤生长,且明显阻止癌细胞浸润肺组织.

体内活性

PAC-1能够以延迟的方式诱导Bax/Bak双敲除细胞和Bcl-2和Bcl-xL过表达细胞中的细胞死亡,具有与野生型对应物相同的功效。PAC-1按caspase-3 非依赖性方式诱导细胞色素c释放,随后触发下游caspase-3激活和细胞死亡。PAC-1不能诱导Apaf-1敲除细胞中的细胞死亡和半胱天冬酶-3激活,这表明凋亡体形成对于通过PAC-1介导的细胞死亡的胱天蛋白酶-3激活是必需的。PAC-1诱导原发性癌细胞凋亡,IC50值为3 nM至1.41 μM,比邻近的非癌细胞更有效,IC50为5.02 μM至9.98 μM,这也与procaspase-3的浓度直接相关。PAC-1激活procaspase-3,产生caspase-3,EC50为 0.22 μM,且激活procaspase-7,EC50为 4.5 μM。癌细胞系中增高的caspase 3水平使 PAC-1 选择性诱导凋亡,按与procaspase-3 浓度成比例的方式,NCI-H226细胞的IC50为0.35 μM,UACC-62细胞的IC50为约3.5 μM。PAC-1通过螯合锌离子来激活胱天蛋白酶-3,从而减轻锌介导的抑制作用,并允许胱天蛋白酶原-3自身激活为胱天蛋白酶-3。

细胞实验

Cells are exposed to various concentrations of PAC-1 for 72 hours. Cell death is quantified by the addition of MTS/PMS CellTiter 96 Cell Proliferation Assay reagent. The plates are incubated at 37 °C for approximately 1 hour (until the colored product formed), and the absorbance is measured at 490 n(Only for Reference)

描述

PAC-1 (Procaspase activating compound 1) has been used in trials studying the treatment of Lymphoma, Melanoma, Solid Tumors, Breast Cancer, and Thoracic Cancers, among others.

储存

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