For Research Use Only

B-AP15

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Catalog Number: CM03802

产品信息

Catalog Number:
CM03802

CAS号:
1009817-63-3

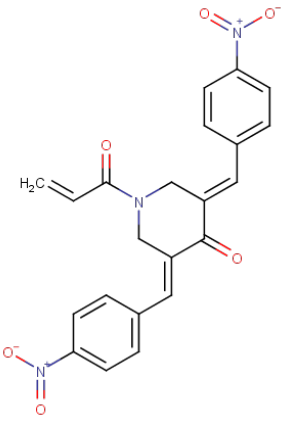
分子式:
$C_{22}H_{17}N_3O_6$

主要靶点:
Apoptosis|DUB

主要通路:
泛素化|DNA损伤和修复|凋亡|细胞周期

分子量:
419.39

溶解度:
DMSO:41.9 mg/mL (100 mM)



靶点活性

UCHL5:2.1 μM

体外活性

在HCT-116结肠癌移植瘤的小鼠中,B-AP15（5 mg/kg）可使肿瘤发病显著延缓.对携带鳞状细胞癌移植瘤的重症联合免疫缺陷小鼠,B-AP15（5 mg/kg）可产生显著的抗肿瘤活性.

体内活性

作为UPS抑制剂,通过诱导cathepsin-D依赖性的溶酶体凋亡途径,B-AP15可诱导细胞死亡。与外周血单核细胞或永生化上皮细胞（hTERT-RPE1）相比,B-AP15对HCT-116细胞毒性更大。B-AP15剂量依赖性地使UbG76V-YFP受体累积（IC50：0.8 μM）,表明损伤的蛋白酶体降解受损。作用于人类结肠癌HCT-116细胞时,B-AP15（1 μM）可使多聚泛素化蛋白快速累积。B-AP15（1 μM）对ATP诱导的IL-1β从脂多糖诱导的腹腔巨噬细胞中释放有抑制作用。作用于THP-1细胞,B-AP15（1 μM）可使尼日利亚菌素诱导的细胞死亡水平降低。B-AP15（1 μM）处理脂多糖诱导的THP-1细胞,可使尼日利亚菌素处理后形成的ASC斑点数量显著减少。作用于HCT-116细胞,B-AP15（1 μM）使细胞周期在G2/M期停滞,并造成细胞周期抑制剂累积。作用于HCT-116细胞, B-AP15（2.2 μM）使细胞周期蛋白依赖性激酶CDKN1A和CDKNIB,及肿瘤抑制基因TP53的数量剂量依赖性地提高,但不影响鸟氨酸脱羧酶1的数量。

细胞实验

b-AP15 is dissolved in DMSO and stored, and then diluted with appropriate medium before use[2]. Cell viability is monitored by either the fluorometric microculture cytotoxicity assay or the MTT assay. For the MTT assay, cells are seeded into 96-well flat-bottomed plates overnight and exposed to drugs, using DMSO as the control. At the end of incubations, 10 μl of a stock solution of 5 mg/mL MTT is added into each well, and the plates are incubated 4 hours at 37°C. Formazan crystals are dissolved with 100 μL 10% SDS/10 mM HCl solution overnight at 37°C. Absorbance is measured using an enzyme-linked immunosorbent assay (ELISA) plate reader at 590 nm[2].

描述

B-AP15(NSC687852) is a selective inhibitor of the deubiquitinating enzymes Usp14 and UCHL5 of the 26S proteasome. It blocks the deubiquitinating activity of the 26S proteasome.

储存

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