For Research Use Only

KW-2478

www.ptgcn.com

Catalog Number: CM04650

产品信息

Catalog Number:
CM04650

CAS号:
819812-04-9

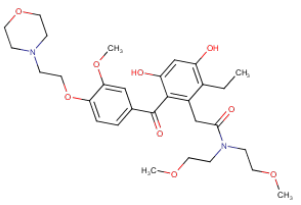
分子式:
$C_{30}H_{42}N_2O_9$

主要靶点:
HSP

主要通路:
细胞骨架|代谢

分子量:
574.66

溶解度:
H2O:<1 mg/mL,Ethanol:3 mg/mL (5.22 mM),DMSO:106 mg/mL (184.5 mM)



靶点活性

HSP90:3.8 nM

体外活性

KW-2478 inhibits the binding of bRD to Hsp90α with IC50 of 3.8 nM. KW-2478 degradates the Hsp90 client proteins, including FGFR3 and IGF-1Rβ and c-Raf-1. KW-2478 reduces the level of phosphorylated Erk1/2. KW-2478 induces apoptosis by cleavage of PARP, a substrate of caspase-3 In U266 cells,. KW-2478 has Time dependency of antiproliferative activity, consecutive drug exposure for at least 12 hours is necessary to to exert potent antitumor activity. KW-2478 downregulates the translocation products of IgH locus. KW-2478 inhibits the transcription of c-Maf and cyclin D1 genes by mainly suppressing the function of Cdk9. [1] KW-2478 has potent and broad growth inhibitory activities against various cell lines, KW-2478 inhibites cancer cell growth in all cell lines, with EC50 of 101-252 nM, 81.4-91.4 nM and 120-622 nM for B-cell lymphoma, mantle cell lymphoma and multiple myeloma, respectively. KW-2478 also shows potent growth inhibitory activity in primary CLL and NHL cells with EC50 of 40-170 nM and 200-400 nM, respectively. [2].

体内活性

KW-2478 suppresses tumor growth and induces the degradation of client proteins in tumors in NCI-H929 s.c. inoculated model at doses of 100 mg/kg or more. KW-2478 reduces both serum M protein and MM tumor burden in the bone marrow in OPM-2/GFP i.v. inoculated mouse model at doses of 100 mg/kg. [1]

细胞实验

To measure the IC50, OPM-2/green fluorescent protein (GFP) cells, KMS-11 cells, OPM-2/GFP and other cells are plated into 96-well plates and treat with KW-2478. After 72 hours of cultivation, cell viability is determined using Cell Proliferation Reagent WST-1. WST reagent is added to the wells, followed by incubation for 4 hours at 37 °C. After that, the absorbance at 450 nm with reference at 650 nm is measured with a microplate spectrophotometer. To examine time dependency of antiproliferative activity of KW-2478, the cells are plated into 96-well V-bottomed plates and treated with KW-2478. After 0 hour and at intervals from 3 to 72 hours at 37 °C, the supernatant is aspirated. After drug-free medium is added to the wells, the supernatant is aspirated again. Finally, drug-free medium is added to the wells, and the plates are further incubated for the remainder of the 72-hour period, followed by measurement of cell viabil(Only for Reference)

描述

KW-2478 is a non-ansamycin HSP90 inhibitor with IC50 of 3.8 nM.

储存

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