For Research Use Only

GDC-0152

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Catalog Number: CM04688

产品信息

Catalog Number:
CM04688

CAS号:
873652-48-3

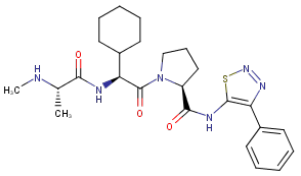
分子式:
$C_{25}H_{34}N_6O_3S$

主要靶点:
IAP

主要通路:
凋亡

分子量:
498.64

溶解度:
H2O:3 mg/mL (6.01 mM),Ethanol:92 mg/mL (184.5 mM),DMSO:92 mg/mL (184.5 mM)



靶点活性

XIAP-BIR3:28 nM(Ki)|cIAP2-BIR3:43 nM(Ki)|XIAP-BIR2:112 nM(Ki)|MLXBIR3SG:14 nM(Ki)|cIAP1-BIR3:17 nM(Ki)

体外活性

GDC-0152 can block protein–protein interactions that involve IAP proteins and pro-apoptotic molecules. Using transiently transfected HEK293T cells, GDC-0152 is shown to disrupt XIAP binding to partially processed caspase-9 and to disrupt the association of ML-IAP, cIAP1, and cIAP2 with Smac. The endogenous association of ML-IAP and Smac is effectively also abolished by GDC-0152 in melanoma SK-MEL28 cells. GDC-0152 lead to a decrease in cell viability in the MDA-MB-231 breast cancer cell line, while having no effect on normal human mammary epithelial cells (HMEC). GDC-0152 is found to activate caspases 3 and 7 in a dose- and time-dependent manner. GDC-0152 is shown to induce rapid degradation of cIAP1 in A2058 melanoma cells. It effectively induces degradation of cIAP1 at concentrations as low as 10 nM, consistent with its affinity for cIAP1.

体内活性

GDC-0152 has moderate predicted hepatic clearance based on metabolic stability assays conducted using human liver microsomes. Plasma–protein binding of GDC-0152 is moderate and comparable among mice (88–91%), rats (89–91%), dogs (81–90%), monkeys (76–85%), and humans (75–83%) over the range of concentrations investigated (0.1–100 μM); higher plasma–protein binding is observed in rabbits (95–96%). GDC-0152 does not preferentially distribute to red blood cells with blood–plasma partition ratios of 0.6 to 1.1 in all species tested. The pharmacokinetics for GDC-0152 is achieved with a C max of 53.7 μM and AUC of 203.5 h·μM. [1]

细胞实验

MDA-MB-231 breast carcinoma cells and HMECs are treated with the indicated concentrations of GDC-0152. Cell death is assessed using the CellTiter-Glo luminescent cell viability assay 72 h following the start of treatment.(Only for Reference)

描述

GDC-0152 is a potent inhibitor of IAPs.

储存

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