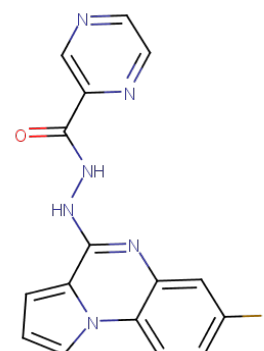


Catalog Number: CM04859

产品信息

Catalog Number:
CM04859CAS号:
895158-95-9分子式:
 $C_{16}H_{11}FN_6O$ 主要靶点:
Apoptosis|Interleukin主要通路:
免疫与炎症|凋亡分子量:
322.3溶解度:
H₂O:<1 mg/mL,DMSO:27 mg/mL
(83.8 mM),Ethanol:<1 mg/mL

靶点活性

gp130:< 1 μ M (Cell assay)

体外活性

SC144 exhibits potent cytotoxicity against a panel of drug-sensitive and drug-resistant cancer cell lines. SC144 shows synergism with both 5-fluorouracil and oxaliplatin when co-treated in colorectal cancer HT29 cells. Pretreatment with SC144 in oxaliplatin-resistant HTOXAR3 cells is more effective than oxaliplatin pretreatment. In addition, the combination of SC144 and paclitaxel exhibited synergism in MDA-MB-435 cells with a schedule-dependent block in cell cycle. [1] SC144 treatment in vitro induces gp130 phosphorylation and deglycosylation, resulting in the downregulation of surface-bound gp130 and the abrogation of gp130-associated Stat3 activation. In addition, SC144 selectively inhibits the downstream signaling activation induced by gp130 substrates, including IL-6 and LIF. Protein expression regulated by the gp130/Stat3 axis in OVCAR-8 cells is also down-regulated after SC144 treatment, including Bcl-2, Bcl-XL, survivin, cyclin D1, MMP-7, gp130 and Ape1/Rel-1. [2]

体内活性

SC144 significantly inhibits tumor growth in a mouse xenograft model of human ovarian cancer via i.p. or p.o. administration. After SC144 treatment for two months, gp130, Bcl-2, Bcl-XL, MMP-7 and Ape1/Ref-1 protein levels are substantially decreased in the tumor site in the treatment group compared with the control group. [2] In an MDA-MB-435 mouse xenograft model, co-administration of SC144 and paclitaxel delays tumor growth in an SC144 dose-dependent manner. Evaluation of the pharmacokinetics of SC144 reveals that intraperitoneal administration of SC144 shows a two-compartmental pharmacokinetics elimination profile that is not observed in the oral dosing. [1]

细胞实验

MTT assay (Only for Reference)

描述

SC144 is an orally active small-molecule gp130 inhibitor.

储存

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