

Catalog Number: CM05705

产品信息

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CM05705

CAS号:
1039455-84-9

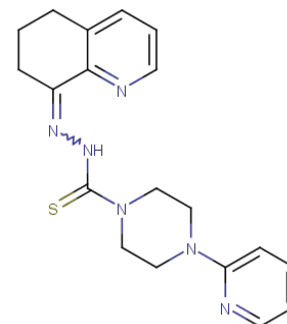
分子式:
C₁₉H₂₂N₆S

主要靶点:
p53|Apoptosis

主要通路:
凋亡|凋亡

分子量:
366.48

溶解度:
DMSO:5 mg/mL (13.64 mM)



体外活性

COTI-2 (72小时) 显著抑制了所有测试细胞系的增殖速率。在COLO-205、HCT-15和SW620细胞系中，COTI-2显著抑制肿瘤细胞增殖。COTI-2的相对低浓度对所有测试的人类胶质母细胞瘤细胞系（U87-MG、SNB-19、SF-268和SF-295）均有效。在SHP-77细胞中，COTI-2处理的IC50浓度导致40至47%的总细胞发生早期凋亡。

体内活性

在HT-29人类结肠癌异种移植模型中，COTI-2 (10 mg/kg) 显著抑制肿瘤生长。不仅在特定治疗后时间点减少肿瘤体积，COTI-2还延长肿瘤达到特定体积的时间。在SHP-77 SCLC异种移植模型中，COTI-2 (3 mg/kg) 同样显著抑制肿瘤生长。COTI-2能在特定治疗后时间点减少U87-MG肿瘤体积，并延长U87-MG异种移植体在裸鼠体内生长所需的时间。仅用载体处理的对照组小鼠的肿瘤，5天内就达到平均体积828 mm³，而用COTI-2处理的动物肿瘤需要双倍时间（10天）达到类似的平均体积（857 mm³）。无论采用何种给药途径，COTI-2均强效抑制OVCA-3异种移植体的生长。

动物实验

SHP-77 and HT-29 cells are injected in 50% matrigel into flanks of NCr-nu mice (2×10⁶ cells per injection site) (n=5 mice per group). In the case of SHP-77 xenografts, treatment with COTI-2 begins prior to the appearance of palpable tumors. One day after injection of SHP-77 cells, animals receive 3 mg/kg of COTI-2 (once every two days, up to 38 days). Tumor sizes are estimated at 5, 10, 17, 24, and 38 days, by standard caliper measurements. In the case of HT-29 xenografts, the capacity of COTI-2 to suppress the growth of established tumors is assessed. HT-29 xenografts are allowed to grow to 200 mm³ before starting IP treatment with COTI-2 (10 mg/kg, 5 days a week for 7 weeks) or saline IP. Tumor growth is measured every 4 days by caliper measurement.

细胞实验

COTI-2 is dissolved in 100% dimethyl sulfoxide stock solution and diluted in medium plus FBS such that final DMSO concentrations are 0.5 to 1.0% depending on the experiment. SHP-77 cells are cultured with various concentrations of COTI-2 for 48 h. Cells are then washed twice with 1× cold PBS and stained with Annexin V and 7AAD according to the manufacturer's instructions. Briefly, 5 μL of Annexin V and 7AAD are added to 1×10⁵ cells and incubated for 15 min at room temperature in the dark. Then 400 μL of the 1× binding buffer (100 mM HEPES, pH 7.4, 140 mM NaCl, 2.5 mM CaCl₂) is added to the cells. Finally, cells are analyzed using a flow cytometer.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.