

Catalog Number: CM05033

产品信息

Catalog Number:
CM05033

CAS号:
724440-27-1

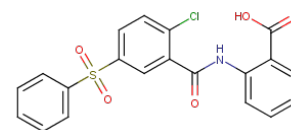
分子式:
 $C_{20}H_{14}ClNO_5S$

主要靶点:
Monocarboxylate transporter

主要通路:
离子通道

分子量:
415.85

溶解度:
DMSO:50 mg/mL (120.24 mM)



靶点活性

MCT1:85 nM (MCT1-expressing DLD-1 cells)

体外活性

BAY-8002 inhibited cellular SNARF-5 fluorescence change with an IC₅₀ of 85 nM in MCT1-expressing DLD-1 cells and displayed excellent selectivity against MCT4 (IC₅₀ >50 μ mol/L in EVSA-T cells).

体内活性

Raji tumor-bearing mice were treated twice daily per os with 80 and 160 mg/kg BAY-8002. BAY-8002 showed significant inhibition of tumor growth, however, no tumor regression was observed. Daudi Burkitt's lymphoma model showed some response to MCT1 inhibition, albeit not significant for BAY-8002.

动物实验

For in vivo studies, tumor cells were subcutaneously (s.c.) injected in 0.1 ml suspension to the left flank of 7-10 weeks old immunocompromised female mice (3×10^6 Raji cells in 10% Matrigel) to NOD SCID mice, 2×10^6 Colo320DM cells in 50% Matrigel™ to NMRI nu/nu mice, 3×10^6 WSU-DLCL2 cells or 1×10^7 Daudi cells in 50% Matrigel™ to CB17 SCID mice. Tumor area (length x width) and body weight was determined at least twice weekly. Tumors were allowed to establish before mice were allocated to different treatment and control groups by stratified randomization based on their primary tumor size. Oral treatments (p.o.) via gavage were performed as indicated. Final tumor weights were determined at the end of each study. For statistical analysis of tumor area as well as final tumor weights, data were analyzed using one-way ANOVA followed by Tukey's multiple comparisons test.

细胞实验

Cells were seeded at 3000- 6000 cells per well in a 90 μ l volume in 96-well plates. Triplicates were treated in a dose range of 0-10 μ mol/L. Cells were continuously exposed to the drug at 37°C and 5 % CO₂. After 72 h, cell viability was measured using the CellTiter Glo cell viability assay. For the generation of resistant cell lines, cells were treated at IC₅₀ and upon regrowth treated with increasing concentrations of the drug.

描述

BAY-8002 is a potent dual MCT1/2 inhibitor (IC₅₀ values are 3, 8, and 12 nM at rat (C6), human (DLD-1) and mouse (4T1) MCT1 respectively).

储存

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