For Research Use Only

TG101209

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Catalog Number: CM04907

产品信息

Catalog Number:
CM04907

CAS号:
936091-14-4

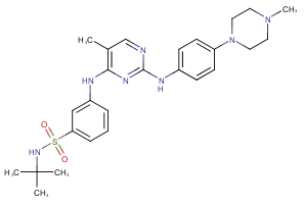
分子式:
$C_{26}H_{35}N_7O_2S$

主要靶点:
Apoptosis|c-RET|Autophagy|FLT|JAK

主要通路:
蛋白酪氨酸激酶|JAK/STAT信号通路|血管生成|干细胞|表观遗传|自噬|凋亡

分子量:
509.67

溶解度:
DMSO:94 mg/mL (184.4 mM),Ethanol:<1 mg/mL,H2O:<1 mg/mL



靶点活性

JAK2:6 nM

体外活性

与安慰剂处理的动物相比,TG101209处理的动物体内循环肿瘤细胞负荷显著减少,呈剂量依赖性,在+11天时减少比例达到20%.100 mg/kg TG101209有效延长JAK2V617F诱发的患病动物的存活时间（10天）.

体内活性

TG101209抑制HCC2429和H460肺癌细胞中存活素,并降低STAT3的磷酸化作用。TG101209在表达人JAK2V617F的急性髓细胞性白血病细胞系中,诱导细胞周期阻滞和细胞凋亡,并抑制JAK2V617F,STAT5和STAT3的磷酸化。TG101209抑制表达JAK2V617F或MPLW515L突变体的Ba/F3细胞生长,IC50为B200 nM。TG101209抑制来自携带JAK2V617F或MPL515突变的原始祖细胞的造血集落的生长。TG101209明显减少STAT5磷酸化,而不影响STAT5蛋白质的总量。TG101209消除BCR-JAK2和STAT5的磷酸化,减少Bcl-xL表达,并引发转化的Ba/F3细胞凋亡。

细胞实验

In brief, approximately 2 × 103 cells are plated into microtiterplate wells in 100 ml RPMI-1640 growth media with indicated concentrations of TG101209. The relative growth of cells is quantified at 24-hour intervals using Cell Proliferation Kit II (XTT) as per manufacturer's guidelines. After incubation, 20 mL of XTT is added to the wells and allowed to incubate for 4-6 hours. The colored formazan product is measured spectrophotometrically at 450 nm with correction at 650 nm, and IC50 values are determined using the GraphPad Prism 4.0 software. Data are subjected to a non-linear regression-fit analysis and IC50 values are determined as the concentration that inhibited proliferation by 50%. All experiments are done in triplicate and the results normalized to growth of untreated cells.(Only for Reference)

描述

TG101209 is a selective JAK2 inhibitor with IC50 of 6 nM.

储存

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