For Research Use Only

Ruxolitinib

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Catalog Number: CM00623

产品信息

Catalog Number:
CM00623

CAS号:
941678-49-5

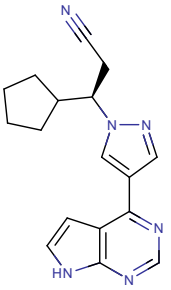
分子式:
$C_{17}H_{18}N_6$

主要靶点:
Tyrosine Kinases|Apoptosis|Autophagy|JAK|Metabolism

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

分子量:
306.36

溶解度:
H2O:< 1 mg/mL (insoluble or slightly soluble);DMSO:60 mg/mL (195.85 mM);Ethanol:< 1 mg/mL (insoluble or slightly soluble);10% DMSO+40% PEG300+5% Tween 80+45% Saline:7 mg/mL (18.61 mM)



靶点活性

TYK2:19 nM (cell free)|JAK2:2.8 nM (cell free)|JAK1:3.3 nM (cell free)

体外活性

方法： Ba/F3-EpoR-JAK2V617F 细胞用 Ruxolitinib (0-10 μM) 处理 48 h，使用 Cell-Titer Glo 检测的细胞活力。 **结果：** Ruxolitinib 剂量依赖性降低细胞活力，IC50 为 126 nM。[1] **方法：** 霍奇金淋巴瘤细胞 HDLM-2 用 Ruxolitinib (10-100 nM) 处理 24 h，使用 Western Blot 方法检测靶点蛋白表达水平。 **结果：** Ruxolitinib 显著抑制下游活性 p-STAT3 和 p-STAT5，且呈剂量依赖性，而总 STAT3 和 STAT5 水平保持不变。[2]

体内活性

方法： 为检测体内抗肿瘤活性，将 Ruxolitinib (3-30 mg/kg，5% dimethyl acetamide, 0.5% methocellulose) 灌胃给药给携带肿瘤 Ba/F3-JAK2V617F 的 BALB/c 小鼠，每天两次，持续三周。 **结果：** Ruxolitinib 显著降低了脾肿大和炎症细胞因子的循环水平，并优先消除了肿瘤细胞，从而显著延长了生存期，而没有骨髓抑制或免疫抑制作用。[1] **方法：** 为检测体内抗肿瘤活性，将 Ruxolitinib (150 mg/kg) 口服给药给携带人结直肠肿瘤 LS411N 的 BALB/c nude 小鼠，每两天一次，持续两周。 **结果：** 口服 Ruxolitinib 可显著抑制人结直肠肿瘤的体内生长，而不会引起肝毒性。[3]

动物实验

All of the procedures were conducted in accordance with the US Public Health Service Policy on Humane Care and Use of Laboratory Animals. Mice were fed standard rodent chow and provided with water ad libitum. Ba/F3-JAK2V617F cells (10^5 per mouse) were inoculated intravenously into 6- to 8-week-old female BALB/c mice. Survival was monitored daily, and moribund mice were humanely killed and considered deceased at time of death. Treatment with vehicle (5% dimethylacetamide, 0.5% methocellulose) or INCB018424 began within 24 hours of cell inoculation, twice daily by oral gavage. Hematologic parameters were measured using a Bayer Advia120 analyzed, and statistical significance was determined using Dunnett testing [1].

细胞实验

Cells were seeded at 2000/well of white bottom 96-well plates, treated with compounds from DMSO stocks (0.2% final DMSO concentration), and incubated for 48 hours at 37°C with 5% CO2. Viability was measured by cellular ATP determination using the Cell-Titer Glo luciferase reagent or viable cell counting. Values were transformed to percent inhibition relative to vehicle control, and IC50 curves were fitted according to nonlinear regression analysis of the data using PRISM GraphPad [1].

储存

store at low temperature,keep away from direct sunlight,keep away from moisture | Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.

For technical support and original validation data for this product please contact
T: 027-87531629 E: Proteintech-CN@ptglab.com W: ptgcn.com

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