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Catalog Number: CM05215

产品信息

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CAS号: 2061980-01-4

分子式: C₁₇H₁₆N₆O₃S₂

主要靶点: Hippo pathway

主要通路: 干细胞 分子量: 416.47 溶解度:

DMSO:30 mg/mL

CH₃

靶点活性

MST1:71.1 nM|MST2:38.1 nM

体外活性

XMU-MP-1 reduces the phosphorylation of endogenous MOB1, LATS1/2, and YAP in HepG2 cells in a dose-dependent manner at concentrations ranging from 0.1 to 10 μ M. XMU-MP-1 treatment inhibits hydrogen peroxide-stimulated MOB1 phosphorylation and MST1/2 autophosphorylation in a variety of cell lines, including mouse macrophage-like cells, human osteosarcoma, human colorectal adenocarcinoma cells. XMU-MP-1 blocks MST1/2 kinase activities, thereby activating the downstream effector Yes-associated protein and promoting cell growth. XMU-MP-1 can potently and reversibly suppress the activities of kinases MST1/2 and enhance their downstream YAP activation in cells.

体内活性

XMU-MP-1 displays excellent in in vivo pharmacokinetics and is able to augment mouse intestinal repair, as well as liver repair and regeneration, in both acute and chronic liver injury mouse models at a dose of 1 to 3 mg/kg via intraperitoneal injection. XMU-MP-1 treatment exhibited substantially greater repopulation rate of human hepatocytes in the Fah-deficient mouse model than in the vehicle-treated control, indicating that XMU-MP-1 treatment might facilitate human liver regeneration. Thus, the pharmacological modulation of MST1/2 kinase activities provides a novel approach to potentiate tissue repair and regeneration, with XMU-MP-1 as the first lead for the development of targeted regenerative therapeutics.

描述

 ${\it XMU-MP-1}\ is\ an\ inhibitor\ of\ the\ pro-apoptotic,\ sterile\ 20-like\ kinases\ MST1\ and\ 2.$

储存

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