

Catalog Number: CM04322

产品信息

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CM04322

CAS号:
486424-20-8

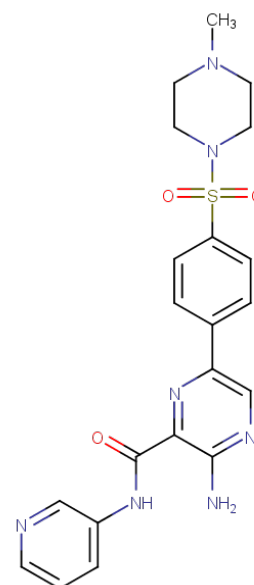
分子式:
C₂₁H₂₃N₇O₃S

主要靶点:
GSK-3

主要通路:
PI3K/Akt/mTOR信号通路|干细胞

分子量:
453.52

溶解度:
Ethanol:<1 mg/mL,DMSO:7
mg/mL (15.43 mM)



靶点活性

GSK-3:68 nM

体外活性

30 μM/kg AZD2858处理大鼠三周后胫胫中矿物质密度(2周时28%,三周时38%)和矿物质含量(两周时81%,三周时93%)增加.大鼠用AZD2858处理28天后,血清中骨更新标志物产生时间依赖性变化,且骨密度增加.大鼠用AZD2858处理7天后,骨形成标志P1NP增加,溶蚀标志物TRAcP-5b降低,表明骨合成代谢增加,大鼠吸收减少.大鼠口服AZD2858治疗两周后,与对照组相比,引起骨密度剂量依赖增加,治疗两周后,在每天20 mg/kg(总BMC:对照组的172%)剂量下具有最大疗效.AZD2858处理使骨折恢复更快,有骨性骨痂但没有明显的软骨成分.

体内活性

AZD2858在人类和大鼠间充质干细胞中引起β-连环蛋白稳定,激活体外成骨细胞和成骨矿化.初级分离的人成骨细胞样细胞上AZD2858处理(1 μM,12小时)导致β-连环蛋白水平增加3倍.

细胞实验

Human adipose derived stem cells and rat MSCs (isolated from bone marrow of Sprague Dawley rats at less than 8 weeks after gestation) are cultured in a basal media of DMEM containing 5% FBS and 2 mM GlutaMax. Cells are seeded in basal media into 96-well plates (3-5000 cells/well) for 18 h before treatment with AZD2858 (0.3 nM to 20 mM). After 24 h, β-catenin stabilisation is measured.(Only for Reference)

描述

AZD2858 is a selective GSK-3 inhibitor, inhibiting tau phosphorylation at the S396 site and activating Wnt signaling pathway.

储存

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