

Catalog Number: CM10570

产品信息

Catalog Number:
CM10570

CAS号:
896657-58-2

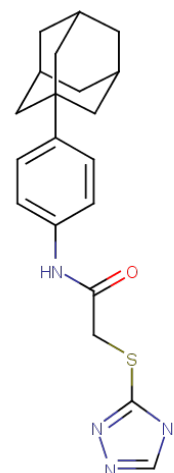
分子式:
C₂₀H₂₄N₄O₅

主要靶点:
Others

主要通路:
其他

分子量:
368.5

溶解度:
DMSO:45 mg/mL (122.12
mM); Ethanol:74 mg/mL (200.81
mM)



靶点活性

TEAD4:710 nM|TEAD2:672 nM

体外活性

MGH-CP1 exhibits dose-dependent and potent inhibition of TEAD2/4 auto-palmitoylation in vitro, with IC₅₀ of 710 nM and 672 nM, respectively. Furthermore, MGH-CP1 treatment markedly decreased the palmitoylation levels of endogenous or ectopically expressed TEAD proteins in cells.

体内活性

MGH-CP1 inhibits TEAD activity in Lats1/2 KO intestine in vivo. MGH-CP1 can effectively inhibit the palmitoylation of TEAD proteins in the intestinal epithelium. MGH-CP1 is well tolerated and has no apparent adverse effect on overall animal health or body weight after 2 weeks of treatment. In contrast to its lack of apparent effect in wild-type intestine, MGH-CP1 treatment effectively inhibits upregulation of the TEAD target genes, CTGF and ANKRD1, in Lats1/2 KO intestine

细胞实验

HEK293T cells, Lats1/2 conditional MEFs and MDA-MB-231 cells were cultured in DMEM supplemented with 10% FBS and 1% penicillin/streptomycin. For Lats1/2 conditional MEFs carrying CMV-CreER, Lats1/2 was deleted by incubation with 4-OH Tamoxifen (2.5 mM) in DMEM for 4 days prior to further experiment. Transfection in HEK293T cells was performed using Lipofectamine 2000 (Invitrogen). For luciferase reporter assays, HEK293T cells were transfected with the luciferase reporter constructs TBS-Luc (8XGT10C-Luc), Super TOP-FLASH (STF), Gli-BS-Luc, BRE-Luc, and NF-kB-Luc, as well as the expression vectors of pGIPZ-YAP5SA, pGIPZ-YAP6SA, pGIPZ-TAZ4SA, pLV-β-Catenin-ΔN90, pCIG-Wnt3a, pCMV-LRP5C, pCIG-BMP4, pCIG-Gli1, pGIPZ-IKBKE (Rajurkar et al., 2017) and pCMV-Renilla luciferase. Luciferase activities were conducted 24 hours after transfection using the dual-luciferase reporter kit (Promega) in the cells treated with or without Wnt3A, LiCl or MGH-CP1. Assays were conducted in triplicates and quantified using PerkinElmer EnVision plate reader.

储存

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