

Catalog Number: CM06891

产品信息

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CM06891

CAS号:
1661839-45-7

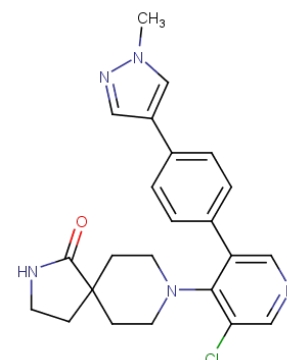
分子式:
C₂₃H₂₄ClN₅O

主要靶点:
Wnt/beta-catenin

主要通路:
细胞骨架|干细胞

分子量:
421.92

溶解度:
DMSO:45mg/ml (106.66 Mm)



靶点活性

WNT(7dF3 cells):5 nM

体外活性

CCT251545, a small-molecule inhibitor of the WNT pathway discovered through cell-based screening, is a potent and selective chemical probe for the human Mediator complex-associated protein kinases CDK8 and CDK19 with >100-fold selectivity over 291 other kinases. X-ray crystallography demonstrates a type I binding mode involving insertion of the CDK8 C terminus into the ligand binding site. In contrast to type II inhibitors of CDK8 and CDK19, CCT251545 displays potent cell-based activity. We show that CCT251545 and close analogs alter WNT pathway-regulated gene expression and other on-target effects of modulating CDK8 and CDK19, including expression of genes regulated by STAT1. Consistent with this, we find that phosphorylation of STAT1(SER727) is a biomarker of CDK8 kinase activity in vitro and in vivo[1].

体内活性

In vivo, CCT251545 with WNT-dependent tumors activity[1].

描述

CCT251545 is an potent and oral-bioavailable WNT signaling inhibitor(IC₅₀ of 5 nM in 7dF3 cells).

储存

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