For Research Use Only

(6S)-N-Benzyl-6-(4-hydroxybenzyl)-8-(naphthalen-1-ylmethyl)-4,7-dioxohexahydro-2H-pyrazino[1,2-a]pyrimidine-1(6H)-carboxamide

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Catalog Number: CM06910

产品信息

Catalog Number:
CM06910

CAS号:
868774-16-7

分子式:
$C_{33}H_{32}N_4O_4$

主要靶点:
β-catenin

主要通路:
Stem Cells/Wnt

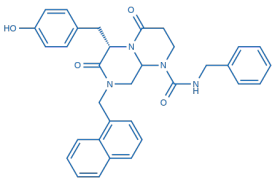
分子量:
548.6316

MDL NO:
MFCD12032107

Pubchem ID:
11295786.0

溶解度:

DMSO	600 mg/mL
Water	insoluble



靶点

Target	Activity
Wnt/β-catenin/CBP	IC50=3 μM

描述

Wnt signaling is required for direct multiple biological processes and also plays key roles in the pathogenesis of various diseases. Cyclic AMP response element-binding protein (CREB) is a transcription factor that is a member of the leucine zipper family of DNA binding proteins. This protein binds as a homodimer to the cAMP-responsive element, an octameric palindrome. The protein is phosphorylated by several protein kinases, and induces transcription of genes in response to hormonal stimulation of the cAMP pathway. Via generating a transcriptionally active complex with β-catenin, CREB acts as a mediator of Wnt signaling.
ICG-001 is an inhibitor of β-catenin/CREB mediated transcription. The direct cellular target of ICG-001 is CREB. the inhibitory IC_{50} of ICG-001 against β-catenin/CREB mediated transcription was 3 μM. ICG-001 treatment at the concentration of 25 μM for 24h significantly increased caspase activity in both colon cancer cell lines SW480 and HCT116 cell lines but not in normal colonic epithelial cells CCD-841Co. In a cell growth inhibition assay, the IC_{50}s of ICG-001 against SW480 and HCT116 cells were 4.43 μM and 5.95 μM, respectively.
In a SW620 nude mouse xenograft model, an water-soluble analog of ICG-001 given at the dose of 150 mg/kg i.v. once in every 2 days dramatically suppressed tumor growth. In a bleomycin-induced pulmonary fibrosis mice model, ICG-001 given at the dose of 5 mg/kg per day reversed pulmonary fibrosis. In a rat myocardial infarction model, ICG-001 was administrated subcutaneously at the dose of 50 mg/kg/day for 10 days which significantly improved cardiac contractile function after myocardial infarction in the rats.

储存

储存条件:

粉末	-20°C	3年
液体	-80°C	1年

运输条件:
Shipped in cold pack