For Research Use Only

LXR-623

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Catalog Number: CM01070

产品信息

Catalog Number:
CM01070

CAS号:
875787-07-8

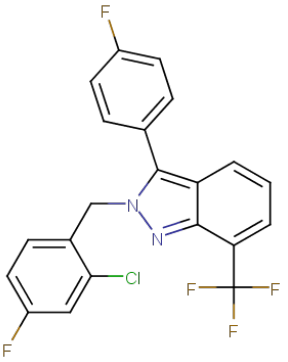
分子式:
$C_{21}H_{12}ClF_5N_2$

主要靶点:
Liver X Receptor

主要通路:
代谢

分子量:
422.78

溶解度:
Ethanol:42.3 mg/mL (100 mM),DMSO:42.3 mg/mL (100 mM)



靶点活性

LXR-α :179 nM|LXR-β :24 nM

体外活性

LXR-623 suppresses LDLR expression, increases expression of the ABCA1 efflux transporter, and induces substantial cell death in all of the GBM samples tested. The brain metastatic breast cancer cell line MDA-MB-361, which harbors ERBB2 amplification, is also highly sensitive to LXR-623- dependent cell death in a concentration-dependent manner. LXR-623 inhibits LDL uptake and induces cholesterol efflux in GBM cells, resulting in a significant reduction in cellular cholesterol content. Normal brain cell insensitivity to LXR-623 may be due to reliance on endogenous synthesis of cholesterol and intact negative feedback through synthesis of endogenous oxysterols[3].

体内活性

LXR-623 is absorbed rapidly with peak concentrations (Cmax) achieved at approximately 2 hours. The Cmax and area under the concentration-time curve increases in a dose-proportional manner. The mean terminal disposition half-life is between 41 and 43 hours independently of dose. In a low-density lipoprotein (LDL) receptor, (LDLr) knockout mouse model of atherosclerosis, LXR-623 administered orally upregulates intestinal ABCG5 and ABCG8 and reduces atheroma burden without altering serum or hepatic cholesterol and trig-lycerides. LXR-623 shows brain penetration and causes tumor regression in a GBM(glioblastomas) mouse model, reducing cholesterol and inducing cell death[1].

细胞实验

The purified PBMC are resuspended in culture medium (RPMI + 10% fetal calf serum + 1% penicillin/streptomycin with 1% L-glutamine), transferred to 6-well (9.5 cm2 each) tissue culture dishes at approximately 5 × 106 cells per well, and 2 μM LXR-623 or vehicle (DMSO) are added. After 18 hours of culture, RNA isolation and qPCR analysis for LXR α , LXR β , ABCA1, ABCG1, and PLTP is performed.(Only for Reference)

描述

LXR-623 (WAY 252623) is an orally bioavailable and highly specifical synthetic modulator of LXR.

储存

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