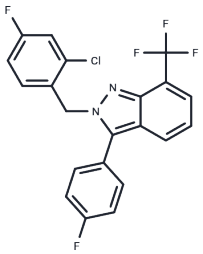
Data Sheet (Cat.No.T1783)

TargetMol

LXR-623

Chemical Properties



CAS No. : 875787-07-8

Formula: C21H12ClF5N2

Molecular Weight: 422.78

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	LXR-623 (WAY 252623) is an orally bioavailable and highly specifical synthetic modulator of LXR.
Targets(IC50)	Liver X Receptor
In vitro	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].
In vivo	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].
Cell Research	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 LXRα, LXRβ, ABCA1, ABCG1, and PLTP is performed.(Only for Reference)

Solubility Information

Solubility	DMSO: 42.3 mg/mL (100.05 mM),Sonication is recommended. Ethanol: 42.3 mg/mL (100.05 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.73 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3653 mL	11.8265 mL	23.653 mL
5 mM	0.4731 mL	2.3653 mL	4.7306 mL
10 mM	0.2365 mL	1.1826 mL	2.3653 mL
50 mM	0.0473 mL	0.2365 mL	0.4731 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Katz A, et al. J Clin Pharmacol. 2009, 49(6):643-9.
Elizabeth A DiBlasio-Smith, et al. Journal of Translational Medicine. 2008, 6:59.
Villa GR, et al. Cancer Cell. 2016, 30(5):683-693.