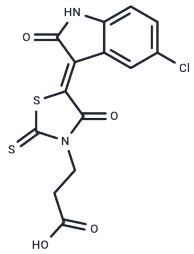
Data Sheet (Cat.No.T4089)

TargetMol

FX1

Chemical Properties



CAS No. : 1426138-42-2

Formula: C14H9ClN2O4S2

Molecular Weight: 368.82

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	FX1 is an effective and selective BCL6 inhibitor (IC50: 35 μM).
Targets(IC50)	Apoptosis,Bcl-2 Family
In vitro	FX1 markedly reduces recruitment of SMRT and BCOR to all 3 BCL6 target genes. There is little presence of SMRT at these loci in the BCL6-negative DLBCL cell line, which is not affected by FX1. After treatment with 50 μM FX1 for 6 hours, the superior potency of FX1 versus 79-6 in disrupting BCL6 binding to SMRT is evident when these small molecules are compared head to head in quantitative ChIP assays in DLBCL cells.
In vivo	Total B cell abundance is unaffected by FX1. FX1 significantly deplete GC B cells (GL7+FAS+B220+). Staining with B220 antibody reveals normal B cell follicular structures, whereas staining for the GC B cell-specific marker peanut agglutinin shows profound loss of GCs. The half-life is estimated to be approximately 12 hours. No signs of toxicity, inflammation, or infection are evident from H&E-stained sections of spleen, lung, gastrointestinal tract, kidney, heart, liver, and bone marrow of the fixed organs from mice treated with FX1 compare with the vehicle.
Cell Research	Cell viability is determined with the fluorescent redox dye. Fluorescence is determined for 3 replicates per treatment condition or vehicle with the microplate reader. The drug effect as 100-percentage viability is calculated. Through dose-effect curves the drug concentration that inhibits the growth of cell lines by 50% compared with vehicle (GI50) is determined. Experiments are performed in triplicate. For combination treatments, cells are exposed to a dose curve of each drug alone or their combination in a constant ratio, and cell viability is determined. To compare different schedules of treatments, the cells are treated in triplicate as follows: FX1 and doxorubicin simultaneously and cells treated for 48 hours; FX1 first and 24 hours after doxorubicin is added and treats for an extra 48 hours; doxorubicin first and 24 hours after FX1 is added and treats for an extra 48 hours. Then, the software is used to plot dose-effect curves and calculate the dose-reduction index [1].
Animal Research	Cell viability is determined with the fluorescent redox dye. Fluorescence is determined for 3 replicates per treatment condition or vehicle with the microplate reader. Cell viability of the drug-treated cells is normalized to their vehicle-treated controls, and the results are expressed as percentage viability. The drug effect as 100-percentage viability is calculated. Through dose-effect curves the drug concentration that inhibits the growth

	of cell lines by 50% compare with vehicle (GI50) is determined. Experiments are performed in triplicate. For combination treatments, cells are exposed to a dose curve of each drug alone or their combination in constant ratio, and cell viability is determined. To compare different schedules of treatments, the cells are treated in triplicate as follows: FX1 and doxorubicin simultaneously and cells treated for 48 hours; FX1 first and 24 hours after doxorubicin is added and treats for an extra 48 hours; doxorubicin first and 24 hours after FX1 is added and treats for an extra 48 hours. Then, the software is used to plot dose-effect curves and calculate the dose-reduction index[1].

Solubility Information

Solubility	DMSO: 25 mg/mL (67.79 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7113 mL	13.5567 mL	27.1135 mL
5 mM	0.5423 mL	2.7113 mL	5.4227 mL
10 mM	0.2711 mL	1.3557 mL	2.7113 mL
50 mM	0.0542 mL	0.2711 mL	0.5423 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.

Reference

Mariano G et al. Rationally designed BCL6 inhibitors target activated B cell diffuse large B cell lymphoma. J Clin Invest. 2016 Sep 1; 126(9): 3351–3362.

Cai Z, You S, Liu Z, et al.Selective deletion of E3 ubiquitin ligase FBW7 in VE-cadherin-positive cells instigates diffuse large B-cell lymphoma in mice in vivo.Cell Death & Disease.2024, 15(3): 212.