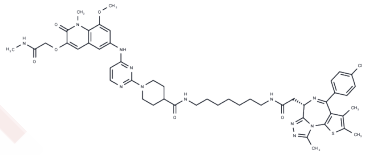
Data Sheet (Cat.No.T79801)

TargetMol

TCIP 1

Chemical Properties



CAS No. :

Formula: C50H58Cl2N12O6S

Molecular Weight: 1026.04

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	TCIP 1 is a small molecule in the category of transcriptional/epigenetic covalent inhibitor probes (TCIPs) that forms covalent bonds with molecules targeting BCL6 and BRD4. This compound facilitates cell death gene expression by directing endogenous cancer drivers or transcription factors to the promoters of these genes. Additionally, TCIP 1 exhibits a gain-of-function mechanism, displaying both cell and tissue specificity, and it establishes a ternary complex with BCL6 and BRD4. It counteracts BCL6's inhibitory effect on apoptosis gene expression, leading to the activation of apoptosis. Furthermore, TCIP 1 markedly suppresses MYC oncogene expression and curtails the proliferation of diffuse large B-cell lymphoma (DLBCL) [1].
Targets(IC50)	Epigenetic Reader Domain
In vitro	TCIP 1 enhanced the binding of BRD4 to BCL6 loci on the genome by 50%, while only reducing its binding at enhancers by 10%. This led to transcriptional elongation at pro-apoptotic target genes, significantly inhibiting diffuse large B-cell lymphoma cell lines, including chemotherapy-resistant TP53 mutant cell lines, with an EC50 of 1-10 nM [1]. The apoptosis induced by TCIP 1 could be blocked by either JQ1 (a BRD4 inhibitor) or BI3812 (a BCL6 inhibitor). TCIP 1 induced G1/S and G2/M cell cycle arrest in KARPAS422 cells. Further, TCIP 1 (10 or 100 nM; 20 h) significantly activated anti-apoptotic genes, while a 10 nM concentration for 8 h suppressed the expression of MYC and its target genes.
In vivo	TCIP1, administered intraperitoneally at a dosage of 10 mg/kg daily for five consecutive days, was well tolerated in wild-type C57BL/6 mice with a half-life (t 1/2) of 9.7 hours, a maximum concentration (C max) of 0.41 μM, and an area under the curve (AUC 0-last) of 1.92 μM/h [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.9746 mL	4.8731 mL	9.7462 mL
5 mM	0.1949 mL	0.9746 mL	1.9492 mL
10 mM	0.0975 mL	0.4873 mL	0.9746 mL
50 mM	0.0195 mL	0.0975 mL	0.1949 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

Gourisankar S, Krokhotin A, Ji W, et al. Rewiring cancer drivers to activate apoptosis. Nature. 2023;620(7973):417-425.