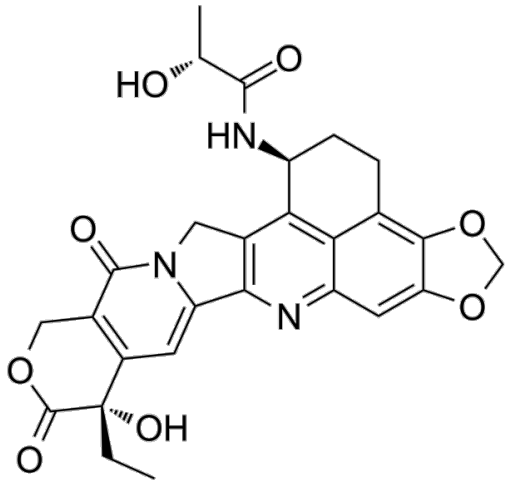
Product Data Sheet

Product Name: PBX-7016
Cat. No.: GC81531

Chemical Properties

Cas. No.	3003834-34-9		
Formula	$C_{27}H_{25}N_3O_8$	M.Wt	519.5
Solubility		Storage	Store at -20°C, sealed storage, away from moisture and light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

PBX-7016 is a DNA topoisomerase I inhibitor and DDX5 degrader. PBX-7016 induces DNA damage and downregulates Survivin, Mcl-1, and XIAP. PBX-7016 exerts a bystander killing effect. PBX-7016 can serve as an ADC payload for the synthesis of ADC. PBX-7016 is applicable to research related to head and neck cancer, non-small cell lung cancer, breast cancer, colorectal cancer, and ovarian cancer [1] [2].

In Vivo, Tra-LP1 (3-10 mg/kg; intravenous injection; once weekly for 2 consecutive weeks), an ADC

conjugated with PBX-7016, exhibits dose-dependent antitumor activity in BALB/c nude mice bearing JIMT-1 breast cancer xenografts. Under the regimen of intravenous injection once weekly for 2 consecutive weeks, the TGI reaches 65.5% in the 3 mg/kg dose group and 79.5% in the 10 mg/kg dose group, with no systemic toxicity observed[2]. The ADC Tra-LP1 (administered intravenously at 3-10 mg/kg) conjugated with PBX-7016 exhibits dose-dependent antitumor activity in nude mice bearing NCI-N87 gastric cancer xenografts, with a TGI of 43.0% at 3 mg/kg and 114.4% at 10 mg/kg, and no significant body weight loss is observed[2]. Nim-LP1 (5 mg/kg; intravenous injection; once weekly for 2 weeks), an EGFR-targeting ADC conjugated with PBX-7016, exhibits potent, target-specific anti-tumor activity in nude mice bearing EGFR-positive MDA-MB-468 breast cancer xenografts, with a TGI of 115.8%, and no significant body weight loss is observed[2].

In Vitro, PBX-7016 (72 h) potently inhibits the proliferation of A549 and FaDu cancer cell lines, with IC_{50} values of 16.3 nM and 1.07 nM respectively after 72 h of incubation [2]. PBX-7016 (10-100 nM; 24 h) dose-dependently downregulates the expression of anti-apoptotic proteins (Mcl-1, XIAP, DDX5, Survivin) in FaDu cells (following incubation at concentrations of 10 nM and 100 nM for 24 h)[2]. PBX-7016 (72 h) potently inhibits the proliferation of KPL-4, MDA-MB-453 and MDA-MB-468 breast cancer cell lines, and exhibits low cytotoxicity in normal NHEK cells; after 72 h of incubation, its IC_{50} is 223 nM[2]. PBX-7016 exhibits favorable in vitro ADME profiles and safety properties, including low CYP inhibition potential, no hERG-related cardiotoxicity risk, no phototoxicity, no genotoxicity, and metabolic stability across multiple species[2].

References:
[1]. 정두영, et al. Antibody-drug conjugate having camptothecin-based drug linked to antibody having low antigen-binding affinity through linker. WO2024049220A1. 2023-08-30
[2]. Chun Y, et al. Discovery and Preclinical Evaluation of PBX-7016, a Methylenedioxy-Camptothecin Payload for Antibody-Drug Conjugates with Enhanced Therapeutic Potential. Journal of medicinal chemistry. 2026 Jan 08;69(1):413-438.