



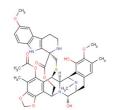
Lurbinectedin

Chemical Properties

CAS No.: 497871-47-3
Formula: C41H44N4O10S

Molecular Weight: 784.87 Appearance: N/A

Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Lurbinectedin is a DNA minor groove covalent binder. It has an effective anti-tumor activity. Lurbinectedin inhibits RMG1 and RMG2 cell growth (IC50: 1.25 and 1.16 nM, respectively).		
Targets(IC ₅₀)	RMG1: 1.25 nM RMG2: 1.16 nM		
In vitro	Lurbinectedin exhibits significant antitumor activity toward chemosensitive and chemoresistant human ovarian clear cell carcinoma (CCC) cells in vitro[1]. PM01183–DNA adducts in living cells give rise to double-strand breaks, triggering S-phase accumulation, and apoptosis. PM01183 is a new synthetic tetrahydroisoquinoline alkaloid that is currently in phase I clinical development for the treatment of solid tumors. The potent cytotoxic activity of PM01183 is ascertained in a 23-cell line panel with a mean GI50 value of 2.7 nM[2].		
In vivo	PM01183 inhibits tumor growth significantly with no weight loss of treated animals, in four murine xenograft models of human cancer[2]. Mouse CCC cell xenografts show that lurbinectedin significantly inhibits tumor growth. Lurbinectedin plus SN-38 causes a significant synergistic effect[1]. Single lurbinectedin or NSC 119875-combined therapies are effective in treating NSC 119875-sensitive and NSC 119875-resistant preclinical ovarian tumor models. The strongest synergistic effect is observed for combined treatments, especially in NSC 119875-resistant tumors. Lurbinectedin tumor growth inhibition is associated with reduced proliferation, increased rate of aberrant mitosis, and subsequent induced apoptosis[3].		

Solubility Information

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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.274 mL	6.37 mL	12.741 mL
5 mM	0.255 mL	1.274 mL	2.548 mL
10 mM	0.127 mL	0.637 mL	1.274 mL
50 mM	0.025 mL	0.127 mL	0.255 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

- 1. Takahashi R, et al. Preclinical Investigations of PM01183 (Lurbinectedin) as a Single Agent or in Combination with Other Anticancer Agents for Clear Cell Carcinoma of the Ovary. PLoS One. 2016 Mar 17;11(3):e0151050.
- 2. Leal JF, et al. PM01183, a new DNA minor groove covalent binder with potent in vitro and in vivo anti-tumour activity. Br J Pharmacol. 2010 Nov;161(5):1099-110.
- 3. Vidal A, et al. Lurbinectedin (PM01183), a new DNA minor groove binder, inhibits growth of orthotopic primary graft of NSC 119875-resistant epithelial ovarian cancer. Clin Cancer Res. 2012 Oct 1;18(19):5399-411.

Inhibitors · Natural Compounds · Compound Libraries

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