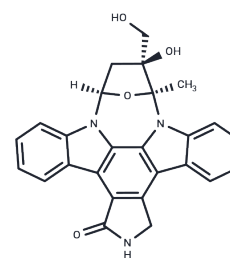


Lestaurtinib

Chemical Properties

CAS No. :	111358-88-4
Formula:	C ₂₆ H ₂₁ N ₃ O ₄
Molecular Weight:	439.46
Storage:	Store at low temperature, Keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Lestaurtinib (CEP 701) is an orally available and selective inhibitor of FMS-like tyrosine kinase-3 (FLT3, IC ₅₀ : 0.9 nM), which inhibits FLT3 autophosphorylation in vitro. Lestaurtinib promotes the expression of c-MYC, inhibits the phosphorylation of RPTKs, and has high affinity for TrkA and JAK2. Lestaurtinib promotes c-MYC expression, inhibits phosphorylation of RPTKs, has a high affinity for TrkA and JAK2, induces apoptosis and cell growth arrest, and can be used to study leukemia.
Targets(IC ₅₀)	Apoptosis,FLT,STAT,JAK,Trk receptor
In vitro	METHODS: Cells were treated with different concentrations of Lestaurtinib (0.5 μM and 4.0 μM) and cell viability was assessed using PrestoBlue at different time points (0, 24, 48, and 72 hours) by measuring the fluorescence intensity to evaluate cell proliferation. RESULTS: Lestaurtinib demonstrated good proliferative inhibitory activity against the KMH2, CAL62, and THJ-21T cell lines[1].
In vivo	METHODS: Lestaurtinib (20 mg/kg; subcutaneous injection; twice daily from Monday to Friday and once daily on Saturday and Sunday; for 3 weeks) was administered to four-week-old athymic nude mice (SY5Y-TrkB xenograft model) to evaluate its therapeutic effect in a preclinical neuroblastoma model. RESULTS: Lestaurtinib significantly slowed the growth of SY5Y-TrkB xenografts [3].

Solubility Information

Solubility	DMSO: 40 mg/mL (91.02 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 (4.55 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2755 mL	11.3776 mL	22.7552 mL
5 mM	0.4551 mL	2.2755 mL	4.551 mL
10 mM	0.2276 mL	1.1378 mL	2.2755 mL
50 mM	0.0455 mL	0.2276 mL	0.4551 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

- Pinto N, et al. Lestaurtinib is a potent inhibitor of anaplastic thyroid cancer cell line models. PLoS One. 2018 Nov 12;13(11):e0207152.
- Diaz T, et al. Lestaurtinib inhibition of the Jak/STAT signaling pathway in hodgkin lymphoma inhibits proliferation and induces apoptosis. PLoS One. 2011 Apr 20;6(4):e18856.
- Iyer R, et al. Lestaurtinib enhances the antitumor efficacy of chemotherapy in murine xenograft models of neuroblastoma. Clin Cancer Res. 2010 Mar 1;16(5):1478-85.
- Levis M, et al. A FLT3-targeted tyrosine kinase inhibitor is cytotoxic to leukemia cells in vitro and in vivo. Blood. 2002 Jun 1;99(11):3885-91.
- Shabbir M, et al. Lestaurtinib, a multitargeted tyrosine kinase inhibitor: from bench to bedside. Expert Opin Investig Drugs. 2010 Mar;19(3):427-36.

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