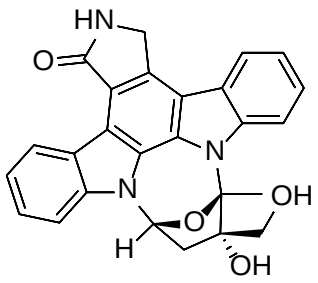
Product data sheet

MedKoo Cat#: 201730 Name: Lestaurtinib CAS: 111358-88-4 Chemical Formula: $C_{26}H_{21}N_3O_4$ Exact Mass: 439.1532 Molecular Weight: 439.471	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Lesraurtinib, also known as CEP701; KT 5555; SP 924, is an orally bioavailable indolocarbazole derivative with antineoplastic properties. Lestaurtinib inhibits autophosphorylation of FMS-like tyrosine kinase 3 (FLT3), resulting in inhibition of FLT3 activity and induction of apoptosis in tumor cells that overexpress FLT3.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	5.0	11.38
DMF:PBS (pH 7.2) (1:20)	0.05	0.11
DMSO	32.32	73.54
Ethanol	10.99	25.01

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.28 mL	11.38 mL	22.76 mL
5 mM	0.46 mL	2.28 mL	4.55 mL
10 mM	0.23 mL	1.14 mL	2.23 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Gäbler K, Rolvering C, Kaczor J, Eulenfeld R, Méndez SÁ, Berchem G, Palissot V, Behrmann I, Haan C. Cooperative effects of Janus and Aurora kinase inhibition by CEP701 in cells expressing Jak2V617F. J Cell Mol Med. 2013 Feb;17(2):265-76. doi: 10.1111/jcmm.12005. Epub 2013 Jan 10. PMID: 23301855; PMCID: PMC3822589.
2. Diaz T, Navarro A, Ferrer G, Gel B, Gaya A, Artells R, Bellosillo B, Garcia-Garcia M, Serrano S, Martínez A, Monzo M. Lestaurtinib inhibition of the Jak/STAT signaling pathway in hodgkin lymphoma inhibits proliferation and induces apoptosis. PLoS One. 2011 Apr 20;6(4):e18856. doi: 10.1371/journal.pone.0018856. PMID: 21533094; PMCID: PMC3080386.

In vivo study

1. Pinto N, Prokopec SD, Vizeacoumar F, Searle K, Lowerison M, Ruicci KM, Yoo J, Fung K, MacNeil D, Lacefield JC, Leong HS, Mymryk JS, Barrett JW, Datti A, Boutros PC, Nichols AC. Lestaurtinib is a potent inhibitor of anaplastic thyroid cancer cell line models. PLoS One. 2018 Nov 12;13(11):e0207152. doi: 10.1371/journal.pone.0207152. PMID: 30419054; PMCID: PMC6231667.

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2. Iyer R, Evans AE, Qi X, Ho R, Minturn JE, Zhao H, Balamuth N, Maris JM, Brodeur GM. Lestaurtinib enhances the antitumor efficacy of chemotherapy in murine xenograft models of neuroblastoma. Clin Cancer Res. 2010 Mar 1;16(5):1478-85. doi: 10.1158/1078-0432.CCR-09-1531. Epub 2010 Feb 23. PMID: 20179224; PMCID: PMC2831131.

7. Bioactivity

Biological target:

Lestaurtinib (CEP-701) is an orally active and selective RPTKs (receptor protein tyrosine kinase) inhibitor, competitively inhibits ATP binding to the TrkA/B/C domain.

In vitro activity

A detailed comparative analysis of different Janus kinase inhibitors in these quantitative assays and the subsequent characterization of additional activities demonstrated for the first time that the most potent Jak2 inhibitor in our study, CEP701, also targets Aurora kinases CEP701 shows a unique combination of both activities which is not found in other compounds also targeting Jak2.

Reference: J Cell Mol Med. 2013 Feb;17(2):265-76. https://pubmed.ncbi.nlm.nih.gov/23301855/

In vivo activity

In vivo studies using the chick chorioallantoic membrane xenograft models demonstrated that treatment with Lestaurtinib resulted in a significant decrease in endpoint tumor volume and vascularity using power Doppler ultrasound imaging. Overall, this study provides evidence that Lestaurtinib is a potent antiproliferative agent with potential antiangiogenic activity that warrants further investigation as a targeted therapy for ATC.

Reference: PLoS One. 2018 Nov 12;13(11):e0207152. https://pubmed.ncbi.nlm.nih.gov/30419054/