Print Date: Nov 24th 2016

Certificate of Analysis

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Product Name: **Lestaurtinib** **Catalog No.: 3395** **Batch No.: 3**

CAS Number: 111358-88-4

IUPAC Name: (9*S*,10*S*,12*R*)-2,3,9,10,11,12-Hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl-9,12-epoxy-1*H*-diindolo[1,2,3-*fg*:3',2',1'-*kl*]pyrrolo[3,4-*i*][1,6]benzodiazocin-1-one

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{25}H_{21}N_3O_4.H_2O$

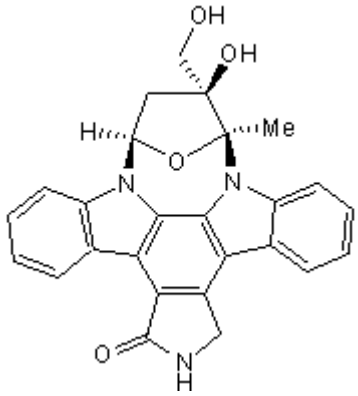
Batch Molecular Weight: 457.48

Physical Appearance: Off-white lyophilised solid

Solubility: DMSO to 100 mM
ethanol to 25 mM

Storage: Desiccate at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.7% purity

^{1}H NMR: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	68.26	5.07	9.19
Found	68.55	5.13	9.24

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Product Information

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Description:

Potent JAK2, FLT3 and TrkA inhibitor (IC_{50} values are 0.9, 3 and < 25 nM, respectively). Also inhibits Aurora kinase A and B (IC_{50} values are 8.1 and 2.3 nM, respectively) and prevents STAT5 phosphorylation (IC_{50} = 20 - 30 nM). Exhibits antiproliferative activity in vitro (IC_{50} = 30 - 100 nM in HEL92.1.7 cells) and is effective against myeloproliferative disorders in vivo.

Physical and Chemical Properties:

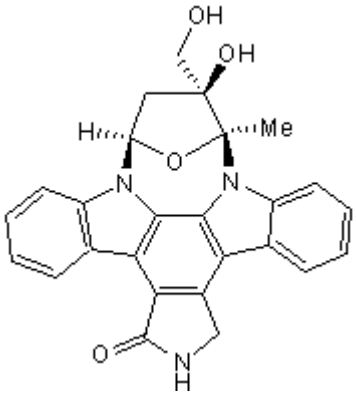
Batch Molecular Formula: $C_{25}H_{21}N_3O_4.H_2O$

Batch Molecular Weight: 457.48

Physical Appearance: Off-white lyophilised solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Desiccate at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 25 mM

This product is supplied as a lyophilized solid and may be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Hexner *et al* (2008) Lestaurinib (CEP701) is a JAK2 inhibitor that suppresses JAK2/STAT5 signaling and the proliferation of primary erythroid cells from patients with myeloproliferative disorders. Blood ***111*** 5663. PMID: 17984313.

Weisel *et al* (2007) Effect of FLT3 inhibition on normal hematopoietic progenitor cells. Ann.N.Y.Acad.Sci. ***1106*** 190. PMID: 17442779.

Miknyoczki *et al* (1999) The novel Trk receptor tyrosine kinase inhibitor CEP-701 (KT-5555) exhibits antitumor efficacy against human pancreatic carcinoma (Panc1) xenograft growth and *in vivo* invasiveness. Ann.N.Y.Acad.Sci. ***880*** 252. PMID: 10415871.

Gäbler Cooperative effects of Janus and Aurora kinase inhibition by CEP701 in cells expressing Jak2V617F. J.Cell Mol.Med. ***17*** 265. PMID: 23301855.

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