

Product Name: Lestaurtinib

Catalog No.: 3395

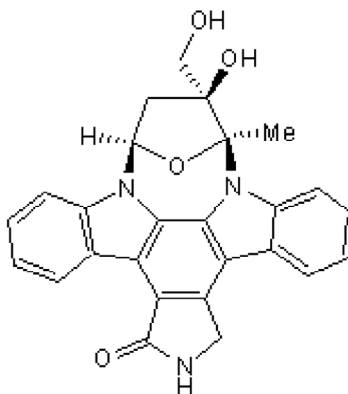
Batch No.: 4

CAS Number: 111358-88-4

IUPAC Name: (9S,10S,12R)-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-*l*][1,6]benzodiazocin-1-one

1. PHYSICAL AND CHEMICAL PROPERTIES

| | |
|----------------------------|---|
| Batch Molecular Formula: | C ₂₆ H ₂₁ N ₃ O ₄ |
| Batch Molecular Weight: | 439.46 |
| Physical Appearance: | White solid |
| Solubility: | DMSO to 20 mg/ml |
| Storage: | Store at -20°C |
| Batch Molecular Structure: | |



2. ANALYTICAL DATA

| | |
|-------|---------------------|
| HPLC: | Shows 98.4 % purity |
|-------|---------------------|

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Lestaurtinib is a potent JAK2, FLT3 and TrkA inhibitor (IC₅₀ values are 0.9, 3 and < 25 nM, respectively). Also inhibits Aurora kinase A and B (IC₅₀ values are 8.1 and 2.3 nM, respectively) and prevents STAT5 phosphorylation (IC₅₀ = 20 - 30 nM). Exhibits antiproliferative activity in vitro (IC₅₀ = 30 - 100 nM in HEL92.1.7 cells) and is effective against myeloproliferative disorders in vivo.

Physical and Chemical Properties:

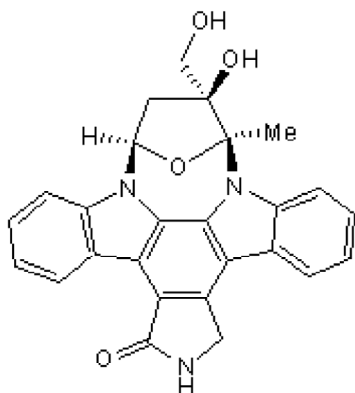
Batch Molecular Formula: C₂₆H₂₁N₃O₄

Batch Molecular Weight: 439.46

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 20 mg/ml

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. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

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) Lestaurtinib (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.

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