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DATASHEET

Lestaurtinib

Product overview

Name	Lestaurtinib
Cat No	HB1429
Alternative names	CEP-701; KT-5555
Biological action	Inhibitor
Purity	>99%
Description	Potent, non-selective tyrosine kinase inhibitor

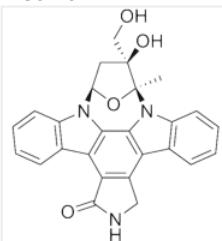
Biological Data

Biological description	Potent and non-selective tyrosine kinase inhibitor. Inhibits JAK2 and JAK3 (IC_{50} values are 0.9 and 3 nM respectively). Also inhibits TrkA, TrkB, TrkC and FLT3 and prevents phosphorylation of STAT5. Shows anti-proliferative and anti-tumor actions.
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Solubility & Handling

Storage instructions	-20°C (desiccate)
Solubility overview	Soluble in DMSO (100mM) or ethanol (25mM)
Important	This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

Chemical Data

Chemical name	(9 <i>S</i> ,10 <i>S</i> ,12 <i>R</i>)-2,3,9,10,11,12-Hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl-9,12-epoxy-1 <i>H</i> -diindolo[1,2,3- <i>fg</i> :2',1'- <i>k</i>] <i>l</i> pyrrolo[3,4- <i>i</i>][1,6]benzodiazocin-1-one
Molecular Weight	439.46
Chemical structure	 The chemical structure of Lestaurtinib is a complex polycyclic compound. It features a central indole ring fused with a pyrrolo[3,4-i][1,6]benzodiazocin ring system. This is further substituted with a diindolo[1,2,3-fg:2',1'-k]pyrrolo[3,4-i] ring system. Key functional groups include two hydroxyl groups (-OH) at the 10 and 12 positions of the central ring, and a hydroxymethyl group (-CH(OH)CH ₃) at the 9 position. Stereochemistry is indicated at the 9 and 10 positions of the central ring.
Molecular Formula	C ₂₆ H ₂₁ N ₃ O ₄
CAS Number	111358-88-4
PubChem identifier	126565
SMILES	O=C(NC3)C1=C3C(C4=CC=CC=C4N5[C@@@]78C)=C5C2=C1C6=C(C=CC=C6)N2[C@](O7)(C[C@]1(CO)O)[H]
InChiKey	UIARLYUEJFELEN-LROUJFHJSA-N

References

Lestaurtinib (CEP701) is a JAK2 inhibitor that suppresses JAK2/STAT5 signaling and the proliferation of primary erythroid cells from patients with myeloproliferative disorders.

Effect of FLT3 inhibition on normal hematopoietic progenitor cells.

Weisel KC *et al* (2007) Ann N Y Acad Sci 1106

PubMedID

17442779

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.

Miknyoczki SJ *et al* (1999) Ann N Y Acad Sci 880

PubMedID

10415871

Lestaurtinib enhances the antitumor efficacy of chemotherapy in murine xenograft models of neuroblastoma.

Iyer R *et al* (2010) Clin Cancer Res 16(5)

PubMedID

20179224
