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Lestaurtinib

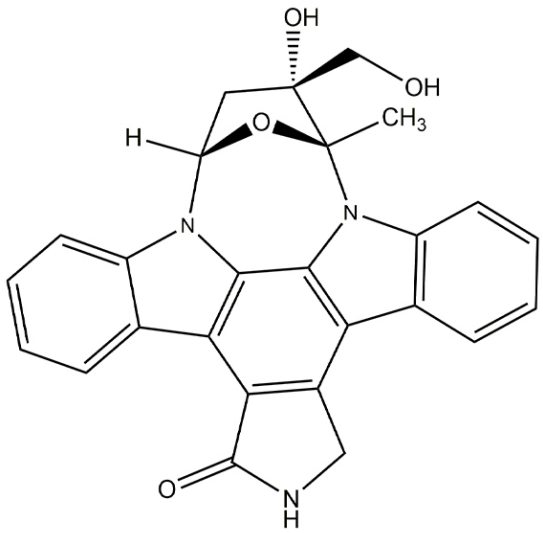
Epigenetic modifier; Inhibits FMS-like tyrosine kinase 3 (FLT3)

Catalog #100-1558 5 mg

Product Description

Lestaurtinib is an FMS-like tyrosine kinase 3 (FLT3) inhibitor (IC_{50} = 2 nM). FLT3 mutations cause increased activation via autophosphorylation, promoting cell growth, and inhibiting apoptosis. Lestaurtinib inhibits FLT3 phosphorylation and its downstream targets in acute myeloid leukemia (AML; Levis et al.). Other tyrosine kinases such as Janus kinase 2 (JAK2), which are mutated in myeloproliferative disorders, can also be inhibited by Lestaurtinib (IC_{50} = 1 nM) in erythroid cells (Hexner et al.).

Alternative Names:	CEP-701, KT-5555
CAS Number:	111358-88-4
Chemical Formula:	$C_{26}H_{21}N_3O_4$
Molecular Weight:	439.5 g/mol
Purity:	≥ 98%
Chemical Name:	Not applicable
Structure:	



Properties

Product Format: A white to off-white powder

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:
- DMSO ≤ 225 mM
- Absolute ethanol ≤ 45 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 228 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO or absolute ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH

- Induces a cytotoxic response in human acute myeloid leukemia cell lines and prolongs the survival in a leukemia mouse model (Levis et al.).
- Reduces tumor cell proliferation, increases DNA damage, and induces apoptosis in a medulloblastoma mouse model (Pallavicini et al.).
- Induces apoptosis in human glioma cell lines and suppresses tumor growth in glioma mouse xenograft models (Cao et al.).
- Reduces viability of human B cell lymphoma (BCL) cells and suppresses tumor growth in a BCL mouse xenograft model (Beck et al.).

References

Beck D et al. (2016) Synthetic lethal screen demonstrates that a JAK2 inhibitor suppresses a BCL6-dependent IL10RA/JAK2/STAT3 pathway in high grade b-cell lymphoma. J Biol Chem 291(32): 16686–98.
Cao Y et al. (2020) Lestaurtinib potentiates TRAIL-induced apoptosis in glioma via CHOP-dependent DR5 induction. J Cell Mol Med 24(14): 7829–40.
Hexner EO 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(12): 5663–71.
Levis M et al. (2002) A FLT3-targeted tyrosine kinase inhibitor is cytotoxic to leukemia cells in vitro and in vivo. Blood 99(11): 3885–91.
Pallavicini G et al. (2023) Lestaurtinib inhibits Citron kinase activity and medulloblastoma growth through induction of DNA damage, apoptosis and cytokinesis failure. Front Oncol 13: 1202585.
Wu M et al. (2018) FLT3 inhibitors in acute myeloid leukemia. J Hematol Oncol 11(1): 133.

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