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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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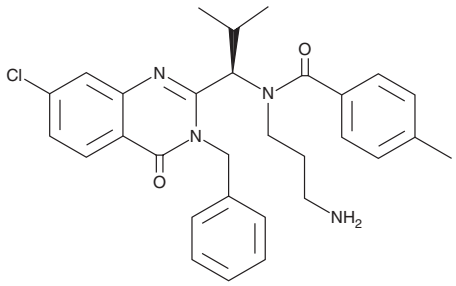
PRODUCT INFORMATION

Ispinesib

Item No. 18014

CAS Registry No.:	336113-53-2
Formal Name:	N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-benzamide
Synonym:	SB-715992
MF:	$C_{30}H_{33}ClN_4O_2$
FW:	517.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 234, 275 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

Ispinesib is supplied as a crystalline solid. A stock solution may be made by dissolving the ispinesib in the solvent of choice. Ispinesib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of ispinesib in these solvents is approximately 30 mg/ml.

Ispinesib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ispinesib should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Ispinesib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The kinesin-like spindle protein Eg5 (also known as kinesin-5, kinesin family protein 11, or Kif11) is a motor protein that is essential for establishing a bipolar spindle during mitosis both in normal and tumor cells.[1] Ispinesib is a cell-permeable, allosteric inhibitor of Eg5 (K_i app = 2.3 nM) with >10,000-fold selectivity for Eg5 over a range of other mitotic kinesins.[2,3] It induces a monopolar spindle phenotype, leading to the activation of a spindle assembly checkpoint, mitotic arrest, and subsequent cell death (GI_{50}s = 22-82 nM in colon, pancreas, prostrate, and lung cancer cells *in vitro*).[1] At 10 mg/kg, ispinesib produces tumor regression of breast cancer cell xenografts in mice.[4] It has also been used to halt the growth of treatment-resistant glioblastoma tumor-initiating cells, to prevent tumor initiation and self-renewal of a cancer stem cell population (EC_{50} = 1.15 nM), and to reduce glioma cell invasion.[5]

References

1. Good, J.A.D., Skoufias, D.A., and Kozielski, F. *Semin. Cell Dev. Biol.* **22(9)**, 935-945 (2011).
2. Good, J.A.D., Wang, F., Rath, O., *et al. J. Med. Chem.* **56**, 1878-1893 (2015).
3. Wang, F., Good, J.A.D., Rath, O., *et al. J. Med. Chem.* **55**, 1511-1525 (2012).
4. Purcell, J.W., Davis, J., Reddy, M., *et al. Clin. Cancer Res.* **16(2)**, 566-576 (2010).
5. Venere, M., Horbinski, C., Crish, J.F., *et al. Sci. Transl. Med.* **7(304)**, 1-12 (2015).

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