

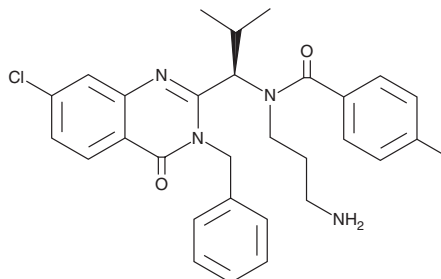
PRODUCT INFORMATION



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-quinazoliny]-2-methylpropyl]-4-methyl-benzamide
Synonym: SB-715992
MF: C₃₀H₃₃ClN₄O₂
FW: 517.1
Purity: ≥98%
UV/Vis.: λ_{max}: 234, 275 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ispinesib is supplied as a crystalline solid. A stock solution may be made by dissolving the ispinesib in the solvent of choice, which should be purged with an inert gas. Ispinesib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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 (GI₅₀s = 22-82 nM in colon, pancreas, prostate, 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 (EC₅₀ = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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