

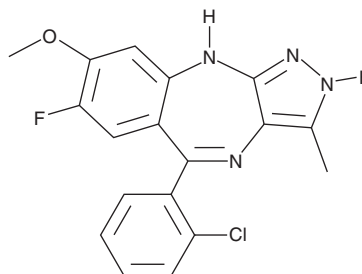
# PRODUCT INFORMATION



## R 1530

Item No. 15255

**CAS Registry No.:** 882531-87-5  
**Formal Name:** 5-(2-chlorophenyl)-7-fluoro-1,2-dihydro-8-methoxy-3-methylpyrazolo[3,4-b][1,4]  
**MF:** C<sub>18</sub>H<sub>14</sub>ClFN<sub>4</sub>O  
**FW:** 356.8  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 254, 395 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

R 1530 is supplied as a crystalline solid. A stock solution may be made by dissolving the R 1530 in the solvent of choice, which should be purged with an inert gas. R 1530 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of R 1530 in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

R 1530 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, R 1530 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. R 1530 has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

R 1530 is a multi-kinase inhibitor that targets over 20 kinases, including angiogenesis-related receptor tyrosine kinases (K<sub>d</sub>s = 61, 88, and 15 nM for FGFR1, PDGFRβ, and VEGFR2, respectively).<sup>1</sup> It also inhibits FLT1, KIT, PLK4, and RET with K<sub>d</sub> values of 9, 26, 11, and 22 nM, respectively.<sup>1</sup> In cells, R 1530 delays mitosis, induces polyploidy, and blocks angiogenesis, ultimately promoting apoptosis or senescence.<sup>1,2</sup> R 1530 strongly inhibits human tumor cell proliferation and reduces the growth of tumors in cancer xenograft models.<sup>3</sup>

### References

1. Liu, J.-J., Higgins, B. Ju, G., *et al.* Discovery of a highly potent, orally active mitosis/angiogenesis inhibitor R1530 for the treatment of solid tumors. *ACS Med. Chem. Lett.* **4**(2), 259-263 (2013).
2. Tovar, C., Higgins, B., Deo, D.D., *et al.* Small-molecule inducer of cancer cell polyploidy promotes apoptosis or senescence: Implications for therapy. *Cell Cycle* **9**(16), 3364-3375 (2010).
3. Kolinsky, K., Tovar, C., Zhang, Y.-E., *et al.* Preclinical evaluation of the novel multi-targeted agent R1530. *Cancer Chemother. Pharmacol.* **68**(6), 1585-1594 (2011).

#### 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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