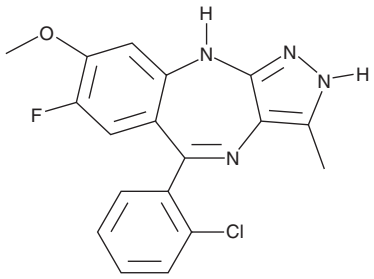
PRODUCT INFORMATION

R 1530

Item No. 15255

CAS Registry No.:	882531-87-5
Formal Name:	5-(2-chlorophenyl)-7-fluoro-1,2-dihydro-8-methoxy-3-methyl-pyrazolo[3,4-b][1,4]
MF:	$C_{18}H_{14}ClFN_4O$
FW:	356.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 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_ds = 61, 88, and 15 nM for FGFR1, PDGFRβ, and VEGFR2, respectively).[1] It also inhibits FLT1, KIT, PLK4, and RET with K_d values of 9, 26, 11, and 22 nM, respectively.[1] In cells, R 1530 delays mitosis, induces polyploidy, and blocks angiogenesis, ultimately promoting apoptosis or senescence.[1,2] R 1530 strongly inhibits human tumor cell proliferation and reduces the growth of tumors in cancer xenograft models.[3]

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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM