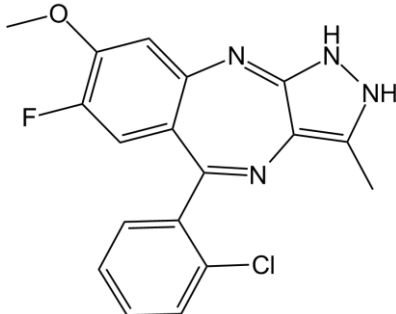


Product Data Sheet

Chemical Properties

| | | |
|----------------------------|--|---|
| Product Name: | R1530 |  |
| Cas No.: | 882531-87-5 | |
| M.Wt: | 356.78 | |
| Formula: | C ₁₈ H ₁₄ ClFN ₄ O | |
| Synonyms: | R 1530;R-1530 | |
| Chemical Name: | 5-(2-chlorophenyl)-7-fluoro-8-methoxy-3-methyl-1,2-dihydropyrazolo[3,4-b][1,4]benzodiazepine | |
| Canonical SMILES: | <chem>CC1=C2C(=NC3=CC(=C(C=C3C(=N2)C4=CC=CC=C4Cl)F)OC)NN1</chem> | |
| Solubility: | Soluble in DMSO > 10 mM | |
| Storage: | Store at -20°C | |
| General tips: | For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months. | |
| Shopping Condition: | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request | |

Biological Activity

| | |
|------------------|--------------------|
| Targets : | Apoptosis |
| Pathways: | Apoptosis Inducers |

Description:

R1530 is a potent and orally active inhibitor of mitosis/angiogenesis [1]. Mitosis is a part of the cell cycle process by which chromosomes in a cell nucleus are separated into two identical sets with each in its own nucleus. Angiogenesis refers to the growth of new blood vessels and is an essential component in the progression and metastasis of tumors [1]. R1530 showed potent inhibitory activities against cyclin-dependent kinases, such as CDK2 and CDK4, and angiogenesis-related growth factor receptor, such as KDR, FGFR, PDGFR, and EGFR [1]. In

a series of human tumor cell lines, R1530 inhibited the growth of cells with IC50 values ranging from 0.22 to 3.43 μ M. Also, R1530 strongly inhibited human umbilical vein endothelial cells (HUVEC) growth driven by VEGF or bFGF with IC50 values of 49 nM and 118 nM, respectively [2]. In nude mice bearing human H460 tumor xenografts, R1530 (3 to 25 mg/kg, orally twice a day, 20 days) inhibited tumor growth in a dose-dependent way. R1530 (the 25 mg/kg dose) reduced tumor size by 58% in average [3].

Reference:

[1]. Liu JJ, 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*, 2013, 4(2): 259-263.

[2]. Kolinsky K, Tovar C, Zhang YE, et al. Preclinical evaluation of the novel multi-targeted agent R1530. *Cancer Chemother Pharmacol*, 2011, 68(6): 1585-1594.

[3]. Tovar C, Higgins B, Deo D, et al. Small-molecule inducer of cancer cell polyploidy promotes apoptosis or senescence: Implications for therapy. *Cell Cycle*, 2010, 9(16): 3364-3375.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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