

R1530

Chemical Properties

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|-------------------|--|
| CAS No.: | 882531-87-5 |
| Formula: | C ₁₈ H ₁₄ ClFN ₄ O |
| Molecular Weight: | 356.78 |
| Appearance: | N/A |
| Storage: | 0-4°C for short term (days to weeks), or -20°C for long term (months). |

Biological Description

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|-------------|---|
| Description | R1530 is a multikinase inhibitor with antineoplastic and antiangiogenesis activities. |
| In vitro | R1530 inhibits multiple receptor tyrosine kinases involved in angiogenesis, such as VEGFR-1/2/3, PDGFR, Flt-3, and FGFR-1/2. In the presence of R1530, polyploid cancer cells underwent apoptosis or became senescent which translated into potent in vitro and in vivo efficacy. Normal proliferating cells were resistant to R1530-induced polyploidy. Mitotic checkpoint kinase BubR1 was found downregulated during R1530-induced exit from mitosis, a likely consequence of PLK4 inhibition [1]. R1530 strongly inhibited human tumor cell proliferation. Growth factor-driven proliferation of endothelial and fibroblast cells was also inhibited [2]. |
| In vivo | Significant tumor growth inhibition was demonstrated in a lung cancer xenograft model with a range of once-daily, weekly and twice-weekly doses of R1530 (3.125-50 mg/kg qd, 100 mg/kg qw, 100 mg/kg biw). Tumor regression occurred in all models treated with the maximum tolerated daily dose (50 mg/kg). The doses of 25 and 50 mg/kg qd resulted in biologically significant increased survival in all tested models. After oral administration in nude mice, R1530 showed good tissue penetration. Exposure was dose-dependent up to 100 mg/kg with oral administration [2]. |

Solubility Information

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|------------|---|
| Solubility | DMSO: 50 mg/mL (140.14 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble) |
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Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|----------|-----------|-----------|
| 1 mM | 2.803 mL | 14.014 mL | 28.028 mL |
| 5 mM | 0.561 mL | 2.803 mL | 5.606 mL |
| 10 mM | 0.28 mL | 1.401 mL | 2.803 mL |
| 50 mM | 0.056 mL | 0.28 mL | 0.561 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Tovar C, et al. Small-molecule inducer of cancer cell polyploidy promotes apoptosis or senescence: Implications for therapy. Cell Cycle. 2010 Aug 15;9(16):3364-75.
2. Kolinsky K, et al. Preclinical evaluation of the novel multi-targeted agent R1530. Cancer Chemother Pharmacol. 2011 Dec;68(6):1585-94.

Inhibitors · Natural Compounds · Compound Libraries

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