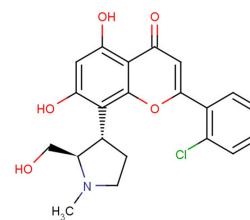


Rivaciclib

Chemical Properties

| | |
|-------------------|------------------------------------------------------------------------|
| CAS No.: | 920113-02-6 |
| Formula: | C ₂₁ H ₂₀ ClNO ₅ |
| Molecular Weight: | 401.84 |
| Appearance: | N/A |
| Storage: | 0-4°C for short term (days to weeks), or -20°C for long term (months). |



Biological Description

| | |
|----------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description | Rivaciclib is a potent inhibitor of cyclin-dependent kinase (CDK)(CDK9-cyclinT1, CDK4-cyclin D1, and CDK1-cyclinB with IC ₅₀ s of 20 nM, 63 nM, and 79 nM, respectively),with antitumor activity on cisplatin-resistant cells. |
| Targets(IC ₅₀) | CDK9- Cyclin T1: 0.020 μ M cdk4-cyclin D1: 0.063 μ M CDK1-Cyclin B: 0.079 μ M cdk2-cyclin A: 0.224 μ M cdk2-cyclin E: 2.540 μ M cdk6-cyclin D3: 0.396 μ M CDK9-cyclin H: 2.900 μ M |
| In vitro | Rivaciclib (3-24 hours; 1.5 μ M) reduces cyclin D1, Cdk4, and Rb levels in H-460 cells. Rb (retinoblastoma) phosphorylation at Ser780 decrease at 3 h. Rivaciclib shows activity in human cancer cell lines, such as colon carcinoma, osteosarcoma, cervical carcinoma, and bladder carcinoma cells[2].Rivaciclib shows no detectable cells in G1 and G2 in promyelocytic leukemia cells and arrest of cells in G1 in synchronized human non-small cell lung carcinoma (H-460) and human normal lung fibroblast (WI-38) cells[3]. |
| In vivo | Rivaciclib in human xenograft mode with severe combined immunodeficient mice shows significant inhibition in the growth of human colon carcinoma HCT-116 xenograft[3]. |

Solubility Information

| | |
|------------|---------------------------------------------------------------|
| Solubility | < 1 mg/ml refers to the product slightly soluble or insoluble |
|------------|---------------------------------------------------------------|

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|----------|-----------|-----------|
| 1 mM | 2.489 mL | 12.443 mL | 24.886 mL |
| 5 mM | 0.498 mL | 2.489 mL | 4.977 mL |
| 10 mM | 0.249 mL | 1.244 mL | 2.489 mL |
| 50 mM | 0.05 mL | 0.249 mL | 0.498 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. Roskoski R Jr, Cyclin-dependent protein kinase inhibitors including palbociclib as anticancer drugs. *Pharmacol Res.* 2016 May;107:249-275.
2. Joshi KS, et al. In vitro antitumor properties of a novel cyclin-dependent kinase inhibitor, P276-00. *Mol Cancer Ther.* 2007 Mar;6(3):918-25.
3. Joshi KS, et al. P276-00, a novel cyclin-dependent inhibitor induces G1-G2 arrest, shows antitumor activity on cisplatin-resistant cells and significant in vivo efficacy in tumor models. *Mol Cancer Ther.* 2007 Mar;6(3):926-34.

Inhibitors · Natural Compounds · Compound Libraries

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