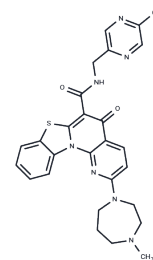


CX-5461

Chemical Properties

CAS No. : 1138549-36-6
 Formula: C₂₇H₂₇N₇O₂S
 Molecular Weight: 513.61
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

| | |
|---------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description | CX5461 is an rRNA synthesis inhibitor with oral activity that inhibits Pol I-driven rRNA transcription. CX5461 activates the DNA damage response and has antitumor activity in tumors such as ovarian cancer. |
| Targets(IC50) | DNA/RNA Synthesis |
| In vitro | METHODS: The phytopathogenic fungi <i>A. tubingensis</i> , <i>Nigrospora oryzae</i> and <i>Phoma herbarum</i> were treated with Carviolin (1-512 µg/mL) for 18 h and subjected to Antifungal Assay. RESULTS: Carviolin showed antifungal activity with MIC less than 200 µg/mL against all three fungi. [1] |
| In vivo | In a mouse model of xenograft human solid tumors, oral administration of CX-5461 (50 mg/kg) demonstrated antitumor activity against solid tumors. |
| Kinase Assay | Pol I and Pol II Transcription Assay: Two short-lived RNA transcripts (half-lives ~20-30 minutes), one produced by Pol I and another by Pol II, are quantitated by qRT-PCR as a measure of CX-5461-related effects on transcription. The 45S pre-rRNA served as the Pol I transcript and the mRNA for the protooncogene <i>c-myc</i> served as the comparator Pol II transcript. Both Pol I and Pol II transcription are known to be affected by general cellular stress. To minimize the potential effects of such stress, cells are exposed to test agents for only a short period of time (2 hours). This is sufficient time for these transcripts to be reduced by greater than 90% if CX-5461 affects their synthesis. |
| Cell Research | Cells are plated on 96-well plates and treated the next day with dose response of CX-5461 for 96 hours. Cell viability is determined using Alamar Blue and CyQUANT assays (Only for Reference) |

Solubility Information

| | |
|------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Solubility | 0.1 M HCl: 25 mg/mL (48.68 mM), Sonication and heating are recommended. DMSO: 1 mg/mL (1.95 mM), Sonication is recommended. H ₂ O: 5.15 mg/mL (10.03 mM), when pH is adjusted to 7 with HCl. Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|-----------|
| 1 mM | 1.947 mL | 9.735 mL | 19.470 mL |
| 5 mM | 0.3894 mL | 1.947 mL | 3.894 mL |
| 10 mM | 0.1947 mL | 0.9735 mL | 1.947 mL |
| 50 mM | 0.0389 mL | 0.1947 mL | 0.3894 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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