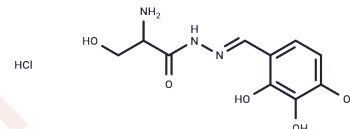


## CSRM617 hydrochloride

## Chemical Properties

|                   |   |
|-------------------|---|
| CAS No. :         | 1353749-74-2  |
| Formula:          | C10H14ClN3O5  |
| Molecular Weight: | 291.69  |
| Storage:          | Powder: -20°C for 3 years   In solvent: -80°C for 1 year<br>Actual storage temperature shall be subject to the COA. |



## Biological Description

|               |  |
|---------------|--|
| Description   | CSRM617 hydrochloride is a selective small-molecule inhibitor of the transcription factor ONECUT2 (OC2, a master regulator of androgen receptor), with a Kd of 7.43 $\mu$ M in SPR assays, directly binding to the OC2-HOX domain. CSRM617 hydrochloride induces apoptosis through the appearance of cleaved Caspase-3 and PARP and is well tolerated in the mouse model of prostate cancer [1].   |
| Targets(IC50) | Apoptosis,Androgen Receptor  |
| In vitro      | CSRM617 hydrochloride, within a concentration range of 0.01-100 $\mu$ M applied over 48 hours, effectively inhibits the growth of various prostate cancer (PC) cell lines, including PC-3, 22RV1, LNCaP, and C4-2 cells. Furthermore, at concentrations of 10-20 $\mu$ M over the same duration, it triggers concentration-dependent apoptosis in 22Rv1 cells, evidenced by cell death. Notably, a higher concentration of 20 $\mu$ M administered for 72 hours leads to apoptosis in 22Rv1 cells, marked by the presence of cleaved Caspase-3 and PARP. |
| In vivo       | CSRM617, administered orally at a dosage of 50 mg/kg daily for 20 days, significantly inhibits tumor growth in SCID mice with 22Rv1 xenografts. This regimen was observed to notably impede the development and proliferation of diffuse metastases in the tested animal model.  |

## Solubility Information

|                     |  |
|---------------------|--|
| Solubility          | DMSO: 45 mg/mL (154.27 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble)   |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2 mg/mL (6.86 mM),Sonication is recommended.<br><i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

### Preparing Stock Solutions

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|       | <b>1mg</b> | <b>5mg</b> | <b>10mg</b> |
|-------|------------|------------|-------------|
| 1 mM  | 3.4283 mL  | 17.1415 mL | 34.283 mL   |
| 5 mM  | 0.6857 mL  | 3.4283 mL  | 6.8566 mL   |
| 10 mM | 0.3428 mL  | 1.7141 mL  | 3.4283 mL   |
| 50 mM | 0.0686 mL  | 0.3428 mL  | 0.6857 mL   |

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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

Rotinen M, et, al. ONECUT2 is a targetable master regulator of lethal prostate cancer that suppresses the androgen axis. Nat Med. 2018 Dec;24(12):1887-1898.

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