# Product Data Sheet

Product Name: CDK12-IN-2
Cat. No.: GC63499

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 2244987-03-7 | | |
| Formula | $C_{32}H_{32}N_6O_2$ | M.Wt | 532.64 |
| Solubility | | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |
| Structure | CDK12-IN-2 | | |

## Background

CDK12-IN-2 is a potent, selective and nanomolar CDK12 inhibitor (IC50=52 nM) with good physicochemical properties. CDK12-IN-2 is also a strong CDK13 inhibitor due to CDK13 is the closest homologue of CDK12. CDK12-IN-2 shows excellent kinase selectivity for CDK12 over CDK2, 9, 8, and 7. CDK12-IN-2 inhibits the phosphorylation of Ser2 in the C-terminal domain of RNA polymerase II. CDK12-IN-2 can be used an excellent chemical probe for functional studies of CDK12[1].

CDK12-IN-2 inhibits the phosphorylation of the CTD Ser2 in SK-BR-3 cells at low submicromolar concentrations, it inhibits C-terminal domain ser2 phosphorylation with an IC50 of 185 nM. And CDK12-IN-2 exhibits a growth inhibition with an IC50 of 0.8 μM in SK-BR-3 cells[1].CDK12-IN-2 exhibits time dependency for CDK12 inhibition, the IC50 value for CDK12-IN-2 are 0.0078 μM, 0.042 μM, 0.057 μM,and 0.059 μM, for 0h, 1h, 2h and 5h respectively[1].

References:

[1]. Masahiro Ito, et al. Discovery of 3-Benzyl-1-( trans-4-((5-cyanopyridin-2-yl)amino)cyclohexyl)-1-arylurea Derivatives as Novel and Selective Cyclin-Dependent


***Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com***
***Address: 10292 Central Ave. #205, Montclair, CA, USA***

Kinase 12 (CDK12) Inhibitors. J Med Chem. 2018 Sep 13;61(17):7710-7728.


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***Address: 10292 Central Ave. #205, Montclair, CA, USA***