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## Product Data Sheet

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Product Name: CDK12-IN-5

Cat. No.: GC68845

### Chemical Properties

Cas. No. 2651200-35-8

Formula  $C_{18}H_{15}F_5N_8O$

M.Wt 454.36

Solubility DMSO : 125 mg/mL (275.11 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

### Background

CDK12-IN-5, a pyrazolotriazine, is a potent CDK12 inhibitor with an **IC<sub>50</sub>** of 23.9 nM at high ATP (2 mM). CDK12-IN-5 has no effect on CDK2/Cyclin E (IC<sub>50</sub>=173 μM) and CDK9/Cyclin T1 (IC<sub>50</sub>=127 μM) at high ATP (2 mM) (WO2021116178A1)<sup>[1]</sup>.

CDK12-IN-5 (Example 426) inhibits BRCA1 mRNA expression in MDA-MB-231 cells (IC<sub>50</sub>=3.23 nM) and has no effect on CAL-120 cells<sup>[1]</sup>.

CDK12-IN-5 has antproliferative activity in MDA-MB-231 cells (IC<sub>50</sub>=4.19 nM) and CAL-120 cells (IC<sub>50</sub>=3.57 nM)<sup>[1]</sup>.

CDK12-IN-5 has a inhibition IC<sub>50</sub> CDK12 high ATP to Degradation DC<sub>50</sub> CDK12 ratio of 32<sup>[1]</sup>.

[1]. Kai Thede, et al. Pyrazolotriazines. WO2021116178A1.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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