

CAN508

## Chemical Properties

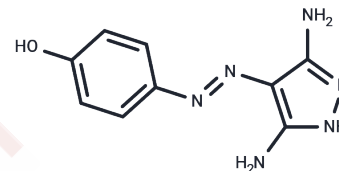
CAS No. : 140651-18-9

Formula: C<sub>9</sub>H<sub>10</sub>N<sub>6</sub>O

Molecular Weight: 218.22

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	CAN508 is a potent ATP-competitive CDK9/cyclin T1 inhibitor with an IC <sub>50</sub> of 0.35 μM. It also competitively inhibits Cdk2-cyclin E with respect to ATP, with K <sub>i</sub> and IC <sub>50</sub> values of 13.3 μM and 20 μM, respectively. CAN508 exhibits a 38-fold selectivity for CDK9/cyclin T over other CDK/cyclin complexes. [Antitumor activity.]
Targets(IC <sub>50</sub> )	CDK
In vitro	The most potent inhibitor, CAN508, reduced the frequency of S-phase cells of the cancer cell line HT-29 in antiproliferation assays. Further observed cellular effects included decreased phosphorylation of the retinoblastoma protein and the C-terminal domain of RNA polymerase II, inhibition of mRNA synthesis, and induction of the tumor suppressor protein p53, all of which are consistent with inhibition of CDK9.

## Solubility Information

Solubility	DMSO: 250 mg/mL (1145.63 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (22.91 mM), Sonication is recommended. <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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	1mg	5mg	10mg
1 mM	4.5825 mL	22.9127 mL	45.8253 mL
5 mM	0.9165 mL	4.5825 mL	9.1651 mL
10 mM	0.4583 mL	2.2913 mL	4.5825 mL
50 mM	0.0917 mL	0.4583 mL	0.9165 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

Krystof V, et al. 4-arylo-3,5-diamino-1H-pyrazole CDK inhibitors: SAR study, crystal structure in complex with CDK2, selectivity, and cellular effects. *J Med Chem.* 2006;49(22):6500-6509.

Tong Z, et al. Antitumor effects of cyclin dependent kinase 9 inhibition in esophageal adenocarcinoma. *Oncotarget.* 2017;8(17):28696-28710.

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