

## Leucettinib-92

## Chemical Properties

CAS No. : 2732859-57-1

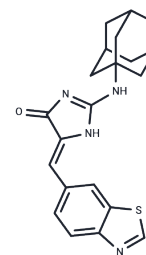
Formula: C<sub>21</sub>H<sub>22</sub>N<sub>4</sub>O<sub>5</sub>

Molecular Weight: 378.49

Storage: Store at low temperature, Keep away from direct sunlight

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	Leucettinib-92 is a small-molecule inhibitor of the DYRK and CLK kinase families that exhibits differential inhibitory activity across multiple kinases, with IC <sub>50</sub> values of 147 nM for CLK1, 39 nM for CLK2, 5.2 nM for CLK4, 0.8 μM for CLK3, 124 nM for DYRK1A, 204 nM for DYRK1B, 0.16 μM for DYRK2, 1.0 μM for DYRK3, 0.52 μM for DYRK4, and 2.78 μM for GSK3, supporting its use in kinase signaling and regulatory mechanism research.
Targets(IC <sub>50</sub> )	CDK,DYRK,GSK-3
In vitro	Leucettinib-92 (0.1-10 μM; 3 min) binds to DYRK1A in SH-SY5Y cells, stabilizing the enzyme to withstand melting temperatures above 52°C, and at 1 μM, it inhibits the phosphorylation of DYRK1A substrates Thr212-Tau and Thr286-cyclin D1 [1].
In vivo	<b>Method:</b> Leucettinib-92 was administered in vivo to prediabetic and diabetic GK rats to assess β-cell proliferation, insulin secretion, glucose tolerance, and insulin sensitivity. <b>Result:</b> Leucettinib-92 increased β-cell proliferation and mass, prevented hyperglycemia development, reduced basal hyperglycemia, and improved glucose tolerance and insulin secretion[1].

## Solubility Information

Solubility	DMSO: 20 mg/mL (52.84 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	2.6421 mL	13.2104 mL	26.4208 mL
5 mM	0.5284 mL	2.6421 mL	5.2842 mL
10 mM	0.2642 mL	1.321 mL	2.6421 mL
50 mM	0.0528 mL	0.2642 mL	0.5284 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

Deau E, et al. Leucettinibs, a Class of DYRK/CLK Kinase Inhibitors Inspired by the Marine Sponge Natural Product Leucettamine B. *J Med Chem.* 2023 Aug 10;66(15):10694-10714.

Bertrand R, Tolu S, Picot D, Tourrel-Cuzin C, Ouahab A, Dairou J, et al. DYRK1A inhibition restores pancreatic functions and improves glucose metabolism in a preclinical model of type 2 diabetes. *Mol Metab.* 2025 Aug 29; 101:102242.

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