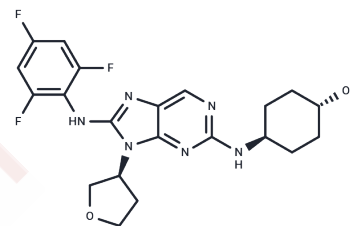


## Tanzisertib

## Chemical Properties

CAS No. :	899805-25-5
Formula:	C <sub>21</sub> H <sub>23</sub> F <sub>3</sub> N <sub>6</sub> O <sub>2</sub>
Molecular Weight:	448.44
Storage:	Store at low temperature Powder: -20°C for 3 years   In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



## Biological Description

Description	Tanzisertib (CC-930) (CC-930) is a potent inhibitor of JNK1/2/3 with IC <sub>50</sub> s of 61/7/6 nM, respectively, with potential antifibrotic activity.
Targets(IC <sub>50</sub> )	JNK
In vitro	Tanzisertib (CC-930) inhibits the formation of phospho-cJun in human PBMC stimulated by phorbol-12-myristate-13-acetate and phytohemagglutinin (IC <sub>50</sub> =1 μM)[1] and blocks the JNK pathway activated by pro-fibrotic cytokines in systemic sclerosis[3]. Tanzisertib (CC-930) (1-2 μM) substantially reduces and abrogates apoptosis and necrosis in hepatocytes, including FC-loaded WT hepatocytes[2].
In vivo	Tanzisertib (CC-930), when administered orally at doses of 10 and 30 mg/kg, decreases TNFα production by 23% and 77% respectively in the acute rat LPS-induced TNFα production PK-PD model[1]. Additionally, at a dose of 150 mg/kg, Tanzisertib (CC-930) not only prevents the development of fibrosis across various models but also reverses pre-existing fibrosis[3].

## Solubility Information

Solubility	1M HCl: 100 mg/mL (223 mM) DMSO: 250 mg/mL (557.49 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: 2 mg/mL (4.46 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	2.230 mL	11.1498 mL	22.2995 mL
5 mM	0.446 mL	2.230 mL	4.4599 mL
10 mM	0.223 mL	1.115 mL	2.230 mL
50 mM	0.0446 mL	0.223 mL	0.446 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

Plantevin Krenitsky V, et al. Discovery of CC-930, an orally active anti-fibrotic JNK inhibitor. *Bioorg Med Chem Lett*. 2012 Feb 1;22(3):1433-8.

Gan LT, et al. Hepatocyte free cholesterol lipotoxicity results from JNK1-mediated mitochondrial injury and is HMGB1 and TLR4-dependent. *J Hepatol*. 2014 Dec;61(6):1376-84.

Reich N, et al. Jun N-terminal kinase as a potential molecular target for prevention and treatment of dermal fibrosis. *Ann Rheum Dis*. 2012 May;71(5):737-45.

Tavernier SJ, et al. Regulated IRE1-dependent mRNA decay sets the threshold for dendritic cell survival. *Nat Cell Biol*. 2017 Jun;19(6):698-710.

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