Product Datasheet

Technical Data

Formula	$C_{21}H_{23}F_3N_6O_2.HCl$		
Molecular Weight	484.9	**CAS No.**	2517855-91-1
Solubility (25°C)*	In vitro	DMSO	91 mg/mL (187.66 mM)
		Ethanol	24 mg/mL (49.49 mM)
		Water	Insoluble

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Mass	1 mg	5 mg	10 mg
1 mM	2.0623 mL	10.3114 mL	20.6228 mL
5 mM	0.4125 mL	2.0623 mL	4.1246 mL
10 mM	0.2062 mL	1.0311 mL	2.0623 mL
50 mM	0.0412 mL	0.2062 mL	0.4125 mL

Biological Activity

Description	Tanzisertib HCl(CC-930, JNK-930, JNKI-1) is kinetically competitive with ATP in the **JNK**-dependent phosphorylation of the protein substrate c-Jun and potent against all isoforms of **JNK** (Ki(JNK1) = 44 ± 3 nM, IC50(JNK1) = 61 nM, Ki(JNK2) = 6.2 ± 0.6 nM, IC50(JNK2) = 5 nM, IC50(JNK3) = 5 nM) and selective against MAP kinases ERK1 and p38a with IC50 of 0.48 and 3.4 μM respectively.
Targets	JNK3 [1] (Cell-free assay): 0.006 μM JNK2 [1] (Cell-free assay): 0.007 μM JNK1 [1] (Cell-free assay): 0.061 μM
In vitro	Tanzisertib HCl (CC-930) inhibits the formation of phospho-cJun in human PBMC stimulated by phorbol-12-myristate-13-acetate and phytohemeagglutinin (IC50 = 1 μM). It shows remarkable selectivity in a panel of 240 kinases, EGFR being the only non-MAP kinase inhibited more than 50% at 3 μM (IC50 = 0.38 μM). This compound inhibits no receptor at greater than 50% at 10 μM concentration in a panel of 75 receptors, ion channels and neurotransmitter transporters. It does not inhibit CYP P450 enzymes significantly and is metabolized by CYP 3A4 and 2D6[1].
In vivo	In the acute rat LPS-induced TNFα production PK-PD model, Tanzisertib HCl (CC-930) inhibits the production of TNFα by 23% and 77% at 10 and 30 mg/kg oral dose respectively. It is well-tolerated and exposure is dose-proportional[1].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  Jurkat T cells
- **Concentrations**
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- **Incubation Time**
  30 minutes
- **Method**
  Jurkat T cells (5×10^5 /well) are aliquoted in 96 well membrane filter plates, then treated with or without Tanzisertib HCl (CC-930) 30 minutes prior to stimulation with 0.3 μg/ml anisomycin for an additional 40 minutes. Cells are pelleted under vacuum with plate manifold. Cell lysates are prepared by adding 75 μl/well lysis buffer (20 mM HEPES pH 7.4, 100 mM NaCl, 0.2% Triton X-100, 0.5 mM DTT, 2x phosphatase inhibitors, 20 mM PNPP, 1x protease inhibitors), for 30 minutes at room temperature. Lysates are filtered and collected into a new 96 well plate placed beneath the vacuum manifold. Cell lysates (45 μl) are added to an assay plate containing bound GST-c-Jun and MgCl2 in solution (10 mM) then incubated for 10 minutes at room temperature. The reaction is stopped by washing with Tris-buffered saline containing 0.02% Tween 20 and the phosphorylated GST-c-Jun detected by Europium labeled anti-phospho-c-Jun, DELFIA assay buffer and enhancement solution. Plate fluorescence is measured.

Animal Study:[1]

- **Animal Models**
  Male C57Bl/6 mice
- **Dosages**
  2 mg/kg(i.v.) or 10 mg/kg(p.o.)
- **Administration**
  i.v. or p.o.

References

https://pubmed.ncbi.nlm.nih.gov/22244937/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

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