Product Datasheet

Technical Data

Formula	$C_{24}H_{26}N_4O$		
Molecular Weight	386.49	**CAS No.**	1616632-77-9
Solubility (25°C)*	In vitro	DMSO	77 mg/mL (199.22 mM)
		Ethanol	20 mg/mL (51.74 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 \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.5874 mL	12.9369 mL	25.8739 mL
5 mM	0.5175 mL	2.5874 mL	5.1748 mL
10 mM	0.2587 mL	1.2937 mL	2.5874 mL
50 mM	0.0517 mL	0.2587 mL	0.5175 mL

Biological Activity

Description	TIC10 (ONC201) inactivates **Akt** and **ERK** to induce **TNF-related apoptosis-inducing ligand (TRAIL)** through Foxo3a, possesses superior drug properties: delivery across the blood-brain barrier, superior stability and improved pharmacokinetics. Phase 1/2.
Targets	Akt [1] / ERK [1]
In vitro	In a p53-independent manner, TIC10 (ONC201) causes a dose-dependent increase in TRAIL mRNA and induces TRAIL protein localization on the cell surface of several cancer cell lines. It has broad-spectrum activity against multiple malignancies in vitro and induces an increase in sub-G1 DNA content suggestive of cell death in TRAIL-sensitive HCT116 p53−/− cells, but does not alter the cell cycle profiles of normal fibroblasts at equivalent doses. This compound decreases the clonogenic survival of cancer cell lines and spares normal fibroblasts. It increases the percentage of sub-G1 DNA in cancer cells in a p53-independent and Bax-dependent manner, as previously reported for TRAIL-mediated apoptosis. TIC10-induced TRAIL up-regulation is Foxo3a-dependent, which also up-regulates TRAIL death receptor DR5 among other targets, potentially allowing for sensitization of some TRAIL-resistant tumor cells. The agent inactivates kinases Akt and extracellular signal–regulated kinase (ERK), leading to the translocation of Foxo3a into the nucleus, where it binds to the TRAIL promoter to up-regulate gene transcription. It is an efficacious antitumor therapeutic agent that acts on tumor cells and their microenvironment to enhance the concentrations of the endogenous tumor suppressor TRAIL. [1]
In vivo	In the HCT116 $p53^{-/-}$ xenograft, treatment with TIC10 (ONC201) and TRAIL causes tumor regression to a comparable extent when both are administered as multiple doses. It also induces regression of MDA-MB-231 human triple-negative breast cancer xenografts, whereas TRAIL-treated tumors progressed. In DLD-1 colon cancer xenografts, this compound induces tumor stasis at 1 week after treatment, whereas TRAIL-treated tumors progress after a single dose. A single dose of it also induces a sustained regression of the SW480 xenograft and is equally effective when delivered by intraperitoneal or oral route, suggesting favorable oral bioavailability. It causes tumor-specific cell death by TRAIL-mediated direct and bystander effects and is an effective antitumor agent against orthotopic human glioblastoma multiforme tumors. [1]

Protocol (from reference)

Cell Assay: [2]

- **Cell lines**
  SUM159 cells
- **Concentrations**
  10 uM
- **Incubation Time**
  24 h
- **Method**
  Cells were treated with 10 μM TIC10 (ONC201) or DMSO for 24 h.

Animal Study: [1]

- **Animal Models**
  Female athymic nu/nu mice
- **Dosages**
  25, 50, 100 mg/kg
- **Administration**
  Intraperitoneal/oral

References

https://pubmed.ncbi.nlm.nih.gov/23390247/ https://pubmed.ncbi.nlm.nih.gov/31021596/

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.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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