Product Datasheet

Technical Data

Formula	$C_5H_6BrN_3O_5$					
Molecular Weight	268.02	**CAS No.**	925206-65-1			
Solubility (25°C)*	In vitro	DMSO	54 mg/mL (201.47 mM)			
		Ethanol	14 mg/mL (52.23 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <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

Biological Activity

Description	RRx-001 is a novel **epigenetic** modulator with potential radiosensitizing activity. It inhibits **glucose 6-phosphate dehydrogenase(G6PD)** in human tumor cells, binds hemoglobin and drives RBC-mediated redox reactions under hypoxia. This compound triggers **apoptosis** and exhibits anticancer activity. It is also a downregulator of the CD47- SIRPα checkpoint pathway.
Targets	G6PD [1] / Nrf2-ARE [3]
In vitro	RRx-001 exerts its anti-proliferative effect, at least partially, through interference with glucose 6 phosphate dehydrogenase (G6PD), a key enzyme in the pentose phosphate pathway, responsible for maintaining adequate levels of the major cellular reductant, NADPH. This compound affects glucose and G6PD enzyme activity in three different cancer cell lines namely Hep G2, CACO-2, and HT-29. It induced G6PD inhibition and increased glucose consumption in a concentration dependent fashion. This chemical induces p53 and PARP-1 via generation of ROS/RNS. It exerts anticancer activity, at least in part, by interfering with 3 crucial metabolic demands of rapidly proliferating cells: bioenergetics, macromolecular biosynthesis, and manipulation of cellular cytosolic redox homeostasis[1]. This agent mediates nuclear translocation of Nrf2 and the activation of expression of its downstream enzymes HO-1 and NQO1 in tumor cells. Apart from epigenetic alterations, it acts via pleiotropic mechanisms including redox signaling and redox-induced dysregulation of many different signal pathways such as Nrf2, p53, PARP cleavage, HIF1 alpha, and G6PD activity. It also triggers p53 and p21 activity in response to double-stranded DNA breaks as well as deregulates cancer cellular energetics and metabolism. This compound is a potent activator of the Nrf2-ARE signaling pathway via ROS/RNS generation[3].
In vivo	RRx-001 shows promise for short-term blood flow redistribution in tumors with a pericyte- and α-SMA-rich vasculature[2]. This compound monotherapy is well tolerated, with no dose-limiting toxicities. It not only facilitates nuclear translocation of Nrf2, but also upregulates endogenous Nrf2 expression in SCC VII tumors in mice[3].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  Human cell cancer lines HEP-G2, HT-29 and CACO-2
- **Concentrations**
  5, 50, and 100 μM
- **Incubation Time**
  up to 72 h
- **Method**
  Cell growth and proliferation is assessed using the MTT proliferation assay kit. Briefly, 5×10^3 cells are seeded in each well of a 96-well plate and cells are treated with or without this compound. Cells are cultured for up to 72 h. MTT reagent (10 μL) is added to each well, and then incubate for 3 h. Post incubation, the culture medium is removed, 100 μL Crystal Dissolving Solution is added to each well, and the absorbance of the solution is measured at 570 nm. MTT assays for samples of the same conditions are performed in triplicate. Briefly, MTT solution (0.5 mg/mL) is added, cells are incubated at 37ºC for 4 h and absorption at 540 nm is measured using a microplate reader.

Animal Study:[2]

- **Animal Models**
  C3H mice/SCID mice
- **Dosages**
  15 mg/kg
- **Administration**
  i.v.

References

https://pubmed.ncbi.nlm.nih.gov/27232511/ https://pubmed.ncbi.nlm.nih.gov/27175220/ https://pubmed.ncbi.nlm.nih.gov/26280276/

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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