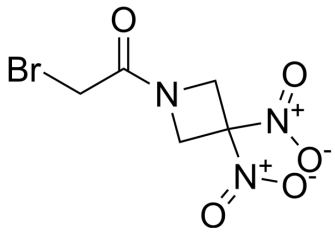
RRx-001

Cat. No.:	HY-16438
CAS No.:	925206-65-1
Molecular Formula:	$C_5H_6BrN_3O_5$
Molecular Weight:	268
Target:	Apoptosis; Parasite
Pathway:	Apoptosis; Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (373.13 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7313 mL	18.6567 mL	37.3134 mL
	5 mM	0.7463 mL	3.7313 mL	7.4627 mL
	10 mM	0.3731 mL	1.8657 mL	3.7313 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RRx-001, a hypoxia-selective epigenetic agent and studied as a radio- and chem-sensitizer, triggers apoptosis and overcomes agent resistance in myeloma. RRx-001 exhibits potent anti-tumor activity with minimal toxicity[1]. RRx-001 is a dual small molecule checkpoint inhibitor by downregulating CD47 and SIRP-α[2]. RRx-001 is a potent inhibitor of G6PD and shows potent antimalarial activity[3].

IC_{50} & Target

Plasmodium

In Vitro

RRx-001 (0-5 μM, 24 hours) inhibits MM cells growth and overcomes resistance to novel and conventional therapies[1].
RRx-001 blocks migration of MM cells and associated angiogenesis[1].
RRx-001 induces significant G1 phase growth arrest, with a concomitant decrease in the S phase. RRx-001 triggers significant apoptosis in MM cells[1].
RRx-001 inhibits DNA methylation by downregulating DNA methytransferases[1].
RRx-001 and the supernatant of RRx-001-treated macrophages downregulates CD47 on tumor cells and SIRPα on macrophages[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Human MM-cell lines (MM.1S, RPMI-8226, H929, ARP1, KMS-11, OPM2, LR5, ANBL6.WT), along with drug resistant cell lines such as (MM.1R, Dox40, LR5, ANBL6.BR, RPMI-8226).
Concentration:	0-5 μM.
Incubation Time:	24 hours.
Result:	Induced a dose-dependent significant ($p < 0.05$) decrease in viability of all cell lines.

In Vivo

RRx-001 (5 mg/kg or 10 mg/kg, I.V., thrice-weekly for 24 days) inhibits tumor growth and prolongs survival in a xenograft mouse model[1].
RRx-001 (10 mg/kg, IP, twice a week and once a day) exhibits potent anti-cancer activity on the A549 lung cancer model dependent on the presence of tumor-associated macrophages (TAMs) in tumor tissue[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CB-17 SCID-mice were subcutaneously inoculated with 5.0×10^6 MM.1S cells in 100 μL of serum-free RPMI 1640 medium[1].
Dosage:	5 mg/kg or 10 mg/kg.
Administration:	I.V., thrice-weekly for 24 days.
Result:	Blocked MM tumor growth and enhances survival. Treatment was well tolerated, suggested by no apparent weight loss.

Animal Model:	Female BALB/c nude mice (19.2 ± 1.7 g) based on A549 lung cancer model[2].
Dosage:	10 mg/kg.
Administration:	IP, twice a week and once a day.
Result:	Resulted in the most significant tumor growth retardation. Reduction of resident macrophages in tumor-bearing mice attenuates the antitumor activity of RRx-001.

CUSTOMER VALIDATION

- Nat Commun. 2023 Nov 11;14(1):7306.
- Mol Cell. 2019 Sep 19;75(6):1147-1160.e5.
- J Exp Clin Cancer Res. 2019 Feb 20;38(1):90.
- Biomed Pharmacother. 2021 Jun 8;111652.

- J Med Chem. 2022 Oct 19.

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REFERENCES

[1]. Das DS, et al. A novel hypoxia-selective epigenetic agent RRx-001 triggers apoptosis and overcomes drug resistance in multiple myeloma cells. Leukemia. 2016 Nov;30(11):2187-2197.

[2]. Cabrales P, et al. RRx-001 Acts as a Dual Small Molecule Checkpoint Inhibitor by Downregulating CD47 on Cancer Cells and SIRP-α on Monocytes/Macrophages. Transl Oncol. 2019 Apr;12(4):626-632.

[3]. Yalcin O, et al. From METS to malaria: RRx-001, a multi-faceted anticancer agent with activity in cerebral malaria. Malar J. 2015 May 28;14:218.

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