Rimiducid

Cat. No.: HY-16046

CAS No.: 195514-63-7

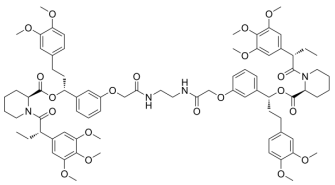
Molecular Formula: $C_{78}H_{98}N_4O_{20}$

Molecular Weight: 1411.63

Target: FKBP; Apoptosis

Pathway: Apoptosis; Autophagy; Immunology/Inflammation

Storage: Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (70.84 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.7084 mL	3.5420 mL	7.0840 mL
	5 mM	0.1417 mL	0.7084 mL	1.4168 mL
	10 mM	0.0708 mL	0.3542 mL	0.7084 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (1.77 mM); Clear solution
2. Add each solvent one by one: 2% DMSO >> 98% corn oil
   Solubility: 2 mg/mL (1.42 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Rimiducid (AP1903) is a dimerizer agent that acts by cross-linking the FKBP domains. Rimiducid (AP1903) dimerizes the Caspase 9 suicide switch and rapidly induces apoptosis.

IC_{50} & Target

EC50: 0.1 nM (FKBP, in HT1080 cells)[1]
Fas receptor[1]

In Vitro

Rimiducid (AP1903) elicits potent and dose-dependent apoptotic death of these engineered cells in culture, with an EC_{50} of ≈0.1 nM[1]. Maximal killing occurred in the presence of 3 to 10 nM Rimiducid (AP1903), and the IC_{50} is approximately 0.2 nM. LV'VFas-transduced T lymphocytes expressing high levels of CD25 (top panel) are eliminated by with 66%±7.5% (n=10) efficiency. When cells are examined after CD25 expression returned to basal levels, 63%±4.7% (n=9) killing is observed after Rimiducid treatment[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Rimiducid (AP1903; i.v.,0.01, 0.1, 1, 10, and 100 mg/kg) elicits a dose-dependent decrease in serum human GH levels, with a half-maximal effective dose of 0.4±0.1 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1][2]	Cloned HT1080 cell lines (ATCC CCL-121) retrovirally transduced with Fas constructs are prepared. Cell viability after overnight incubation with Rimiducid (0.01 nM, 0.1 nM, 1 nM, 10 nM, 100 nM, 1000 nM) is measured by Alamar Blue assay[1]. For annexin V assays, sorted LV'VFas-transduced T cells (2×10^6 cells/mL) are incubated with 10 nM Rimiducid. Analyzed by flow cytometry[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Male nu/nu mice are used. For injection, HTFasGH-3 cells are harvested from tissue culture dishes in PBS/0.1% glucose/10 mM EDTA, washed, and resuspended in PBS/0.1% BSA/0.1% glucose at a concentration of 2×10^7 cells/mL. Between 2 and 4×10^6 cells are implanted into two i.m. sites. After 24 h, mice are administered i.v. Rimiducid at 2 mL/kg. After a further 24 h mice are killed and serum human GH concentrations are determined by ELISA. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Biomed Eng. 2022 Jun 6.
- Nat Commun. 2023 Jun 20;14(1):3642.
- Nat Commun. 2022 Dec 6;13(1):7522.
- Nat Commun. 2021 Dec 8;12(1):7114.
- Nat Commun. 2020 Apr 2;11(1):1625.

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REFERENCES

[1]. Clackson T, et al. Redesigning an FKBP-ligand interface to generate chemical dimerizers with novel specificity. Proc Natl Acad Sci U S A. 1998 Sep 1;95(18):10437-42.

[2]. Thomis DC, et al. A Fas-based suicide switch in human T cells for the treatment of graft-versus-host disease. Blood. 2001 Mar 1;97(5):1249-57.

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