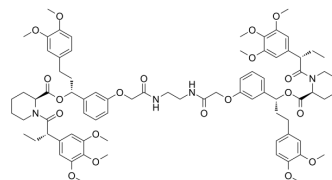


Rimiducid

Cat. No.:	HY-16046
CAS No.:	195514-63-7
Molecular Formula:	C ₇₈ H ₉₈ N ₄ O 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 : 50 mg/mL (35.42 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent \ Mass \ 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 >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (1.77 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (1.77 mM); Clear solution				

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.
IC₅₀ & Target	EC ₅₀ : 0.1 nM (FKBP, in HT1080 cells) ^[1] Fas receptor ^[1]
In Vitro	The human fibrosarcoma line HT1080 is engineered to express stably a fusion protein comprising a myristoylation sequence, two copies of F36V-FKBP, and the human first apoptosis signal (Fas) intracellular domain. 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 (2×10⁶ 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 2×10⁷ cells/mL. Between 2 and 4×10⁶ 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 Commun. 2020 Apr 2;11(1):1625.
- Nucleic Acids Res. 2020 Jan 10;48(1):e1.
- Am J Hum Genet. 2020 Jul 2;107(1):111-123.
- Stem Cells Transl Med. 2020 Nov;9(11):1378-1388.
- PLoS Pathog. 2021 Jul 16;17(7):e1009715.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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