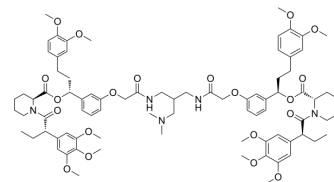


## AP20187

<b>Cat. No.:</b>	HY-13992												
<b>CAS No.:</b>	195514-80-8												
<b>Molecular Formula:</b>	C <sub>82</sub> H <sub>107</sub> N <sub>5</sub> O <sub>20</sub>												
<b>Molecular Weight:</b>	1482.75												
<b>Target:</b>	FKBP												
<b>Pathway:</b>	Apoptosis; Autophagy; Immunology/Inflammation												
<b>Storage:</b>	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>2 years</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 year</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	2 years		-20°C	1 year
Powder	-20°C	3 years											
	4°C	2 years											
In solvent	-80°C	2 years											
	-20°C	1 year											



### SOLVENT & SOLUBILITY

#### In Vitro

Ethanol : 100 mg/mL (67.44 mM; Need ultrasonic)  
 DMSO : ≥ 57 mg/mL (38.44 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	0.6744 mL	3.3721 mL	6.7442 mL
	5 mM	0.1349 mL	0.6744 mL	1.3488 mL
	10 mM	0.0674 mL	0.3372 mL	0.6744 mL

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

#### In Vivo

- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: 6 mg/mL (4.05 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)  
Solubility: 6 mg/mL (4.05 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (1.69 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (1.69 mM); Clear solution
- Add each solvent one by one: 4% ethanol >> 10% PEG-400 >> 2% Tween-80 >> 84% water.  
Solubility: 2.4 mg/mL (1.62 mM); Clear solution; Need ultrasonic

### BIOLOGICAL ACTIVITY

#### Description

AP20187 (B/B Homodimerizer) is a cell-permeable ligand used to dimerize FK506-binding protein (FKBP) fusion proteins and

	initiate biological signaling cascades and gene expression or disrupt protein-protein interactions.
<b>IC<sub>50</sub> &amp; Target</b>	FKBP homodimerizer <sup>[1]</sup>
<b>In Vitro</b>	When LNCaP cells are treated with AP20187 (B/B Homodimerizer) (100 nM), ro-iCaspase-9 levels are significantly reduced, and the smaller processed active caspase-9 becomes apparent <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	Real-time PCR analysis shows that AP20187 (B/B Homodimerizer) (0.5 mg/kg, 2 mg/kg, or 5 mg/kg) treatment significantly increases the levels of CHOP mRNA in the CNS of PLP/Fv2E-PERK mice at PID12. AP20187 treatment significantly alleviates EAE-induced myelin damage in these mice. AP20187 (B/B Homodimerizer) treatment significantly reduces the number of degenerating axons and increases the density of axons in the demyelinating lesions in the lumbar spinal cord of PLP/Fv2E-PERK mice <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

<b>Cell Assay</b> <sup>[2]</sup>	For the in vitro study, 16 h after ADV infection, cells are treated with R1881 (10 nM), AP20187 (B/B Homodimerizer) (10 nM), both, or neither for 8 h. Cells are then rinsed with PBS and fixed with 4% paraformaldehyde for 1 h at room temperature. After rinsing with PBS, cells are incubated in ice-cold permeabilization solution (0.1% Triton X-100, 0.1% sodium citrate) for 2 min at 0°C. Cells are rinsed with PBS and stained with TUNEL reaction mixture for 60 min at 37°C. After another PBS wash, cells are incubated with Converter-AP for 30 min at 37°C. Cells are rinsed and incubated with substrate 5-bromo-4-chloro-3-indolyl phosphate/nitroblue tetrazolium for 30 min. After a final PBS rinse (repeated twice), cells are microphotographed <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>Animal Administration</b> <sup>[2]</sup>	Mice <sup>[2]</sup> To activate the transgene Fv2E-PERK in oligodendrocytes, PLP/Fv2E-PERK transgenic mice are given intraperitoneal injections of AP20187 (B/B Homodimerizer) daily at a dose of 0.5 mg/kg, 2 mg/kg, or 5 mg/kg. Lyophilized AP20187 (B/B Homodimerizer) is dissolved in 100% ethanol at a concentration of 62.5 mg/mL stock solution and stored at -20°C. Injection solutions consist of 4% ethanol, 10% PEG-400, and 2% Tween-20 in water. The transgenic mice receiving only the vehicle (4% ethanol, 10% PEG-400, 2% Tween-20 in water) served as controls. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Nature. 2022 Nov;611(7936):603-613.
- Circulation. 2016 Jul 5;134(1):61-72.
- Cell Discov. 2021 Jun 1;7(1):41.
- Cell Metab. 2019 May 7;29(5):1061-1077.e8.
- Cell Stem Cell. 2020 Jun 4;26(6):845-861.e12.

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## REFERENCES

[1]. Ahmed S, et al. Photocleavable dimerizer for the rapid reversal of molecular trap antagonists. J Biol Chem. 2014 Feb 21;289(8):4546-52.

[2]. Lin W, et al. Oligodendrocyte-specific activation of PERK signaling protects mice against experimental autoimmune encephalomyelitis. J Neurosci. 2013 Apr

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3;33(14):5980-91.

[3]. Haas ME, et al. The Role of Proprotein Convertase Subtilisin/Kexin Type 9 in Nephrotic Syndrome-Associated Hypercholesterolemia. Circulation. 2016 Jul 5;134(1):61-72.

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

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