**Product Data Sheet**

**AP20187**

**Cat. No.:** HY-13992  
**CAS No.:** 195514-80-8  
**Molecular Formula:** $C_{82}H_{107}N_5O_{20}$  
**Molecular Weight:** 1482.75  
**Target:** FKBP  
**Pathway:** Apoptosis; Autophagy; Immunology/Inflammation  
**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: $\geq 57$ mg/mL (38.44 mM)  
*“$\geq$” means soluble, but saturation unknown.*

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>0.6744 mL</td>
<td>3.3721 mL</td>
<td>6.7442 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.1349 mL</td>
<td>0.6744 mL</td>
<td>1.3488 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.0674 mL</td>
<td>0.3372 mL</td>
<td>0.6744 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

1. Add each solvent one by one: 10% DMSO $\gg$ 40% PEG300 $\gg$ 5% Tween-80 $\gg$ 45% saline  
   Solubility: $\geq 2.5$ mg/mL (1.69 mM); Clear solution

2. Add each solvent one by one: 10% DMSO $\gg$ 90% corn oil  
   Solubility: $\geq 2.5$ mg/mL (1.69 mM); Clear solution

**In Vivo**  
1. Add each solvent one by one: 10% DMSO $\gg$ 90% PEG300 $\gg$ 5% Tween-80 $\gg$ 45% saline  
   Solubility: $\geq 2.5$ mg/mL (1.69 mM); Clear solution

**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.

**IC$_{50}$ & Target**  
FKBP homodimerizer$^{[1]}$

**In Vitro**  
When LNCaP cells are treated with AP20187 (B/B Homodimerizer) (100 nM), pro-caspase-9 levels are significantly reduced, and the smaller processed active caspase-9 becomes apparent$^{[2]}$.
In Vivo

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[2].

PROTOCOL

Cell Assay [2]

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 [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [2]

Mice[2]

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.

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REFERENCES


