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产品名称：AP20187
产品别名：B/B Homodimerizer

生物活性：

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), ro-iCaspase-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].

Solvent&Solubility

In Vitro:

DMSO : ≥ 57 mg/mL (38.44 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (1.69 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (1.69 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (1.69 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (1.69 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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 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.

实验参考：

Cell Assay	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].
Animal Administration	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.
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 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.