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Zuschläge

- Mindermengenzuschlag
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- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

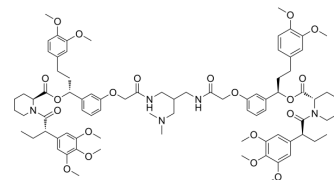
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AP20187

Cat. No.:	HY-13992
CAS No.:	195514-80-8
Molecular Formula:	C ₈₂ H ₁₀₇ N ₅ O 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 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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

1. 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
2. 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
3. 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
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (1.69 mM); Clear solution
5. 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.
IC₅₀ & 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] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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. 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

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA