

# Product Data Sheet

## AP20187

<b>Cat. No.:</b>	B1274
<b>CAS No.:</b>	195514-80-8
<b>Formula:</b>	C82H107N5O20
<b>M.Wt:</b>	1482.75
<b>Synonyms:</b>	
<b>Target:</b>	Others
<b>Pathway:</b>	Homodimerizers
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

≥74.14 mg/mL in DMSO; ≥100 mg/mL in EtOH

In Vitro

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

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

### Biological Activity

Shortsummary

Dimerizer,synthetic and cell-permeable

IC<sub>50</sub> & Target

In Vitro

#### Cell Viability Assay

Cell Line: CHO-AA8-Tet off cells

Preparation method: The solubility of this compound in DMSO is >74.1mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

Applications: The cDNAs for the MLL-AF9 fusion protein were transfected in triplicate into

		<p>CHO cells along with a Myc E box HSV TK luciferase reporter and a CMV-driven Renilla luciferase control plasmid. Results are expressed as a ratio of normalized firefly luciferase activity to the activity of cells transfected with an MSCV neomycin control vector. In the presence of the dimerizer AP20187, cells transfected with MLL-FKBP showed strong dose-dependent transactivation of the Myc E box HSV TK reporter. The dimerization of the fusion protein activated transcription with nearly 250-fold.</p>
In Vivo	<b>Animal experiment</b>	
	Animal models:	CD1 mice
	Dosage form:	Intraperitoneal injection, 10 mg/kg
	Applications:	<p>To evaluate LFv2IRE expression and tyrosine phosphorylation, CD1 mice were injected via the tail vein with GC of AAV2/8-TBG-LFv2IRE or AAV2/1-MCK-LFv2IRE vector 4 weeks before the AP20187 injection. AP20187-dependent LFv2IRE tyrosine phosphorylation was evident 2 hr after drug administration, peaked 6 hr later, and returned to baseline after 24 hr. Low LFv2IRE basal phosphorylation was detected in liver samples from mice receiving AAV2/8-TBG-LFv2IRE but not stimulated with AP20187, suggesting minimal leakiness of the system.</p>
Other notes:	<p>Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.</p>	

## Product Citations

1. Bougioukli S, Vakhshori V, et al. "Regulated ex vivo regional gene therapy for bone repair using an inducible caspase-9 suicide gene system." *Gene Ther.* 2019 Jun;26(6):230-239.PMID:30962534
2. Wakahashi K, Minagawa K, et al. "Vitamin D receptor-mediated skewed differentiation of macrophages initiates myelofibrosis and subsequent osteosclerosis." *Blood.* 2019 Feb 4. pii: blood-2018-09-876615.PMID:30718230
3. Jacobs CL, Badiie RK, Lin MZ. "StaPLs: versatile genetically encoded modules for engineering drug-inducible proteins." *Nat Methods.* 2018 Jul;15(7):523-526.PMID:29967496
4. Miyake M, Kuroda M, et al. "Ligand-induced rapid skeletal muscle atrophy in HSA-Fv2E-PERK transgenic mice." *PLoS One.* 2017 Jun 23;12(6):e0179955.PMID:28644884

See more customer validations on [www.apexbt.com](http://www.apexbt.com).

## References

- [1] Martin M E, Milne T A, Bloyer S, et al. Dimerization of MLL fusion proteins immortalizes hematopoietic cells. *Cancer cell*, 2003, 4(3): 197-207.
- [2] Cotugno G, Formisano P, Giacco F, et al. AP20187-mediated activation of a chimeric insulin receptor results in insulin-like actions

in skeletal muscle and liver of diabetic mice. Human gene therapy, 2007, 18(2): 106-117.

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

*Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.*

**APExBIO Technology**

**[www.apexbt.com](http://www.apexbt.com)**

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: [info@apexbt.com](mailto:info@apexbt.com)

