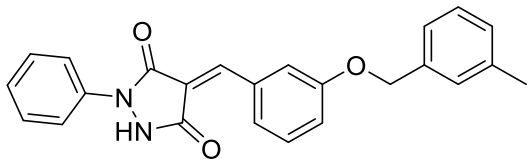
Product data sheet

MedKoo Cat#: 406988 Name: RhoA-IN-Y16 CAS: 429653-73-6 Chemical Formula: $C_{24}H_{20}N_2O_3$ Exact Mass: 384.1474 Molecular Weight: 384.435	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Y16, also known as RhoA-IN-Y16, is a RhoA inhibitor, Y16 blocks the binding of LARG, a DBL-family Rho guanine nucleotide exchange factor, with Rho (Kd = 80 nM). Y16 specifically inhibits LARG catalyzed activation of RhoA and RhoA signaling pathways. Y16 blocks the growth and migration of MCF7 breast cancer cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	32.0	83.24

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.60 mL	13.01 mL	26.01 mL
5 mM	0.52 mL	2.60 mL	5.20 mL
10 mM	0.26 mL	1.30 mL	2.60 mL
50 mM	0.05 mL	0.26 mL	0.52 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Shang X, Marchioni F, Evelyn CR, Sipes N, Zhou X, Seibel W, Wortman M, Zheng Y. Small-molecule inhibitors targeting G-protein-coupled Rho guanine nucleotide exchange factors. Proc Natl Acad Sci U S A. 2013 Feb 19;110(8):3155-60. doi: 10.1073/pnas.1212324110. Epub 2013 Feb 4. PMID: 23382194; PMCID: PMC3581902.
2. Chiu WC, Chiang JY, Chiang FT. Small chemical compounds Y16 and Rhosin can inhibit calcium sensitization pathway in vascular smooth muscle cells of spontaneously hypertensive rats. J Formos Med Assoc. 2021 Oct;120(10):1863-1868. doi: 10.1016/j.jfma.2021.03.031. Epub 2021 Apr 21. PMID: 33893012.

In vivo study

1. Yang Y, Wang S, Cai J, Liang J, Zhang Y, Xie Y, Luo F, Tang J, Gao Y, Shen S, Feng H, Li Y. Targeting ARHGEF12 promotes neuroblastoma differentiation, MYCN degradation, and reduces tumorigenicity. Cell Oncol (Dordr). 2022 Dec 15. doi: 10.1007/s13402-022-00739-9. Epub ahead of print. PMID: 36520365.

7. Bioactivity
Biological target:
Y16 is a specific inhibitor of Leukemia-associated Rho guanine nucleotide exchange factor (LARG) with a K_d value of 76 nM.

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In vitro activity

In cells, Y16 selectively inhibits serum-induced RhoA activity and RhoA-mediated signaling, effects that can be rescued by a constitutively active RhoA or ROCK mutant. By suppressing RhoA activity, Y16 inhibits mammary sphere formation of MCF7 breast cancer cells but does not affect the nontransforming MCF10A cells.

Reference: Proc Natl Acad Sci U S A. 2013 Feb 19;110(8):3155-60. https://pubmed.ncbi.nlm.nih.gov/23382194/

In vivo activity

Animal xenograft models were used to investigate antitumor effects after ARHGEF12 knockdown or treatment with the ARHGEF12 inhibitor Y16 in vivo. Targeting ARHGEF12 with the small molecular inhibitor Y16 induced cell differentiation and attenuated neuroblastoma tumorigenicity.

Reference: Cell Oncol (Dordr). 2022 Dec 15. https://pubmed.ncbi.nlm.nih.gov/36520365/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.