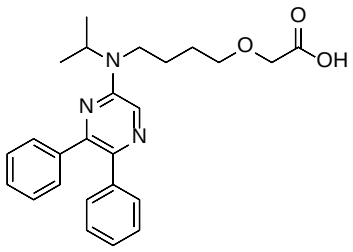
Product data sheet

MedKoo Cat#: 522411 Name: MRE-269 CAS: 475085-57-5 Chemical Formula: $C_{25}H_{29}N_3O_3$ Exact Mass: 419.2209 Molecular Weight: 419.525	
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:
MRE-269, also known as ACT-333679, is a long-acting and highly selective prostacyclin receptor agonist. MRE-269, the active form or metabolite of Selexipag (NS-304), is much more selective for the IP receptor than are the prostacyclin analogs beraprost and iloprost, which also have high affinity for the EP(3) receptor.

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
DMF	14.0	33.37
DMSO	48.67	116.0
Ethanol	15.0	35.75
Ethanol:PBS (pH 7.2) (1:3)	0.25	0.60

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.38 mL	11.92 mL	23.84 mL
5 mM	0.48 mL	2.38 mL	4.77 mL
10 mM	0.24 mL	1.19 mL	2.38 mL
50 mM	0.05 mL	0.24 mL	0.48 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. Kuwano K, Hashino A, Asaki T, Hamamoto T, Yamada T, Okubo K, Kuwabara K. 2-[4-[(5,6-diphenylpyrazin-2-yl)(isopropyl)amino]butoxy]-N-(methylsulfonyl)acetamide (NS-304), an orally available and long-acting prostacyclin receptor agonist prodrug. J Pharmacol Exp Ther. 2007 Sep;322(3):1181-8. doi: 10.1124/jpet.107.124248. Epub 2007 Jun 1. PMID: 17545310.

In vivo study

1. Fuchikami C, Murakami K, Tajima K, Homan J, Kosugi K, Kuramoto K, Oka M, Kuwano K. A comparison of vasodilation mode among selexipag (NS-304; [2-{4-[(5,6-diphenylpyrazin-2-yl)(isopropyl)amino]butoxy}-N-(methylsulfonyl)acetamide]), its active metabolite MRE-269 and various prostacyclin receptor agonists in rat, porcine and human pulmonary arteries. Eur J Pharmacol. 2017 Jan 15;795:75-83. doi: 10.1016/j.ejphar.2016.11.057. Epub 2016 Dec 3. PMID: 27919660.
2. Orie NN, Ledwozyw A, Williams DJ, Whittle BJ, Clapp LH. Differential actions of the prostacyclin analogues treprostinil and iloprost and the selexipag metabolite, MRE-269 (ACT-333679) in rat small pulmonary arteries and veins. Prostaglandins Other Lipid Mediat. 2013 Oct;106:1-7. doi: 10.1016/j.prostaglandins.2013.07.003. Epub 2013 Jul 18. PMID: 23872196.

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7. Bioactivity

Biological target:

MRE-269 is an active metabolite of selexipag, and acts as a selective IP receptor agonist.

In vitro activity

Prostacyclin (PGI(2)) and its analogs are useful for the treatment of various vascular disorders, but their half-lives are too short for widespread clinical application. To overcome this drawback, we have synthesized a novel diphenylpyrazine derivative, 2-[4-[(5,6-diphenylpyrazin-2-yl)(isopropyl)amino]butoxy]-N-(methylsulfonyl)acetamide (NS-304), a prodrug of the active form [4-[(5,6-diphenylpyrazin-2-yl)(isopropyl)amino]butoxy]acetic acid (MRE-269). NS-304 is an orally available and potent agonist for the PGI(2) receptor (IP receptor). The inhibition constant (K(i)) of MRE-269 for the human IP receptor was 20 nM; in contrast, the K(i) values for other prostanoid receptors were >2.6 microM. MRE-269 was therefore a highly selective agonist for the IP receptor.

Reference: J Pharmacol Exp Ther. 2007 Sep;322(3):1181-8. https://pubmed.ncbi.nlm.nih.gov/17545310/

In vivo activity

MRE-269 induced endothelium-independent vasodilation of rat extralobar pulmonary artery (EPA). The vasorelaxant effects of MRE-269 on rat small intralobar pulmonary artery (SIPA) and EPA were the same, while the other IP receptor agonists induced less vasodilation in SIPA than in EPA. Furthermore, a prostaglandin E receptor 3 antagonist enhanced the vasodilation induced by all IP receptor agonists tested except MRE-269.

Reference: Eur J Pharmacol. 2017 Jan 15;795:75-83. https://pubmed.ncbi.nlm.nih.gov/27919660/

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.