MRE-269

Cat. No.: HY-79593

CAS No.: 475085-57-5

Molecular Formula: $C_{25}H_{29}N_3O_3$

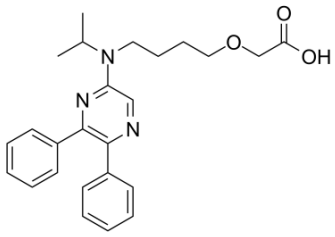
Molecular Weight: 419.52

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (119.18 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3837 mL	11.9184 mL	23.8368 mL
5 mM	0.4767 mL	2.3837 mL	4.7674 mL
10 mM	0.2384 mL	1.1918 mL	2.3837 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.96 mM); Suspended solution; Need ultrasonic and warming
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution

BIOLOGICAL ACTIVITY

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

IC_{50} & Target IP Receptor

In Vitro MRE-269 induces endothelium-independent vasodilation of rat extralobar pulmonary artery (EPA). MRE-269 or other IP

	receptor agonists including epoprostenol, iloprost, treprostinil and beraprost increase cAMP levels in hPASMC[1]. MRE-269 induces concentration-dependent vasodilation in LPA(+), LPA(-), and SPA(-)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The vasorelaxant effects of MRE-269 on rat small intralobar pulmonary artery (SIPA) and EPA are the same, while the other IP receptor agonists induce less vasodilation in SIPA than in EPA[1]. MRE-269 produces substantial relaxation of rat small pulmonary artery, although its effects are only significant at high concentrations of above 10 μM (pEC_{50}, 4.98±0.22). By contrast, in rat small pulmonary veins, MRE-269 only produces minimal relaxation over the whole concentration range, with only significant relaxation occurring at the two highest doses of MRE-269 of 10 and 100 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fuchikami C, et al. 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, porcin

[2]. Orie NN, et al. 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

[3]. Kuwano K, et al. A long-acting and highly selective prostacyclin receptor agonist prodrug, 2-{4-[(5,6-diphenylpyrazin-2-yl)(isopropyl)amino]butoxy}-N-(methylsulfonyl)acetamide (NS-304), ameliorates rat pulmonary hypertension with unique relaxant responses

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