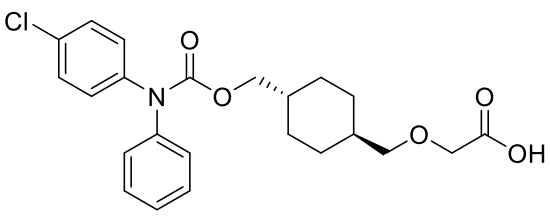
Product data sheet

MedKoo Cat#: 319555 Name: Ralinepag CAS: 1187856-49-0 Chemical Formula: $C_{23}H_{26}ClNO_5$ Exact Mass: 431.1500 Molecular Weight: 431.91	
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.

Product description:
Ralinepag, also known as APD-811, is an orally available agonist of the prostacyclin receptor intended for the treatment of vasospastic diseases, such as Pulmonary Arterial Hypertension. Ralinepag has the potential to mimic prostacyclin, the major product of cyclooxygenase, in macrovascular endothelium.

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	15	34.73
DMSO	10	23.15
Ethanol	10	23.15

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.32 mL	11.58 mL	23.15 mL
5 mM	0.462 mL	2.32 mL	4.63 mL
10 mM	0.232 mL	1.16 mL	2.32 mL
50 mM	0.05 mL	0.23 mL	0.46 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

To be determined

In vivo study

1. Torres F, Farber H, Ristic A, McLaughlin V, Adams J, Zhang J, Klassen P, Shanahan W, Grundy J, Hoffmann I, Cabell C, Escribano Subías P, Sood N, Keogh A, D'Souza G, Rubin L. Efficacy and safety of ralinepag, a novel oral IP agonist, in PAH patients on mono or dual background therapy: results from a phase 2 randomised, parallel group, placebo-controlled trial. Eur Respir J. 2019 Oct 10;54(4):1901030. doi: 10.1183/13993003.01030-2019. PMID: 31391223.
2. Tran TA, Kramer B, Shin YJ, Vallar P, Boatman PD, Zou N, Sage CR, Gharbaoui T, Krishnan A, Pal B, Shakya SR, Garrido Montalban A, Adams JW, Ramirez J, Behan DP, Shifrina A, Blackburn A, Leakakos T, Shi Y, Morgan M, Sadeque A, Chen W, Unett DJ, Gaidarov I, Chen X, Chang S, Shu HH, Tung SF, Semple G. Discovery of 2-(((1r,4r)-4-(((4-Chlorophenyl)(phenyl)carbamoyl)oxy)methyl)cyclohexyl)methoxy)acetate (Ralinepag): An Orally Active Prostacyclin Receptor Agonist for the Treatment of Pulmonary Arterial Hypertension. J Med Chem. 2017 Feb 9;60(3):913-927. doi: 10.1021/acs.jmedchem.6b00871. Epub 2017 Jan 19. PMID: 28072531.

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7. Bioactivity

Biological target:

Ralinepag shows no effect on cytochrome P450 enzymes (IC50 > 50 μM for CYPs 1A2, 2D6, 3A4 2C8, 2C9, and 2C19) or hERG channel functional activity in a patch clamp assay (IC50 > 30 μM). Ralinepag also inhibits the ADP-induced human platelet aggregation, with an IC50 of 38 nM. It is a non-prostanoid prostacyclin receptor agonist, with EC50s of 8.5 nM, 530 nM and 850 nM for human and rat IP receptor and human DP1 receptor, respectively.

In vitro activity

To be determined

In vivo activity

Ralinepag reduced pulmonary vascular resistance compared with placebo in pulmonary arterial hypertension patients on mono or dual combination background therapy.

Reference: Eur Respir J. 2019 Oct 10;54(4):1901030. https://pubmed.ncbi.nlm.nih.gov/31391223/

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.