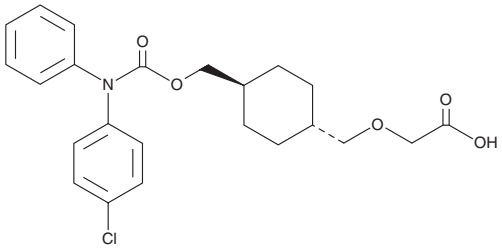
PRODUCT INFORMATION

Ralinepag

Item No. 30009

CAS Registry No.: 1187856-49-0
Formal Name: 2-[[*trans*-4-[[[[(4-chlorophenyl) phenylamino]carbonyl]oxy]methyl] cyclohexyl]methoxy]-acetic acid
MF: $C_{23}H_{26}ClNO_5$
FW: 431.9
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ralinepag is supplied as a solid. A stock solution may be made by dissolving the ralinepag in the solvent of choice, which should be purged with an inert gas. Ralinepag is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ralinepag in these solvents is approximately 10 mg/ml in ethanol and DMSO and approximately 15 mg/ml in DMF.

Ralinepag is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ralinepag should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ralinepag has a solubility of approximately 0.11 mg/ml in a 1:8 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ralinepag is a prostaglandin I_2 (PGI_2) receptor (IP) agonist (K_i = 3 nM).[1] It is selective for IP over the PGD_2 receptor (DP_1) and the PGE_2 receptor subtypes $EP_{1\text{-}4}$ (K_is = 2,600, 9,600, 611, 143, and 678 nM, respectively, in radioligand binding assays). Ralinepag induces cAMP accumulation in CHO-K1 cells expressing human recombinant IP (EC_{50} = 8.5 nM). *In vivo*, ralinepag (30 mg/kg) prevents increases in pulmonary arterial pressure and vessel wall thickness in a rat model of monocrotaline-induced pulmonary arterial hypertension.

Reference

1. Tran, T.-A., Kramer, B., Shin, Y.-J., *et al.* Discovery of 2-(((1*r*,4r)-4-(((4-chlorophenyl)(phenyl)carbamoyl)oxy) methyl)cyclohexyl)methoxy)acetate (Ralingepag): An orally active prostacyclin receptor agonist for the treatment of pulmonary arterial hypertension. *J. Med. Chem.* **60(3)**, 913-927 (2017).

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