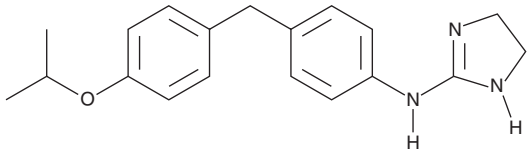
PRODUCT INFORMATION

CAY10441

Item No. 10005186

CAS Registry No.:	221529-58-4
Formal Name:	4,5-dihydro-N-[4-[[4-(1-methylethoxy)phenyl]methyl] phenyl]-1H-imadazol-2-amine
Synonym:	Ro 1138452
MF:	$C_{19}H_{23}N_3O$
FW:	309.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 232 nm
Supplied as:	A crystalline 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

CAY10441 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10441 in the solvent of choice, which should be purged with an inert gas. CAY10441 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CAY10441 in DMF is approximately 30 mg/ml and approximately 20 mg/ml in ethanol and DMSO.

CAY10441 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10441 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CAY10441 has a solubility of approximately 0.05 mg/ml in a 1:10 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CAY10441 is an antagonist of the IP receptor.[1] It is selective for the IP receptor over the prostaglandin E_2 (PGE_2) receptor subtypes EP_1, EP_2, EP_3, and EP_4, the FP and TP receptors, and a panel of 30 other receptors and ion channels but does bind to the α_{2A}-adrenergic and imidazoline I_2 receptors. CAY10441 inhibits carbaprostacyclin-induced cAMP accumulation in SH-SY5Y cells (pK_B = 8.8) and vasorelaxation of isolated human pulmonary arteries induced by the IP receptor agonist cicaprost (Item No. 16831; pA_2 = 8.2).[1,2] It also decreases cicaprost-induced inhibition of platelet aggregation in human platelet-rich plasma.[2] CAY10441 reduces acetic acid-induced writhing and carrageenan-induced paw hyperalgesia in rats (ED_{50}s = 4 and 2.8 mg/kg, respectively).[1]

References

1. Clark, R.D., Jahangir, A., Severance, D., *et al.* Discovery and SAR development of 2-(phenylamino) imidazolines as prostacyclin receptor antagonists. *Bioorg. Medicinal Chem. Letters* **14**, 1053-1056 (2004).
2. Jones, R.L., Wise, H., Clark, R., *et al.* Investigation of the prostacyclin (IP) receptor antagonist RO1138452 on isolated blood vessel and platelet preparations. *Br. J. Pharmacol.* **149(1)**, 110-120 (2006).

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