

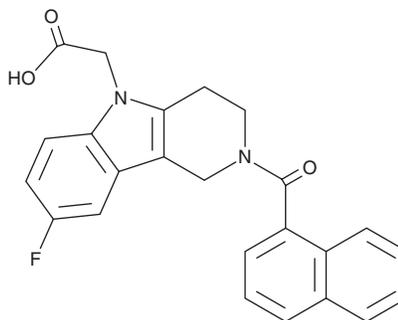
PRODUCT INFORMATION



Setipiprant

Item No. 28291

CAS Registry No.: 866460-33-5
Formal Name: 8-fluoro-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-5H-pyrido[4,3-b]indole-5-acetic acid
Synonym: ACT-129968
MF: C₂₄H₁₉FN₂O₃
FW: 402.4
Purity: ≥98%
UV/Vis.: λ_{max}: 224, 283 nm
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

Setipiprant is supplied as a solid. A stock solution may be made by dissolving the setipiprant in the solvent of choice, which should be purged with an inert gas. Setipiprant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of setipiprant in these solvents is approximately 3, 30, and 50 mg/ml, respectively.

Setipiprant is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, setipiprant should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Setipiprant has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Setipiprant is an orally bioavailable antagonist of the prostaglandin D₂ (PGD₂; Item No. 12010) receptor CRTH₂/DP₂ (IC₅₀ = 6 nM for the human receptor).¹ It is selective for CRTH₂/DP₂ over DP₁ in a radioligand binding assay (IC₅₀ = 1,290 nM) and the prostaglandin E₂ (PGE₂; Item No. 14010) receptor subtypes EP₂ and EP₄ in a β-arrestin assay (IC₅₀s = 2,600 and >10,000 nM, respectively). Setipiprant inhibits PGD₂-induced calcium flux in HEK293 cells expressing human CRTH₂/DP₂ (IC₅₀ = 30 nM) and PGD₂-induced shape change in human eosinophils (IC₅₀ = 235 nM).

Reference

1. Fretz, H., Valdenaire, A., Pothier, J., *et al.* Identification of 2-(2-(1-naphthoyl)-8-fluoro-3,4-dihydro-1H-pyrido[4,3-b]indol-5(2H)-yl)acetic acid (setipiprant/ACT-129968), a potent, selective, and orally bioavailable chemoattractant receptor-homologous molecule expressed on Th2 cells (CRTH2) antagonist. *J. Med. Chem.* **56**(12), 4899-4911 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM