

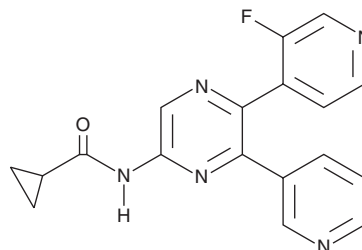
PRODUCT INFORMATION



LAS101057

Item No. 28435

CAS Registry No.: 925676-48-8
Formal Name: N-[5-(3-fluoro-4-pyridinyl)-6-(3-pyridinyl)-2-pyrazinyl]-cyclopropanecarboxamide
MF: C₁₈H₁₄FN₅O
FW: 335.3
Purity: ≥95%
UV/Vis.: λ_{max}: 232, 281, 318 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

LAS101057 is supplied as a solid. A stock solution may be made by dissolving the LAS101057 in the solvent of choice, which should be purged with an inert gas. LAS101057 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of LAS101057 in ethanol is approximately 5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

LAS101057 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LAS101057 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LAS101057 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LAS101057 is a potent adenosine A_{2B} receptor antagonist (K_i = 24 nM).¹ It is selective for A_{2B} over A_{2A}, A₁, and A₃ receptors, as well as a panel of 340 enzymes, receptors, channels, and transporters at 10 μM. LAS101057 inhibits cAMP signaling induced by 5'-N-ethylcarboxamidoadenosine (NECA; Item No. 21420) in HEK293 cells expressing recombinant human A_{2B} receptors (IC₅₀ = 120 nM). It reduces NECA-induced release of IL-6 in human primary dermal fibroblasts. LAS101057 (10 mg/kg) prevents methacholine-induced airway hyperresponsiveness (AHR) and reduces bronchoalveolar lavage fluid (BALF) levels of IL-4 and IL-13 in an ovalbumin-sensitized mouse model of asthma.

Reference

1. Eastwood, P., Esteve, C., Gonzalez, J., *et al.* Discovery of LAS101057: A potent, selective, and orally efficacious A_{2B} adenosine receptor antagonist. *Med. Chem. Lett.* **2**(3), 213-218 (2011).

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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