

PRODUCT INFORMATION



L-161,982

Item No. 10011565

CAS Registry No.: 147776-06-5
Formal Name: N-[[4'-[[3-butyl-1,5-dihydro-5-oxo-1-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-3-methyl-2-thiophenecarboxamide

MF: C₃₂H₂₉F₃N₄O₄S₂
FW: 654.7

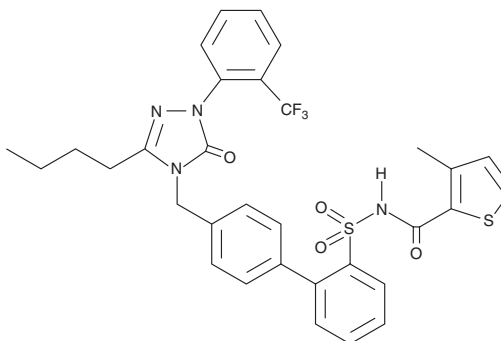
Purity: ≥95%

UV/Vis.: λ_{max}: 249 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥2 years



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

Laboratory Procedures

L-161,982 is supplied as a crystalline solid. A stock solution may be made by dissolving the L-161,982 in an organic solvent purged with an inert gas. L-161,982 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of L-161,982 in these solvents is approximately 10 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Prostaglandin E₂ (PGE₂) exerts its effects through four separate G coupled-protein receptors (EP₁₋₄).¹ L-161,982 is a potent and selective EP₄ receptor antagonist. It demonstrates selective binding to human EP₄ receptors with a K_i value of 0.024 μM compared to other receptors of the prostanoid family, EP₁, EP₂, EP₃, DP, FP, and IP, with K_i values of 17, 23, 1.9, 5.1, 5.6, and 6.7 μM, respectively.² L-161,982 at 10 mg/kg/day suppresses PGE₂-stimulated bone formation in young rats² and at 100 nM reverses the anti-inflammatory action of PGE₂ in LPS-activated human macrophages.³ At 10 μM L-161,982 blocks PGE₂-induced cell proliferation in HCA-7 colon cancer cells.⁴

References

1. Coleman, R.A., Smith, W.L., and Narumiya, S. *Pharmacol. Rev.* **46**, 205-229 (1994).
2. Machwate, M., Harada, S., Leu, C.T., et al. *Mol. Pharmacol.* **60**(1), 36-41 (2001).
3. Takayama, K., García-Gardeña, G., Sukhova, G.K., et al. *J. Biol. Chem.* **277**(46), 44147-44154 (2002).
4. Cherukuri, D.P., Chen, X.B.O., Goulet, A.-C., et al. *Exp. Cell Res.* **313**, 2969-2979 (2007).

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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