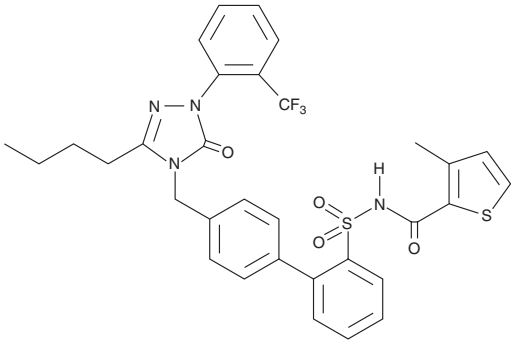
PRODUCT INFORMATION

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:	$C_{32}H_{29}F_3N_4O_4S_2$
FW:	654.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 249 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

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 E_2 (PGE_2) exerts its effects through four separate G coupled-protein receptors ($EP_{1\text{-}4}$).[1] L-161,982 is a potent and selective EP_4 receptor antagonist. It demonstrates selective binding to human EP_4 receptors with a K_i value of 0.024 µM compared to other receptors of the prostanoid family, EP_1, EP_2, EP_3, 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 PGE_2-stimulated bone formation in young rats[2] and at 100 nM reverses the anti-inflammatory action of PGE_2 in LPS-activated human macrophages.[3] At 10 µM L-161,982 blocks PGE_2-induced cell proliferation in HCA-7 colon cancer cells.[4]

References

1. Coleman, R.A., Smith, W.L., and Narumiya, S. *Pharmacol. Rev.* **46(2)**, 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(14)**, 2969-2979 (2007).

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