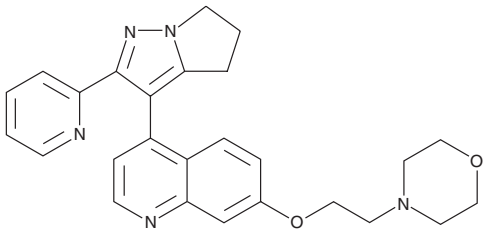
PRODUCT INFORMATION

LY2109761

Item No. 15409

CAS Registry No.:	700874-71-1
Formal Name:	4-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-7-[2-(4-morpholinyl)ethoxy]-quinoline
MF:	$C_{26}H_{27}N_5O_2$
FW:	441.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 236 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

LY2109761 is supplied as a crystalline solid. A stock solution may be made by dissolving the LY2109761 in the solvent of choice. LY2109761 is soluble in organic solvents such as ethanol and DMSO. The solubility of LY2109761 in these solvents is approximately 2 and 0.1 mg/ml.

Description

LY2109761 is a small molecule inhibitor of the TGF-β receptor type 1/type II kinases (IC_{50} = 69 nM).[1] It has been used to study the role of TGF-β signaling in tumor cell migration and metastasis in pancreatic tumor cell lines where 5 µM of the compound completely disrupts Smad-2 phosphorylation, an immediate downstream target of the kinase activity.[2] It can also suppress radiation-induced inflammatory cytokine signaling and proangiogenic genes, including ID1, in human primary fibrobalsts.[3]

References

1. Li, H., McMillen, W.T., Heap, C.R., *et al*. Optimization of a dihydropyrrolopyrazole series of transforming growth factor-β type I receptor kinase domain inhibitors: Discovery of an orally bioavailable transforming growth factor-β receptor type I inhibitor as antitumor agent. *J. Med. Chem.* **51(7)**, 2302-2306 (2008).
2. Melisi, D., Ishiyama, S., Sclabas, G.M., *et al*. LY2109761, a novel transforming growth factor beta receptor type I and type II dual inhibitor, as a therapeutic approach to suppressing pancreatic cancer metastasis. *Mol. Cancer Ther.* **7(4)**, 829-840 (2008).
3. Flechsig, P., Dadrich, M., Bickelhaupt, S., *et al*. LY2109761 attenuates radiation-induced pulmonary murine fibrosis *via* reversal of TGF-β and BMP-associated proinflammatory and proangiogenic signals. *Clin. Cancer Res.* **18(13)**, 3616-3627 (2012).

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