

Product Specification Sheet

Product Name: LY210976

Catalog Number: C5210

Technical information:

Chemical Formula: $C_{26}H_{27}N_5O_2$

CAS #: 700874-71-1

Molecular Weight: 441.52

Purity: > 98%

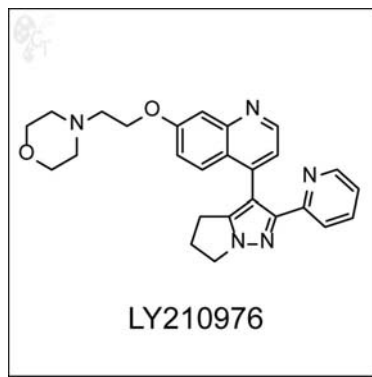
Appearance: Pale Brown solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 7-(2-morpholinoethoxy)-4-(2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl)quinoline

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.226mL of DMSO for each mg of LY210976
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: LY-2109761 is an orally-bioavailable pyrrolopyrazole inhibitor of the TGF- β 1/2 kinase subtypes in Phase I and II clinical studies for malignant malignancies. With K_i potencies of 38 nM and 300 nM, respectively, LY-2109761 has been studied in metastatic pancreatic cancer cells and completely inhibits basal and TGF- β 1-stimulated migration and invasion of L3.6pl/GLT cells. [1] LY-2109761 treatment suppresses Smad2 phosphorylation and the Smad-dependent downstream pathway. [2]

LY-2109761 is synergistic with gemcitabine, significantly prolonging survival times and reducing tumor volume and metastatic events. [1] Additionally, LY2109761 enhances radiation response and prolongs survival in glioblastomas. Proliferation assays displayed a reduction of NMA-23 cell viability and inhibited self-renewal of glioblastoma cancer stem-like cells (CSLC). [3]

- Reference:**
1. Melisi 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.* 2008, 7(4), 829-840. Pubmed ID: 1841379
 2. Connolly et al., Complexities of TGF- β targeted cancer therapy. *Int. J. Biol. Sci.* 2012, 8(7), 964-978. Pubmed ID: 22811618
 3. Zhang et al., Blockade of TGF- β signaling by the TGF β R-I kinase inhibitor LY2109761 enhances radiation response and prolongs survival in glioblastoma. *Cancer Res.* 2011, 71, 7155-7167. Pubmed ID: 22006998

To reorder: <http://www.cellagentech.com/LY210976/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.