

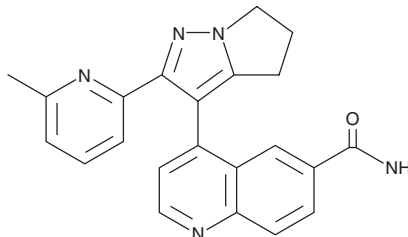
PRODUCT INFORMATION



LY2157299

Item No. 15312

CAS Registry No.: 700874-72-2
Formal Name: 4-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-6-quinolinecarboxamide
Synonym: Galunisertib
MF: C₂₂H₁₉N₅O
FW: 369.4
Purity: ≥98%
UV/Vis.: λ_{max}: 238, 282 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

LY2157299 is supplied as a crystalline solid. A stock solution may be made by dissolving the LY2157299 in the solvent of choice, which should be purged with an inert gas. LY2157299 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of LY2157299 in ethanol is approximately 0.25 mg/ml and approximately 25 mg/ml in DMSO and DMF.

LY2157299 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LY2157299 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LY2157299 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LY2157299 is a small molecule inhibitor of the TGF-β receptor type 1 kinase (IC₅₀ = 56 nM).¹ It has been used to study the role of TGF-β signaling in chemotherapy-induced expansion of cancer stem-like cells in triple negative breast cancer cell lines and xenografts.² LY2157299 has also been shown to inhibit the migration and tumor growth of hepatocellular carcinoma cell lines by disrupting Smad-2 phosphorylation.³

References

1. Mundla, S.R. A pyridin quinolin substituted pyrrolo [1,2-B] pyrazole monohydrate as TGF-β inhibitor. WO 2007/018818 A1 (2014), 1-13, PCT/US2006/025377.
2. Bholra, N.E., Balko, J.M., Dugger, T.C., *et al.* TGF-β inhibition enhances chemotherapy action against triple-negative breast cancer. *J. Clin. Invest.* **123**(3), 1348-1358 (2013).
3. Dituri, F., Mazzocca, A., Peidrri, F.J., *et al.* Differential inhibition of the TGF-β signaling pathway in HCC cells using the small molecule inhibitor LY2157299 and the D10 monoclonal antibody against TGF-β receptor type II. *PLoS One* **8**(6), e67109 (2013).

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.

WARRANTY AND LIMITATION OF REMEDY

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