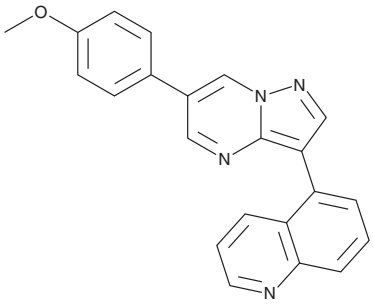
PRODUCT INFORMATION

ML-347

Item No. 17640

CAS Registry No.: 1062368-49-3
Formal Name: 5-[6-(4-methoxyphenyl) pyrazolo[1,5-a]pyrimidin-3-yl]-quinoline
Synonym: LDN193719
MF: $C_{22}H_{16}N_4O$
FW: 352.4
Purity: ≥95%
UV/Vis.: λ_{max}: 242, 281 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

ML-347 is supplied as a crystalline solid. A stock solution may be made by dissolving the ML-347 in the solvent of choice, which should be purged with an inert gas. ML-347 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of ML-347 in these solvents is approximately 10 and 5 mg/ml, respectively.

ML-347 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ML-347 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ML-347 has a solubility of approximately 0.25 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Activation of bone morphogenetic protein (BMP) type I receptors, also known as activin receptor-like kinases (ALK1-7), leads to the assembly of SMAD complexes, which translocate to the nucleus to induce transcriptional activation important for normal development and tissue repair.[1] ML-347 is a 5-quinoline compound that inhibits ALK1 and ALK2 with IC50 values of 46 and 32 nM, respectively.[2] It demonstrates >300-fold selectivity for ALK2 over ALK3, ALK6, and VEGF type 2 receptor (IC50s = 10.8, 9.8, and 19.7 µM, respectively) and is inactive at ALK4, ALK5, BMP type 2 receptors, TGF-β type 2 receptor, and AMPK.[2] In a functional assay, ML-347 was shown to inhibit BMP4 signaling with an IC50 value of 152 nM.[2]

References

1. Brivanlou, A.H. and Darnell, J.E., Jr. Signal transduction and the control of gene expression. *Science* **295**, 813-818 (2002).
2. Engers, D.W., Frist, A.Y., Lindsley, C.W., *et al.* Synthesis and structure-activity relationships of a novel and selective bone morphogenetic protein receptor (BMP) inhibitor derived from the pyrazolo[1.5-a] pyrimidine scaffold of Dorsomorphin: The discovery of ML347 as an ALK2 versus ALK3 selective MLPCN probe. *Bioorg. Med. Chem. Lett.* **23(11)**, 3248-3252 (2013).

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