LY364947 is a selective inhibitor of Activin/NODAL/TGF-β pathway that inhibits ALK5 (Sawyer et al.). Transforming growth factor-beta (TGF-β) superfamily ligands signal through a cell surface heteromeric complex involving type I (TGFBR1) and type II (TGFBR2) receptors. Downstream signal transduction is mediated by the TGFBR1 kinase domain through the phosphorylation of SMAD proteins. LY364947 is a selective inhibitor of the TGFBR1 ALK5 (IC₅₀ = 59 nM; Sawyer et al.). LY364947 less effectively inhibits TGFBR2 (IC₅₀ = 400 nM), p38 MAPK (IC₅₀ = 740 nM), and mixed lineage kinase-7 (MLK-7; IC₅₀ = 1,400 nM; Li et al. 2006; Sawyer et al.).

### Product Description

LY364947 is a selective inhibitor of Activin/NODAL/TGF-β pathway inhibitor; inhibits ALK5

**Catalog #** 72592  
**Small Molecules**  
**LY364947**  
**Activin/BMP/TGF-β pathway inhibitor; inhibits ALK5**  
**5 mg**

**Molecular Name:** LY364947  
**Alternative Names:** E-616451; HTS 466284; TGF-β RI Kinase Inhibitor  
**CAS Number:** 396129-53-6  
**Chemical Formula:** C₁₇H₁₂N₄  
**Molecular Weight:** 272.3 g/mol  
**Purity:** ≥ 98%  
**Chemical Name:** 4-(3-Pyridin-2-yl)(1H)-pyrazol-4-yl quinoline

**Structure:**

![Chemical Structure](image)

**Properties**

**Physical Appearance:** A crystalline solid

**Storage:** Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.

**Solubility:**

- DMSO ≤ 3.6 mM
  
For example, to prepare a 1 mM stock solution in DMSO, resuspend 1 mg in 3.67 mL of fresh DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

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**Toll Free Phone:** 1 800 667 0322  
**Phone:** +1 604 877 0713  
**Info@stemcell.com**  
**TechSupport@stemcell.com**  
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Published Applications

REPROGRAMMING
- In combination with Valproic Acid (Catalog #72292), can replace SOX2 in reprogramming of mouse embryonic fibroblasts transduced with OCT4, KLF4 and c-MYC (Ichida et al.).

DIFFERENTIATION
- Blocks chondrogenesis induced by mechanical load in human mesenchymal stem cells (Li et al. 2010).
- Restores the hematopoietic potential of mouse para-aortic splanchnopleural cells deficient for the Evi-1 transcription factor (Sato et al.).
- Impairs definitive endoderm differentiation competence in human embryonic stem (ES) cells (Jaremko et al.).
- Blocks TGF-β-induced endothelial-to-mesenchymal transition of NMuMg mammary epithelial cells or mouse ES cell-derived endothelial cells (Peng et al.; Kokudo et al.).

CANCER RESEARCH
- Suppresses colony-forming ability of mouse and human leukemia-initiating cells cultured with OP-9 stromal cells, and, when combined with Imatinib (Catalog #72532), reduces lethality in a mouse model of chronic myeloid leukemia (Naka et al.).
- Reduces invasiveness of MDA-MB-231 breast cancer cells in a Matrigel invasion assay (Shiou et al.).

References

Related Small Molecules
For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

This product is hazardous. Please refer to the Safety Data Sheet (SDS).