

Catalog # 10-1492 LY-364947

396129-53-6 HTS-466284 4-(3-Pyridin-2-yl-1H-pyrazol-4-yl)quinolone Lot # X105313

Selective ALK5 inhibitor, $IC_{50} = 59$, 400 and 1400 nM for TGF- β RI, TGF- β RII and MLK-7K, respectively¹. Inhibits Smad2 phosphorylation induced by TGF- β as well as fibronectin expression and MDA-MB-231 cell invasion². Abolishes resistance of glioblastoma-initiating cells to radiation³. Cell permeable.

- 1) Sawyer et al. (2003), Synthesis and activity of new aryl-and hetero-aryl-substituted pyrazole inhibitors of the transforming growth factor-beta type I receptor kinase domain; J. Med. Chem., **46** 3953
- 2) Shiou et al. (2006), Smad4-dependent regulation of urokinase plasminogen activator secretion and RNA stability associated with invasiveness by autocrine and paracrine transforming growth factor-beta; J. Biol. Chem., **281** 33971
- 3) Hardee et al. (2012), Resistance of glioblastoma-initiating cells to radiation mediated by the tumor microenvironment can be abolished by inhibiting transforming growth factor-β; Cancer Res., **72** 4119

PHYSICAL DATA

 $\begin{array}{lll} \mbox{Molecular Weight:} & 272.31 \\ \mbox{Molecular Formula:} & C_{17}\mbox{H}_{12}\mbox{N}_{4} \\ \mbox{Purity:} & 98\% \ \mbox{by TLC} \\ \end{array}$

NMR: (Conforms)

Solubility: DMSO (up to 25 mg/ml)

Physical Description: Brown solid

Storage and Stability: Store as supplied desiccated at room temperature for up to 2 years from the date of purchase.

Solutions in DMSO may be stored at -20°C for up to 3 months.

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