

Catalog #10-4783 TGX-221

CAS# 663619-89-4

9-(1-Anilinoethyl)-7-methyl-2-morpholino-4-ylpyrido[1,2-a]pyrimidin-4-one; 7-Methyl-2-morpholino-9-(1-(phenylamino)ethyl)-4H-pyrido[1,2-a]pyrimidin-4-one

Lot # FBS4024

TGX-221 is a potent (IC₅₀ = 5 nM) and selective (1000-fold over p110 α and p110 γ) inhibitor of PI3-kinase isoform p110 α . Displays anti-thrombotic activity without affecting bleeding time. It significantly inhibited tumorigenesis in VHL, SETD2, PTEN, and CDKN2A mutated clear cell renal cell carcinoma. TGX-221 induced apoptosis in glioblastoma cells and inhibited cell migration and invasion.

- 1) Jackson et al. (2005), Pl 3-kinase p110ß: a new target for antithrombotic therapy; Nat. Med. 11 507
- 2) Sturgeon et al. (2008), Advantages of a selective beta-isoform phosphoinositide 3-kinase antagonist, an antithrombotic agent devoid of other cardiovascular actions in the rat, Nature **587** 209
- 3) Feng et al. (2015), Pl3Kß Inhibitor TGX221 Selectively Inhibits Renal Cell Carcinoma Cells with Both VHL and SETD2 Mutations and Links Multiple Pathways; Sci. Rep. **5** 9465
- 4) Yang et al. (2017), TGX-221 inhibits proliferation and induces apoptosis in human glioblastoma cells; Oncol. Rep. **38** 2836

PHYSICAL DATA

 $\begin{array}{ll} \mbox{Molecular Weight:} & 364.45 \\ \mbox{Molecular Formula:} & C_{21}\mbox{H}_{24}\mbox{N}_{4}\mbox{O}_{2} \\ \mbox{Purity:} & 98\% \ (\mbox{HPLC}) \end{array}$

NMR: (Conforms)

Solubility: DMSO (10 mg/mL)
Physical Description: Off-white solid

Storage and Stability: Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in

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