### Chemical Properties

**Product Name:** TGX-221  
**CAS No.:** 663619-89-4  
**M.Wt:** 364.44  
**Formula:** C21H24N4O2

**Chemical Name:** 9-(1-anilinoethyl)-7-methyl-2-morpholin-4-ylpyrido[1,2-a]pyrimidin-4-one  
**Canonical SMILES:** CC1=CN2C(=O)C=C(N=C2C(=C1)C(C)NC3=CC=CC=C3)N4CCOCC4

**Solubility:** ≥68.7 mg/mL in DMSO with gentle warming  
**Storage:** Store at -20°C  
**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice  
All other available size: ship with RT, or blue ice upon request

### Biological Activity

**Targets:** PI3K/Akt/mTOR Signaling  
**Pathways:** PI3K

**Description:**  
TGX-221 is a potent inhibitor of (phosphatidylinositol 3-kinases) PI3K which specifically inhibits PI3K -p110β isoform with IC50 value of 8.5 nM [1].  
In J774.2 macrophage cells, TGX-221 has been demonstrated to reduce insulin-induced phosphorylation of Ser473 of protein kinase B (PKB). While in CHO-IR and 3T3-L1 cells, TGX-221 has no effect on PKB phosphorylation [1].
In vivo, TGX-221 significantly improved blood flow in FeCl3-induced arterial thrombosis as well as increased tail and renal bleeding times in mice. In addition, TGX-221 has revealed to disrupt CFRs in a Folts model of arterial thrombosis in male Sprague-Dawley rats [2].

Reference:

Protocol

Cell experiment:

Cell lines
PC3 cells

Preparation method
The solubility of this compound in DMSO is >68.7mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions
0.2, 2 and 20 μM; 24 ~ 72 hrs

Applications
In PC3 cells, TGX-221 treatment (0.2, 2, and 20 μM) inhibited cell proliferation, and significantly reduced the activity of the p110β PI3K isoform.

Animal experiment [3]:

Animal models
FeCl3-induced arterial thrombosis in mice

Dosage form
0.3 + 0.3, 1 + 1, 3 + 3 mg/kg + mg/kg/hr; i.v.

Applications
At the doses of 1 + 1 (49 % ± 13.9%) and 3 + 3 (88 % ± 10.6%), TGX-221 improved integrated blood flow over 30 mins in a mouse model. In addition, Tail bleeding time (BT) (sec) increased with TGX-221 doses of 3 + 3 (median 1560) and 1 + 1 (1305). In all TGX-221 groups, mean renal BT (sec) also increased.

Other notes
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.
Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.