# PRODUCT INFORMATION

## TGX-221

*Item No. 10007349*

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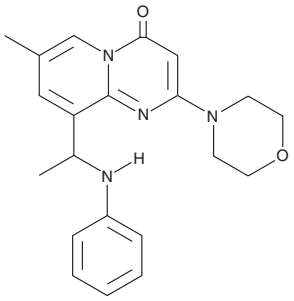
|---|---|
| **CAS Registry No.:** | 663619-89-4 |
| **Formal Name:** | 7-methyl-2-(4-morpholinyl)-9-[1-(phenylamino)ethyl]-4H-pyrido[1,2-a]pyrimidin-4-one |
| **MF:** | $C_{21}H_{24}N_4O_2$ |
| **FW:** | 364.4 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 268 nm |
| **Supplied as:** | A 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

TGX-221 is supplied as crystalline solid. A stock solution may be made by dissolving the TGX-221 in the solvent of choice, which should be purged with an inert gas. TGX-221 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TGX-221 in ethanol is approximately 50 mg/ml and approximately 30 mg/ml in DMSO and DMF.

TGX-221 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TGX-221 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. TGX-221 has a solubility of approximately 0.5 mg/ml in a 1:8 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Phosphatidylinositol 3-kinase (PI3K) catalyzes the phosphorylation of phosphatidylinositol at the 3 position to produce the second messengers phosphatidylinositol-3,4-bisphosphate (PtdIns-(3,4)-$P_2$) and PtdIns-(3,4,5)-$P_3$.[1-3] Class 1 PI3Ks are composed of a p110 catalytic subunit, of which there are 4 isoforms (p110α, p110β, p110δ, and p100γ), and a p85 regulatory subunit.[3] TGX-221 is a potent, selective, and cell permeable inhibitor of PI3K p110β.[4] Inhibition appears to occur at the ATP binding site based on the observed increase in $IC_{50}$ value from 5 to ~50 nM at ATP concentrations of 50 µM and 1 mM, respectively. TGX-221 inhibits PtdIns-(3,4)-$P_2$ production in platelets with an $IC_{50}$ value of 50 nM.[4] Selective inhibition of PI3K p110β results in defective platelet thrombus formation and defines PI3K as a target for antithrombotic therapy.[4]

### References


1. Rameh, L.E. and Cantley, L.C. The role of phosphoinositide 3-kinase lipid products in cell function. *J. Biol. Chem.* **274**, 8347-8350 (1999).
2. Vivanco, I. and Sawyers, C.L. The phosphatidylinositol 3-kinase-AKT pathway in human cancer. *Nature Reviews Cancer* **2**, 489-501 (2002).
3. Hennessy, B.T., Smith, D.L., Ram, P.T., *et al.* Exploiting the PI3K/AKT pathway for cancer drug discovery. *Nature Reviews Drug Discovery* **4**, 988-1004 (2005).
4. Jackson, S.P., Schoenwaelder, S.M., Goncalves, I., *et al.* PI 3-kinase p110β: A new target for antithrombotic therapy. *Nature Med.* **11(5)**, 507-514 (2005).



**WARNING**
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

**SAFETY DATA**
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

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