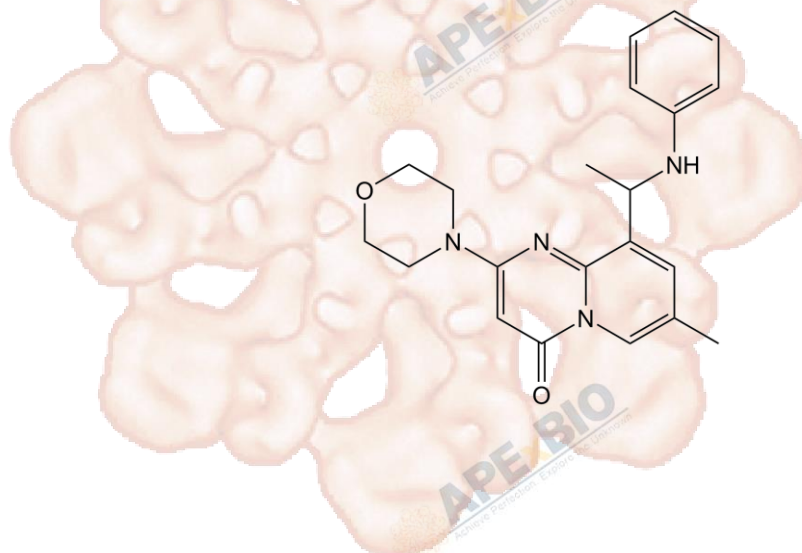


# Product Data Sheet

## TGX-221

<b>Cat. No.:</b>	A2681
<b>CAS No.:</b>	663619-89-4
<b>Formula:</b>	C <sub>21</sub> H <sub>24</sub> N <sub>4</sub> O <sub>2</sub>
<b>M.Wt:</b>	364.44
<b>Synonyms:</b>	
<b>Target:</b>	PI3K/Akt/mTOR Signaling
<b>Pathway:</b>	PI3K
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

In Vitro

 insoluble in H<sub>2</sub>O; insoluble in EtOH; ≥68.7 mg/mL in DMSO with gentle warming

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	2.7439 mL	13.7197 mL	27.4394 mL
	<b>5 mM</b>	0.5488 mL	2.7439 mL	5.4879 mL
	<b>10 mM</b>	0.2744 mL	1.3720 mL	2.7439 mL

Please refer to the solubility information to select the appropriate solvent.

### Biological Activity

Shortsummary

PI3Kβ inhibitor, potent, selective and ATP competitive

 IC<sub>50</sub> & Target

0.005 μM (PI3-kinase β), 0.1 μM (PI3-kinase δ), 5 μM (PI3-kinase δ), ≥3.5 μM (PI3-kinase γ)

In Vitro

#### Cell Viability Assay

Cell Line: PC3 cells

Preparation method: The solubility of this compound in DMSO is &gt;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 $\mu$ M) inhibited cell proliferation, and significantly reduced the activity of the p110 $\beta$ PI3K isoform.
In Vivo	<b>Animal experiment</b>	
	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 % $\pm$ 13.9%) and 3 + 3 (88 % $\pm$ 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.

## Product Citations

See more customer validations on [www.apexbt.com](http://www.apexbt.com).

## References

- [1]. Chaussade C, Rewcastle GW, Kendall JD, Denny WA, Cho K, Grønning LM, Chong ML, Anagnostou SH, Jackson SP, Daniele N, Shepherd PR. Evidence for functional redundancy of class IA PI3K isoforms in insulin signalling. *Biochem J.* 2007 Jun 15;404(3):449-58.
- [2]. Straub A, Wendel HP, Dietz K, Schiebold D, Peter K, Schoenwaelder SM, Ziemer G. Selective inhibition of the platelet phosphoinositide 3-kinase p110beta as promising new strategy for platelet protection during extracorporeal circulation. *Thromb Haemost.* 2008 Mar;99(3):609-15.
- [3]. Bird JE, Smith PL, Bostwick JS, Shipkova P, Schumacher WA. Bleeding response induced by anti-thrombotic doses of a phosphoinositide 3-kinase (PI3K)- $\beta$  inhibitor in mice. *Thromb Res.* 2011 Jun;127(6):560-4.

## 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.

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**APEx BIO Technology**

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