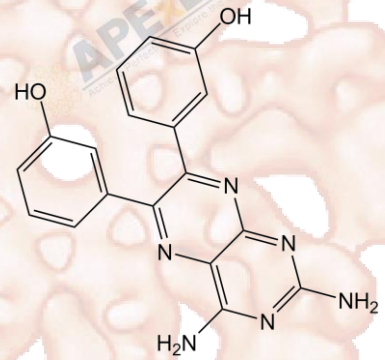
Product Data Sheet

TG100-115



Cat. No.:	A2754
CAS No.:	677297-51-7
Formula:	C18H14N6O2
M.Wt:	346.34
Synonyms:	
Target:	PI3K/Akt/mTOR Signaling
Pathway:	PI3K
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ⩾3.46 mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.8873 mL	14.4367 mL	28.8734 mL
5 mM	0.5775 mL	2.8873 mL	5.7747 mL
10 mM	0.2887 mL	1.4437 mL	2.8873 mL

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

Biological Activity

Shortsummary	PI3Kγ/PI3Kδ inhibitor
IC_{50} & Target	83 nM (PI3K-γ), 235 nM (PI3K-δ)

In Vitro

Cell Viability Assay

Cell Line:	Human umbilical vein EC
Preparation method：	The solubility of this compound in DMSO is >3.5mg/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:	10 μM, 24-72 h

	Applications:	In HUVECs, TG100-115 (10 μM) inhibited the VEGF-induced increase of total level of VE-cadherin. TG100-115 inhibited VEGF mediated phosphorylation of mTOR and p70S6 kinase. TG100-115 (125 nM to 10 μM) inhibited FGF-stimulated phosphorylation of Akt.
In Vivo	**Animal experiment**	
	Animal models:	Sprague–Dawley rats, Rodent and porcine myocardial ischemia (MI) models
	Dosage form:	intravenous injection, 5 mg/kg
	Applications:	Pretreatment with TG100-115 (5 mg/kg) blocked both the edema and leukocytic infiltrate. In a rodent model of MI, TG100-115 (i.v. bolus 60 min after reperfusion) routinely reduced infarct size by ≥40%, with maximal efficacy reached by a dose of 0.5 mg/kg. In a porcine MI model, TG100-115 (0.5 mg/kg i.v. bolus 30 min after reperfusion) developed smaller infarcts.
	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.

References

[1]. Palanki M S S, Dneprovskaia E, Doukas J, et al. Discovery of 3, 3 '-(2, 4-diaminopteridine-6, 7-diyl) diphenol as an isozyme-selective inhibitor of PI3K for the treatment of ischemia reperfusion injury associated with myocardial infarction[J]. Journal of medicinal chemistry, 2007, 50(18): 4279-4294.

[2]. Doukas J, Wrasidlo W, Noronha G, et al. Phosphoinositide 3-kinase γ/δ inhibition limits infarct size after myocardial ischemia/reperfusion injury[J]. Proceedings of the National Academy of Sciences, 2006, 103(52): 19866-19871.

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. Shortterm 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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