

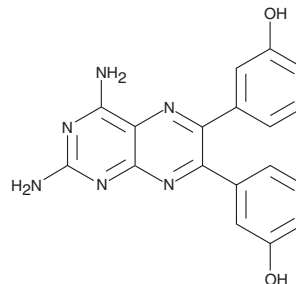
PRODUCT INFORMATION



TG 100-115

Item No. 10010512

CAS Registry No.: 677297-51-7
Formal Name: 3,3'-(2,4-diamino-6,7-pteridinediyl)bis-phenol
MF: C₁₈H₁₄N₆O₂
FW: 346.3
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TG 100-115 is supplied as a crystalline solid. A stock solution may be made by dissolving the TG 100-115 in the solvent of choice, which should be purged with an inert gas. TG 100-115 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of TG 100-115 in these solvents is approximately 1 mg/ml.

TG 100-115 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TG 100-115 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TG 100-115 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TG 100-115 is an inhibitor of PI3Kγ and PI3Kδ (IC₅₀s = 0.083 and 0.235 μM, respectively).¹ It is selective for PI3Kγ and PI3Kδ over PI3Kα and PI3Kβ (IC₅₀s = 1.3 and 1.2 μM) and a panel of 140 additional kinases but does inhibit eight kinases in the panel. TG 100-115 also inhibits the kinase activity of transient receptor potential melastatin 7 (TRPM7) with an IC₅₀ value of 1.07 μM.² TG 100-115 (50 μM) inhibits the migration and invasion of MDA-MB-231 breast cancer cells. It prevents intradermal edema induced by VEGF or histamine and hind paw edema and leukocyte infiltration induced by platelet-activating factor (PAF) or dextran in rats when administered at doses of 1 and 5 mg/kg, respectively.³ TG 100-115 (0.5 mg/kg) decreases infarct size as a percentage of the area at risk in a porcine model of myocardial infarction induced by coronary artery occlusion. It also decreases methacholine-induced airway resistance in an ovalbumin-sensitized mouse model of asthma.⁴

References

1. Palanki, M.S.S., Dneprovskaja, 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. Med. Chem.* **50**(18), 4279-4294 (2007).
2. Song, C., Bae, Y., Jun, J., *et al.* Identification of TG100-115 as a new and potent TRPM7 kinase inhibitor, which suppresses breast cancer cell migration and invasion. *Biochim. Biophys. Acta Gen. Subj.* **1861**(4), 947-957 (2017).
3. Doukas, J., Wrasidlo, W., Noronha, G., *et al.* Phosphoinositide 3-kinase γ/δ inhibition limits infarct size after myocardial ischemia/reperfusion injury. *Proc. Natl. Acad. Sci. USA* **103**(52), 19866-19871 (2006).
4. Doukas, J., Eide, L., Stebbins, K., *et al.* Aerosolized phosphoinositide 3-kinase gamma/delta inhibitor TG100-115 [3-[2,4-diamino-6-(3-hydroxyphenyl)pteridin-7-yl]phenol] as a therapeutic candidate for asthma and chronic obstructive pulmonary disease. *J. Pharmacol. Exp. Ther.* **328**(3), 758-765 (2009).

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.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 04/21/2025

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM