

Product Data Sheet

Product Name: TG100-115

Cat. No.: GC15151

Chemical Properties

Cas. No. 677297-51-7

Chemical Name 3-[2,4-diamino-7-(3-hydroxyphenyl)pteridin-6-yl]phenol

SMILES C1=CC(=CC(=C1)O)C2=NC3=C(N=C2C4=CC(=CC=C4)O)N=C(N=C3N)N

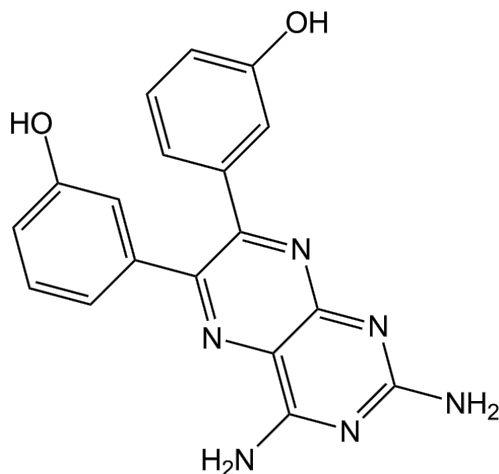
Formula $C_{18}H_{14}N_6O_2$ M.Wt 346.34

Solubility $\geq 3.46\text{mg/mL}$ in DMSO 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.

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

Structure



Protocol

Cell experiment [1]:

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Cell lines	MDA-MB-231 cells (human breast cancer cell line) and MDA-MB-468 cells (human breast cancer cell line)
Preparation Method	MDA-MB-231 or MDA-MB-468 cells were cultured in RPMI 1640 or DMEM media supplemented with 10% fetal bovine serum (FBS), penicillin (100U/mL) and streptomycin (100µg/mL) in a humidified 5% CO ₂ incubator at 37°C. Cells were treated with TG100-115 at concentrations of 1, 10, and 50µM for 27 hours (MDA-MB-231) or 48 hours (MDA-MB-468).
Reaction Conditions	1-50µM; 27h (MDA-MB-231) or 48h (MDA-MB-468)
Applications	TG100-115 significantly decreases cell migration and invasion of MDA-MB-231 and MDA-MB-468 cells. TG100-115 inhibits TRPM7 kinase regulated phosphorylation of the myosin IIA heavy chain and phosphorylation of focal adhesion kinase (FAK). TG100-115 also suppresses TRPM7 ion channel activity.

Animal experiment [2]:

Animal models	P7 CD1 mice (neonatal hypoxic-ischemic brain injury model)
Preparation Method	P7 CD1 mouse pups were subjected to right common carotid artery occlusion followed by 60 minutes of hypoxia (7.5% O ₂). TG100-115 (1.0, 2.5, 5.0mg/kg) was administered intraperitoneally (i.p.) at a volume of 50µL/5g body weight. Treatment paradigms included pre-treatment (30 minutes before hypoxia-ischemia) and post-treatment (1, 2, or 3 hours after hypoxia-ischemia).

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Dosage form 1.0, 2.5, 5.0mg/kg; i.p.; single injection

Applications TG100-115 significantly reduced brain infarct volume when administered before or up to 3 hours after hypoxia-ischemia. TG100-115 preserved whole brain morphology, reduced ipsilateral liquefaction volume, and increased brain weight. TG100-115 improved short-term functional recovery, as evidenced by enhanced performance in cliff avoidance reflex, geotaxis reflex, righting reflex, and grip strength tests. TG100-115 treatment normalized TRPM7 protein levels, modulated the caspase-3-associated apoptotic pathway, and attenuated NLRP3 inflammasome activation (reduced expression of NLRP3, ASC, and IL-18).

References:

- [1] Song C, Choi S, Oh KB, et al. Suppression of TRPM7 enhances TRAIL-induced apoptosis in triple-negative breast cancer cells. J Cell Physiol. 2020 Dec;235(12):10037-10050.
- [2] Liu Y, Xiong L, Chang Y, et al. Phosphoinositide 3-kinase δ/γ inhibition does not prevent concanavalin A-induced hepatitis. Mol Med Rep. 2013 Nov;8(5):1305-10.

Background

TG100-115 is an orally active selective inhibitor of PI3K γ (IC₅₀=83nM) and PI3K δ (IC₅₀=235nM). TG100-115 blocks the VEGF signaling pathway and inhibits mTOR and p70S6 kinase phosphorylation. TG100-115 can be used in research related to myocardial ischemia/reperfusion injury, inflammatory diseases, and asthma^[1-4].

In vitro, MDA-MB-231 cells were co-treated with TG100-115 (10 μ M) and recombinant TRAIL (1-10ng/ml) for 16 hours. TG100-115 did not significantly affect cell proliferation and had no effect on TRAIL-induced apoptosis^[5]. MDA-MB-231 cells were treated with TG100-115 (1-50 μ M) for 27 hours and MDA-MB-468 cells for 48 hours. TG100-115 significantly inhibited cell migration and invasion^[6].

In vivo, in a ConA-induced acute hepatitis model in BALB/C mice (6-8 weeks old), TG100-115 (10mg/kg or 50mg/kg; single injection) was administered intraperitoneally 0.5 hours after intravenous

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injection of ConA (15mg/kg). TG100-115 exacerbated liver injury, increased serum transaminase activity, liver necrosis, inflammatory cell infiltration, hepatocyte apoptosis, and mortality, and increased serum IL-2 levels^[7]. In a neonatal hypoxic-ischemic brain injury model in P7 CD1 mice, TG100-115 (1-5mg/kg) was administered intraperitoneally 30 minutes before or 1-3 hours after hypoxic-ischemic brain injury (HIBI). TG100-115 significantly reduced brain infarct volume and improved brain morphology and functional recovery in mice^[8].

References:

- [1] Doukas J, Wrasidlo W, Noronha G, et al. Phosphoinositide 3-kinase gamma/delta inhibition limits infarct size after myocardial ischemia/reperfusion injury. *Proc Natl Acad Sci U S A*. 2006 Dec 26;103(52):19866-71.
- [2] Cives-Losada C, Macias RIR, Lozano E, et al. Pharmacological strategies to enhance the response of hepatoblastoma to chemotherapy through MDR1 inhibition. *Acta Pharmacol Sin*. 2026 Apr 23.
- [3] Doukas J, Wrasidlo W, Noronha G, et al. Isoform-selective PI3K inhibitors as novel therapeutics for the treatment of acute myocardial infarction. *Biochem Soc Trans*. 2007 Apr;35(Pt 2):204-6.
- [4] Nadolni W, Immler R, Hoelting K, et al. TRPM7 Kinase Is Essential for Neutrophil Recruitment and Function via Regulation of Akt/mTOR Signaling. *Front Immunol*. 2021 Feb 15;11:606893.
- [5] Kaneda MM, Cappello P, Nguyen AV, et al. Macrophage PI3Kγ Drives Pancreatic Ductal Adenocarcinoma Progression. *Cancer Discov*. 2016 Aug;6(8):870-85.
- [6] Song C, Choi S, Oh KB, et al. Suppression of TRPM7 enhances TRAIL-induced apoptosis in triple-negative breast cancer cells. *J Cell Physiol*. 2020 Dec;235(12):10037-10050.
- [7] 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*. 2017 Apr;1861(4):947-957.
- [8] Liu Y, Xiong L, Chang Y, et al. Phosphoinositide 3-kinase δ/γ inhibition does not prevent concanavalin A-induced hepatitis. *Mol Med Rep*. 2013 Nov;8(5):1305-10.

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