

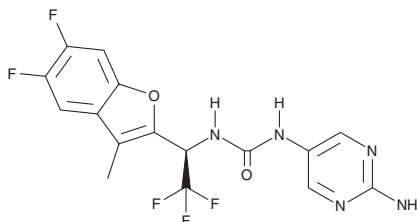
PRODUCT INFORMATION



Tersolisib

Item No. 44458

CAS Registry No.: 2883540-92-7
Formal Name: N-(2-amino-5-pyrimidinyl)-N'-[(1R)-1-(5,7-difluoro-3-methyl-2-benzofuranyl)-2,2,2-trifluoroethyl]-urea
Synonym: STX-478
MF: C₁₆H₁₂F₅N₅O₂
FW: 401.3
Purity: ≥98%
Supplied as: A 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

Tersolisib is supplied as a solid. A stock solution may be made by dissolving the tersolisib in the solvent of choice, which should be purged with an inert gas. Tersolisib is sparingly soluble (1-10 mg/ml) in ethanol and DMSO.

Description

Tersolisib is an allosteric inhibitor of kinase-domain mutant forms of PI3Kα.¹ It inhibits PI3Kα containing mutations at the histidine in position 1047, including PI3Kα^{H1047R}, the methionine in position 1043, including PI3Kα^{M1043I}, and the glycine in position 1049, including PI3Kα^{G1049R}. It is selective for PI3Kα containing the kinase-domain mutation H1047R (PI3Kα^{H1047R}) over wild-type PI3Kα and PI3Kα containing the helical-domain mutations E542K (PI3Kα^{E542K}) or E545K (PI3Kα^{E545K}; IC₅₀s = 9.4, 131, 113, and 71 nM, respectively). It is also selective for PI3Kα^{H1047R} over 372 kinases in a kinome screen, but does inhibit Aurora B kinase, at 10 μM. Tersolisib selectively inhibits the proliferation of a variety of cancer cell lines containing PI3Kα^{H1047R} (IC₅₀s = 15-211 nM) over SK-BR-3 cells containing wild-type PI3Kα (IC₅₀ = 319 nM). It reduces tumor growth in GP2d colon, NCI-H1048 small cell lung, Detroit 562 head and neck squamous cell, and HCC1954 hormone receptor-negative, HER2-positive (HR⁻HER2⁺) breast cancer mouse xenograft models when administered at a dose of 100 mg/kg once per day.

Reference

1. Buckbinder, L., St Jean, D.J., Jr., Tieu, T., *et al.* STX-478, a mutant-selective, allosteric PI3Kα inhibitor spares metabolic dysfunction and improves therapeutic response in PI3Kα-mutant xenografts. *Cancer Discov.* **13**(11), 2432-2447 (2023).

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, 10/17/2025

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