

PRODUCT INFORMATION



Werner Syndrome RecQ Helicase-IN-1

Item No. 41596

CAS Registry No.: 2869954-34-5

Formal Name: N-[2-chloro-4-(trifluoromethyl)phenyl]-2-(3,6-dihydro-2H-pyran-4-yl)-5-ethyl-6-[4-[(5-hydroxy-6-methyl-4-pyrimidinyl)carbonyl]-1-piperazinyl]-7-oxo-[1,2,4]triazolo[1,5-a]pyrimidine-4(7H)-acetamide

Synonyms: HRO761, Werner Syndrome RecQ Helicase Inhibitor 1, WS RecQ Helicase-IN-1, WS RecQ Helicase Inhibitor 1

MF: C₃₁H₃₁ClF₃N₉O₅

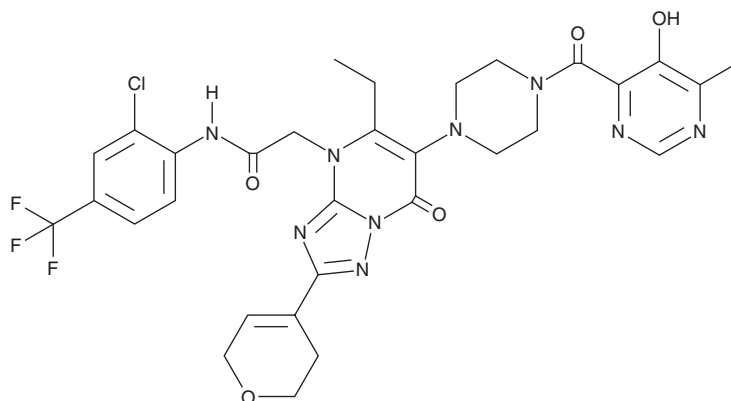
FW: 702.1

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

Werner syndrome RecQ helicase-IN-1 is supplied as a solid. A stock solution may be made by dissolving the Werner syndrome RecQ helicase-IN-1 in the solvent of choice, which should be purged with an inert gas. Werner syndrome RecQ helicase-IN-1 is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

Werner syndrome RecQ helicase-IN-1 is an inhibitor of the DNA helicase Werner syndrome protein (WRN; IC₅₀ = 100 nM in an enzyme activity assay).¹ It selectively inhibits WRN over the DNA helicases Bloom syndrome protein (BLM), RecQ1, and RecQ5 (IC₅₀s = >100 μM for all in enzyme activity assays) and the growth of SW480 colorectal cancer cells with unstable microsatellites over CAL-33 tongue squamous cell carcinoma cells with stable microsatellites (GI₅₀s = 0.04 and >10 μM, respectively). Werner syndrome RecQ helicase-IN-1 (0.75-10 μM) induces proteosomal degradation of WRN in RKO colon cancer cells. It induces cell cycle arrest at the G₂ phase in HCT116 colorectal cancer cells when used at a concentration of 10 μM. Werner syndrome RecQ helicase-IN-1 (1 or 5 μM) increases the levels of γ histone H2AX (γH2AX) and p21 and the levels of phosphorylated ataxia-telangiectasia and Rad3-related protein/kinase (ATR), checkpoint kinase 2 (Chk2), and ataxia mutated kinase (ATM), markers of DNA damage, in HCT116 and LS411N colorectal cancer cells. *In vivo*, Werner syndrome RecQ helicase-IN-1 (40, 60, or 120 mg/kg per day) decreases tumor volume without reducing body weight in an SW480 mouse xenograft model.

Reference

1. Ferretti, S., Hamon, J., de Kanter, R., *et al.* Discovery of WRN inhibitor HRO761 with synthetic lethality in MSI cancers. *Nature* **629**(8011), 443-449 (2024).

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.

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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