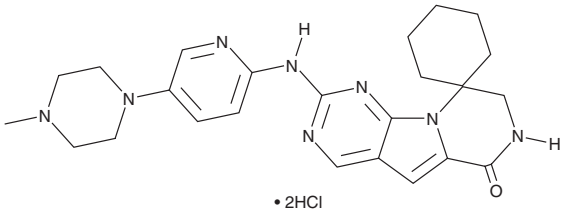
PRODUCT INFORMATION

Trilaciclib (hydrochloride)

Item No. 37297

CAS Registry No.:	1977495-97-8
Formal Name:	7′,8′-dihydro-2′-[[5-(4-methyl-1-piperazinyl)-2-pyridinyl]amino]-spiro[cyclohexane-1,9′(6′H)-pyrazino[1′,2′:1,5]pyrrolo[2,3-d]pyrimidin]-6′-one, dihydrochloride
Synonym:	G1T28
MF:	$C_{24}H_{30}N_8O \bullet 2HCl$
FW:	519.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 277, 366 nm
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

Trilaciclib (hydrochloride) is supplied as a solid. Aqueous solutions of trilaciclib (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. Trilaciclib (hydrochloride) is slightly solube in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day. It is also slightly soluble in ethanol.

Description

Trilaciclib is an inhibitor of cyclin-dependent kinase 4 (Cdk4)/cyclin D1 and Cdk6/cyclin D3 (IC_{50}s = 1 and 4 nM, respectively).[1] It is selective for Cdk4/cyclin D1 and Cdk6/cyclin D3 over Cdk2/cyclin A, Cdk2/cyclin E, Cdk5/p25, Cdk5/p35, Cdk7/cyclin H/Mat1, and Cdk9/cyclin T (IC_{50}s = 1,290, 2,510, 1,710, 1,240, 4,640, and 50 nM, respectively). It halts the cell cycle at the G_1 phase in Cdk4/6-dependent Hs68 cells (EC_{50} = 30 nM) but not Cdk4/6-independent A2058 cells. Trilaciclib (10-1,000 nM) prevents DNA damage and apoptosis induced by the DNA enzyme topoisomerase I inhibitor camptothecin (Item No. 11694) in Hs68 cells used as a model of Cdk4/6-dependent hematopoietic stem and progenitor cells (HSPCs). It induces reversible cell cycle arrest of HSPCs in mice when administered at doses of 50, 100, or 150 mg/kg and prevents apoptosis of bone marrow cells induced by etoposide (Item No. 12092) in mice at 100 mg/kg. Trilaciclib (150 mg/kg) also prevents myelosuppression induced by 5-fluorouracil (5-FU; Item No. 14416) in mice. Formulations containing trilaciclib have been used in the treatment of late-stage small cell lung cancer to decrease the incidence of chemotherapy-induced myelosuppression.

Reference

1. Bisi, J.E., Sorrentino, J.A., Roberts, P.J., *et al.* Preclinical characterization of G1T28: A novel CDK4/6 inhibitor for reduction of chemotherapy-induced myelosuppression. *Mol. Cancer Ther.* **15(5)**, 783-793 (2016).

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