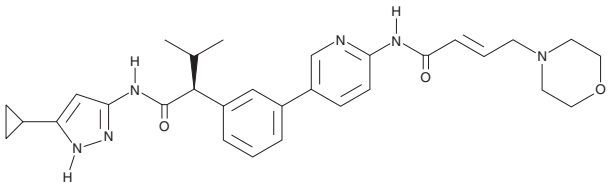
PRODUCT INFORMATION

Tacaciclib

Item No. 46378

CAS Registry No.: 2768774-66-7
Formal Name: N-(5-cyclopropyl-1H-pyrazol-3-yl)-αS-(1-methyl ethyl)-3-[6-[[(2E)-4-(4-morpholinyl)-1-oxo-2-buten-1-yl]amino]-3 -pyridinyl]-benzeneacetamide
Synonym: XL-102
MF: $C_{30}H_{36}N_6O_3$
FW: 528.7
Purity: ≥95%
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

Tacaciclib is supplied as a solid. A stock solution may be made by dissolving the tacaciclib in the solvent of choice, which should be purged with an inert gas. Tacaciclib is slightly soluble (0.1-1 mg/ml) in DMSO and a 1:1 solution of acetonitrile:water.

Description

Tacaciclib is a covalent inhibitor of cyclin-dependent kinase 7 (Cdk7; IC_{50}s = 12, 5, and 0.9 nM after 20, 60, and 180 minutes, respectively).[1] It reduces the viability of myeloid blasts isolated from patients with primary or relapsed/refractory acute myeloid leukemia (AML; mean IC_{50}s = 0.33 and 0.3 µM, respectively). Tacaciclib reduces the levels of c-Myc and increases the levels of p53 and p21 in AML cell lines and acts synergistically with venetoclax (ABT-199; Item No. 16233) to reduce the viability of venetoclax-resistant MOLM-13 cells. It induces cell cycle arrest at the G_1 or G_2/M phase in MOLM-13 cells at 0.5 or 2 µM, respectively. Tacaciclib (60 mg/kg) reduces the percentage of human $CD45^+$ cells in the bone marrow, indicating reduced leukemia cell burden, and increases survival in an orthotopic MOLM-13 mouse xenograft model.

Reference

1. Gaur, T., Poddutoori, R., Khare, L., *et al.* Novel covalent CDK7 inhibitor potently induces apoptosis in acute myeloid leukemia and synergizes with Venetoclax. *J. Exp. Clin. Cancer Res.* **42(1),** 186 (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
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