

PRODUCT INFORMATION

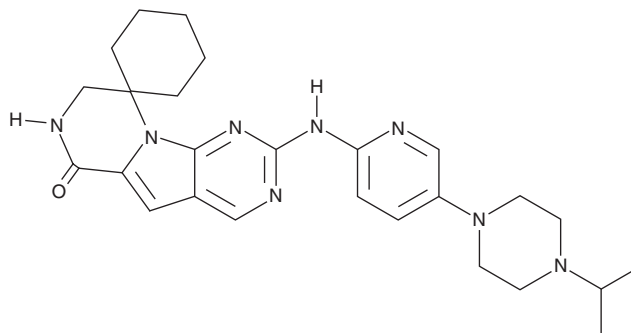


Lerociclib

Item No. 44231

CAS Registry No.: 1628256-23-4
Formal Name: 7',8'-dihydro-2'-[[5-[4-(1-methylethyl)-1-piperazinyl]-2-pyridinyl]amino]-spiro[cyclohexane-1,9'(6'H)-pyrazino[1',2':1,5]pyrrolo[2,3-d]pyrimidin]-6'-one

Synonym: G1T38
MF: C₂₆H₃₄N₈O
FW: 474.6
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

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

Description

Lerociclib is an inhibitor of cyclin-dependent kinase 4 (Cdk4) and Cdk6 (IC₅₀s = 1 and 2 nM for Cdk4/cyclin D1 and Cdk6/cyclin D3, respectively).¹ It is selective for Cdk4 and Cdk6 over seven related Cdk, including Cdk9/cyclin T, Cdk5/p25, and Cdk1/cyclin B1 (IC₅₀s = 28, 1,200, and 2,400 nM, respectively). Lerociclib induces cell cycle arrest at the G₁ phase in WM266-4 melanoma cells (EC₅₀ = ~20 nM). It selectively inhibits the proliferation of a variety of cancer cells expressing retinoblastoma protein (Rb) over non-Rb-expressing cancer cells (EC₅₀s = 23-784 and 2,691->10,000 nM, respectively). Lerociclib reduces intratumoral levels of phosphorylated Rb (pRb) in an MCF-7 mouse xenograft model when administered as a single dose of 100 mg/kg and inhibits tumor growth in the same model at doses ranging from 10 to 100 mg/kg in the diet for 28 days.

Reference

1. Bisi, J.E., Sorrentino, J.A., Jordan, J.L., *et al.* Preclinical development of G1T38: A novel, potent and selective inhibitor of cyclin dependent kinases 4/6 for use as an oral antineoplastic in patients with CDK4/6 sensitive tumors. *Oncotarget*. **8(26)**, 42343-42358 (2017).

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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