

PRODUCT INFORMATION

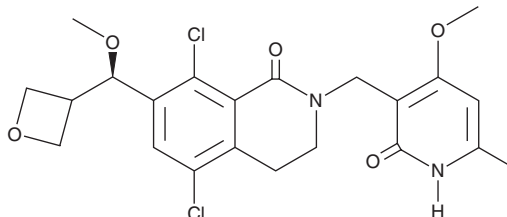


PF-06821497

Item No. 42500

CAS Registry No.: 1844849-10-0
Formal Name: 5,8-dichloro-2-[(1,2-dihydro-4-methoxy-6-methyl-2-oxo-3-pyridinyl)methyl]-3,4-dihydro-7-[(R)-methoxy-3-oxetanylmethyl]-1(2H)-isoquinolinone

Synonym: Mevrometostat
MF: C₂₂H₂₄Cl₂N₂O₅
FW: 467.3
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

PF-06821497 is supplied as a solid. A stock solution may be made by dissolving the PF-06821497 in the solvent of choice, which should be purged with an inert gas. PF-06821497 is slightly soluble (0.1-1 mg/ml) in organic solvents such as acetonitrile and DMSO. It is also slightly soluble (0.1-1 mg/ml) in water. We do not recommend storing the aqueous solution for more than one day.

Description

PF-06821497 is an enhancer of zeste homolog 2 (EZH2) inhibitor ($K_i = <0.1$ nM for EZH2^{Y641N}).¹ It is selective for EZH2 over a panel of 14 methyltransferases, 40 kinases, and 79 additional targets at 10 μ M but does not bind to EZH1 ($K_i = 70$ nM). PF-06821497 reduces trimethylation of lysine 27 on histone H3 (H3K27Me3) in, and the proliferation of, Karpas-422 non-Hodgkin lymphoma cells, which endogenously express EZH2^{Y641N} (IC_{50} s = 4 and 6 nM, respectively). *In vivo*, PF-06821497 (100 mg/kg, s.c.) induces tumor regression in a Karpas-422 mouse xenograft model.

Reference

1. Kung, P.-P., Bingham, P., Brooun, A., *et al.* Optimization of orally bioavailable enhancer of zeste homolog 2 (EZH2) inhibitors using ligand and property-based design strategies: Identification of development candidate (R)-5,8-dichloro-7-(methoxy(oxetan-3-yl)methyl)-2-((4-methoxy-6-methyl-2-oxo-1,2-dihydropyridin-3-yl)methyl)-3,4-dihydroisoquinolin-1(2H)-one (PF-06821497). *J. Med. Chem.* **61**(3), 650-665 (2018).

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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