

PRODUCT INFORMATION

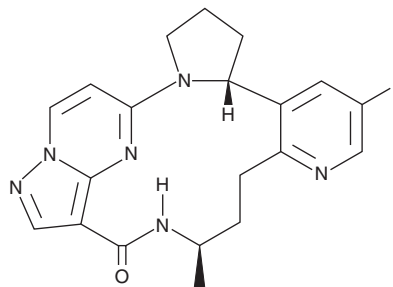


LOXO-195

Item No. 27062

CAS Registry No.: 2097002-61-2
Formal Name: (3aR,10R)-5-fluoro-1,2,3,3a,8,9,10,11-octahydro-10-methyl-12H-15,17-ethenopyrazolo[3,4-d]pyrido[2,3-k]pyrrolo[2,1-m][1,3,7]triazacyclotridecin-12-one

Synonym: Selitrectinib
MF: C₂₀H₂₁FN₆O
FW: 380.4
Purity: ≥98%
UV/Vis.: λ_{max}: 228, 265 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LOXO-195 is supplied as a crystalline solid. A stock solution may be made by dissolving the LOXO-195 in the solvent of choice. LOXO-195 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of LOXO-195 in these solvents is approximately 3, 5, and 12 mg/ml, respectively.

Description

LOXO-195 is an inhibitor of the receptor tyrosine kinases TrkA and TrkC (IC₅₀s = 0.6 and <2.5 nM, respectively, in kinase assays).¹ It also inhibits several Trk kinase mutants, including TrkA^{G595R}, TrkA^{G667C}, TrkC^{G623R}, and TrkC^{G696A} (IC₅₀s = 2, 9.8, 2.3, and <2.5 nM, respectively). LOXO-195 binds to the kinase domain of TrkB with an IC₅₀ value of 1.9 nM. It selectively inhibits proliferation of Trk fusion-positive K12, CUTO-3, and MO-91 cell lines (IC₅₀s = ≤5 nM) over Trk fusion-negative cell lines when used at concentrations up to 10 μM. LOXO-195 (≥30 mg/kg) reduces tumor growth in TrkA-dependent KM12, as well as NIH 3T3 ΔTrkA, ΔTrkA + TrkA^{G595R}, and ΔTrkA + TrkA^{G667C} mouse xenograft models.

Reference

1. Drilon, A., Nagasubramanian, R., Blake, J.F., *et al.* A next-generation TRK kinase inhibitor overcomes acquired resistance to prior TRK kinase inhibition in patients with TRK fusion-positive solid tumors. *Cancer Discov.* **7**(9), 963-972 (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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