

PRODUCT INFORMATION



Limertinib

Item No. 45141

CAS Registry No.: 1934259-00-3
Formal Name: N-[5-[[5-chloro-4-(2-naphthalenylamino)-2-pyrimidinyl]amino]-2-[[2-(dimethylamino)ethyl]methylamino]-4-methoxyphenyl]-2-propanamide

Synonym: ASK120067
MF: C₂₉H₃₂ClN₇O₂

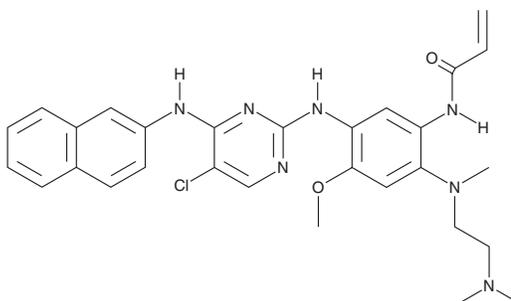
FW: 546.1

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

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

Description

Limertinib is a covalent inhibitor of mutant EGFR.¹ It is selective for EGFR^{L858R/T790M}, EGFR^{T790M}, and EGFR containing an exon 19 deletion (IC₅₀s = 0.3, 0.5, and 0.5 nM, respectively) over wild-type EGFR (IC₅₀ = 6 nM) and a panel of 258 kinases at 100 nM. Limertinib also inhibits Bruton's tyrosine kinase (BTK) and IL-2-inducible T cell kinase (Itk; IC₅₀s = 2.1 and 0.4 nM, respectively).² It selectively inhibits the proliferation of H1975, PC-9, and HCC827 cancer cells, which express mutant EGFRs (IC₅₀s = 12, 6, and 2 nM, respectively), over A431, LoVo, and A549 cancer cells, which express wild-type EGFR (IC₅₀s = 388, 1,916, and 1,541 nM, respectively). Limertinib (1 mg/kg) induces tumor regression in an H1975 non-small cell lung cancer (NSCLC) mouse xenograft model.¹ Formulations containing limertinib have been used in the treatment of NSCLC.

References

1. Zhang, T., Qu, R., Chan, S., *et al.* Discovery of a novel third-generation EGFR inhibitor and identification of a potential combination strategy to overcome resistance. *Mol. Cancer* **19**(1), 90 (2020).
2. Song, P., Bai, G., Chan, S., *et al.* ASK120067 potently suppresses B-cell or T-cell malignancies *in vitro* and *in vivo* by inhibiting BTK and ITK. *Front. Pharmacol.* **13**, 1071114 (2022).

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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