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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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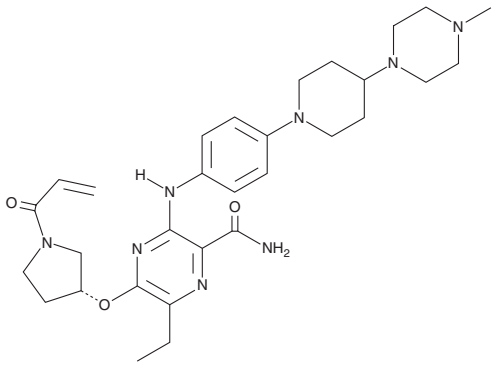
PRODUCT INFORMATION

Naquotinib

Item No. 23498

CAS Registry No.:	1448232-80-1
Formal Name:	6-ethyl-3-[[4-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]phenyl]amino]-5-[[(3R)-1-(1-oxo-2-propen-1-yl)-3-pyrrolidinyl]oxy]-2-pyrazinecarboxamide
MF:	$C_{30}H_{42}N_8O_3$
FW:	562.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 308 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

Naquotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the naquotinib in the solvent of choice. Naquotinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of naquotinib in ethanol and DMF is approximately 30 mg/ml and approximately 2 mg/ml in DMSO.

Description

Naquotinib is an irreversible inhibitor of mutant EGF receptors (EGFRs).[1] It inhibits proliferation of Ba/F3 cells expressing various EGFR mutations, including deletion of exon 19, deletion of exon 19 plus a T790M mutation, L858R, and L858R plus the T790M mutation (IC_{50}s = 9, 10, 11, and 9 nM, respectively). It is selective for these mutations over the triple mutations that include exon 19 deletion plus T790M and C797S and L858R plus T790M and C797S and over wild-type EGFR (IC_{50}s = 235, 1,994, and 830 nM, respectively). It reduces phosphorylated levels of EGFR, AKT, and ERK and decreases cell viability in non-small cell lung cancer (NSCLC) cells and in Ba/F3 cells carrying an exon 20 insertion mutation. Naquotinib (50 mg/kg per day) also reduces tumor growth in a PC-9/NaqRc2 mouse xenograft model.[2]

References

1. Hirano, T., Yasuda, H., Hamamoto, J., *et al*. Pharmacological and structural characterizations of naquotinib, a novel third-generation EGFR tyrosine kinase inhibitor, in EGFR-mutated non-small cell lung cancer. *Mol. Cancer Ther.* **17(4)**, 740-750 (2018).
2. Ninomiya, K., Ohashi, K., Makimoto, G., *et al*. MET or NRAS amplification is an acquired resistance mechanism to the third-generation EGFR inhibitor naquotinib. *Sci. Rep.* **8(1)**, 1955 (2018).

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