
Product Data Sheet

Product Name: Naquotinib (ASP8273)

Cat. No.: GC33022

Chemical Properties

Cas. No. 1448232-80-1

SMILES O=C(C1=NC(CC)=C(O[C@H]2CN(C(C=C)=O)CC2)N=C1NC3=CC=C(N4CCC(N5CCN(C)CC5)CC4)C=C3)NFormula C₃₀H₄₂N₈O₃

M.Wt 562.71

Solubility DMF: 30mg/ml,DMSO: 2 mg/ml,Ethanol: 30mg/ml

Storage Store at -20°C

General For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon Condition request.

Structure

Background

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 (IC₅₀s = 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 (IC₅₀s = 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

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 cancerMol. 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 naquotinibSci. Rep.8(1)1955(2018)

Caution: Product has not been fully validated for medical applications. For research use only.

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