

Product Data Sheet

Product Name: Gefitinib-d6

Cat. No.: GC47395

Chemical Properties

Cas. No. 1228664-49-0

SMILES COC1=CC2=C(C(NC3=CC=C(F)C(Cl)=C3)=NC=N2)C=C1OC([2H])([2H])C([2H])([2H])C([2H])([2H])N4CCOCC4

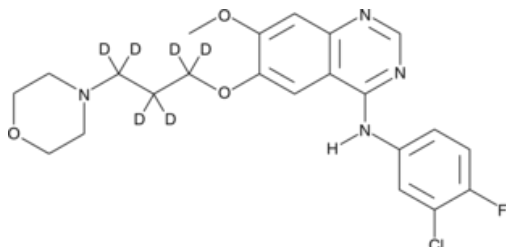
Formula C₂₂H₁₈ClD₆FN₄O₃ M.Wt 452.9

Solubility DMF: 20 mg/ml, DMSO: 20 mg/ml, DMSO:PBS (pH 7.2) (1:1): 0.5 mg/ml, Ethanol: 0.3 mg/ml
Storage Store at -20°C

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

Structure



Background

Gefitinib-d₆ is intended for use as an internal standard for the quantification of gefitinib by GC- or LC-MS. Gefitinib is a selective EGFR-TK inhibitor that blocks the growth of GEO colon cancer, ZR-75-1 and MCF-10A Ha-ras breast cancer, and OVCAR-3 ovarian cancer cell lines with IC₅₀s ranging between 0.2-0.4 μM.¹ By interfering with the intracellular kinase domain, gefitinib prevents EGFR autophosphorylation and prevents downstream signal transduction. Formulations containing gefitinib were previously used to treat advanced (or recurrent) non-small cell lung cancer. However, the FDA retracted its general approval when a phase III trial failed to demonstrate an overall survival benefit.² Formulations containing gefitinib appear to be most efficacious in treating certain EGFR gene

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

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mutations prevalent in Asian populations.^{3,4}

1.Ciardiello, F., Caputo, R., Bianco, R., et al.Antitumor effect and potentiation of cytotoxic drugs activity in human cancer cells by ZD-1839 (Iressa), an epidermal growth factor receptor-selective tyrosine kinase inhibitorClin. Cancer Res.6(5)2053-2063(2000) 2.Gerber, D.E.EGFR inhibition in the treatment of non-small cell lung cancerDrug Dev. Res.69(6)359-372(2008) 3.Yang, C.T., Hung, J.Y., Lai, C.L., et al.Gefitinib as first-line therapy for advanced or metastatic non-small cell lung cancer patients in southern TaiwanKaohsiung J. Med. Sci.26(1)1-7(2010) 4.Uruga, H., Kishi, K., Fujii, T., et al.Efficacy of gefitinib for elderly patients with advanced non-small cell lung cancer harboring epidermal growth factor receptor gene mutations: A retrospective analysisIntern. Med.49(2)103-107(2010)

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