Product Data Sheet

Product Name: Erlotinib D6
Cat. No.: GC60154

Chemical Properties

Cas. No.	1034651-23-4		
SMILES	[2H]C([2H])([2H])OCCOC1=CC2=NC=NC(NC3=CC=CC(C#C)=C3)=C2C=C1OCCOC([2H])([2H])[2H]		
Formula	$C_{22}H_{17}D_6N_3O_4$	M.Wt	399.47
Solubility		Storage	
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Erlotinib D6		

Background

Erlotinib-d_6 (hydrochloride) contains six deuterium atoms located on the methoxy group. It is intended for use as an internal standard for the quantification of erlotinib by GC- or LC-MS. Erlotinib is a tyrosine kinase inhibitor that acts on the epidermal growth factor receptor (EGFR), inhibiting EGFR-associated kinase activity (IC_{50} = 2.5 ?M).[1,2] This inhibits tumor growth in human head and neck carcinoma (HN5) tumor xenografts in mice with an ED_{50} value of 9 mg/kg.[1] Erlotinib also suppresses cyclin-dependent kinase 2 (Cdk2) activity in breast cancer cells (IC_{50} = 4.6 ?M) and JAK2 mutant $JAK2^{V617F}$ (IC_{50} = 5 ?M), which is associated with polycythemia vera, idiopathic myelofibrosis, and essential thrombocythemia.[3,4] Formulations containing erlotinib are used to treat certain forms of cancer, including non-small cell lung cancer.[5,6]

1.Ciardiello, F., and Tortora, G.A novel approach in the treatment of cancer: Targeting the epidermal growth factor receptorClin. Cancer Res.7(10)2958-2970(2001) 2.Greulich,

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H., Chen, T.H., Feng, W., et al.Oncogenic transformation by inhibitor-sensitive and -resistant EGFR mutantsPLoS Med.2(11)(2005) 3.Yamasaki, F., Zhang, D., Bartholomeusz, C., et al.Sensitivity of breast cancer cells to erlotinib depends on cyclin-dependent kinase 2 activityMol. Cancer Ther.6(8)2168-2177(2007) 4.Li, Z., Xu, M., Xing, S., et al.Erlotinib effectively inhibits JAK2V617F activity and polycythemia vera cell growthJ. Biol. Chem.282(6)3428-3432(2007) 5.Herbst, R.S., and Bunn, P.A., Jr.Targeting the epidermal growth factor receptor in non-small cell lung cancerClin. Cancer Res.9(16)5813-5824(2003) 6.Gerber, D.E.EGFR inhibition in the treatment of non-small cell lung cancerDrug Dev. Res.69(6)359-372(2008)

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