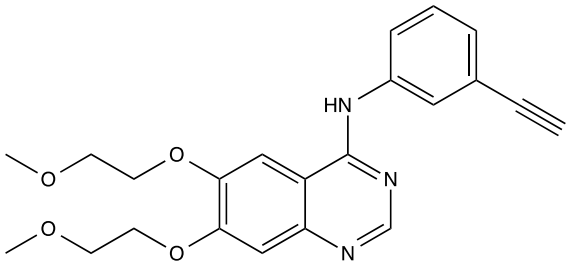
Catalog # 10-2135
Erlotinib HCl

CAS# 183319-69-9

N-(3-Ethynylphenyl)-6,7-bis(2-methoxyethoxy)quinazolin-4-amine hydrochloride

Lot # X101653



Potent inhibitor of EGFR tyrosine kinase (IC_{50} = 2 nM)[1]. Potent inhibitor of mutant JAK2-V617F[2]. Weaker inhibitor of ErbB-2 kinase (K_i = 1 μM) ErbB-4 kinase (K_i = 1.5 μM)[3]. HDAC inhibitors sensitize for erlotinib activity in EGFR-mutated and wildtype non-small cell lung cancer (NSCLC) cells[4]. A clinically effective agent for NSCLC[5].

1) Moyer *et al*. (1997), *Induction of apoptosis and cell cycle arrest by CP-358,774, an inhibitor of epidermal growth factor tyrosine kinases*; Cancer Res, **57** 4838
2) Li *et al*. (2007), *Erlotinib effectively inhibits JAK2V617F activity and polycythemia vera cell growth*; J. Biol. Chem., **282** 3428
3) Wood *et al*. (2004), *A unique structure for epidermal growth factor receptor bound to GW572016 (Lapatinib): relationships among protein conformation, inhibitor off-rate, and receptor activity in tumor cells*; Cancer Res., **64** 6652
4) Greve *et al*. (2015), *The pan-HDAC inhibitor panobinostat acts as a sensitizer for erlotinib activity in EGFR-mutated and –wildtype non-small cell lung cancer cells*; BMC Cancer, **15** 947
5) Minquet *et al*. (2016), *Targeted therapies for treatment of non-small cell lung cancer-Recent advances and future perspectives;* Int. J. Cancer, **138** 2549

PHYSICAL DATA

Molecular Weight:	429.91
Molecular Formula:	$C_{22}H_{23}N_3O_4 \cdot HCl$
Purity:	98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 18 mg/ml with warming)
Physical Description:	White or off-white solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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