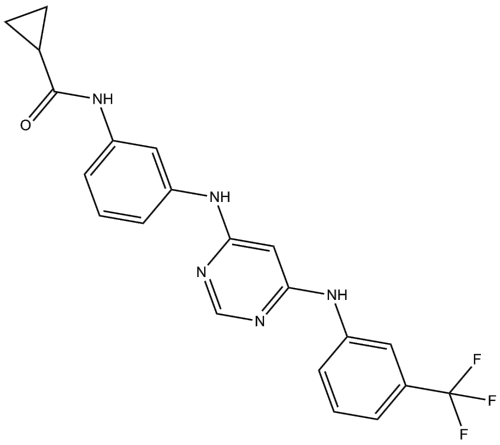
Product Data Sheet

Product Name: EGFR Inhibitor
Cat. No.: GC11312

Chemical Properties

Cas. No.	879127-07-8		
Chemical Name	N-[3-[[6-[[3-(trifluoromethyl)phenyl]amino]-4-pyrimidinyl]amino]phenyl]-cyclopropanecarboxamide		
SMILES	O=C(C1CC1)NC2=CC=CC(NC3=CC(NC4=CC(C(F)(F)F)=CC=C4)=NC=N3)=C2		
Formula	$C_{21}H_{18}F_3N_5O$	M.Wt	413.4
Solubility	≤0.2mg/ml in ethanol;20mg/ml in DMSO;25mg/ml in dimethyl formamide	Storage	Store at -20°C
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			

Background

EGFR inhibitor is a cell permeable, pyrimidine compound that selectively inhibits the EGFR kinase with IC50 value of 21 nM [1].

EGFR is a transmembrane protein, and is a receptor for members of epidermal growth factor family.

Caution: Product has not been fully validated for medical applications. For research use only.
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The binding of EGFR with its ligands induces receptor dimerization and tyrosine autophosphorylation, and leads to cell proliferation. The overactivity of EGFR tyrosine kinases is associated with a number of cancers, inhibition of EGFR can downregulate antiapoptotic proteins such as surviving and upregulate proapoptotic proteins such as Bim. Thus, EGFR inhibitor can induce cell apoptosis, resulting in anti-tumor effectivity [2].

EGFR inhibitor inhibited EGFR kinase in vitro and blocks receptor autophosphorylation in cells. EGFR inhibitor also inhibited L858R and L861Q EGFR mutants with IC50 values of 63 and 4 nM, respectively [1].

References:

1. Q. Zhang, Y. Liu, F. Gao, et al. Discovery of EGFR selective 4,6-disubstituted pyrimidines from a combinatorial kinase-directed heterocycle library. Journal of the American Chemical Society 128(7), 2182-2183 (2006).
2. F. Ciardiello, G. Tortora. EGFR antagonists in cancer treatment. New England Journal of Medicine 358(11), 1160-1174 (2008).

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