Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Pelitinib

Catalog No: tcsc0037

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
257933-82-7

Formula:
$C_{24}H_{23}ClFN_5O_2$

Pathway:
Protein Tyrosine Kinase/RTK;JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:
Src;EGFR;EGFR

Purity / Grade:
>98%

Solubility:
DMSO : 16 mg/mL (34.19 mM; Need ultrasonic and warming)

Alternative Names:
EKB-569;WAY-EKB 569

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Observed Molecular Weight:
467.92

Product Description

Pelitinib (EKB-569;WAY-EKB 569) is an irreversible inhibitor of **EGFR** with an **IC_{50}** of 38.5 nM; also slightly inhibits Src, MEK/ERK and ErbB2 with **IC_{50}**s of 282, 800, and 1255 nM, respectively.

IC50 & Target: IC50: 38.5 nM (EGFR), 282 nM (Src), 800 nM (MEK/ERK), 1255 nM (ErbB2)[1]

In Vitro: Pelitini has much greater inhibitory activity against the EGFR kinase than against Src, MEK/ERK, Cdk4, c-Met, Raf and ErbB2, for example, the IC_{50} for EGFR is 32-fold lower than the IC_{50} for the closely related ErbB2. Pelitinib results in a dramatic reduction in EGFR phosphorylation but no change in the total amount of EGFR protein. It requires at least 10-fold more drug to equivalently inhibit ErbB2 phosphorylation in similar assays, and EKB-569 does not block phosphorylation of another receptor tyrosine kinase (c-Met) assessed in the same manner[1]. EKB-569 is a potent inhibitor of proliferation in NHEK, A431, and MDA-468 cells (IC_{50}=61, 125, and 260 nM, respectively) but not MCF-7 cells (IC_{50}=3600 nM). EKB-569 is also a potent inhibitor of EGF-induced phosphorylated EGF-R (pEGF-R) in A431 and NHEK cells (IC_{50}=20-80 nM)[1].

In Vivo: A single oral dose of 10 mg/kg EKB-569 inhibits EGFR phosphorylation in A431 xenografts within 60 minutes. Twenty-four hours later, EGFR activity is still inhibited by over 50% by this single oral dose. The half-life of EKB-569 in mouse plasma is about 2 hours[1].