

# 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

### Observed Molecular Weight:

467.92

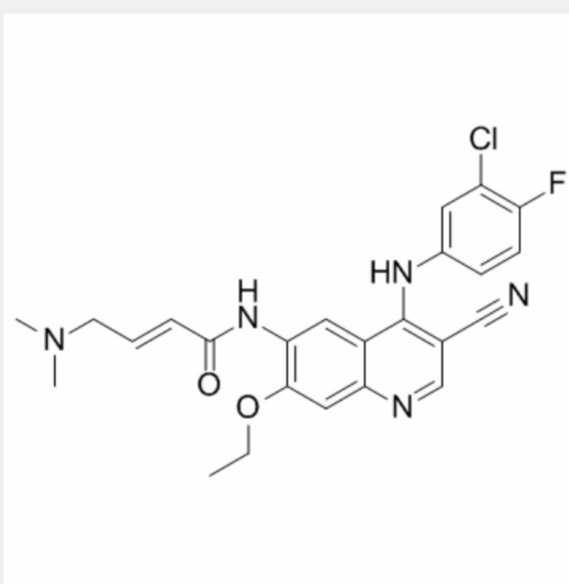
## Product Description

Pelitinib (EKB-569;WAY-EKB 569) is an irreversible inhibitor of **EGFR** with an **IC<sub>50</sub>** of 38.5 nM; also slightly inhibits Src, MEK/ERK and ErbB2 with **IC<sub>50</sub>**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)<sup>[1]</sup>

**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<sub>50</sub> for EGFR is 32-fold lower than the IC<sub>50</sub> 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<sup>[1]</sup>. EKB-569 is a potent inhibitor of proliferation in NHEK, A431, and MDA-468 cells (IC<sub>50</sub>=61, 125, and 260 nM, respectively) but not MCF-7 cells (IC<sub>50</sub>=3600 nM). EKB-569 is also a potent inhibitor of EGF-induced phosphorylated EGF-R (pEGF-R) in A431 and NHEK cells (IC<sub>50</sub>=20-80 nM)<sup>[1]</sup>.

**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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!