For Research Use Only

# Bosutinib

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## Catalog Number: CM00499

### 产品信息

**Catalog Number:**
CM00499

**CAS号:**
380843-75-4

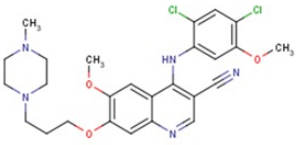
**分子式:**
$C_{26}H_{29}Cl_2N_5O_3$

**主要靶点:**
Autophagy|Bcr-Abl|Src

**主要通路:**
蛋白酪氨酸激酶|细胞骨架|血管生成|自噬

**分子量:**
530.45

**溶解度:**
DMSO:53.1 mg/mL (100 mM),Ethanol:13.3 mg/mL (25 mM)



### 靶点活性

Abl:1 nM (cell free)|Src:1.2 nM (cell free)

### 体外活性

Bosutinib has the antiproliferative activity against three different Bcr-Abl-positive leukemia cell lines (KU812, K562, and MEG-01). Bosutinib inhibited the proliferation of all three cell lines, with IC50s ranging from 5 nM in the KU812 line to 20 nM for the K562 and MEG-01 cell lines. Inhibition of proliferation by Bosutinib is associated with cell cycle arrest and cell death. Treatment with Bosutinib at 100 nM for 24 h (KU812) or 48 h (K562) resulted in a reduction of S and G2-M phase cells and an increase of cells with a DNA content of less than 2N. Treatment with Bosutinib at 100 nm also led to PARP degradation after 48 h. The potent antiproliferative activity of Bosutinib against CML lines was not a general property for leukemia cell lines. Molt-4, HL-60, Ramos, and other leukemia cell lines were unaffected by Bosutinib at concentrations less than 1 μM [2].

### 体内活性

Bosutinib (30/25 mg/kg, b.i.d) reduces tumor growth in unstaged and staged Src-transformed fibroblast mouse xenograft models. Bosutinib (100 mg/kg) also induces complete tumor regression in a K562 mouse xenograft model when administered once per day for five days [2].

### 动物实验

K562 cells were suspended to 50 million cells/ml in Matrigel (1 volume of cells with 1 volume of cold Matrigel). Nude female mice 6–7 weeks of age were given injections of 0.2 ml of this suspension. Tumors were staged for 10 days, at which time they entered the growth phase. At this time, the compound was administered by oral gavage in a 0.2-ml suspension with 0.5% methocel/0.4% Tween 80 [2].

### 细胞实验

Cells are exposed to various concentrations of Bosutinib for 72 hours. Anchorage-independent proliferation of Abl-MLV-transformed fibroblasts is measured in 96-well ultra-low binding plates treated with Sigmacote to block residual cell attachment. Cell proliferation is measured with MTS or Cell-Glo. For the determination of cell cycle or cell death, cells are prepared for FACS analysis in the CycleTest Plus DNA reagent kit and analyzed on a fluorescence-activated cell sorter flow cytometer [2].

### 描述

Bosutinib is a synthetic quinolone derivative and dual kinase inhibitor that targets both Abl (IC50: 1 nM) and Src (IC50: 1.2 nM) kinases.

### 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years