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

Lucitanib

Catalog No: tcsc0782

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1058137-23-7

Formula:
$C_{26}H_{25}N_3O_4$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
VEGFR;FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 25 mg/mL (56.37 mM)

Alternative Names:
E-3810

Observed Molecular Weight:
443.49

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Product Description

Lucitanib (E-3810) is a novel dual inhibitor of **VEGFR** and **FGFR**, potently and selectively inhibits **VEGFR1**, **VEGFR2**, **VEGFR3**, **FGFR1** and **FGFR2** with **IC_{50}**s of 7 nM, 25 nM, 10 nM, 17.5 nM, and 82.5 nM, respectively.

IC50 & Target: IC50: 7 nM (VEGFR1), 25 nM (VEGFR2), 10 nM (VEGFR3), 17.5 nM (FGFR1), 82.5 nM (FGFR2), 5 nM (CSF-1R)[1]

In Vitro: Consistent with the inhibitory activity of VEGFR and FGFR auto-phosphorylation, Lucitanib potently inhibits VEGF and bFGF-stimulated HUVEC proliferation with IC_{50} of 40 and 50 nM, respectively. Besides, Lucitanib (E-3810) also inhibits CSF-1R with IC_{50} of 5 nM[1]. Lucitanib potently inhibits FGFR2 activity (K_i=0.11 μM). The K_i values obtained for DDR2, LYN, CARDIAK, CSBP (2), EPHA2, and YES range between 0.26 and 8 μM[2].

In Vivo: Lucitanib (E-3810), at oral dosing of 20 mg/kg for 7 consecutive days, completely inhibits (P[1]. The activity of Lucitanib (E-3810) given at the doses of 15 mg/kg is tested on MDA-MB-231 breast cancer transplanted subcutaneously, at a late stage, when tumor masses reach 350 to 400 mg. This tumor xenograft is very sensitive to Lucitanib (E-3810), with complete tumor stabilization lasting throughout the 30-day treatment. As in other tumor models, tumors re-grow after withdrawal of Lucitanib (E-3810) at a rate similar to control tumors[3].