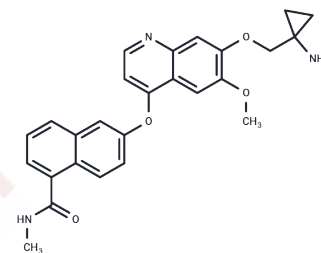


## Lucitanib

## Chemical Properties

CAS No. :	1058137-23-7
Formula:	C <sub>26</sub> H <sub>25</sub> N <sub>3</sub> O <sub>4</sub>
Molecular Weight:	443.49
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Lucitanib (E-3810) is a novel and potent inhibitor of VEGFR and FGFR, selectively targeting VEGFR1, VEGFR2, VEGFR3, FGFR1, and FGFR2 with IC <sub>50</sub> values of 7 nM, 25 nM, 10 nM, 17.5 nM, and 82.5 nM, respectively.
Targets(IC <sub>50</sub> )	FGFR, VEGFR
In vitro	Lucitanib potently inhibits FGFR2 activity (K <sub>i</sub> < 0.05 μM), followed by PDGFRα activity (K <sub>i</sub> = 0.11 μM) and it also potently inhibits VEGF and bFGF-stimulated HUVEC proliferation (IC <sub>50</sub> : 40 and 50 nM, respectively), which consistent with the inhibitory activity of VEGFR and FGFR auto-phosphorylation. Lucitanib (E-3810) also inhibits CSF-1R (IC <sub>50</sub> : 5 nM)[1]. The K <sub>i</sub> values obtained for DDR2, LYN, CARDIAK, CSBP (2), EPHA2, and YES range between 0.26 and 8 μM[2].
In vivo	Lucitanib (20 mg/kg; 7 consecutive days; p.o.) treatment, completely inhibits (P < 0.01) the bFGF induced angiogenic response compare with the response in vehicle-treated mice. E-3810 significantly delays growth during treatment, but tumors resume their growth when treatment is suspended; in a few cases, tumor regression is observed[1]. The activity of Lucitanib 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, with complete tumor stabilization lasting throughout the 30-day treatment. As in other tumor models, tumors re-grow after withdrawal of Lucitanib at a rate similar to control tumors[3]. Lucitanib displays a broad spectrum of activity, being active in all the xenografts tested (HT29 colon carcinoma, A2780 ovarian carcinoma, A498, SN12K1, and RXF393 renal carcinomas). It has dose-dependent inhibition of tumor growth.

## Solubility Information

Solubility	DMSO: 38.5 mg/mL (86.81 mM), Sonication is recommended. ( < 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.51 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may</i>

## A DRUG SCREENING EXPERT

In vivo Formulation	<i>vary and should be modified based on specific experimental conditions.</i>
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2548 mL	11.2742 mL	22.5484 mL
5 mM	0.451 mL	2.2548 mL	4.5097 mL
10 mM	0.2255 mL	1.1274 mL	2.2548 mL
50 mM	0.0451 mL	0.2255 mL	0.451 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Bello E, et al. E-3810 is a potent dual inhibitor of VEGFR and FGFR that exerts antitumor activity in multiple preclinical models. *Cancer Res.* 2011 Feb 15;71(4):1396-405.

Colzani M, et al. Quantitative chemical proteomics identifies novel targets of the anti-cancer multi-kinase inhibitor E-3810. *Mol Cell Proteomics.* 2014 Jun;13(6):1495-509.

Bello E, et al. The tyrosine kinase inhibitor E-3810 combined with paclitaxel inhibits the growth of advanced-stage triple-negative breast cancer xenografts. *Mol Cancer Ther.* 2013 Feb;12(2):131-40

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