eCF506

Cat. No.: HY-112096

CAS No.: 1914078-41-3

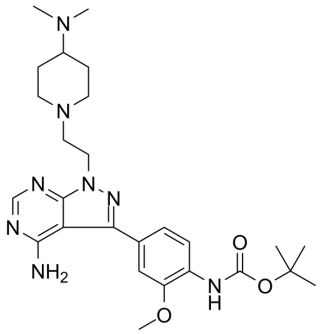
Molecular Formula: $C_{26}H_{38}N_8O_3$

Molecular Weight: 510.63

Target: Src

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (122.40 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9584 mL	9.7918 mL	19.5837 mL
	5 mM	0.3917 mL	1.9584 mL	3.9167 mL
	10 mM	0.1958 mL	0.9792 mL	1.9584 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

eCF506 is a highly potent and orally bioavailable inhibitor of the non-receptor tyrosine kinase Src with an IC_{50} of less than 0.5 nM.

IC_{50} & Target

IC50: less than 0.5 nM (Src)[1]

In Vitro

eCF506 induces a very potent antiproliferative effect in both MCF7 and MDA-MB-231 cells. eCF506 inhibits phosphorylation of SRC and FAK at low nanomolar levels, with complete inhibition observed at 100 nM. eCF506 significantly reduces cell motility at 10 nM as early as 6 h into the study, with equivalent efficacy to dasatinib. eCF506 exclusively inhibits SFK, with

	subnanomolar IC_{50} values against SRC and YES (IC_{50}=0.5, 2.1 nM). It is important to highlight that eCF506 displays a vast difference in activity (>950-fold difference) between ABL and its primary target SRC[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	eCF506 shows a moderate oral bioavailability (25.3%). A significant reduction of phospho-SRC^{Y416} is observed in the xenograft sections from mice treated with eCF506 relative to the untreated animal controls[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	MDA-MB-231 cells are treated with eCF506 or dasatinib (10 nM), and cell migration compared with untreated cell control (DMSO, 0.1%, v/v) at 6, 12, and 24 h. Cells are imaged and analyzed using an IncuCyte-ZOOM microscope with integrated scratch-wound migration software module[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] In vivo PD study is performed in a xenograft model of HCT116 cells in mice. HCT116 cells are injected subcutaneously, and tumors are allowed to grow up to 3-mm in diameter. Subsequently, mice are dosed daily for 3 d with eCF506 (50 mg/kg, in nanopure water) or vehicle (nanopure water) by oral gavage and culled 3 h after the last dose (n=4). Tumors are excised, fixed, and sections labeled for phospho-SRCY416 and stained with hematoxylin[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Clin Invest. 2023 Feb 16;e162324.
- Preprints. 2023 May 15.

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REFERENCES

[1]. Fraser C, et al. Rapid Discovery and Structure-Activity Relationships of Pyrazolopyrimidines That Potently Suppress Breast Cancer Cell Growth via SRC Kinase Inhibition with Exceptional Selectivity over ABL Kinase. J Med Chem. 2016 May 26;59(10):4697-710.

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