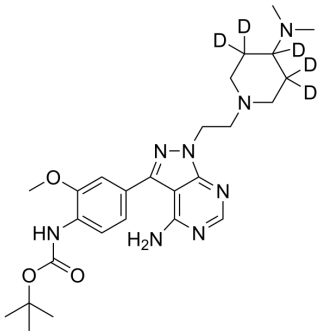
eCF506-d5

Cat. No.:	HY-112096S
Molecular Formula:	$C_{26}H_{33}D_5N_8O_3$
Molecular Weight:	515.66
Target:	Src; Isotope-Labeled Compounds
Pathway:	Protein Tyrosine Kinase/RTK; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	eCF506-d_5 is deuterated labeled eCF506 (HY-112096). 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.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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[2]. 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[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

[2]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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