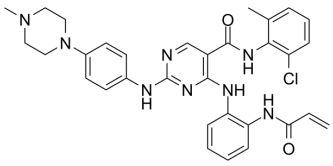
DGY-06-116

Cat. No.:	HY-136605
CAS No.:	2556836-50-9
Molecular Formula:	$C_{32}H_{33}ClN_8O_2$
Molecular Weight:	597.11
Target:	Src
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (418.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6747 mL	8.3737 mL	16.7473 mL
	5 mM	0.3349 mL	1.6747 mL	3.3495 mL
	10 mM	0.1675 mL	0.8374 mL	1.6747 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 (3.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DGY-06-116 is an irreversible covalent, selective Src inhibitor with an IC_{50} of 3nM. DGY-06-116 inhibits FGFR1 with an IC_{50} of 8340 nM[1].

IC_{50} & Target

IC50: 3 nM (Src), 8340 nM (FGFR1)[1]

In Vitro

DGY-06-116 potently inhibits Src kinase activity with an IC_{50} of 2.6 nM at 1 h incubation[2].
DGY-06-116 (Compound 15a; 0.01-10 μM; 72 hours) exhibits potent antiproliferative effects in nonsmall cell lung cancer (NSCLC) and triple negative breast cancer (TNBC) cell lines harboring SRC activation[1].
15a (1 μM; 2 hours) is capable of inducing potent SRC binding and inhibition of SRC signaling in NSCLC cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	H1975 (nonsmall cell lung cancer, NSCLC), HCC827 (NSCLC), and MDA-MB-231 (triple negative breast cancer, TNBC) cell lines
Concentration:	0.01, 0.1, 1, 10 μM
Incubation Time:	72 hours
Result:	Induced strong growth inhibitory effects across all three cell lines with GR_{50} values of 0.3, 0.5, and 0.3 μM for H1975, HCC827, and MDA-MB-231, respectively.

Western Blot Analysis[1]

Cell Line:	H1975 and HCC827 NSCLC cells
Concentration:	1 μM
Incubation Time:	2 hours
Result:	Inhibited p-SRC^{Y416} signaling in both H1975 and HCC827 cells.

In Vivo

DGY-06-116 (Compound 15a; 5 mg/kg for 3 times every 12 h via intraperitoneal injection) is able to inhibit SRC for an extended duration in adult C57B6 mice, likely due to its ability to covalently bind the target[1].
DGY-06-116 exhibits a short half-life and high exposure ($T_{1/2}$=1.29 h, AUC=12 746.25 min·ng/mL) following i.p. administration (5 mg/kg) in B6 mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult C57B6 mice[1]
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection; for 3 times every 12 h
Result:	Led to inhibition of p-SRC^{Y416} at 2 and 4 h postdosing, compared to the vehicle controls. Demonstrated SRC binding and inhibition at both 2 and 4 h postdosing compared to the vehicle controls.

CUSTOMER VALIDATION

- Cell Death Dis. 2022 Dec 27;13(12):1075.

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REFERENCES

[1]. Guangyan Du, et al. Structure-Based Design of a Potent and Selective Covalent Inhibitor for SRC Kinase That Targets a P-Loop Cysteine. J Med Chem. 2020 Feb 27;63(4):1624-1641.

[2]. Deepak Gurbani, et al. Structure and Characterization of a Covalent Inhibitor of Src Kinase. Front Mol Biosci. 2020 May 19;7:81.

Caution: Product has not been fully validated for medical applications. For research use only.

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