DS69910557

Cat. No.: HY-148350

Molecular Formula: $C_{32}H_{33}Cl_2FN_4O_3$

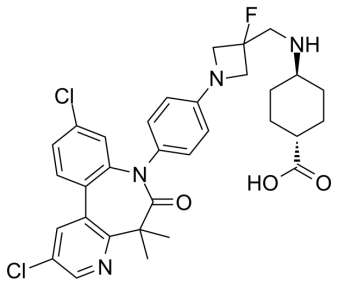
Molecular Weight: 611.53

Target: Thyroid Hormone Receptor

Pathway: Vitamin D Related/Nuclear Receptor

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 : 100 mg/mL (163.52 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.6352 mL	8.1762 mL	16.3524 mL
	5 mM	0.3270 mL	1.6352 mL	3.2705 mL
	10 mM	0.1635 mL	0.8176 mL	1.6352 mL

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

BIOLOGICAL ACTIVITY

Description

DS69910557 is a potent, selective and orally activehuman parathyroid hormone receptor 1 (hPTHR1) antagonist. DS69910557 has antagonistic activity for PTHR1 with an IC_{50} value of 0.08 μM. DS69910557 can be used for the research of hyperparathyroidism, hypercalcemia of malignancy and osteoporosis[1].

IC_{50} & Target

IC50: 0.08 μM (PTHR1)[1].

In Vitro

DS69910557 (compound 19e) has highly potent antagonistic activity for PTHR1 with an IC_{50} value of 0.08 μM[1].
DS69910557 (3 μM) exhibits excellent selectivity against human ether-a-go-go-related-gene (hERG) channel[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

DS69910557 (compound 19e) (p.o.; 5mg/kg) has potency to decrease the plasma calcium concentration in rats in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[1]

Dosage:	5mg/kg
Administration:	PO
Result:	Showed excellent PK profile, high maximum plasma concentration and plasma exposure in rats.

REFERENCES

[1]. Yoshikazu Arai, et al. Lead optimization of pyrido[2,3-d][1]benzazepin-6-one derivatives leading to the discovery of a potent, selective, and orally available human parathyroid hormone receptor 1 (hPTHR1) antagonist (DS69910557). Bioorg Med Chem. 2022 Jun 15;64:116763.

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