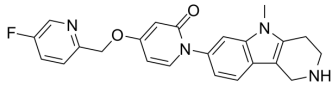
ALB-127158(a)

Cat. No.:	HY-111398
CAS No.:	1173154-32-9
Molecular Formula:	$C_{23}H_{21}FN_4O_2$
Molecular Weight:	404.44
Target:	MCHR1 (GPR24)
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 2 mg/mL (4.95 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4726 mL	12.3628 mL	24.7255 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

ALB-127158(a) is a potent and selective melanin concentrating hormone 1 (MCH_1) receptor antagonist.

IC_{50} & Target

MCH_1 receptor[1]

In Vitro

ALB-127158(a) has high affinity for the MCH_1 receptor (7 nM) with good selectivity over a range of other G-protein coupled receptors (GPCRs), ion channels and transporters, including the MCH_2 receptor. In vitro functional assays confirmed that ALB-127158(a) is a potent and selective MCH_1 receptor antagonist[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In a mouse diet induced obesity (DIO) model, ALB-127158(a) produces a significant sustained decrease in body weight and food intake in the range of 5-15 mg/kg bid. The weight reduction is predominantly due to a decrease in fat content. In high fat diet (HFD) rats, ALB-127158(a) produces significant weight loss and food reduction at doses as low as 1.25 mg/kg po. Doses > 1.25 mg/kg po produces weight loss > 6%, maximal weight loss of about 10% in rats is observed at 10 mg/kg. Following single and multiple oral administration of ALB-127158(a), ALB-127158(a) is rapidly absorbed (median t_{max} attains between 1 and 3 h post dose in lean and overweight/obese subjects) with a trend to decrease over dose suggesting a slower

	absorption rate of ALB-127158(a) at lower doses. After single doses, ALB-127158(a) has a mean half-life ($t_{1/2}$) of 18 to 21 h. Slightly longer mean $t_{1/2}$ estimates of approximately 26 h are obtained following multiple dosing in overweight/obese subjects; steady-state plasma ALB-127158(a) is attained within 6 to 8 days of dosing[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Moore NA, et al. From preclinical to clinical development: the example of a novel treatment for obesity. Neurobiol Dis. 2014 Jan;61:47-54.

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