Proteins

Inhibitors

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

-80°C In solvent 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Solvent Mass 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.

\mathbf{DIO}	ו אכו	~ 1	ACTI	MTM
BIU		U.AI	ACTI'	VIIY

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].

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[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.

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

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