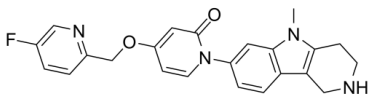
Data Sheet

Product Name:	ALB-127158(a)
Cat. No.:	CS-0040331
CAS No.:	1173154-32-9
Molecular Formula:	C23H21FN4O2
Molecular Weight:	404.44
Target:	MCHR1 (GPR24)
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	Ethanol : 2 mg/mL (4.95 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

ALB-127158(a) is a potent and selective melanin concentrating hormone 1 (**MCH_1**) receptor antagonist. IC50 & 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]. **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].

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.

CAIndexNames:

2(1H)-Pyridinone, 4-[(5-fluoro-2-pyridinyl)methoxy]-1-(2,3,4,5-tetrahydro-5-methyl-1H-pyrido[4,3-b]indol-7-yl)-

SMILES:

O=C1C=C(OCC2=NC=C(F)C=C2)C=CN1C3=CC4=C(C=C3)C(CNCC5)=C5N4C

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

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