碧云天生物技术/Beyotime Biotechnology
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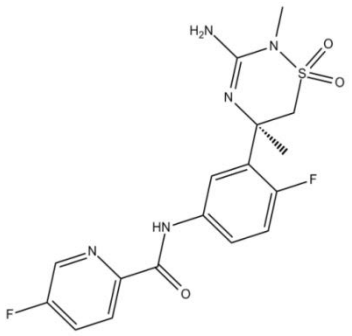
Verubecestat (MK-8931) (BACE1/2抑制剂)

产品编号	产品名称	包装
SF1183-10mM	Verubecestat (MK-8931) (BACE1/2抑制剂)	10mM×0.2ml
SF1183-5mg	Verubecestat (MK-8931) (BACE1/2抑制剂)	5mg
SF1183-25mg	Verubecestat (MK-8931) (BACE1/2抑制剂)	25mg
SF1183-100mg	Verubecestat (MK-8931) (BACE1/2抑制剂)	100mg

产品简介：

➢ **化学信息：**

化学名	(R)-N-(3-(3-amino-2,5-dimethyl-1,1-dioxido-5,6-dihydro-2H-1,2,4-thiadiazin-5-yl)-4-fluorophenyl)-5-fluoropicolinamide
简称	MK-8931, BACE1/2 Inhibitor
别名	Verubecestat, Beta-site APP cleaving enzyme 1/2 Inhibitor
中文名	维罗司他
化学式	$C_{17}H_{17}F_2N_5O_3S$
分子量	409.41
CAS号	1286770-55-5
纯度	>98%
溶剂/溶解度	H_2O insoluble; 11mg/ml in EtOH; ≥40.9 mg/ml in DMSO
溶液配制	5mg加入1.221ml DMSO，或者每4.094mg加入1ml DMSO，配制成10mM溶液。



➢ **生物信息:**

产品描述	Verubecestat (MK-8931) is an orally active, high-affinity BACE1 and BACE2 inhibitor, which effectively reduces Aβ40 and has the potential inhibitory effect for Alzheimer's Disease.				
信号通路	Neural signaling pathway; Neurodegenerative disease; Alzheimer's disease				
靶点	Human BACE1	Mouse BACE1	BACE2	Aβ40	-
Ki	2.2nM	3.4nM	0.38nM	7.8nM	-
体外研究	Verubecestat is a potent inhibitor of both human and mouse BACE1, as well as purified human BACE2. It is also found to be an inhibitor of Ab40, Ab42, and sAPPb in human cells with similar potency. Moreover, verubecestat was essentially inactive with over 45,000-fold selectivity in the purified human aspartyl proteases cathepsin D, cathepsin E, and pepsin and had a very weak inhibitory effect on purified human renin with 15,000-fold selectivity. In addition, verubecestat was also found to have minimal or no activity against various tested receptors, ion channels, transporters, as well as enzymes [1-3].				
体内研究	In both rats and monkeys, verubecestat could reduce plasma, cerebrospinal fluid, and brain concentrations of Aβ40, Aβ42 and sAPPβ after acute and chronic administration. Moreover, the chronic treatment with verubecestat at exposures >40-fold higher than those tested in clinical trials did not cause many of the adverse effects previously reported in BACE inhibition. In rabbits and mice (but not in monkeys), fur hypopigmentation was found [1-3].				
临床实验	Single and multiple doses of verubecestat were generally well tolerated and produced reductions in Aβ40, Aβ42, and sAPPβ in the CSF [1].				
特征	-				

➢ **相关实验数据(此数据来自于公开文献，碧云天并不保证其有效性)：**

酶活性检测实验	
方法	N/A

细胞实验	
细胞系	-

浓度	-
处理时间	-
方法	-

动物实验	
动物模型	Sprague-Dawley (SD) rats
剂量	3 mg/kg (Pharmacokinetic Analysis)
给药方式	IV or oral
结果	Had a $T_{1/2}$ of 1.9 hours, a CL of 46ml/min/kg, a Vss of 5.4L/kg, a C_{max} of 0.27μM and a AUC of 1.1μM·h.

包装清单：

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—	说明书	1份

保存条件：

-20ºC保存，至少一年有效。

注意事项：

- 本产品仅限于专业人员的科学研究用，不得用于临床诊断或治疗，不得用于食品或药品，不得存放于普通住宅内。
- 为了您的安全和健康，请穿实验服并戴一次性手套操作。

使用说明：

1. 收到产品后请立即按照说明书推荐的条件保存。使用前可以在2,000-10,000×g离心数秒，以使液体或粉末充分沉降至管底后再开盖使用。
2. 对于10mM溶液，可直接稀释使用。对于固体，请根据本产品的溶解性及实验目的选择相应溶剂配制成高浓度的储备液(母液)后使用。
3. 具体的最佳工作浓度请参考本说明书中的体外、体内研究结果或其它相关文献，或者根据实验目的，以及所培养的特定细胞和组织，通过实验进行摸索和优化。
4. 不同实验动物依据体表面积的等效剂量转换表请参考如下网页：http://www.beyotime.com/support/animal-dose.htm

参考文献：

1. Kennedy ME, Stamford AW, Chen X, Cox K, Cumming JN, et al. Sci Transl Med. 2016. 8(363):363ra150.
2. Liu L, Lauro BM, Ding L, Rovere M, Wolfe MS, et al. Alzheimers Dement. 2019. 15(9):1183-1194.
3. Kulas JA, Franklin WF, Smith NA, Manocha GD, Puig KL, et al. Am J Physiol Endocrinol Metab. 2019. 316(1):E106-E120.

相关产品：

产品编号	产品名称	包装
AF6273	BACE1 Rabbit Polyclonal Antibody	50μl
L24610	BACE2基因敲除质粒	5μg
L24612	BACE2基因敲除HEK293T细胞	1支/瓶
L24611	BACE2基因敲除慢病毒	10^8 TU
L24613	BACE2基因敲除HEK293T细胞RIPA裂解液	100μg
L24614	BACE2基因敲除HEK293T细胞Trizol裂解液	500μl
P2520-10μg	Recombinant Active Human BACE1 (His-Tag)	10μg
P2520-100μg	Recombinant Active Human BACE1 (His-Tag)	100μg
P2520-1mg	Recombinant Active Human BACE1 (His-Tag)	1mg
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Version 2022.12.08