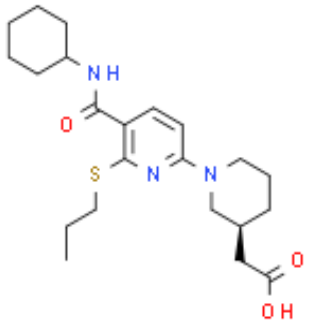
Product Data Sheet

Cas No.:	1024033-43-9	Cat. No:	PL04772
Product Name:	AZD 4017		
Product synonym:	-		
Chemical name:	AZD 4017		
MF:	C22H33N3O3S	FW:	419.58100
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	O=C(O)C[C@H]1CN(C2=NC(SCCC)=C(C(NC3CCCCC3)=O)C=C2)CCC1		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

AZD 4017 是一个有效的、11β-HSD1 的选择性抑制剂，其 IC_{50} 值为 7 nM。

生物活性	AZD 4017 is a potent, selective 11β-Hydroxysteroid Dehydrogenase Type 1 (11β-HSD1) inhibitor, with an IC 50 of 7 nM.
IC50 & Target[1][2]	IC50: 7 nM (11β-HSD1).
体外研究(In Vitro)	AZD 4017 displays excellent selectivity versus the related enzymes 11-βHSD2, 17β-HSD1, 17β-HSD3 (all IC50>30 μM) and shows no measurable activity against the glucocorticoid and mineralocorticoid receptors. Despite having high potency for the human form of 11β-HSD1, AZD 4017 shows much reduced activity across species with the exception of cynomolgous monkey (IC50=0.029 μM). Additionally, as it is believed that adipose is a key target organ, inhibition of 11β-HSD1 activity is measured in isolated human adipocytes from nondiabetic volunteers. AZD 4017 is shown to be a potent inhibitor in this key target tissue (IC50=0.002 μM) in good agreement with the enzyme potency, thus providing some confidence that AZD 4017 is not restricted from adipose tissue by the fact that it was acidic. has no

体内研究(In Vivo)	Since AZD 4017 has lower potency against the mouse enzyme, only a limited number of preclinical pharmacodynamic measurements are performed. Increasing the dose further led to a maximal effect of approximately 70% inhibition at 1500 mg/kg, equivalent to 10×IC 50 in the mouse, demonstrating the dose dependent inhibition of 11β-HSD1 by AZD 4017 in this model. has not independently confirmed the accuracy of these methods. They are for reference only.
包装储存	Powder -20°C 3 years； 4°C 2 years
溶解度数据	In Vitro: DMSO : 125 mg/mL (297.92 mM; Need ultrasonic)配制储备液