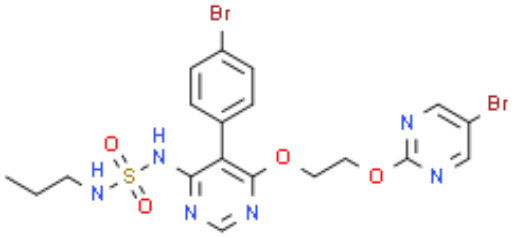
Product Data Sheet

Cas No.:	441798-33-0	Cat. No:	PL13988
Product Name:	Macitentan		
Product synonym:	马西替坦;N-[5-(4-溴苯基)-6-[2-[(5-溴-2-嘧啶基)氧]乙氧基]-4-嘧啶基]-N'-丙基磺酰胺;Macitentan 抑制剂;马西替坦 Macitentan;马西替坦标准品;马西替坦杂质;美西特田;美西特田-D7;美西特田标准品		
Chemical name:	Macitentan		
MF:	C19H20BR2N6O4S	FW:	588.27
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	BrC1=CN=C(OCCOC2=C(C3=CC=C(Br)C=C3)C(NS(NCCC)(=O)=O)=NC=N2)N=C1		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

Macitentan (ACT-064992) 是有口服活性，非多肽 ETA 和 ETB (内皮素受体)双拮抗剂。Macitentan 有用于特发性肺纤维化和肺动脉高压的潜力。

生物活性	Macitentan (ACT-064992) is an orally active, non-peptide dual ETA and ETB (endothelin receptor) antagonist. Macitentan has the potential for idiopathic pulmonary fibrosis (IPF) and pulmonary arterial hypertension (PAH).
IC50 & Target[1][2]	ETA ETB
体外研究(In Vitro)	Tube formation ability is restored when microvascular endothelial cells are preincubated with BOS or macitentan (ACT-064992), also reducing the expression of mesenchymal markers and restoring CD31 expression and the imbalance between VEGF-A and VEGF-A165b. Macitentan inhibits OATP1B1-mediated uptake of atorvastatin and OATP1B3-mediated uptake of estrone-3-sulfate with IC50 ± SE values of 6.3 ± 0.7 and 11.8 ± 5.0 μM, respectively. Treatment with macitentan or with ACT-132577 does not lead to intracellular accumulation of R123 in HeyA8-MDR, showing that these compounds are not P-gp inhibitors. has not independently confirmed the accuracy of these methods. They are for reference only.

体内研究(In Vivo)	Macitentan (ACT-064992; 25 mg/kg/day, p.o.) prevents increased production of vasoactive and fibrogenic factors, NF-κB activation, structural and functional changes, and increases extracellular matrix protein production in type 2 diabetes in type 2 diabetes. Macitentan (10 mg/kg, p.o.) coupled with once-per-week 5 mg/kg taxol, significantly reduces the weight (size) of HeyA8-MDR tumors in mice. Combination therapy with macitentan (10 or 50 mg/kg, but not 5 mg/kg) and taxol or macitentan (10 mg/kg) and cisplatinum significantly reduces the number of proliferating Ki-67-positive cells. 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 : ≥ 50 mg/mL (84.99 mM)配制储备液