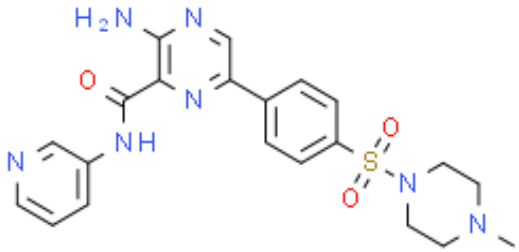
Product Data Sheet

Cas No.:	486424-20-8	Cat. No:	PL04524
Product Name:	AZD2858		
Product synonym:	3-氨基-6-(4-((4-甲基-1-哌嗪基)磺酰基)苯基)-N-3-吡啶基-吡嗪甲酰胺;3-氨基-6-[4-(4-甲基哌嗪-1-基)磺酰基苯基]-n-吡啶-3-基吡嗪-2-羧酰胺;3-氨基-6-[4-[(4-甲基-1-哌嗪基)磺酰基]苯基]-N-3-吡啶基-吡嗪甲酰胺;AZD2858 抑制剂		
Chemical name:	AZD2858		
MF:	C21H23N7O3S	FW:	453.5174
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	S(C1C([H])=C([H])C(C2=C([H])N=C(C(C(N([H])C3=C([H])N=C([H])C([H])=C3[H])=O)=N2)N([H])[H])=C([H])C=1[H])(N1C([H])([H])C([H])([H])N(C([H])([H])[H])C([H])([H])C1([H])[H])(=O)=O		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

AZD2858 是一种有效的，可口服的 GSK-3 抑制剂，可以抑制 GSK-3α 和 GSK-3β 的活性，IC_{50} 值分别为 0.9 和 5 nM，可用于骨折愈合的研究。

生物活性	AZD2858 is a potent, orally active GSK-3 inhibitor, with IC 50 s of 0.9 and 5 nM for GSK-3α and GSK-3β, respectively, used in the research of fracture healing.
IC50 & Target[1][2]	GSK-3α 0.9 nM (IC50) GSK-3β 5 nM (IC5

体外研究(In Vitro)	AZD2858 (1 μM) increases β-catenin levels after a short period of time in human osteoblast cells. AZD2858 inhibits GSK-3β dependent phosphorylation with an IC50 of 68 nM. AZD2858 (10 nM) has no effect on β-catenin levels. AZD2858 increases TAZ expression and osterix expression both by 1.4-fold, with EC50 of 440 nM and 1.2 μM, respectively, in hADSC. AZD2858 also induces a marked increase in osteogenic mineralisation in hADSC. AZD2858 (AR28) demonstrates from 70- to greater than 6000-fold selectivity over a panel of other kinases and an IC50 of 5 nM. AR28 inhibits GSK-3 in murine cells and indicates activation of the canonical Wnt/β-catenin signaling cascade. AR28 (50, 10, and 1 nM) enhances the clonogenic ability of mesenchymal progenitors with osteogenic and adipogenic potential. AR28 (50 μM) also enhances the differentiation ability of mesenc
体内研究(In Vivo)	AZD2858 (20 mg/kg) causes a dose-dependent increase in trabecular bone mass compared to control after a two-week treatment with a maximum effect. AZD2858 exhibits a substantial effect on fracture healing. AZD2858 (20 mg/kg) causes an increase in cortical BMC of 9%, cortical area of 10%, and cortical thickness of 11% at 3 weeks in the non-operated right femur of rats. AZD2858 (30 μmol/kg/day) alters the biomarkers of bone turnover with statistically significant increases in P1NP and decreases in TRAcP-5b seen from 3 days of treatment and onwards. AZD2858 demonstrates significant changes in serum bone turnover markers (P1NP and TRAcP-5b) and femur bone formation after only 7 days of daily dosing. AZD2858 (AR28, 30?mg/kg, s.c.) stimulates an increase in an initial wave of mesenchymal progenitors with osteogenic and adipogenic potential and drives their differentiation to the oste
包装储存	Powder -20°C 3 years；4°C 2 years
溶解度数据	In Vitro: DMSO : 12.5 mg/mL (27.56 mM; Need ultrasonic)配制储备液