

AZD2858

Catalog No: **tcsc1672**



Available Sizes

Size: 10mg

Size: 50mg



Specifications

CAS No:

486424-20-8

Formula:

$C_{21}H_{23}N_7O_3S$

Pathway:

Stem Cell/Wnt;PI3K/Akt/mTOR

Target:

GSK-3;GSK-3

Purity / Grade:

>98%

Solubility:

DMSO : 12.5 mg/mL (27.56 mM; Need ultrasonic)

Observed Molecular Weight:

453.52

Product Description

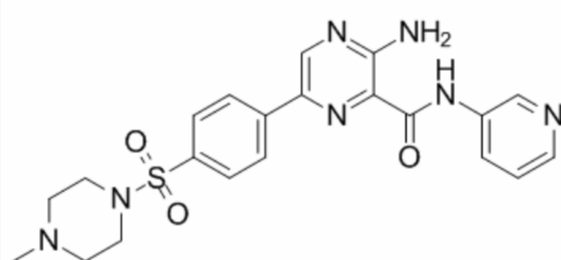
AZD2858 is a potent, orally active **GSK-3** inhibitor, with **IC₅₀**s of 0.9 and 5 nM for **GSK-3α** and **GSK-3β**, respectively, used in the research of fracture healing.

IC50 & Target: IC50: 0.9 nM (GSK-3α), 5 nM (GSK-3β)^[4]

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 IC_{50} of 68 nM. AZD2858 (10 nM) has no effect on β -catenin levels^[1]. AZD2858 increases TAZ expression and osterix expression both by 1.4-fold, with EC_{50} of 440 nM and 1.2 μ M, respectively, in hADSC. AZD2858 also induces a marked increase in osteogenic mineralisation in hADSC^[3]. AZD2858 (AR28) demonstrates from 70- to greater than 6000-fold selectivity over a panel of other kinases and an IC_{50} 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 μ M) also enhances the differentiation ability of mesenchymal progenitors to the osteogenic but not adipogenic lineage in vitro^[4].

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^[1]. 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^[2]. AZD2858 (30 μ mol/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^[3]. 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 osteogenic lineage in BALB/c mice. AR28 (30 mg/kg, s.c.) enhances the proliferation of committed hematopoietic progenitors and their differentiation to the osteoclast lineage but does not prevent an overall increase in bone mass^[4].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!