Certificate of Analysis

Product Name: **AZD 2858** **Catalog No.: 7650** **Batch No.: 1**

CAS Number: 486424-20-8

IUPAC Name: 3-Amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-*N*-3-pyridinyl-2-pyrazinecarboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{21}H_{23}N_7O_3S.¼H_2O$

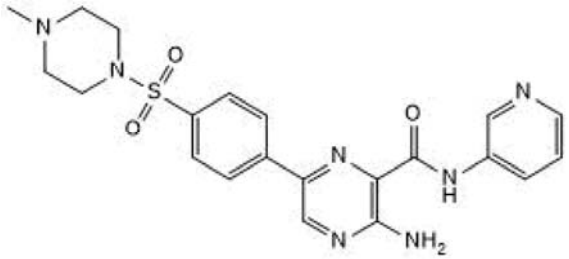
Batch Molecular Weight: 458.02

Physical Appearance: Yellow solid

Solubility: DMSO to 10 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.6% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	55.07	5.17	21.41
Found	55.07	5.04	21.34

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Description:

AZD 2858 is a potent glycogen synthase kinase-3 (GSK-3) inhibitor (IC_{50} values are 0.9 and 5 nM for GSK-3α and GSK-3β, respectively). AZD 2858 inhibits tau phosphorylation in vitro (IC_{50} = 76 nM), improves fracture healing and increases bone mass in rodent models of bone fracture. Also activates Wnt signaling in vitro and in vivo. Brain permeable and orally bioavailable.

Physical and Chemical Properties:

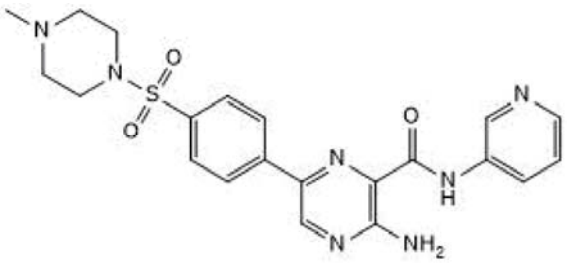
Batch Molecular Formula: $C_{21}H_{23}N_7O_3S.¼H_2O$

Batch Molecular Weight: 458.02

Physical Appearance: Yellow solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 10 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Cook *et al* (2014) Wnt-dependent osteogenic commitment of bone marrow stromal cells using a novel GSK3β inhibitor. Stem Cell Res. ***12*** 415. PMID: 24382458.

Sisask *et al* (2013) Rats treated with AZD2858, a GSK3 inhibitor, heal fractures rapidly without endochondral bone formation. Bone ***54*** 126. PMID: 23337038.

Berg *et al* (2012) Discovery of novel potent and highly selective glycogen synthase kinase-3β (GSK3β) inhibitors for Alzheimer's disease: design, synthesis, and characterization of pyrazines. J.Med.Chem. ***55*** 9107. PMID: 22489897.

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