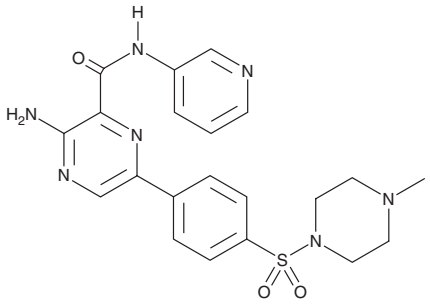
PRODUCT INFORMATION

AZD 2858

Item No. 16728

CAS Registry No.:	486424-20-8
Formal Name:	3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-N-3-pyridinyl-2-pyrazinecarboxamide
MF:	$C_{21}H_{23}N_7O_3S$
FW:	453.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 304, 383 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZD 2858 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 2858 in the solvent of choice, which should be purged with an inert gas. AZD 2858 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of AZD 2858 in these solvents is approximately 5 and 10 mg/ml, respectively.

AZD 2858 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 2858 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AZD 2858 has a solubility of approximately 0.1 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Glycogen synthase kinase 3β (GSK3β) was originally identified for its ability to constitutively phosphorylate and inactivate glycogen synthase, preventing glycogen synthesis.[1] It can also phosphorylate proteins that are relevant to osteogenesis and Alzheimer's disease, the latter for which it has earned the moniker, tau phosphorylating kinase.[2-4] AZD 2858 is a pyrazine analog that inhibits GSK3β with a K_i value of 4.9 nM.[5] It crosses the blood brain barrier and inhibits tau phosphorylation *in vitro* with an IC_{50} value of 76 nM.[5] AZD 2858 has also been shown to increase bone mass (*via* Wnt activation) in rats after a two-week treatment with a maximum effective oral dose of 20 mg/kg once daily.[6] Furthermore, by inhibiting GSK3β, AZD 2858 can stabilize β-catenin in rat mesenchymal stem cells (EC_{50} = 234 nM), spurring osteoblast differentiation.[7]

References

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3. Phiel, C.J., Wilson, C.A., Lee, V.M., *et al. Nature* **423(6938)**, 435-439 (2003).
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