bio-techne
TOCRIS

Print Date: Mar 13th 2024

Certificate of Analysis

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Product Name: **AZD 5248** **Catalog No.: 7130** **Batch No.: 1**

CAS Number: 1254318-44-9

IUPAC Name: 4-Amino-*N*-[(1*S*)-1-cyano-2-(4'-cyano[1,1'-biphenyl]-4-yl)ethyl]tetrahydro-2*H*-pyran-4-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{22}H_{22}N_4O_2.¼H_2O$

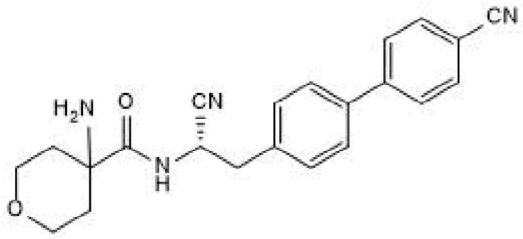
Batch Molecular Weight: 378.94

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 20 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.9% purity

Chiral HPLC: Shows 100% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	69.73	5.98	14.79
Found	70.08	5.93	14.83

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Description:

AZD 5248 is a potent cathepsin C (also known as dipeptidyl peptidase 1, or DPP1) inhibitor (IC_{50} values are 44 and 67 nM for human and rat, respectively). In vivo, AZD 5248 inhibition of cathepsin C correlates to the reduction of NSP (neutrophil serine protease) activity measured in rat bone marrow and blood. In rats, quantitative whole-body autoradiography studies demonstrate aortic binding of AZ 5248. This compound is orally bioavailable.

Physical and Chemical Properties:

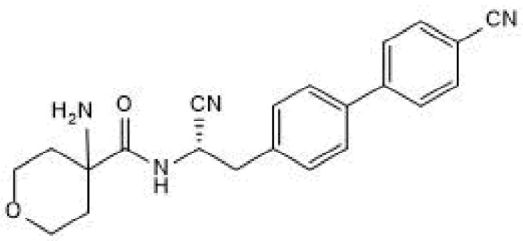
Batch Molecular Formula: $C_{22}H_{22}N_4O_2.¼H_2O$

Batch Molecular Weight: 378.94

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 20 mM

This product is supplied in lyophilized form. It may appear as a solid, gel or film and be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

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. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

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:

Gardiner *et al* (2016) Neutrophil maturation rate determines the effects of dipeptidyl peptidase 1 inhibition on neutrophil serine protease activity. Br.J.Pharmacol. ***173*** 2390. PMID: 27186823.

Bragg *et al* (2015) Aortic binding of AZD5248: mechanistic insight and reactivity assays to support lead optimization. Chem.Res.Toxicol. ***28*** 1991. PMID: 26351880.

Furber *et al* (2014) Cathepsin C inhibitors: property optimization and identification of a clinical candidate. J.Med.Chem. ***57*** 2357. PMID: 24592859.