

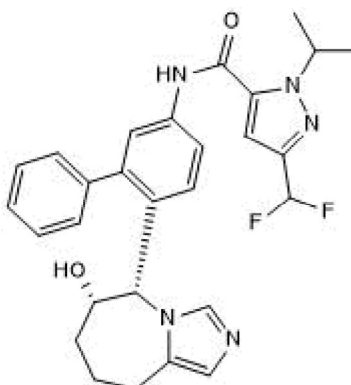
Certificate of Analysis

www.tocris.com

Product Name:	CSN5i-3	Catalog No.:	7089	Batch No.:	2
CAS Number:	2375740-98-8				
IUPAC Name:	3-(Difluoromethyl)-N-(6-((5S,6S)-6-hydroxy-6,7,8,9-tetrahydro-5H-imidazo[1,5-a]azepin-5-yl)-[1,10-biphenyl]-3-yl)-1-isopropyl-1H-pyrazole-5-carboxamide				

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₈H₂₉F₂N₅O₂·¼H₂O
Batch Molecular Weight: 510.07
Physical Appearance: White solid
Solubility: DMSO to 100 mM
Storage: Store at -20°C
Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.4% purity
Chiral HPLC: Shows 100% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure
Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	65.93	5.83	13.73
Found	65.29	5.88	13.73

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

CSN5i-3 is a potent and selective CSN5 (COP9 signalosome) inhibitor. It inhibits deneddylation of NEDD8-modified CRLs (IC_{50} = 5.8 nM), keeping them in the neddylated state, and leading to inactivation of a subset of CRLs by inducing degradation of their substrate receptor module (SRM). In A2780 ovarian cancer cells, CSN5i-3 down-regulates the expression of COPS5 and arrest cells at S-phase. In HUVECs in vitro and in zebrafish embryos in vivo, CSN5i-3 induces endothelial barrier disruption and increases macromolecule leakage by increasing the expression and activity of RhoGTPases. CSN5i-3 also inhibits the growth of lymphoma cell xenografts in ... Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

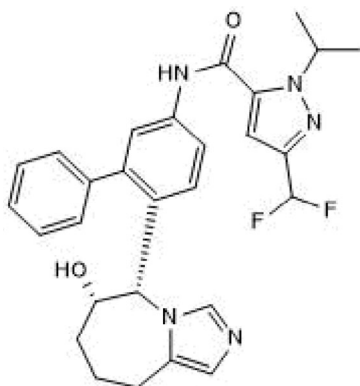
Batch Molecular Formula: $C_{28}H_{29}F_2N_5O_2 \cdot \frac{1}{4}H_2O$

Batch Molecular Weight: 510.07

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



References:

Majolée et al (2019) CSN5 inhibition triggers inflammatory signaling and Rho/ROCK-dependent loss of endothelial integrity. *Sci.Rep.* **9** 9131. PMID: 31148579.

Zhange et al (2017) COPS5 inhibition arrests the proliferation and growth of serous ovarian cancer cells via the elevation of p27 level. *Biochem.Biophys.Res.Commun.* **493** 85. PMID: 28919423.

Schlierf et al (2016) Targeted inhibition of the COP9 signalosome for treatment of cancer. *Nat.Commun.* **7** 13166. PMID: 27774986.

Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 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. *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.

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