

Product Name: CSN5i-3

Catalog No.: 7089

Batch No.: 1

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 · ½H₂O

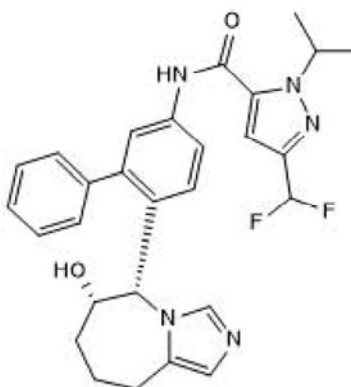
Batch Molecular Weight: 514.58

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.9% purity

Chiral HPLC: Shows 99.9% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	65.36	5.88	13.61
Found	64.99	5.5	13.47

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Description:

CSN5i-3 is a potent and selective CSN5 (COP9 signalosome) inhibitor. It inhibits deneddylation of NEDD8-modified CRLs (IC₅₀ = 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:

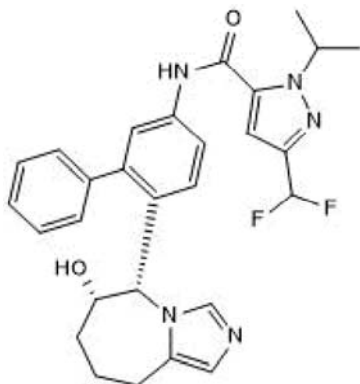
Batch Molecular Formula: C₂₈H₂₉F₂N₅O₂.½H₂O

Batch Molecular Weight: 514.58

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 (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. 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.

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