

Data Sheet

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 Product Name
 :
 CSN5i-3

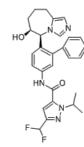
 Cat. No.
 :
 PC-61193

 CAS No.
 :
 2375740-98-8

 Molecular Formula
 :
 C₂₈H₂₉F₂N₅O₂

 Molecular Weight
 :
 505.57

Target : Proteasome
Solubility : 10 mM in DMSO



Biological Activity

CSN5i-3 (CSN5 inhibitor 3) is a potent, selective and orally available inhibitor of **CSN5** with IC50 of 5.8 nM, the proteolytic subunit of COP9 signalosome (CSN).

CSN5i-3 displays >1,000-fold selectivity over JAMM domain proteases (Rpn11 and AMSHLP) and other metalloproteinases. CSN5i-3 inhibits CSN-catalysed Cul1 deneddylation with IC50 of 5.8 nM, traps the cullin-RING E3 ubiquitin ligases (CRLs) in the neddylated state, and results in the inactivation of a subset of CRLs and the stabilization of their substrates. CSN5i-3 exhibits a differentiating effect on the viability of cancer cells, inhibits growth of a human xenograft in vivo.

References

Schlierf A, et al. *Nat Commun.* 2016 Oct 24;7:13166.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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