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**Product Data Sheet**

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Product Name: Rpn11-IN-1

Cat. No.: GC32407

**Chemical Properties**

Cas. No. 2084867-65-0

SMILES SC1=CC=CC2=C1N=CC(C(NCCC3=NC=CS3)=O)=C2Formula  $C_{15}H_{13}N_3OS_2$  M.Wt 315.41

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure **Protocol**

**Cell experiment:** 293T, A549 and HCT 116 cell lines are cultured in DMEM with 10% FBS in white, clear-bottom tissue culture-treated 96-well plates. Cells are treated with different concentrations of inhibitor compounds (e.g., Rpn11-IN-1; 0.01, 0.1, 1, 10, and 100 μM) in triplicates for 72 h at 37°C with 5% CO<sub>2</sub> in air. CellTiter-Glo reagent is added to the 96 well plates to measure cell viability. Luminescence values are measured in PHERAstar microplate reader. Collected data is normalized to DMSO control and fit to a dose-response equation to determine IC<sub>50</sub> values[1].

**Caution: Product has not been fully validated for medical applications. For research use only.**

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### References:

[1]. Perez C, et al. Discovery of an Inhibitor of the Proteasome Subunit Rpn11. J Med Chem. 2017 Feb 23;60(4):1343-1361.

### Background

Rpn11-IN-1 is a potent and selective inhibitor of proteasome subunit Rpn11 with an IC<sub>50</sub> of 390 nM.

Rpn11 is a Zn<sup>2+</sup>-dependent metalloisopeptidase that hydrolyzes ubiquitin from tagged proteins that are trafficked to the proteasome for degradation. When inhibition of Rpn11-IN-1 (Compound 35) against Rpn11 is measured in the presence of Zn(cyclen)<sub>2</sub><sup>+</sup> (100 μM), a significant loss in activity against Rpn11 is observed (IC<sub>50</sub>=77.4 μM vs. 0.39 μM). The observed IC<sub>50</sub> value shift is attributed to the ability of Zn(cyclen)<sub>2</sub><sup>+</sup> to compete/titrate Rpn11-IN-1 away from Rpn11. Rpn11-IN-1 blocks proliferation of tumor cells in culture. Rpn11-IN-1 has an IC<sub>50</sub> of 2.1 μM and 3.8 μM against 293T and A549 cells, respectively[1].

[1]. Perez C, et al. Discovery of an Inhibitor of the Proteasome Subunit Rpn11. J Med Chem. 2017 Feb 23;60(4):1343-1361.

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