

## **Data Sheet**

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Product Name : ERAP1 inhibitor 3
Cat. No. : PC-72272
CAS No. : 865273-97-8
Molecular Formula : C<sub>20</sub>H<sub>21</sub>F<sub>3</sub>N<sub>2</sub>O<sub>5</sub>S
Molecular Weight : 458.452

Target : Aminopeptidase Solubility : 10 mM in DMSO

## **Biological Activity**

ERAP1 inhibitor 3 (ERAP1-IN-1) is a selective, small molecule inhibitor of **ERAP1** with IC50 of 0.4 uM, displays no inhibitory effect against its paralogues ERAP2 and IRAP.

ERAP1 inhibitor 3 allosterically activates ERAP1's hydrolysis of fluorogenic and chromogenic amino acid substrates but competitively inhibits its activity toward a nonamer peptide representative of physiological substrates. ERAP1 inhibitor 3 inhibited antigen presentation in a cellular assay.

Compound 3 displays higher potency for an ERAP1 variant associated with increased risk of autoimmune disease.

## References

Zachary Maben, et al. *J Med Chem*. 2020 Jan 9;63(1):103-121.

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

E-mail: tech@probechem.com