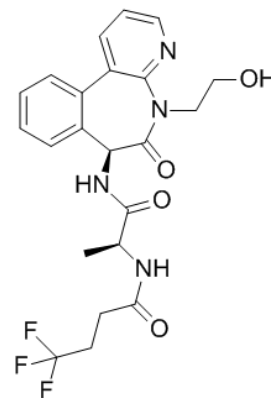


**Product Name** : LY3039478  
**Cat. No.** : PC-43039  
**CAS No.** : 1421438-81-4  
**Molecular Formula** : C<sub>22</sub>H<sub>23</sub>F<sub>3</sub>N<sub>4</sub>O<sub>4</sub>  
**Molecular Weight** : 464.4376  
**Target** : Notch  
**Solubility** : DMSO: ≥ 34 mg/mL



## Biological Activity

LY3039478 (Crenigacestat) is an exquisitely potent inhibitor of Notch-1 intracellular domain (**N1ICD**) cleavage with IC<sub>50</sub> of 1 nM, also potently inhibits mutant **Notch** receptor activity.

LY3039478 inhibits N1ICD cleavage and expression of Notch-regulated genes in the tumor microenvironment in xenograft tumor models.

LY3039478 significantly inhibits the growth of CCRCC cell lines in a concentration-dependent manner, decreases expression of Myc and cyclin A1, causes G<sub>0</sub>/G<sub>1</sub> cell cycle arrest in CCRCC cells.

LY3039478 demonstrates in vivo efficacy in CCRCC in mice model.

## References

Bhagat TD, et al. *J Biol Chem*. 2017 Jan 20;292(3):837-846.

*Cancer Discov*. 2017 Feb;7(2):OF3. doi: 10.1158/2159-8290.CD-NB2016-159.

Mark H. Bender, et al. Abstract 1131: Novel inhibitor of Notch signaling for the treatment of cancer. DOI: 10.1158/1538-7445.AM2013-1131 Published April 2013

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

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