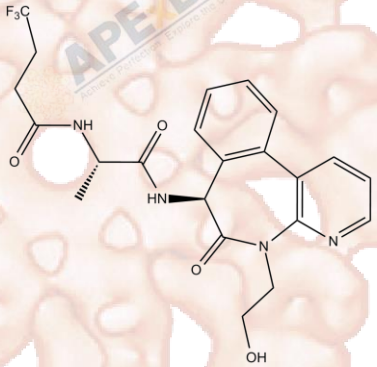
Product Data Sheet

LY3039478



Cat. No.:	A4023
CAS No.:	1421438-81-4
Formula:	C22H23F3N4O4
M.Wt:	464.44
Synonyms:	
Target:	Proteases
Pathway:	Gamma Secretase
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾23.2 mg/mL in DMSO; insoluble in H2O; ⩾89.4 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.1531 mL	10.7657 mL	21.5313 mL
5 mM	0.4306 mL	2.1531 mL	4.3063 mL
10 mM	0.2153 mL	1.0766 mL	2.1531 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Notch inhibitor, novel and potent
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Normal human kidney tubular epithelial cell line HK2 and renal cell cancer cell lines 786-O, 769-P and Caki
Preparation method：	The solubility of this compound in DMSO is >23.2 mg/ml. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	0-10 μM
	Applications:	LY3039478 was found to be able to significantly inhibit the growth of two CCRCC cell lines in a concentration dependent manner. LY3039478 treatment also led to decreased expression of Myc and Cyclin A1, two genes that were part of the NOTCH driven proliferative signature in murine and human model systems. LY3039478 treatment also led to G0/G1 cell cycle arrest in CCRCC cells.
In Vivo	**Animal experiment**	
	Animal models:	NSG xenograft mice model with 769-P CCRCC cells
	Dosage form:	8 mg/kg by oral gavage
	Applications:	LY3039478 treatment resulted in significantly increased survival and delayed tumor growth in independent cohorts of mice demonstrating in vivo efficacy in CCRCC.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Servián-Morilla E, Takeuchi H, et al. "A POGLUT1 mutation causes a muscular dystrophy with reduced Notch signaling and satellite cell loss." EMBO Mol Med. 2016 Nov 2;8(11):1289-1309.PMID:27807076

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References

[1] Bhagat TD et al.Notch Pathway Is Activated via Genetic and Epigenetic Alterations and Is a Therapeutic Target in Clear Cell Renal Cancer. J Biol Chem. 2017 Jan 20;292(3):837-846.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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