



SZABO SCANDIC

Part of Europa Biosite

Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!



Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

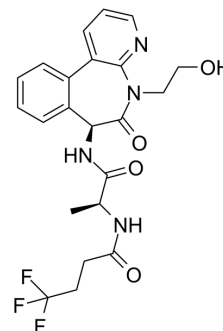
mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

Crenigacestat

Cat. No.:	HY-12449
CAS No.:	1421438-81-4
Molecular Formula:	C ₂₂ H ₂₃ F ₃ N ₄ O ₄
Molecular Weight:	464.44
Target:	Notch; γ-secretase
Pathway:	Neuronal Signaling; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 34 mg/mL (73.21 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (5.38 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.38 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Crenigacestat (LY3039478) is an orally active Notch and γ-secretase inhibitor, with an IC₅₀ of 1 nM in most of the tumor cell lines tested^{[1][2][3][4]}.

In Vitro

Crenigacestat (100 nM) exhibits anti-cancer activity in K07074 cells (a primary mouse liver tumor cell line)^[2]. Crenigacestat (LY3039478) decreases expression of Myc and cyclin A1 (part of the NOTCH-driven proliferative signature) in murine and human model systems. Crenigacestat (LY3039478) treatment also leads to G0/G1 cell cycle arrest in CCRCC cells

[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Viability Assay^[2].

Cell Line:	K07074 cells.
Concentration:	100 nM.
Incubation Time:	24-96 hours.
Result:	Effectively reduced the growth of K07074 cells.

In Vivo

Crenigacestat (8 mg/kg, oral gavage three times a week) resulted in significantly increases survival and delayed tumor growth in independent cohorts of mice demonstrating in vivo efficacy in CCRCC^[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CCRCC xenografts were established in NOD-scid IL2R null mice with subcutaneous implantation using the 769-P cell line ^[3] .
Dosage:	8 mg/kg.
Administration:	Oral gavage three times a week.
Result:	Resulted in increased overall survival when compared with vehicle control in CCRCC xenografts.

CUSTOMER VALIDATION

- Cell Mol Immunol. 2022 Oct 14.
- Nat Commun. 2022 Nov 29;13(1):7341.
- J Cell Biochem. 2018 Oct 28.
- ACS Comb Sci. 2019 Dec 9;21(12):805-816.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [1]. Yuen E, et al. Evaluation of the effects of an oral notch inhibitor, crenigacestat (LY3039478), on QT interval, and bioavailability studies conducted in healthy subjects. Cancer Chemother Pharmacol. 2019 Mar;83(3):483-492.
- [2]. Mäemets-Allas K, et al. The inhibition of Akt-Pdpk1 interaction efficiently suppresses the growth of murine primary liver tumor cells. Biochem Biophys Res Commun. 2016 May 20;474(1):118-125.
- [3]. 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.
- [4]. Mark H. Bender, et al. Abstract 1131: Novel inhibitor of Notch signaling for the treatment of cancer. Experimental and Molecular Therapeutics. 2013.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA