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Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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Lieferung & Zahlungsart

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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

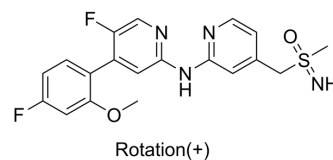
mail@szabo-scandic.com

www.szabo-scandic.com

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

Enitociclib

Cat. No.:	HY-103019
CAS No.:	1610358-56-9
Molecular Formula:	C ₁₉ H ₁₈ F ₂ N ₄ O ₂ S
Molecular Weight:	404.43
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 113.3 mg/mL (280.15 mM; Need ultrasonic and warming)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.4726 mL	12.3631 mL	24.7262 mL
		5 mM		0.4945 mL	2.4726 mL	4.9452 mL
		10 mM		0.2473 mL	1.2363 mL	2.4726 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Enitociclib ((+)-Enitociclib) is an enantiomer of BAY-1251152 with rotation (+). Enitociclib is a potent and selective CDK9 inhibitor with an IC ₅₀ of 3 nM. Enitociclib has anti-tumour activity ^{[1][2]} .
IC ₅₀ & Target	CDK9/CycT1 3 nM (IC ₅₀)
In Vitro	Enitociclib (Example 2) inhibits HeLa, HeLa-MaTu-ADR, NCI-H460, DU145, Caco-2, B16F10, A2780 and MOLM-13 cells

proliferation with IC₅₀ values of 110 nM, 33 nM, 75 nM, 33 nM, 62 nM, 240 nM, 110 nM and 29 nM, respectively^[1].
An efficient inhibition of the proliferation of both ABC (Activated B-cell type) and GCB (Germinal-centre B-cell type) subtypes of diffuse large B-cell lymphoma (DLBCL) by Enitociclib (Compound A')^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Enitociclib (Compound A'; 10 mg/kg; intravenous injection; once every seven days; for 14 days; female SCID mice) reaches a Treatment to Control ratios (T/C) by area of 0.29 and a T/C by weight of 0.24. Enitociclib inhibits tumour growth and had good tolerability^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female SCID mice with OCI-LY-3 cells ^[2]
Dosage:	10 mg/kg
Administration:	Intravenous injection; once every seven days; for 14 days
Result:	Inhibited tumour growth and had good tolerability.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2023 May 26.
- Oncotarget. 2023 Dec 20:14:997-1008.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [1]. Ulrich LÜCKING, et al. 5-fluoro-n-(pyridin-2-yl)pyridin-2-amine derivatives containing a sulfoximine group. WO2014076091A1.
- [2]. Use of Arne Scholz, et al. 5-fluoro-4-(4-fluoro-2-methoxyphenyl)-n-[4-[(s-methylsulfonimidoyl)methyl]pyridin-2-yl]pyridin-2-amine for treating diffuse large b-cell lymphoma. WO2019158517A1.

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