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

Abemaciclib methanesulfonate

Cat. No.: HY-16297

CAS No.: 1231930-82-7

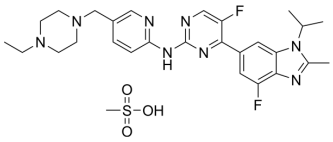
Molecular Formula: $C_{28}H_{36}F_2N_8O_3S$

Molecular Weight: 602.7

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 125 mg/mL (207.40 mM; Need ultrasonic)
DMSO : 10 mg/mL (16.59 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6592 mL	8.2960 mL	16.5920 mL
	5 mM	0.3318 mL	1.6592 mL	3.3184 mL
	10 mM	0.1659 mL	0.8296 mL	1.6592 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (41.48 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 0.5% HEC
   Solubility: 12.5 mg/mL (20.74 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.15 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.15 mM); Suspended solution; Need ultrasonic
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.15 mM); Clear solution
6. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 2 mg/mL (3.32 mM); Suspended solution; Need ultrasonic
7. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (3.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Abemaciclib methanesulfonate (LY2835219 methanesulfonate) is a selective CDK4/6 inhibitor with IC_{50}s of 2 nM and 10 nM for CDK4 and CDK6, respectively[1][2][3].

IC_{50} & Target				
	Cdk4/cyclin D1 2 nM (IC_{50})	CDK6/cyclinD1 10 nM (IC_{50})	CDK9/cyclinT1 57 nM (IC_{50})	CDK5/p35 287 nM (IC_{50})
	Cdk5/p25 355 nM (IC_{50})	CDK2/cyclinE 504 nM (IC_{50})	CDK1/cyclinB1 1627 nM (IC_{50})	CDK7/Mat1/cyclinH1 3910 nM (IC_{50})
	PIM1 50 nM (IC_{50})	PIM2 3400 nM (IC_{50})	HIPK2 31 nM (IC_{50})	DYRK2 61 nM (IC_{50})
	CK2 117 nM (IC_{50})	GSK3b 192 nM (IC_{50})	JNK3 389 nM (IC_{50})	FLT3 (D835Y) 403 nM (IC_{50})
	DRAK1 659 nM (IC_{50})	FLT3 3960 nM (IC_{50})		

In Vitro	Abemaciclib (LY2835219) reduces cell viability with the IC_{50} values ranging from 0.5 μM to 0.7 μM, inhibits Akt and ERK signaling but not mTOR activation at head and neck squamous cell carcinoma (HNSCC) cells[1]. Abemaciclib (LY2835219) shows inhibition on A375R1-4, M14R, and SH4R with EC_{50} values ranging from 0.3 to 0.6 μM; Abemaciclib inhibits the proliferation of the parental A375 and resistant A375RV1 and A375RV2 cells with similar potencies with IC_{50} values of 395, 260, and 463 nM, respectively[2]. Abemaciclib (LY2835219) inhibits CDK4 and CDK6 with low nanomolar potency, inhibits Rb phosphorylation resulting in a G1 arrest and inhibition of proliferation, and its activity is specific for Rb-proficient cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Abemaciclib (LY2835219) (45 mg/kg, p.o.) in combination with RAD001 causes a cooperative antitumor effect in HNSCC xenograft tumor[1]. Abemaciclib (LY2835219) (45 or 90 mg/kg, p.o.) shows significant tumor growth inhibition in an A375 xenograft model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Cells are seeded in a 96-well plate, allowed to adhere overnight, and treated with DMSO control (0.1% v/v) or the indicated compounds for 72 h. Cell viability and proliferation are determined using a Cell Counting Kit according to the manufacturer's instructions. The interaction between Abemaciclib (LY2835219) and mTOR inhibitor is determined using CompuSyn. Combination index (CI) values of 1 indicates and additive drug interaction, whereas a CI of < 1 is synergistic and a CI of > 1 is antagonistic. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Six-week-old BALB/c female nude mice are injected subcutaneously with OSC-19 (1×10^6) cells. When tumor sizes reach approximately 100 mm^3, mice are randomized by tumor size and subjected to each treatment. At least 5 mice per treatment group are included. Each group of mice is dosed via daily oral gavage with vehicle, Abemaciclib (LY2835219) (45 mg/kg/d or 90 mg/kg/d), RAD001 (5 mg/kg/d), or a combination of both. The Abemaciclib (LY2835219) is dissolved in 1% HEC in 20 mM phosphate buffer (pH2.0). Tumor size and body weight are measured twice weekly. Tumor volumes are calculated using the following formula: $V=(L\times W^2)/2$ (L, Length; W, width). Mice are gavaged a final time on day 14 and sacrificed the following day. The tumors are removed for Western blot and immunohistochemistry. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2017 Aug 24;548(7668):471-475.
- Cell. 2023 Jun 8;186(12):2628-2643.e21.
- Cell. 2018 Nov 1;175(4):984-997.e24.
- Nature Cancer. 2021 Apr;2(4):429-443.
- Nat Metab. 2020 Jan;2(1):41-49.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Ku BM, et al. The CDK4/6 inhibitor LY2835219 has potent activity in combination with mTOR inhibitor in head and neck squamous cell carcinoma. Oncotarget. 2016 Mar 22;7(12):14803-13.

[2]. Yadav V, et al. The CDK4/6 inhibitor LY2835219 overcomes PLX4032 resistance resulting from MAPK reactivation and cyclin D1 upregulation. Mol Cancer Ther. 2014 Oct;13(10):2253-63.

[3]. Gelbert LM, et al. Preclinical characterization of the CDK4/6 inhibitor LY2835219: in-vivo cell cycle-dependent/independent anti-tumor activities alone/in combination with NSC 613327. Invest New Drugs. 2014 Oct;32(5):825-37.

[4]. Wu T, et al. Effect of abemaciclib (LY2835219) on enhancement of chemotherapeutic agents in ABCB1 and ABCG2 overexpressing cells in vitro and in vivo. Biochem Pharmacol. 2017 Jan 15;124:29-42.

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