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

Ricolinostat

Cat. No.: HY-16026

CAS No.: 1316214-52-4

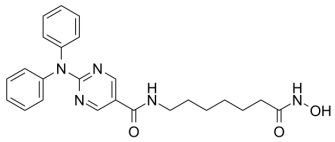
Molecular Formula: $C_{24}H_{27}N_5O_3$

Molecular Weight: 433.5

Target: HDAC; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

Storage: 4°C, stored under nitrogen
* In solvent : -80°C, 1 year; -20°C, 6 months (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (115.34 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3068 mL	11.5340 mL	23.0681 mL
5 mM	0.4614 mL	2.3068 mL	4.6136 mL
10 mM	0.2307 mL	1.1534 mL	2.3068 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 (5.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ricolinostat (ACY-1215) is a potent and selective HDAC6 inhibitor, with an IC_{50} of 5 nM. ACY-1215 also inhibits HDAC1, HDAC2, and HDAC3 with IC_{50}s of 58, 48, and 51 nM, respectively.

IC_{50} & Target

HDAC6 4.7 nM (IC_{50})	HDAC2 48 nM (IC_{50})	HDAC3 51 nM (IC_{50})	HDAC1 58 nM (IC_{50})
HDAC8 100 nM (IC_{50})	HDAC7 1400 nM (IC_{50})	HDAC5 5000 nM (IC_{50})	HDAC4 7000 nM (IC_{50})

In Vitro	Ricolinostat (ACY-1215) has slight activity against HDAC8 (IC_{50}=0.1 μM), and has minimal activity (IC_{50}>1 μM) against HDAC4, HDAC5, HDAC7, HDAC9, HDAC11, Sirtuin1, and Sirtuin2. The effect of Ricolinostat (ACY-1215) on multiple myeloma (MM) cell viability is determined with MTT assays using MM cell lines. Exposure of MM cell lines for 48 hours results in dose-dependent decreased viability, with IC_{50} values ranging from 2-8μM. Ricolinostat (ACY-1215) demonstrates significant activity in the MM PS-341-resistant cell line (ANBL-6.BR), demonstrating the ability of Ricolinostat (ACY-1215) to overcome PS-341 resistance[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mice treated with Ricolinostat (ACY-1215), PS-341, or Ricolinostat plus PS-341 show a significant delay in tumor growth (P=0.01, P=0.006, and P<0.0001, respectively). Combined treatment with Ricolinostat and PS-341 shows significant suppression of tumor growth and significantly prolonged overall survival (OS) compare with the control group (17 days in the control vs 40 days in the combination-treated group, P<0.0001) and the Ricolinostat (ACY-1215)-treated group (22 days in the PS-341 group vs 40 days in the combination-treated group, P<0.0001). Weight loss in the combination-treated group is between 4% and 12% compare with the same-day control group values during treatment, with complete recovery after the last injection. As is observed in the plasmacytoma model, a significant therapeutic advantage is found by combining Ricolinostat with PS-341 compare with either agent alone[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	The effect of Ricolinostat with or without PS-341 on the viability of MM cell lines, patient MM cells, and PBMCs is assessed by measuring MTT dye absorbance. PBMCs from healthy donors are isolated and stimulated with 2.5 μg/mL of phytohemagglutinin (PHA) for 48 hours in the presence of increasing concentrations of Ricolinostat (ACY-1215). DNA synthesis is measured by tritiated thymidine uptake. $CD4^+$ T cells are purified from human blood with the Rosette Sep negative-selection kit. Cells are stimulated by CD3/CD28 Dynabeads for 7 days in the presence of compounds. Cell viability is assessed using alamarBlue. MM cells (2-3×10^4 cells/well) are incubated in 96-well culture plates with medium and different concentrations of Ricolinostat (ACY-1215), PS-341, and/or recombinant IL-6 (10 ng/mL) or IGF-1 (50 ng/mL) for 24 hours at 37°C, and tritiated thymidine incorporation is measured[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] To evaluate the in vivo anti-MM activity of Ricolinostat, male SCID mice are inoculated subcutaneously with 5×10^6 MM.1S cells in 100 μL of serum-free RPMI 1640 medium. When tumors are measurable, mice are treated IP with Ricolinostat 50 mg/kg dissolved in 10% DMSO in 5% dextrose in water consecutively for 5 days a week for 3 weeks; PS-341 0.5 mg/kg dissolved in 0.9% saline solution biweekly (IV) for 3 consecutive weeks; or combination with the same dosing regimen used for the individual agents. The control group receive the carrier alone at the same schedule as the combination group. Tumor size is measured every other day in 2 dimensions using calipers, and tumor volume is calculated with the formula: V=0.5(a×b^2) where a is the long diameter of the tumor and b is the short diameter of the tumor. Mice are killed when the tumor reaches 2 cm^3 or is ulcerated. Survival and tumor growth are evaluated from the first day of treatment until death. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Blood. 2019 Oct 17;134(16):1323-1336.
- Nat Commun. 2023 Oct 17;14(1):6547.
- Leukemia. 2019 Jul;33(7):1675-1686.
- Cancer Lett. 2016 Aug 28;379(1):134-142.
- Cell Mol Biol Lett. 2024 Jan 3;29(1):8.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Santo L, et al. Preclinical activity, pharmacodynamic, and pharmacokinetic properties of a selective HDAC6 inhibitor, ACY-1215, in combination with PS-341 in multiple myeloma. Blood. 2012 Mar 15;119(11):2579-89.

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