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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

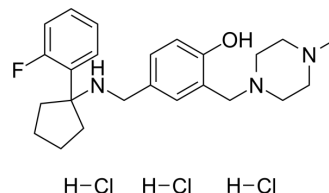
mail@szabo-scandic.com

www.szabo-scandic.com

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

ARN5187 trihydrochloride

Cat. No.:	HY-103691A
CAS No.:	1700693-96-4
Molecular Formula:	C ₂₄ H ₃₅ Cl ₃ FN ₃ O
Molecular Weight:	506.91
Target:	Autophagy; Apoptosis
Pathway:	Autophagy; Apoptosis
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (197.27 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration	Mass 1 mg	5 mg	10 mg
		1 mM	1.9727 mL	9.8637 mL	19.7274 mL
		5 mM	0.3945 mL	1.9727 mL	3.9455 mL
		10 mM	0.1973 mL	0.9864 mL	1.9727 mL
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	ARN5187 trihydrochloride is a lysosomotropic REV-ERBβ ligand with a dual inhibitory activity toward REV-ERB-mediated transcriptional regulation and autophagy. ARN5187 trihydrochloride shows lysosomotropic potency and cytotoxicity. ARN5187 trihydrochloride induces apoptosis ^{[1][2]} .
IC₅₀ & Target	REV-ERBβ ^[1]
In Vitro	ARN5187 trihydrochloride (compound 1) (0-100 μM; 48 h) shows cytotoxicity with EC ₅₀ of 23.5 μM in BT-474 cells and IC ₅₀ of 30.14 μM, >100 μM for BT-474 and HMEC cells, respectively ^{[1][2]} . ARN5187 trihydrochloride (0-100 μM) activates the RevRE reporter in a concentration-dependent manner in HEK-293 cells ^[1] .

ARN5187 trihydrochloride (25, 50 μ M) is a lysosomotropic-independent REV-ERB antagonistic activity^[1].
ARN5187 trihydrochloride (50 μ M; 24 h) shows autophagy inhibition^[1].
ARN5187 trihydrochloride (50 μ M; 2, 8, 24 h) effects autophagy formation and maturation^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay^[1]

Cell Line:	BT-474 cells
Concentration:	0-100 μ M
Incubation Time:	48 h
Result:	Showed cytotoxicity with EC ₅₀ of 23.5 μ M.

Western Blot Analysis^[1]

Cell Line:	BT-474 cells
Concentration:	50 μ M
Incubation Time:	24 h
Result:	Significantly increased the expression of α -LC3-II, α -p62, α -Cleaved PARP.

RT-PCR^[1]

Cell Line:	BT-474 cells
Concentration:	25, 50 μ M
Incubation Time:	
Result:	Significantly enhanced the expression of BMAL1, PER1 and PEPCK in a dose-dependent manner.

REFERENCES

[1]. De Mei C, et al. Dual inhibition of REV-ERB β and autophagy as a novel pharmacological approach to induce cytotoxicity in cancer cells. *Oncogene*. 2015 May 14;34(20):2597-608.

[2]. Torrente E, et al. Synthesis and in Vitro Anticancer Activity of the First Class of Dual Inhibitors of REV-ERB β and Autophagy. *J Med Chem*. 2015 Aug 13;58(15):5900-15.

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