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Zuschläge

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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

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

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

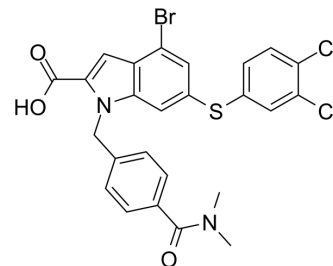
mail@szabo-scandic.com

www.szabo-scandic.com

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

Rheb inhibitor NR1

Cat. No.:	HY-124798		
CAS No.:	2216763-38-9		
Molecular Formula:	C ₂₅ H ₁₉ BrCl ₂ N ₂ O ₃ S		
Molecular Weight:	578.3		
Target:	mTOR		
Pathway:	PI3K/Akt/mTOR		
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 : 50 mg/mL (86.46 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM	1.7292 mL	8.6460 mL	17.2921 mL	
		5 mM	0.3458 mL	1.7292 mL	3.4584 mL	
		10 mM	0.1729 mL	0.8646 mL	1.7292 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 (4.32 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Rheb inhibitor NR1 is a Rheb inhibitor with an IC₅₀ of 2.1 μM in the Rheb-IVK assay. Rheb inhibitor NR1 can directly bind Rheb in the switch II domain and selectively inhibit the activation of mechanistic target of rapamycin complex 1 (mTORC1). Rheb inhibitor NR1 inhibits the phosphorylation of mTORC1 driven T³⁸⁹pS6K1 and increases the phosphorylation of S⁴⁷³pAKT in a dose-dependent manner. Rheb inhibitor NR1 does not influence mTORC2 activity^[1]. (Rheb-IVK: Rheb-dependent mTORC1 kinase activity)
IC ₅₀ & Target	Rheb, mTORC1 ^[1]
In Vitro	NR1 (1-10 μM; 48 h) reduces the size of Jurkat cells^[1]. NR1 (0.37-30 μM; 90 min for MCF-7 and TRI102; 24 h for PC3) inhibits the phosphorylation of T³⁸⁹pS6K1 and increases the phosphorylation of S⁴⁷³pAKT in MCF-7, TRI102 and PC3 cells^[1]. NR1 (1-30 μM; 2.5 h) reduced protein synthesis in MCF-7^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay^[1]

Cell Line:	Jurkat cells
Concentration:	1, 3 and 10 μ M
Incubation Time:	48 h
Result:	Effectively reduced the size of Jurkat cells in a dose-dependent manner.

Western Blot Analysis^[1]

Cell Line:	MCF-7, TRI102 and PC3 cells
Concentration:	0.37, 1.1, 3.3, 10 and 30 μ M
Incubation Time:	90 min for MCF-7 and TRI102; 24 h for PC3
Result:	Inhibited the phosphorylation of T ³⁸⁹ pS6K1 and increased the phosphorylation of S ⁴⁷³ pAKT in a dose-dependent manner.

Western Blot Analysis^[1]

Cell Line:	MCF-7
Concentration:	1, 3, 10 and 30 μ M
Incubation Time:	2.5 h (then labeled the cells with an ³⁵ S-Met labeling mix for 30 min)
Result:	Dose-dependently reduced protein synthesis.

In Vivo

NR1 (30 mg/kg; IP; single dosage) significantly reduces mTORC1 activity in both kidney and skeletal muscle, and exhibited a clear band shift for T^{37/46}4E-BP1 in skeletal muscle^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6 mice (6-7 weeks; fast for 16 hours) ^[1]
Dosage:	30 mg/kg
Administration:	IP; single dosage
Result:	Sustained over 5 μ M for 2 h. Significantly reduced mTORC1 activity in both kidney and skeletal muscle, and exhibited a clear band shift for T ^{37/46} 4E-BP1 in skeletal muscle.

CUSTOMER VALIDATION

- PLoS Pathog. 2023 Feb 3;19(2):e1011126.

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REFERENCES

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