

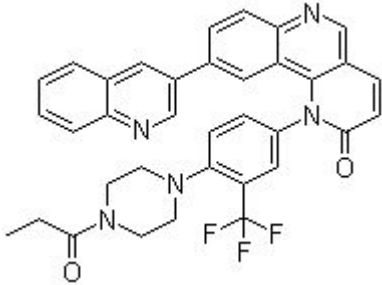


Product Introduction

Torin 1

Torin 1 is a potent inhibitor of mTORC1/2 with IC50 of 2 nM/10 nM; exhibits 1000-fold selectivity for mTOR than PI3K.

Technical Data:

Molecular Weight (MW):	607.62	
Formula:	C ₃₅ H ₂₈ F ₃ N ₅ O ₂	
Solubility (25°C)	DMSO 2 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	1222998-36-8	

Biological Activity

Torin1 inhibits phosphorylation of mTORC1 and mTORC2 substrates in cells at concentrations of 2 and 10 nM, respectively. Moreover, Torin1 exhibits 1000-fold selectivity for mTOR over PI3K (EC50 = 1800 nM) and exhibits 100-fold binding selectivity relative to 450 other protein kinases. ^[1] ^[2] Torin1 causes cell cycle arrest through a rapamycin-resistant mechanism that is also independent of mTORC2. Torin1 disrupts mTORC1-dependent phenotypes more completely than rapamycin. Rapamycin-resistant functions of mTORC1 are required for cap-dependent translation. ^[1] In a recent study, it is reported Torin1 increases

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neurotensin secretion and gene expression through activation of the MEK/ERK/c-Jun pathway in the human endocrine cell line BON. [3]

Torin1 is efficacious at a dose of 20 mg/kg in a U87MG xenograft model and demonstrates good pharmacodynamic inhibition of downstream effectors of mTOR in tumor and peripheral tissues. [2]

References

[1] Thoreen CC, et al, J Biol Chem, 2009, 284(12), 8023-8032.

[2] Liu Q, et al, J Med Chem, 2010, 53(19), 7146-7155.

[3] Li J, et al, Am J Physiol Cell Physiol, 2011, 301(1), C213-C226.

[4] Dowling RJ, et al, Science, 2010, 328(5982), 1172-1176.



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