

WYE-354

## Chemical Properties

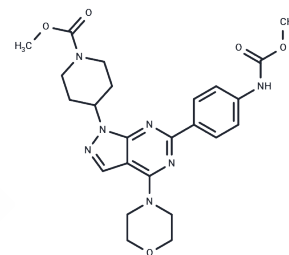
CAS No. : 1062169-56-5

Formula: C<sub>24</sub>H<sub>29</sub>N<sub>7</sub>O<sub>5</sub>

Molecular Weight: 495.53

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



## Biological Description

Description	WYE-354(IC <sub>50</sub> =5 nM) is an effective, selective and ATP-competitive mTOR inhibitor. It blocks mTORC2/P-AKT(S473) and mTORC1/P-S6K(T389), not P-AKT(T308). The selectivity for mTOR is higher than PI3Kα (>100-fold) and PI3Kγ (>500-fold).
Targets(IC <sub>50</sub> )	Apoptosis, Autophagy, mTOR, PI3K
In vitro	In HEK293 cells, WYE-354 (0.2 μM–5 μM) effectively inhibits both mTORC1 and mTORC2. In U87 mg and MDA361 cells, WYE-354 (0.3 μM–10 μM) significantly blocks mTOR signaling and Akt activation. In tumor cell lines including MDA-MB-361, MDA-MB-231, MDA-MB-468, LNCap, A498, and HCT116, WYE-354 effectively inhibits proliferation with IC <sub>50</sub> values ranging from 0.28 μM to 2.3 μM. In endothelial HUVEC cells, WYE-354 also inhibits both mTORC1 and mTORC2 signaling with IC <sub>50</sub> values ranging from 10 nM to 1 μM, as revealed by dephosphorylation of S6 ribosomal protein and Akt, respectively. The apoptosis induced by WYE-354 is accompanied by G1 cell cycle arrest and caspases activation. WYE-354 (10 nM–1 μM) activates mitogen-activated protein kinase (MAPK) signaling, which may be due to its inhibition of mTORC1.
In vivo	WYE-354 (50 mg/kg) potently inhibits mTOR signaling and tumor growth in a mice xenograft model of PTEN-null PC3 mM2 tumor.
Kinase Assay	The assays were incubated at room temperature for 2 hours. In 96-well plates (25 μL) contains 6 nM Flag-TOR(3.5) (estimated 5–10% purity), 1 μM His6-S6K and 100 μM ATP. For inhibitor versus ATP matrix competition, mTOR kinase reactions are carried out with varying concentrations of ATP (0, 25, 50, 100, 200, 400 and 800 μM) in combination with varying concentrations of inhibitor. The assays are performed and detected by DELFIA employing the Euphospho-p70S6K T389 antibody.
Cell Research	Tumor cell lines including MDA-MB-361, MDA-MB-231, MDA-MB-468, LNCap, DU145, A498, and HCT116, are plated in 96-well plates at 1000 to 3000 cells per well for 24 hours, treated with DMSO or varying concentrations of WYE-354 (0–50 μM, dissolved in DMSO) for 72 hours.
Animal Research	Nude mice (BALB/c, nu/nu, female) bearing PC3 mM2 xenograft were intraperitoneally injected with WYE-354 (50 mg/kg) dissolved in 5% ethanol, 5% polysorbate 80, 5% PEG-400.

## Solubility Information

## A DRUG SCREENING EXPERT

Solubility	DMSO: 92 mg/mL (185.66 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.018 mL	10.0902 mL	20.1804 mL
5 mM	0.4036 mL	2.018 mL	4.0361 mL
10 mM	0.2018 mL	1.009 mL	2.018 mL
50 mM	0.0404 mL	0.2018 mL	0.4036 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

### Reference

Yu K, et al. Cancer Res, 2009, 69(15), 6232-6240.

Chen W, Liao Y, Sun P, et al. Construction of an ER stress-related prognostic signature for predicting prognosis and screening the effective anti-tumor drug in osteosarcoma. Journal of Translational Medicine. 2024, 22(1): 1-19.

Dormond-Meuwly A, et al. Biochem Biophys Res Commun, 2011, 407(4), 714-719.

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