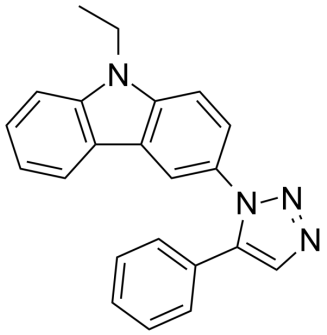
MBQ-167



Cat. No.:	HY-112842
CAS No.:	2097938-73-1
Molecular Formula:	$C_{22}H_{18}N_4$
Molecular Weight:	338.41
Target:	Ras; CDK
Pathway:	GPCR/G Protein; MAPK/ERK Pathway; Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (295.50 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9550 mL	14.7750 mL	29.5500 mL
	5 mM	0.5910 mL	2.9550 mL	5.9100 mL
	10 mM	0.2955 mL	1.4775 mL	2.9550 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 (7.39 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MBQ-167 is a dual Rac/Cdc42 inhibitor, with IC_{50}s of 103 nM for Rac 1/2/3 and 78 nM for Cdc42 in MDA-MB-231 cells, respectively.

IC_{50} & Target

Cdc42	Ras 1/2/3
78 nM (IC_{50})	103 nM (IC_{50})

In Vitro

MBQ-167 (≥100 nM) induces a loss of polarity in metastatic breast cancer cells. Treatment with 500 nM MBQ-167 for 24 h results in ~95% cell rounding and detachment from the substratum in metastatic MDA-MB-231 cells. Moreover, MBQ-167 induces this phenotype in multiple mesenchymal cancer cell types including GFP-HER2-BM, MDA-MB-468, and Hs578t human breast cancer cells, as well as Mia-PaCa-2 pancreatic cancer cells, SKOV3 ovarian cancer cells, AGS and NCI-N87 gastric cancer cells, and SH-SY5Y neuroblastoma cells. Following treatment with 250 nM MBQ-167 for 24 h, the attached population of MDA-MB-231 cells demonstrate a ~25% decrease in Rac activation while the detached cells are more

	responsive with a ~75% decrease. At earlier times (6h), treatment with 250 or 500 nM MBQ-167, induce a inhibition in Rac activity in the attached cell population, while the detached population demonstrate a ~40-50% inhibition[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MBQ-167-treated mice demonstrate a statistically significant reduction in tumor growth. At sacrifice, 1.0 mg/kg BW of MBQ-167 results in a ~80% reduction in tumor growth, and the 10 mg/kg BW MBQ-167 treatment results in ~95% reduction in tumor growth. Since EHop-016 only exerts ~40% reduction of tumor growth at 10 mg/kg BW, MBQ-167 is 10X more effective than EHop-016. MBQ-167 treated mice demonstrate similar doubling times for both treatments (10 and 11 days)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] Female athymic nu/nu mice, 4 to 5wk old are used. GFP-HER2-BM cells (~5×10^5) in Matrigel are injected at the fourth right mammary fat pad under isofluorane inhalation to produce orthotopic primary tumors. After tumor establishment (1wk post-inoculation), animals are randomly divided into treatment groups (n=6). Mice are treated with vehicle (12.5% ethanol, 12.5% Cremophor, and 75% 1X PBS pH 7.4), or 1 or 10 mg/kg BW MBQ-167 by i.p. injection in a 100 µL volume 3X a wk. Treatments continue until sacrifice at day 65[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2022 Oct;610(7931):366-372.
- Clin Transl Med. 2022 Jun;12(6):e850.
- JCI Insight. 2023 Mar 28;e163864.
- Research Square Preprint. 2023 Apr 13.

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REFERENCES

[1]. Humphries-Bickley T, et al. Characterization of a Dual Rac/Cdc42 Inhibitor MBQ-167 in Metastatic Cancer. Mol Cancer Ther. 2017 May;16(5):805-818.

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