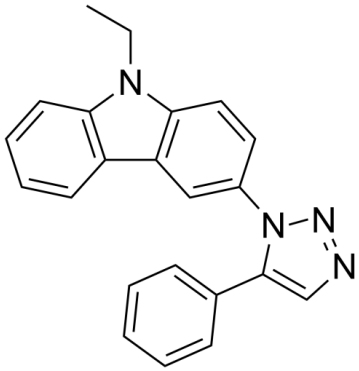
Data Sheet

Product Name:	MBQ-167
Cat. No.:	CS-0066907
CAS No.:	2097938-73-1
Molecular Formula:	$C_{22}H_{18}N_4$
Molecular Weight:	338.41
Target:	CDK; Ras
Pathway:	Cell Cycle/DNA Damage; GPCR/G Protein; MAPK/ERK Pathway
Solubility:	DMSO : 100 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & Target:IC50: 103 nM (1/2/3), 78 nM (Cdc42)[1]. ***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]. ***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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

9H-Carbazole, 9-ethyl-3-(5-phenyl-1H-1,2,3-triazol-1-yl)-

SMILES:

CCN1C2=C(C3=C1C=CC=C3)C=C(N4N=NC=C4C5=CC=CC=C5)C=C2

Caution: Product has not been fully validated for medical applications. For research use only.

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