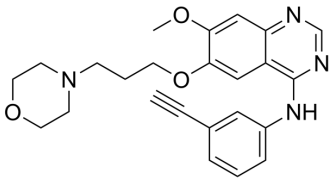
NRC-2694

Cat. No.:	HY-19909
CAS No.:	936446-61-6
Molecular Formula:	$C_{24}H_{26}N_4O_3$
Molecular Weight:	418.49
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
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 : 250 mg/mL (597.39 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3895 mL	11.9477 mL	23.8954 mL
5 mM	0.4779 mL	2.3895 mL	4.7791 mL
10 mM	0.2390 mL	1.1948 mL	2.3895 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: ≥ 2.08 mg/mL (4.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NRC-2694 is an epidermal growth factor receptor (EGFR) antagonist with anti-cancer and anti-proliferative properties. NRC-2694 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

EGFR

In Vitro

NRC-2694 at 80 ng (190 nm) concentrations causes comparable inhibition of EGFR expression[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In toxicity studies, the maximum tolerated dose of NRC-2694 in male and female mice is 2000 mg/kg (po). NRC-2694 (10 mg/kg) regresses the tumor in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	The in vitro invasiveness of H1299 and A549 cells in the presence of various concentrations of NRC compounds (as determined by MTT assay) is assessed using a modified Boyden chamber assay. Cells are treated with these compounds for 48 hr. 1×10^6 cells are suspended in 600 µL of serum-free medium supplemented with 0.2% BSA and placed in the upper compartment of the transwell chambers coated with matrigel (0.7 mg/mL). The lower compartment of the chamber is filled with 200 µL of serum medium and the cells are allowed to migrate for 24 h. after incubation, the cells are fixed and stained with Hema-3 and quantified. The migrated cells are quantified as percent invasion. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Nude mice are implanted with 2×10^6 A549 cells in the right hind limb flank. Upon the observance of a tumour (>2 mm), mice are given oral or ip treatments of the test compounds including erlotinib HCl used as positive control. A dose of 100 mg/kg of erlotinib HCl is identified as the base line dose. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ramanadham Jyothi Prasad, et al. 6-7,dialkoxy quinazoline derivatives useful for treatment of cancer related disorders. US 8143250 B2

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