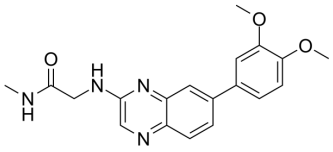
BQR-695

Cat. No.:	HY-18748
CAS No.:	1513879-21-4
Molecular Formula:	$C_{19}H_{20}N_4O_3$
Molecular Weight:	352.39
Target:	PI4K; Parasite
Pathway:	PI3K/Akt/mTOR; Anti-infection
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 : 50 mg/mL (141.89 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8378 mL	14.1888 mL	28.3776 mL
	5 mM	0.5676 mL	2.8378 mL	5.6755 mL
	10 mM	0.2838 mL	1.4189 mL	2.8378 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.09 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BQR-695 is a PI4KIIIβ inhibitor with IC_{50}s of 80 and 3.5 nM for human PI4KIIIβ and Plasmodium variant of PI4KIIIβ, respectively.

IC_{50} & Target

human PI4KIIIβ	Plasmodium	Plasmodium PI4KIIIβ
80 nM (IC_{50})		3.5 nM (IC_{50})

In Vitro

Treatment with 0.5 μM of either KAI407 or BQR695 causes GFP-PH^{Osh2} to redistribute to the parasite plasma membrane, consistent with depletion of intracellular PI4P upon inhibition of PfPI4K function. BQR695 shows no evidence of toxicity against mature red blood cells (RBCs), induces a schizont-stage arrest indistinguishable from that observed in imidazopyrazine-treated parasites and exhibits cross-resistance with the imidazopyrazine-resistant lines[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]

A clonal population of P. falciparum Dd2 parasites is used to initiate two or three independent parasite cultures under the initial selection pressure of 12 nM KAI407, 1 nM KAI715 or 40 nM BQR695. Stepwise drug evolution continues until the final concentration is at least 3-fold higher than the initial concentration (typically 80 to 120 days). For each of the ten resistant strains, copy number variations (CNVs) and single nucleotide variations (SNVs) are detected using a whole-genome tiling array and analyzed with PfGenominator. The susceptibility of each resistant strain to KAI407, KAI715, KDU691 and BQR695 is determined by the 72-hr SYBR Green cell proliferation assay with four independent experiments assayed in duplicate[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Patent. US20220273624A1.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Fowler ML, et al. Using hydrogen deuterium exchange mass spectrometry to engineer optimized constructs for crystallization of protein complexes: Case study of PI4KIIIβ with Rab11. Protein Sci. 2016 Apr;25(4):826-39.

[2]. McNamara CW, et al. Targeting Plasmodium PI(4)K to eliminate malaria. Nature. 2013 Dec 12;504(7479):248-253.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA