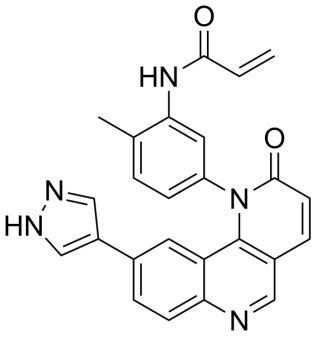
QL-X-138

Cat. No.:	HY-124645
CAS No.:	1469988-63-3
Molecular Formula:	$C_{25}H_{19}N_5O_2$
Molecular Weight:	421.45
Target:	Btk; MNK; Flavivirus; Dengue virus
Pathway:	Protein Tyrosine Kinase/RTK; MAPK/ERK Pathway; Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (59.32 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3728 mL	11.8638 mL	23.7276 mL
	5 mM	0.4746 mL	2.3728 mL	4.7455 mL
	10 mM	0.2373 mL	1.1864 mL	2.3728 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 (5.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

QL-X-138 is a potent and selective BTK/MNK dual kinase inhibitor, exhibits covalent binding to BTK and non-covalent binding to MNK. QL-X-138 shows IC_{50}s of 9.4 nM, 107.4 nM and 26 nM for BTK, MNK1 and MNK2 kinases respectively. QL-X-138 also shows anti-dengue virus 2 activity, with an IC_{50} of 3.5 μM. QL-X-138 can be used for the research of B-cell malignancies[1][2].

In Vitro

QL-X-138 (72 h) exhibits anti-proliferation activity against lymphoma and leukemia cell lines, with an GI_{50}s of 0.31, 1.2, 0.49,1.4, 0.4, 0.23, 0.95, 1.2, 1.4, 0.23, 1.3, 0.93, 1, and 2.4 μM for TMD8, U2932, Ramos, OCI-AML3, SKM-1, NOMO-1, NB4, HEL, U937, NALM6, MEC-1, MEC-2, Hs 505.T and REC-1 cells, respectively[1].

QL-X-138 (0.5-5 μM; 24-72 h) arrests the progression of Ramos, OCI-AML-3, U937 and U2932 cells cycle in a dose dependent manner[1].

QL-X-138 (0.5-5 μM; 8-72 h) induces apoptosis of Ramos, OCI-AML-3, U937 and U2932 cells in a time- and dose-dependent manner[1].

QL-X-138 (3-10000 nM; 4 h) blocks BTK- and MNK-mediated signaling in lymphoma and leukemia cell[1].

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

Western Blot Analysis[1]

Cell Line:	Ramos, OCI-AML3, U2932, TMD8 and U937 cells
Concentration:	3, 10, 30, 100, 300, 1000, 3000, 10000 nM
Incubation Time:	4 hours
Result:	Significantly suppressed BTK auto-phosphorylation of Y223 (EC_{50}=11 nM). Strongly blocked phosphorylation of the BTK downstream target PLCγ2 Y1217 (EC_{50}=57 nM). Suppressed the phosphorylation of the MNK downstream target eIF4E S209 at a concentration of 1 μM.

REFERENCES

[1]. Wu H, et, al. Discovery of a BTK/MNK dual inhibitor for lymphoma and leukemia. Leukemia. 2016 Jan;30(1):173-81.

[2]. Wispelaere M, et, al. Discovery of host-targeted covalent inhibitors of dengue virus. Antiviral Res. 2017 Mar;139:171-179.

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