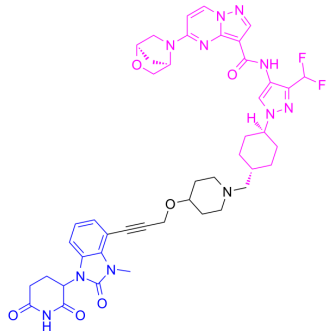
KT-474

Cat. No.:	HY-145483
CAS No.:	2432994-31-3
Molecular Formula:	$C_{44}H_{49}F_2N_{11}O_6$
Molecular Weight:	865.93
Target:	IRAK; PROTACs; Apoptosis
Pathway:	Immunology/Inflammation; PROTAC; Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (115.48 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1548 mL	5.7741 mL	11.5483 mL
	5 mM	0.2310 mL	1.1548 mL	2.3097 mL
	10 mM	0.1155 mL	0.5774 mL	1.1548 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 (2.89 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KT-474 (KYM-001) is an orally active PROTAC IRAK4 degrader with antitumor activities[1]. KT-474 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

IRAK4

In Vitro

KT-474 (1-100 nM) inhibits Resiquimod (HY-13740)-induced and lipopolysaccharide (HY-D1056)-induced IL-6 and IL-8 production by PBMCs[2].
KT-474 (10-100 nM) inhibits NF-kB activation (phospho-p65) in CpG-B stimulated B cells[2].
KYM-001 (48-72 h) inhibits cell cycle and induces apoptosis in ABC DLBCL, with preferential activity in MYD88-mutant vs MYD88-WT cell lines[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	KT-474 (p.o.) induces tumor regression in xenograft models of MYD88-mutant ABC DLBCL[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Nello Mainolfi, et al. Irak degraders and uses thereof. Patent WO2020113233A1.

[2]. Ackerman L, et al. IRAK4 degrader in hidradenitis suppurativa and atopic dermatitis: a phase 1 trial. Nat Med. 2023 Dec;29(12):3127-3136.

[3]. Joseph F. Kelleher, et al. Abstract LB-272: KYM-001, a first-in-class oral IRAK4 protein degrader, induces tumor regression in xenograft models of MYD88-mutant ABC DLBCL alone and in combination with BTK inhibition. Cancer Res (2019) 79 (13_Supplement): LB-272.

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