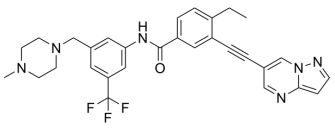
7rh

Cat. No.:	HY-U00444
CAS No.:	1429617-90-2
Molecular Formula:	$C_{30}H_{29}F_3N_6O$
Molecular Weight:	546.59
Target:	Discoidin Domain Receptor
Pathway:	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 : 62.5 mg/mL (114.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8295 mL	9.1476 mL	18.2952 mL
	5 mM	0.3659 mL	1.8295 mL	3.6590 mL
	10 mM	0.1830 mL	0.9148 mL	1.8295 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 (3.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

7rh (DDR1-IN-2) is a potent inhibitor of discoidin domain receptor 1 (DDR1), with an IC_{50} of 13.1 nM, and also less potently inhibits DDR2, with an IC_{50} of 203 nM. 7rh 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

IC50: 13.1 nM (DDR1), 203 nM (DDR2), 414 nM (Bcr-Abl), 2500 nM (c-Kit)[1]

In Vitro

7rh (compound 1) is a potent inhibitor of DDR1, with an IC_{50} of 13.1 nM, and less potently inhibits DDR2, with an IC_{50} of 203 nM. 7rh also shows inhibitory activities against Bcr-Abl and c-Kit, with IC_{50}s of 414 and 2500 nM, respectively[1].

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

PROTOCOL

Kinase Assay [1]

The effects of compounds (including DDR1-IN-2) on the kinases DDR1 and DDR2 are assessed by using a LanthaScreen Eu kinase activity assay technology. Kinase reactions are performed in a 10 μL solution in low-volume 384-well plates. The kinase reaction buffer consists of 50 mM HEPES pH 7.5, 0.01% BRIJ-35, 10 mM $MgCl_2$, and 1 mM EGTA; the concentration of Fluorescein-Poly GAT substrate in the assay is 100 nM. Kinase reactions are initiated by the addition of 100 nM ATP in the presence of serially diluted compounds (DDR1-IN-2). The reactions are allowed to proceed for 1 h at room temperature before a 10 μL preparation of EDTA (20 mM) and Eu-labeled antibody (4 nM) in TR-FRET dilution buffer are added. The final concentration of antibody in the assay well is 2 nM, and the final concentration of EDTA is 10 mM. The plate is allowed to incubate at room temperature for one more hour before the TR-FRET emission ratios of 665 nm/340 nm are acquired on a multilabel reader. Data analysis and curve fitting are performed using GraphPad Prism4 software[1].

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

CUSTOMER VALIDATION

- Cell Death Differ. 2023 Apr 28.
- Oncogene. 2022 Feb 9.
- Research Square Print. 2022 Jun.

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REFERENCES

[1]. Wang Z, et al. Tetrahydroisoquinoline-7-carboxamide Derivatives as New Selective Discoidin Domain Receptor 1 (DDR1) Inhibitors. ACS Med Chem Lett. 2017 Feb 9;8(3):327-332.

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