# 7rh

**Cat. No.:** HY-U00444

**CAS No.:** 1429617-90-2

**Molecular Formula:** $C_{30}H_{29}F_3N_6O$

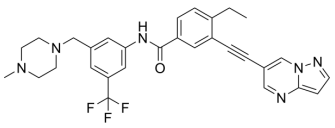
**Molecular Weight:** 546.59

**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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