DDR Inhibitor

Cat. No.: HY-W018931

CAS No.: 1644069-80-6

Molecular Formula: $C_{23}H_{20}FN_5O_2$

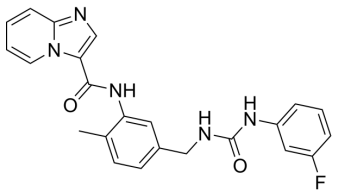
Molecular Weight: 417.44

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 : 65 mg/mL (155.71 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3956 mL	11.9778 mL	23.9555 mL
5 mM	0.4791 mL	2.3956 mL	4.7911 mL
10 mM	0.2396 mL	1.1978 mL	2.3956 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: ≥ 2.17 mg/mL (5.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (5.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DDR Inhibitor is a potent discoidin domain receptor (DDR) inhibitor, with an IC_{50} of 3.3 nM for DDR2, and shows 53% inhibition on DDR1 at 1.5 nM.

IC_{50} & Target

IC50: 3.3 nM (DDR2)[1]
IC53: 1.5 nM (DDR1)[1]

In Vitro

DDR Inhibitor (Example 6) is a potent DDR inhibitor, with an IC_{50} of 3.3 nM for DDR2, and shows 53% inhibition on DDR1 at 1.5 nM[1].

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

PROTOCOL

Kinase Assay [1]

Europium Kinase binding assay is used. Compounds (DDR Inhibitor, etc.) are incubated with 0.5 nM DDR1 or 0.25 nM DDR2 for 1 hour at room temperature in low volume black 384 well assay plates containing 5 nM or 10 nM Kinase Tracer 178 respectively and 2 nM Europium labelled anti-GST antibody in assay buffer (50 mM HEPES pH 7.5, 10 mM $MgCl_2$, 1 mM EGTA and 0.01 % BRIJ35). The ratio of fluorescence emission 665 nm/615 nm after excitation at 340 nm is obtained. IC_{50} values are determined from dose-response plots using nonlinear least-squares analysis[1].

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

REFERENCES

[1]. Gordon Saxty, et al. Imidazo-condensed bicycles as inhibitors of discoidin domain receptors (ddrs)

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