Bikinin

Cat. No.: HY-12524

CAS No.: 188011-69-0

Molecular Formula: $C_9H_9BrN_2O_3$

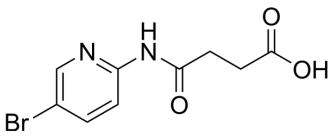
Molecular Weight: 273.08

Target: GSK-3

Pathway: PI3K/Akt/mTOR; Stem Cell/Wnt

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 : ≥ 42 mg/mL (153.80 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6619 mL	18.3097 mL	36.6193 mL
5 mM	0.7324 mL	3.6619 mL	7.3239 mL
10 mM	0.3662 mL	1.8310 mL	3.6619 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 (9.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bikinin is a non-steroidal, ATP-competitive inhibitor of plant GSK-3/Shaggy-like kinases and activates BR (brassinosteroids) signaling.

IC_{50} & Target

GSK-3

In Vitro

Bikinin reduces transcript levels of NbRBOHB and BR-induced NbRBOHB expression in TRV:00, TRV:NbDWARF, TRV:NbBRI1, TRV:NbBSK1, TRV:NbBAK1, TRV:NbBIK1 and TRV:NbBSU1 plants. Bikinin largely inhibits BR-increased ROS accumulation. Moreover, Bikinin decreases the transcripts of these six genes in all plants, but fails to down-regulate transcripts of these genes in NbBES1/BZR1-silenced plants. Bikinin also inhibits BR-induced up-regulation of the six genes, and the inhibition

effects are compromised in NbBES1/BZR1-silenced plants[1]. Bikinin is a potent inhibitor of group I and group II ASKs[2]. Bikinin directly binds the GSK3 BIN2 and acts as an ATP competitor. Furthermore, bikinin inhibits the activity of six other Arabidopsis GSK3s[3].

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

PROTOCOL

Kinase Assay [2]

ASKs are expressed as GST-fusion proteins in Escherichia coli BL21. In vitro kinase assays are performed by incubating 50 ng GST-fusion protein, 10 μg myelin basic protein (MBP) as a substrate and 0.15 MBq γ-[^{32}P]-ATP as co-substrate at 25°C for 30 min. The reaction buffer consists of 20 mM HEPES/KOH pH 7.4, 15 mM $MgCl_2$, 5 mM EGTA, 1 mM dithiothreitol and 1 μM cold ATP. The reaction products are separated by SDS-PAGE and the amount of radioactivity incorporated into MBP quantified using an Amersham storage phosphor imager screen and a Biorad Molecular Imager FX[2].

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

CUSTOMER VALIDATION

- Int J Mol Sci. 2019 May 11;20(9):2339.

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REFERENCES

[1]. Deng XG, et al. Role of brassinosteroid signaling in modulating Tobacco mosaic virus resistance in Nicotiana benthamiana. Sci Rep. 2016 Feb 3;6:20579.

[2]. Rozhon W, et al. Bikinin-like inhibitors targeting GSK3/Shaggy-like kinases: characterisation of novel compounds and elucidation of their catabolism in planta. BMC Plant Biol. 2014 Jun 19;14:172.

[3]. De Rybel B, et al. Chemical inhibition of a subset of Arabidopsis thaliana GSK3-like kinases activates brassinosteroid signaling. Chem Biol. 2009 Jun 26;16(6):594-604.

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