R112

Cat. No.: HY-16420

CAS No.: 575474-82-7

Molecular Formula: $C_{16}H_{13}FN_4O_2$

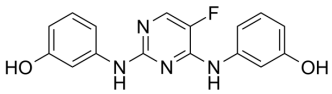
Molecular Weight: 312.3

Target: Syk

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 : 55 mg/mL (176.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2020 mL	16.0102 mL	32.0205 mL
	5 mM	0.6404 mL	3.2020 mL	6.4041 mL
	10 mM	0.3202 mL	1.6010 mL	3.2020 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: ≥ 2.75 mg/mL (8.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (8.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (8.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

R112 is a fast and reversible inhibitor of spleen tyrosine kinase (Syk) kinase. R112 inhibits Syk kinase activity with an IC_{50} value of 226 nM and a Ki value of 96 nM. R112 inhibits IgE-FcεRI signaling pathway. R112 can be used for the research of allergic rhinitis[1].

IC_{50} & Target

IC50: 226 nM (Syk kinase)[1]

In Vitro

R112 (0.001-10 μM; 1 h) dose-dependently inhibits anti-IgE-mediated tryptase release and histamine release with EC_{50}s of

0.353 and 0.28 μM, inhibits histamine release by basophils stimulated with an EC_{50} value of 0.49 μM, inhibits secretion of LTC4, TNF-α, GM-CSF and IL-8 with EC_{50}s of 0.115, 2.01, 1.58 and 1.75 μM, respectively[1].
R112 (0-10 μM; 40 min) inhibits Syk target LAT (Y191) phosphorylation[1].

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

Western Blot Analysis[1]

Cell Line:	Human mast cells
Concentration:	0.4, 2 and 10 μM
Incubation Time:	40 min
Result:	Inhibited phosphorylation of the Syk target LAT (Y191) and also inhibited phosphorylation of Syk downstream events.

REFERENCES

[1]. Rossi AB, et al. Identification of the Syk kinase inhibitor R112 by a human mast cell screen. J Allergy Clin Immunol. 2006 Sep;118(3):749-755.

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