Quercetagetin

Cat. No.: HY-N4149

CAS No.: 90-18-6

Molecular Formula: $C_{15}H_{10}O_8$

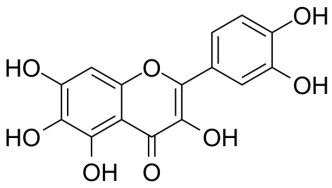
Molecular Weight: 318.24

Target: Pim

Pathway: JAK/STAT Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (392.79 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1423 mL	15.7114 mL	31.4228 mL
5 mM	0.6285 mL	3.1423 mL	6.2846 mL
10 mM	0.3142 mL	1.5711 mL	3.1423 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 (6.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Quercetagetin (6-Hydroxyquercetin) is a flavonoid[1]. Quercetagetin is a moderately potent and selective, cell-permeable pim-1 kinase inhibitor (IC_{50}, 0.34 μM)[2]. Anti-inflammatory and anticancer properties.

IC_{50} & Target

PIM1	PIM2	RSK2	PKA
0.34 μM (IC_{50})	3.45 μM (IC_{50})	2.82 μM (IC_{50})	21.2 μM (IC_{50})

In Vitro

Quercetagetin also inhibits PIM2, PKA, and RSK2 with IC_{50}s of 3.45, 21.2, and 2.82 μM, respectively[2].
Quercetagetin (0.1, 1, 10, and 100 μM, 72 hours) inhibits growth of RWPE2 prostate cancer cells with average ED_{50} is 3.8 μM[2].

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

Cell Viability Assay[2]

Cell Line:	RWPE2 prostate cancer cells
Concentration:	0.1, 1, 10, and 100 μM
Incubation Time:	72 hours
Result:	Inhibited growth of RWPE2 prostate cancer cells with average ED_{50} is 3.8 μM.

In Vivo

Quercetagetin significantly inhibits UVB-induced skin cancer development. Topical application of 4 or 20 nmol of Quercetagetin to mouse skin reduces tumor incidence by 32.0% and 46.7%, respectively[3].

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

Animal Model:	SKH-1 hairless mice model[3]
Dosage:	4 or 20 nmol
Administration:	Topical application; 28 weeks
Result:	Inhibited UVB-induced skin tumorigenesis in SKH-1 hairless mice models. Delayed the development of tumors and reduced tumor volumes in an SKH-1 hairless mice model.

CUSTOMER VALIDATION

- Nanoscale. 2020 Aug 20;12(32):16738-16754.
- J Enzyme Inhib Med Chem. 2021 Dec;36(1):497-503.
- bioRxiv. 2020 Apr.

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REFERENCES

[1]. Yang X, et al. Isolation and identification of an antioxidant flavonoid compound from citrus-processing by-product. J Sci Food Agric. 2011 Aug 15;91(10):1925-7.

[2]. Holder S, et al. Characterization of a potent and selective small-molecule inhibitor of the PIM1 kinase. Mol Cancer Ther. 2007 Jan;6(1):163-72.

[3]. Baek S, et al. Structural and functional analysis of the natural JNK1 inhibitor quercetagetin. J Mol Biol. 2013 Jan 23;425(2):411-23.

India Contact:
Life Technologies (India) Pvt. Ltd.
306, Aggarwal City Mall, Opposite M2K Pitampura, Delhi – 110034 (INDIA). Ph: +91-11-42208000, 42208111, 42208222, Mobile: +91-9810521400, Fax: +91-11-42208444
Email: customerservice@lifetechindia.com Website: www.lifetechindia.com