Butein

Cat. No.: HY-16558

CAS No.: 487-52-5

Molecular Formula: $C_{15}H_{12}O_5$

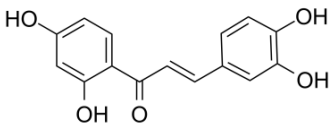
Molecular Weight: 272.25

Target: EGFR; Autophagy; Apoptosis; Phosphodiesterase (PDE)

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Autophagy; Apoptosis; Metabolic Enzyme/Protease

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 : ≥ 35 mg/mL (128.56 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	3.6731 mL	18.3655 mL	36.7309 mL
5 mM	0.7346 mL	3.6731 mL	7.3462 mL
10 mM	0.3673 mL	1.8365 mL	3.6731 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 (9.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Butein, isolated from Dalbergia odorifera T. Chen, is a cAMP-specific PDE inhibitor with an IC_{50} of 10.4 μM for PDE4[1]. Butein is a specific protein tyrosine kinase inhibitor with IC_{50}s of 16 and 65 μM for EGFR and $p60^{c\text{-}src}$ in HepG2 cells[2]. Butein sensitizes HeLa cells to Cisplatin through AKT and ERK/p38 MAPK pathways by targeting FoxO3a[3]. Butein is a SIRT1 activator (STAC).

IC_{50} & Target

EGFR 16 μM (IC_{50}, in HepG2 cells)	PDE4 10.4 μM (IC_{50})

In Vitro

Butein potently inhibits cAMP-specific phosphodiesterase (type IV) activity with an IC_{50} of 10.4±0.4 μM. In contrast, phosphodiesterase I, III and V activities were inhibited by Butein above 100 μM[1].

Butein, a plant polyphenol, is a specific protein tyrosine kinase inhibitor. Butein inhibits not only the EGF-stimulated auto-phosphotyrosine level of EGFR in HepG2 cells but also tyrosine-specific protein kinase activities of EGFR (IC_{50}=16 μM) and $p60^{c\text{-}src}$ (IC_{50}=65 μM) in vitro[2].

Butein (10, 20, and 40 μM; 24, 48, and 72 hours) inhibits cell growth in a dose- and time-dependent manner[3].

Butein exhibits anticancer activity through the inhibition of the activation of PKB/AKT and MAPK pathways, which are two pathways known to be involved in resistance to cisplatin. Butein (20 μM) decreases phosphorylation of AKT, ERK and p38 following 24 h of co-treatment with Cisplatin (20 μM)[3].

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

Cell Viability Assay[3]

Cell Line:	HeLa cells
Concentration:	10, 20, and 40 μM
Incubation Time:	24, 48, and 72 hours
Result:	Inhibited cell growth in a dose- and time-dependent manner.

Western Blot Analysis[3]

Cell Line:	HeLa cells
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Decreased phosphorylation of AKT, ERK and p38 co-treatment with Cisplatin (20 μM).

In Vivo

Butein (2 mg/kg every 2 days) in combination with Cisplatin (2 mg/kg every 2 days) for 3 weeks suppresses tumor growth in vivo[3].

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

Animal Model:	Nude mice (12 female 6- or 7-week old) with subcutaneous tumor xenografts[3]
Dosage:	2 mg/kg
Administration:	Intraperitoneally; every 2 days; for 3 weeks
Result:	Enhanced the antitumor effects of Cisplatin in vivo.

CUSTOMER VALIDATION

- Pharmacol Res. 2020 May;155:104751.

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REFERENCES

[1]. Yu SM, et al. Endothelium-dependent relaxation of rat aorta by butein, a novel cyclic AMP-specific phosphodiesterase inhibitor.Eur J Pharmacol. 1995 Jun 23;280(1):69-77.

[2]. Yang EB, et al. Butein, a specific protein tyrosine kinase inhibitor.Biochem Biophys Res Commun. 1998 Apr 17;245(2):435-8.

[3]. Zhang L, et al. Butein sensitizes HeLa cells to cisplatin through the AKT and ERK/p38 MAPK pathways by targeting FoxO3a.Int J Mol Med. 2015 Oct;36(4):957-66.

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