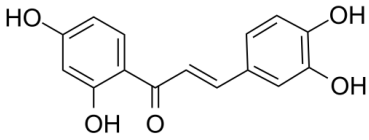
Data Sheet

Product Name:	Butein
Cat. No.:	CS-5675
CAS No.:	487-52-5
Molecular Formula:	$C_{15}H_{12}O_5$
Molecular Weight:	272.25
Target:	Apoptosis; Autophagy; EGFR; Phosphodiesterase (PDE)
Pathway:	Apoptosis; Autophagy; JAK/STAT Signaling; Metabolic Enzyme/Protease; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 50 mg/mL (183.65 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Butein 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). **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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay:[1] Cells were seeded at a density of 2×105 cells/well in 6-well plates. Following overnight incubation, the cells were then exposed to butein and/or cisplatin for 48 h. Following incubation, the cells were then fixed for 1 h in ice-cold 70% ethanol and incubated for 30 min at 37°C with 0.5 U of RNase A. DNA was then stained for 10 min with 50 μg/ml of PI and the cells analyzed using a flow cytometer. Animal injection:[1] Nude mice were obtained from the Animal Institute of Xi'an Jiaotong University, China (XJTU). In total, 12 female 6- or 7-week old nude mice were raised in autoclave cages and supplied with unlimited water and 5% fatty food. Room temperature and humidity were maintained at 26-28°C and 40-60%, respectively. All the animal-related procedures were approved by the Ethics Committee of the First Affiliated Hospital, and were adherent to the institutional guidelines and ethical standards. Suspensions of 1×106 HeLa cells were injected subcutaneously into the flanks of nude mice. When the tumor volume was ≥0.1 cm3, the mice were treated intraperitoneally with butein (2 mg/kg/2 days, n=4) or butein (2 mg/kg every 2 days, n=4) + cisplatin (2 mg/kg every 2 days, n=4) for 3 weeks. Body weight and clinical symptoms of the mice were determined every other day. Tumor volume was calculated according to the formula: V = 0.5236 × (L × W2), where V represents the tumor volume, L represents the length and W represents the width. The animals were euthanized on day 22 following the therapeutic injection.

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.

CAIndexNames:

2-Propen-1-one, 1-(2,4-dihydroxyphenyl)-3-(3,4-dihydroxyphenyl)-, (2E)-

SMILES:

OC1=CC=C(C(/C=C/C2=CC(O)=C(O)C=C2)=O)C(O)=C1

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