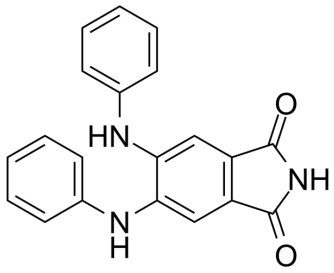
CGP52411

Cat. No.:	HY-103442
CAS No.:	145915-58-8
Molecular Formula:	$C_{20}H_{15}N_3O_2$
Molecular Weight:	329.35
Target:	EGFR; Amyloid-β
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Neuronal 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 : 100 mg/mL (303.63 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0363 mL	15.1814 mL	30.3628 mL
	5 mM	0.6073 mL	3.0363 mL	6.0726 mL
	10 mM	0.3036 mL	1.5181 mL	3.0363 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

CGP52411 (DAPH) is a high selective, potent, orally active and ATP-competitive EGFR inhibitor with an IC_{50} of 0.3 μM. CGP52411 blocks the toxic influx of Ca^{2+} ions into neuronal cells, and dramatic inhibits and reverses the formation of β-amyloid (Aβ42) fibril aggregates associated with Alzheimer's disease[1][2].

IC_{50} & Target

EGFR
0.3 μM (IC_{50})

Amyloid-β

In Vitro

CGP52411 (DAPH; 0-100 μM; 90 minutes; A431 cells) treatment inhibits autophosphorylation and c-src autophosphorylation in vitro in a dose-dependent manner with IC_{50}s of 1 μM and 16 μM, respectively. CGP52411 treatment also shows a concentration-dependent reduction in tyrosine phosphorylation of p185c-erbB2 with an IC_{50} value of 10 μM[1].
CGP52411 (DAPH) inhibits c-src kinase with an IC_{50} value of 16 μM. CGP52411 inhibits PKC isozymes isolated from porcine brain with an IC_{50} of 80 μM. CGP52411 inhibits conventional PKC isozymes (cPKCs α, β-1, β-2, and γ) but not nonconventional PKC isozymes (nPKCs δ, ε, and ζ) or atypical PKC isozymes (aPKC η)[1].

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

Western Blot Analysis[1]

Cell Line:	A431 cells
Concentration:	0 μM, 0.1 μM, 1 μM, 10 μM, 50 μM, 100 μM
Incubation Time:	90 minutes
Result:	Inhibited autophosphorylation in vitro in a dose-dependent manner with an IC_{50} of 1 μM. c-src autophosphorylation was inhibited with an IC_{50} of 16 μM. And also resulted in a concentration-dependent reduction in tyrosine phosphorylation of $p^{185c\text{-}erbB2}$, with an estimated IC_{50} value of 10 μM.

In Vivo

CGP52411 (3.2 mg/kg, 6.3 mg/kg, 12.5 mg/kg, 25 mg/kg, and 50 mg/kg; oral administration; daily; for 15 days; female BALB/c nude mice) treatment in vivo against xenografts of the A431 and SK-OV-3 tumors, and has antitumor activity[1].

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

Animal Model:	Female BALB/c nude mice injected with A431cells[1]
Dosage:	3.2 mg/kg, 6.3 mg/kg, 12.5 mg/kg, 25 mg/kg, and 50 mg/kg
Administration:	Oral administration; daily; for 15 days
Result:	Antitumor efficacy was obtained at doses between 50 mg/kg and 6.3 mg/kg.

REFERENCES

[1]. Buchdunger E, et al. 4,5-Dianilinophthalimide: a protein-tyrosine kinase inhibitor with selectivity for the epidermal growth factor receptor signal transduction pathway and potent in vivo antitumor activity. Proc Natl Acad Sci U S A. 1994 Mar 15;91(6):233

[2]. Blanchard BJ, et al. Efficient reversal of Alzheimer's disease fibril formation and elimination of neurotoxicity by a small molecule. Proc Natl Acad Sci U S A. 2004 Oct 5;101(40):14326-32.

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