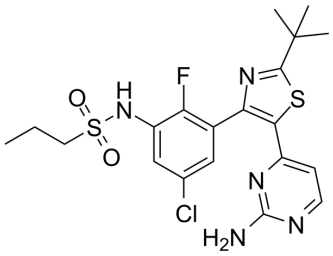
Everafenib

Cat. No.:	HY-150639
Molecular Formula:	$C_{20}H_{23}ClFN_5O_2S_2$
Molecular Weight:	484.01
Target:	Raf
Pathway:	MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Everafenib is a potent and blood-brain barrier (BBB) penetrant BRAF inhibitor, also inhibits MAPK signaling. Everafenib has inhibitory activity against a panel of ^{V600E}BRAF melanoma cell lines with IC_{50} values of 2-10 nM, which is better than Dabrafenib (HY-14660) and Vemurafenib (HY-12057). Everafenib has efficacy in an intracranial mouse model of metastatic melanoma[1].
IC_{50} & Target	BRAF, MAPK[1]

In Vitro

Everafenib (1-10 μM; 1 or 24 h) inhibits MAPK signaling in A375 cells[1].

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

Western Blot Analysis[1]

Cell Line:	A375 (^{V600E}BRAF)
Concentration:	0.01, 0.1, 1 and 10 μM
Incubation Time:	1 or 24 h
Result:	Inhibited phospho-ERK1/2 in a dose-dependent manner, also inhibited phospho-MEK1/2.

In Vivo

Everafenib (50 mg/kg; IP; once daily, for 5 days) increases median survival of melanoma mice from 39 to 50.5 days[1].

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

Animal Model:	Female athymic nude mice (intracranially injected with 5×10^4 A375 melanoma cells)[1]
Dosage:	50 mg/kg
Administration:	IP; once daily, for 5 days
Result:	Increased median survival from 39 to 50.5 days, and outperformed Dabrafenib (HY-14660).

REFERENCES

[1]. Kelly AM, et al. Target-Agnostic P-Glycoprotein Assessment Yields Strategies to Evade Efflux, Leading to a BRAF Inhibitor with Intracranial Efficacy. J Am Chem Soc. 2022 Jul 13;144(27):12367-12380.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA