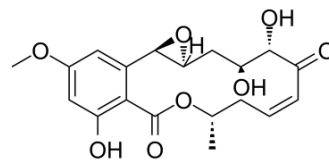


Hypothemycin

Cat. No.:	HY-107417
CAS No.:	76958-67-3
Molecular Formula:	C ₁₉ H ₂₂ O ₈
Molecular Weight:	378.37
Target:	VEGFR; MEK; FLT3; PDGFR; ERK
Pathway:	Protein Tyrosine Kinase/RTK; MAPK/ERK Pathway; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Hypothemycin, a fungal polyketide, is a multikinase inhibitor with K _i s of 10/70 nM, 17/38 nM, 90 nM, 900 nM/1.5 μM, and 8.4/2.4 μM for VEGFR2/VEGFR1, MEK1/MEK2, FLT-3, PDGFRβ/PDGFRα, and ERK1/ERK2, respectively ^{[1][2]} .			
IC₅₀ & Target	VEGFR2 10 nM (Ki)	VEGFR1 70 nM (Ki)	MEK1 17 nM (Ki)	MEK2 38 nM (Ki)
	FLT-3 90 nM (Ki)	PDGFRα 1.5 μM (Ki)	PDGFRβ 900 nM (Ki)	ERK1 8.4 μM (Ki)
	ERK2 2.4 μM (Ki)			
In Vitro	Hypothemycin inhibits eosinophilic EOL1 cell carrying mutations in FIP1L1-PDGFRα, acute myeloid leukemia MV-4-11 cell carrying mutations in FLT3-ITD, melanoma COLO829 cell carrying mutations in BRAF V600E, HUVEC cell carrying mutations in VEGFR, mastocytoma P815 cell carrying mutations in c-KIT D814Y, NSCLC A459 cell carrying mutations in KRAS, and ovarian SKOV-3 cell carrying mutations in HER2 with IC ₅₀ s of 0.4 nM, 6 nM, 50 nM, 70 nM, 370 nM, 6.0 μM, and 7.0 μM, respectively ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Hypothemycin (10 mg/kg) kills <i>T. brucei</i> in infected mice, completely curing the infection in one third of animals, although high doses of Hypothemycin (>10 mg/kg) leads to side effects ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
	Animal Model:	Adult female Balb/c mice (weighing 18–22 g) infected with <i>T. brucei</i> ^[1]		
	Dosage:	10 mg/kg		
	Administration:	Administered once daily via intraperitoneal injection for 7 days.		
	Result:	Showed a dose-dependent reduction in parasitemia in infected mice. Prolonged survival of infected mice over 30 days, with a cure rate of 33%.		

REFERENCES

- [1]. Schirmer A, et al. Targeted covalent inactivation of protein kinases by resorcylic acid lactone polyketides. *Proc Natl Acad Sci U S A*. 2006 Mar 14;103(11):4234-9.
- [2]. Nishino M, et al. Hypothemycin, a fungal natural product, identifies therapeutic targets in *Trypanosoma brucei*. *Elife*. 2013 Jul 9;2:e00712.
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Caution: Product has not been fully validated for medical applications. For research use only.

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