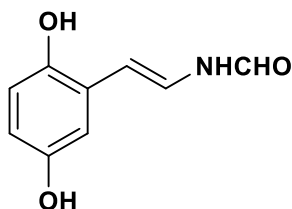


PRODUCT DATA SHEET

Erbstatin

(Tyrosine kinase inhibitor)



Specifications

Code No.	: 14697
CAS#	: 100827-28-9
Molecular Formula	: C ₉ H ₉ NO ₃
Molecular Weight	: 179.175
Source	: <i>Streptomyces</i> sp. MH435-hF3
Supplied as	: Powder
Purity	: > 98% (HPLC)
Long Term Storage	: at -20 °C
Solubility	: Soluble in MeOH, DMSO, Acetone Insoluble in Hexane, H ₂ O

The chemical structure was confirmed by NMR and HRMS.

Application Notes

Erbstatin was isolated from the culture filtrate of *Streptomyces* sp. MH435-hF3 as an inhibitor of epidermal growth factor (EGF) receptor associated tyrosine kinase.¹⁻³⁾ It inhibits EGF receptor-autophosphorylation with IC₅₀ of 0.55 µg/ml in *vitro*, but it does not inhibit cyclic AMP dependent protein kinase.¹⁾ Erbstatin inhibits the growth of human epidemoid carcinoma (A-431 cells) (IC₅₀ 3.6 µg/ml) and IMC-carcinoma cells (IC₅₀ 3.01 µg/ml).¹⁾ It has weak antibacterial activity and low toxicity (LD₅₀ >200 mg/kg mice ip).¹⁾ Erbstatin shows antineoplastic activity against L-1210 mouse leukemia when it is injected together with foroxymithine which stabilizes erbstatin in serum.⁴⁾

References

- 1) Studies on a new epidermal growth factor-receptor kinase inhibitor, erbstatin, produced by MH435-hF3. Umezawa H, *et al. J Antibiot.* 1986 **39**(1) 170-173.
- 2) The structure of an epidermal growth factor-receptor kinase inhibitor, erbstatin. Nakamura H, *et al. J Antibiot.* 1986 **39**(2) 314-315.
- 3) Kinetic studies of tyrosine kinase inhibition by erbstatin. Imoto M, *et al. J Antibiot.* 1987 **40**(10) 1471-1473.
- 4) Antitumor activity of erbstatin, a tyrosine protein kinase inhibitor. Imoto M, *et al. Jpn J. Cancer Res.* 1987 **78**(4) 329-332.