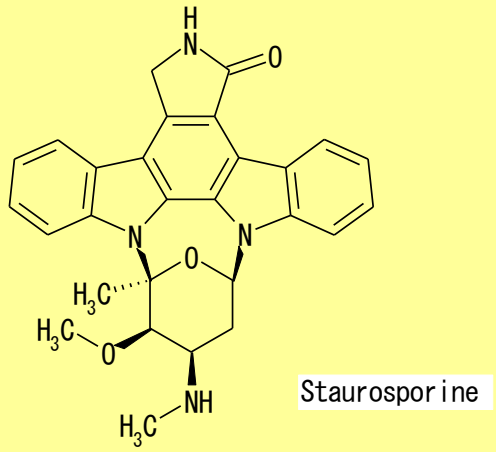
Staurosporine	Cat.# BLS0590

Structure



Staurosporine

Origin: from *Saccharothrix aerocolonigenes* subsp. *staurosporeus* AM-2282

CAS Registry Number: 62996-74-1

CA Index Name:

Appearance: Pale yellow crystals

Molecular Formula/ Weight: $C_{28}H_{26}N_4O_3$=466.20

Melting Point: 205-210°C(dec.)	**Purity:** >98% by HPLC

Solubility: Sol. in DMSO, DMF, Slightly sol. in MeOH, Chloroform

pKa:	**log P:** 4.4

Background Information:

Staurosporine was originally isolated from a culture broth of *Saccharothrix aerocolonigenes* subsp. *staurosporeus* AM-2282 while screening for microbial alkaloids. Staurosporine was discovered to have biological activities ranging from anti-fungal to anti-hypertensive[1)]. The structure and absolute configuration of staurosporine was elucidated by X-ray crystallographic analysis [2–4)]. The first total synthesis was reported by Danishefsky et al[5)]. Furthermore, Sturosporine was found to inhibit protein kinase C, IC50 =2.7 nM (rat brain)[6)]. Staurosporine was also found to be a potent relaxant of rabbit aortic strips contracted by various agonists[7)]. Staurosporine exerts it's biological effect by interacting, or binding, with a biological target such as a kinase through the prevention of ATP binding to the kinase. This is achieved through the stronger affinity of staurosporine to the ATP-binding site on the kinase. This image was obtained by crystallisation of the kinase with staurosporine followed by x-ray diffraction[8)].

Staurosporine analogues, 7-Hydroxy-Staurosporine(UCN01) and N-Benzyl-Staurosporine(CGP 41251) are currently under clinical investigation as potential anticancer drugs[9)].

Handling and Storage:

Store at -20℃.

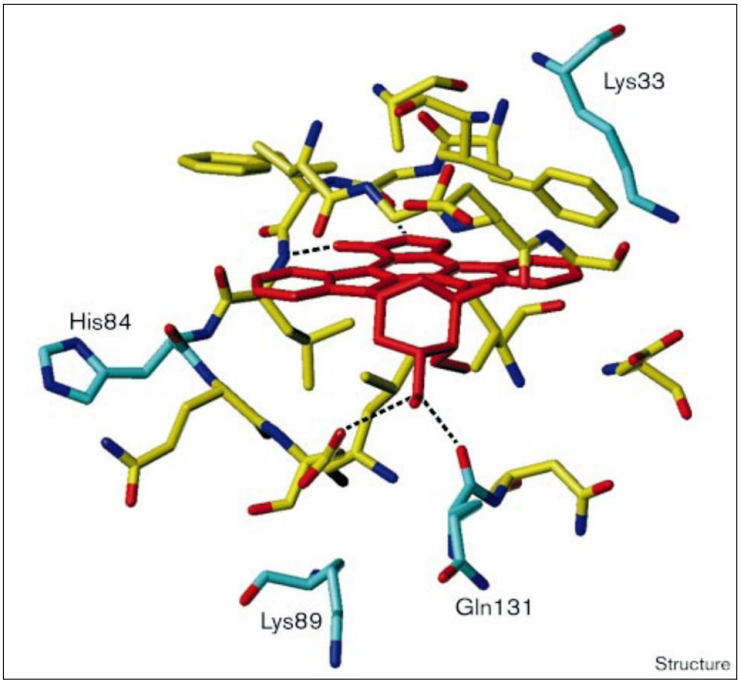
References:

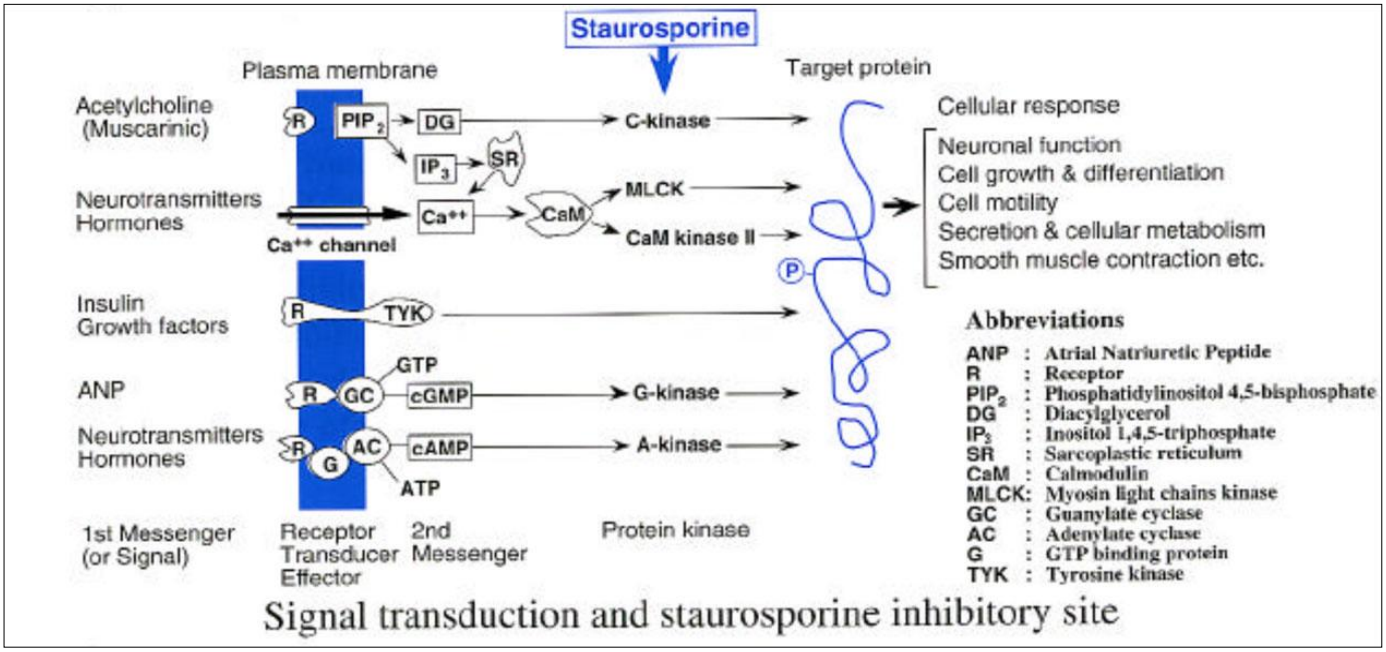
1. S. Ōmura et al., J.Antibiot., **30**, 275 (1977).
2. A. Furusaki et al., J.Chem.Soc., Chem.Commun., **1978**, 800 (1978).
3. A. Furusaki et al., Bull Chem.Soc.Jpn., **55**, 3681 (1982).
4. N. Funato et al., Tetrahedron Lett., **35**, 1251 (1994).
5. J. T. Link et al., J.Am.Chem.Soc., **117**, 552 (1995).
6. T. Tamaoki et al., Biochem.Biophys.Res.Commun., **135**, 397 (1986).
7. Y. Sasaki et al., Eur.J.Phamacol., **202**, 367 (1991).
8. L. Prade, et al., Structure (London) **5**, 1627-1637 (1997).
9. G. Caravatti et al., Med.Chem.Lett., **4**, 399 (1994).
10.H. Nakano & S. Ōmura, J.Antibiot., **62**, 17 (2009).

Also available related library ! Please inquire.

Manufactured with Cortesy strain from The Kitasato Institute.

(ID#: AM-2282-01)





Signal transduction and staurosporine inhibitory site