Web: www.taiclone.com
Tel: +886-2-2735-9682
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Eribulin

Catalog No: tcsc2802

Available Sizes

Size: 1mg

Specifications

CAS No:
253128-41-5

Formula:
$C_{40}H_{59}NO_{11}$

Pathway:
Cell Cycle/DNA Damage;Cytoskeleton

Target:
Microtubule/Tubulin;Microtubule/Tubulin

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
B1939;E7389;ER-086526

Observed Molecular Weight:
729.9

Product Description

Eribulin (E7389; ER-086526), a synthetic analogue of halichondrin B in phase III clinical trials for breast cancer, binds to tubulin and microtubules.

Target: Microtubule/Tubulin

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Eribulin suppressed centromere dynamics at concentrations that arrest mitosis. At 60 nmol/L eribulin (2 x mitotic IC(50)), the relaxation rate was suppressed 21%, the time spent paused increased 67%, and dynamicity decreased 35% (but without reduction in mean centromere separation), indicating that eribulin decreased normal microtubule-dependent spindle tension at the kinetochores, preventing the signal for mitotic checkpoint passage [1]. [(3)H]eribulin binds soluble tubulin at a single site; however, this binding is complex with an overall K(d) of 46 microM, but also showing a real or apparent very high affinity (K(d) = 0.4 microM) for a subset of 25% of the tubulin. Eribulin also binds microtubules with a maximum stoichiometry of 14.7 +/- 1.3 molecules per microtubule (K(d) = 3.5 microM), strongly suggesting the presence of a relatively high-affinity binding site at microtubule ends. At 100 nM, the concentration that inhibits microtubule plus end growth by 50%, we found that one molecule of eribulin is bound per two microtubules, indicating that the binding of a single eribulin molecule at a microtubule end can potently inhibit its growth. Eribulin does not suppress dynamic instability at microtubule minus ends [2]. Eribulin\'s in vivo superiority derives from its ability to induce irreversible mitotic blockade, which appears related to persistent drug retention and sustained Bcl-2 phosphorylation [3].