

Amphethinile

Catalog No: tcsc0018184



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg



Specifications

CAS No:

91531-98-5

Formula:

$C_{15}H_{11}N_3S$

Pathway:

Cell Cycle/DNA Damage;Cytoskeleton

Target:

Microtubule/Tubulin;Microtubule/Tubulin

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

Amphetinile;CRC 82-07

Observed Molecular Weight:

265.33

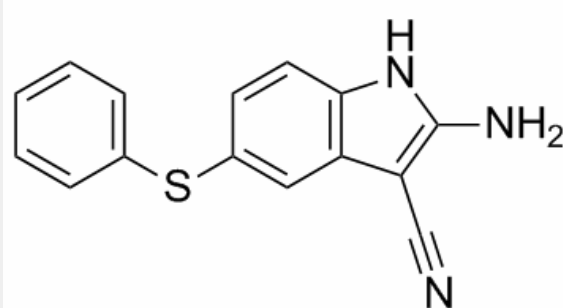
Product Description

Amphethinile is an **anti-tubulin** agent. The affinity constant for the association (K_a) of Amphethinile with tubulin is 1.3 μM .

IC50 & Target: K_a : 1.3 μM (Tubulin)^[1]

In Vitro: Amphethinile shows a remarkable similarity to colchicine in terms of its binding to tubulin and inhibition of microtubular assembly. Amphethinile binds strongly to microtubule protein ($K_a=1.3 \mu\text{M}$). This interaction has been shown to be capable of inhibiting tubulin assembly, but shows no rapid stimulation of disassembly when added to assembled tubulin. The concentration of amphethinile required to inhibit assembly by 50% (12 μM) is very similar to that for colchicine (11 μM). Amphethinile has been shown to be capable of competing for colchicine binding sites but not for those of the vinca alkaloids. Amphethinile can also be shown to stimulate the GTPase activity of tubulin in a manner similar to that observed for combretastatin A4 and 2-methoxy-5-(2',3',4'-trimethoxyphenyl) tropolone (MTPT)^[1]. Amphethinile has been shown to cause a G2/M phase block in the cell cycle. In addition, this agent has been shown to be equally toxic toward parental and daunorubicin-resistant P388 cells. Whereas resistance in this cell line is associated with decreased drug accumulation in the case of daunorubicin, vincristine and vinblastine, this effect is much less pronounced for amphethinile^[2].

In Vivo: Pharmacokinetic studies in male mice are undertaken. Area under the curve values (AUC), show that levels of 313 $\mu\text{g/L}$ per hour are attained at doses equivalent to the LD_{10} . The alpha half life is 8 min after a bolus intravenous injection. The beta half life is 100 min and relatively independent of dose level^[2].



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