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产品名称: **EBE-A22**
产品别名: **EBE-A22**

生物活性:

Description

EBE-A22 is a derivative of PD 153035 which can inhibit ErbB-1-phosphorylation, whereas EBE-A22 is inactive. IC50 value: Target: The brominated anilinoquinazoline derivative PD153035 exhibits a very high affinity and selectivity for the epidermal growth factor receptor tyrosine kinase (EGF-R TK) and shows a remarkable cytotoxicity against several types of tumor cell lines. In contrast, its N-methyl derivative, designated EBE-A22, has no effect on EGF-R TK but maintains a high cytotoxic profile. The present study was performed to explore the possibility that PD153035 and its N-methyl analogue might interact with double-stranded DNA, which is a primary target for many conventional antitumor agents. We studied the strength and mode of binding to DNA of PD153035 and EBE-A22 by means of absorption, fluorescence, and circular and linear dichroism as well as by a relaxation assay using human DNA topoisomerases. The results of various optical and gel electrophoresis techniques converge to show that both drugs bind to DNA and behave as typical intercalating agents. In particular, EBE-A22 unwinds supercoiled plasmid, stabilizes duplex DNA against heat denaturation, and produces negative CD and ELD signals, as expected for an intercalating agent. Extensive DNase I footprinting experiments performed with a large range of DNA substrates show that EBE-A22, but not PD153035, interacts preferentially with GC-rich sequences and discriminates against homooligomeric runs of A and T which are often cut more readily by the enzyme in the presence of the drug compared to the control.

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (133.61 mM; Need ultrasonic)

H₂O : < 0.1 mg/mL (insoluble)

	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
Preparing	1 mM	2.6722 mL	13.3608 mL	26.7215 mL	
Stock Solutions	5 mM	0.5344 mL	2.6722 mL	5.3443 mL	
	10 mM	0.2672 mL	1.3361 mL	2.6722 mL	

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。

储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:

——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.68 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.68 mM, 饱和度未知) 的澄清溶液。



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	以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。 2. 请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: $\geq$ 2.5 mg/mL (6.68 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (6.68 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Goossens JF, et al. DNA interaction of the tyrosine protein kinase inhibitor PD153035 and its N-methyl analogue. Biochemistry. 2001 Apr 17;40(15):4663-71.

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