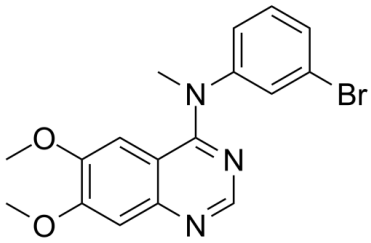
Data Sheet

Product Name:	EBE-A22
Cat. No.:	CS-1603
CAS No.:	229476-53-3
Molecular Formula:	$C_{17}H_{16}BrN_3O_2$
Molecular Weight:	374.23
Target:	Others
Pathway:	Others
Solubility:	DMSO : 50 mg/mL (133.61 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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.

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.

CAIndexNames:

4-QuinazEBE-A 22olinamine, N-(3-bromophenyl)-6,7-dimethoxy-N-methyl-

SMILES:

BrC1=CC(N(C)C2=NC=NC3=CC(OC)=C(OC)C=C23)=CC=C1

Caution: Product has not been fully validated for medical applications. For research use only.

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