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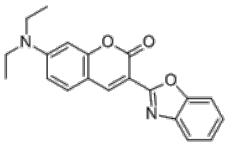
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	EMI1
Cat. No.	:	PC-72416
CAS No.	:	35773-42-3
Molecular Formula	:	$C_{20}H_{18}N_2O_3$
Molecular Weight	:	334.375
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

EMI1 (EGFR MaMTH Inhibitor 1, ChemBridge 5213777) is an **EGFR** ex19del/T790M/C797S and EGFR L858R/T790M/C797S activating mutant inhibitor, inhibits viability of PC9 EGFR ex19del/T790M/C797S with EC50 of 115 nM, potently reducing the interaction of EGFR triple mutant with Shc1 in MaMTH-DS assays.
EMI1 binds to Coatomer Protein Complex Beta 2 (**COPB2**) with KD of 3.98 uM.
EMI1 strongly inhibit the viability and increase the caspase 3/7 activity of PC9 EGFR ex19del/T790M/C797S triple mutant cells than non-cancerous human bronchial epithelial (HBE) cells.
EMI1 inhibited PC9 EGFR ex19del/T790M/C797S organoid growth with EC50 of 131 nM.
EMI1 exerts an inhibitory effect on the uptake and distribution of activated, mutant EGFR receptor in early endosomes.
EMI1 attenuates RTK expression and signalling and alters the electrophoretic mobility of Coatomer Protein Complex Beta 2 (COPB2) in lung cancer cells.

References

Punit Saraon, et al. ***Nat Chem Biol.*** 2020 May;16(5):577-586.

Saraon P, et al. ***J Mol Biol.*** 2021 Nov 19;433(23):167294.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com