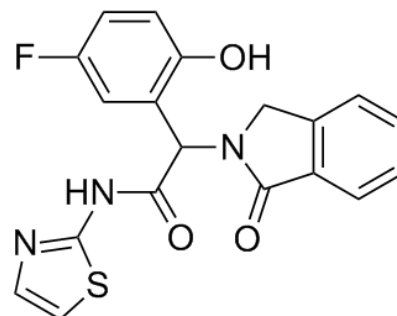


Product Name : EAI-045
Cat. No. : PC-42087
CAS No. : 1942114-09-1
Molecular Formula : C₁₉H₁₄FN₃O₃S
Molecular Weight : 383.3961
Target : EGFR
Solubility : DMSO: ≥ 28 mg/mL



Biological Activity

EAI-045 (EAI045) is a potent, mutant-selective allosteric **EGFR** inhibitor with IC₅₀ of 3 nM for double mutant L858R/T790M in biochemical assays at 1 mM ATP.

EAI-045 (EAI045) displays >1000-fold selectivity versus wild type EGFR, also inhibits L858R and T790M with IC₅₀ of 76 and 49 nM.

EAI-045 (EAI045) potently inhibits EGFR Y1173 phosphorylation in H1975 cells (EC₅₀=2 nM).

EAI-045 (EAI045) exhibits true mechanistic synergy combined with cetuximab in mouse models of lung cancer driven by EGFR(L858R/T790M) and by EGFR(L858R/T790M/C797S).

References

Jia Y, et al. *Nature*. 2016 Jun 2;534(7605):129-32.

Wang S, et al. *J Hematol Oncol*. 2016 Jul 22;9(1):59.

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

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