

## **Data Sheet**

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 EAI-045

 Cat. No.
 :
 PC-42087

 CAS No.
 :
 1942114-09-1

 Molecular Formula
 :
 C<sub>19</sub>H<sub>14</sub>FN<sub>3</sub>O<sub>3</sub>S

 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!

E-mail: tech@probechem.com