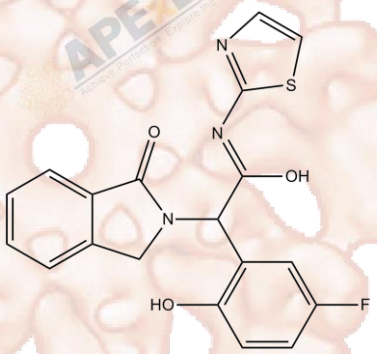
Product Data Sheet

EAI045

Cat. No.: B6054
CAS No.: 1942114-09-1
Formula: C19H14FN3O3S
M.Wt: 383.40
Synonyms:
Target: Tyrosine Kinase
Pathway: EGFR
Storage: Store at -20°C



Solvent & Solubility

In Vitro

⩾38.3 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.6082 mL	13.0412 mL	26.0824 mL
5 mM	0.5216 mL	2.6082 mL	5.2165 mL
10 mM	0.2608 mL	1.3041 mL	2.6082 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Inhibitor of L858R/T790M EGFR mutants
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	EGFR mutant cell lines (H1975 and H3255); HaCaT cells
Preparation method:	This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	0-100 μM; 3 days

	Applications:	In H1975 cells, EAI045 potently decreased, but did not completely eliminate EGFR autophosphorylation and potently inhibited EGFR Y1173 phosphorylation with EC50 value of 2 nM. In the H1975 and H3255 cell lines, EAI045 (10 μM) showed no anti-proliferative effect. EAI045 inhibited proliferation of L858R/T790M and L858R mutant cells, but not the exon19del/T790M or parental Ba/F3 cells, suggesting the on-target, mutant selective activity.
In Vivo	**Animal experiment**	
	Animal models:	EGFR-TL (L858R/T790M) and EGFR-TD (exon19del/T790M) mice
	Dosage form:	60 mg/kg daily by oral gavage; dissolved in 10% NMP (10% 1-methyl-2-pyrrolidinone: 90% PEG-300); 4-weeks
	Applications:	In EGFR L858R/T790M-mutant lung cancer mouse model, EAI045 revealed a maximal plasma concentration of 0.57 μM, a half-life of 2.15 h, and oral bioavailability of 26% after dosing at 20 mg/kg. The combination of EAI045 (60 mg/kg) and cetuximab exhibited striking tumor regressions. EAI045 in combination with cetuximab effectively inhibited phosphorylation of EGFR and downstream signaling proteins.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Jia Y, Yun CH, Park E et al. Overcoming EGFR(T790M) and EGFR(C797S) resistance with mutant-selective allosteric inhibitors. Nature. 2016 May 25;534(7605):129-32.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com