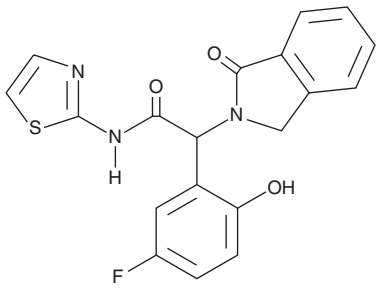
PRODUCT INFORMATION

EAI045

Item No. 19719

CAS Registry No.:	1942114-09-1
Formal Name:	α-(5-fluoro-2-hydroxyphenyl)-1,3-dihydro-1-oxo-N-2-thiazolyl-2H-isoindole-2-acetamide
MF:	$C_{19}H_{14}FN_3O_3S$
FW:	383.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 203, 270 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

EAI045 is supplied as a crystalline solid. A stock solution may be made by dissolving the EAI045 in the solvent of choice, which should be purged with an inert gas. EAI045 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of EAI045 in ethanol is approximately 1 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of EAI045 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of EAI045 in PBS, pH 7.2, is approximately 0.1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

EAI045 is a potent and selective inhibitor of mutant EGF receptors (EGFRs; IC_{50}s = 0.076, 0.049, 0.002, and 1.6 µM for recombinant $EGFR^{L858R}$, $EGFR^{T790M}$, $EGFR^{L858R/T790M}$, and wild-type EGFR, respectively).[1] It inhibits phosphorylation of EGFR in a concentration-dependent manner in H1975 cells. EAI045 (0.01-10 µM) reduces proliferation of Ba/F3 cells expressing $EGFR^{L858R/T790M}$ and $EGFR^{L858R/T790M/I941R}$. *In vivo*, EAI045 induces tumor regression and reduces EGFR signaling in mice bearing $EGFR^{L858R/T790M}$, $EGFR^{Exon19del/T790M}$, and $EGFR^{L858R/T790M/C797S}$ tumors when administered at a dose of 60 mg/kg per day in combination with cetuximab.

Reference

1. Jia, Y., Yun, C.H., Park, E., *et al.* Overcoming EGFR(T790M) and EGFR(C797S) resistance with mutant-selective allosteric inhibitors. *Nature* **534(7605)**, 129-132 (2016).

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