HX103

Cat. No.: HY-152098

CAS No.: 2566466-98-4

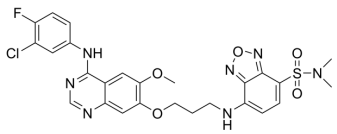
Molecular Formula: $C_{26}H_{25}ClFN_7O_5S$

Molecular Weight: 602.04

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

HX103 is an epidermal growth factor receptor (EGFR)-tyrosine kinase inhibitor (TKI)-based fluorogenic probe. HX103 inhibits EGFR 19 del, EGFR L858R, EGFR wild type and EGFR T790M with IC_{50}s of 1.3, 1.5, 4.0 and 977 nM, respectively. HX103 can be used for quantifying active-EGFR to predict agent sensitivity in NSCLC patients with EGFR-activating mutations[1].

IC_{50} & Target

$EGFR^{del19}$	$EGFR^{L858R}$	$EGFR^{WT}$	$EGFR^{T790M}$
1.3 nM (IC_{50})	1.5 nM (IC_{50})	4.0 nM (IC_{50})	977 nM (IC_{50})

In Vitro

HX103 gives remarkable fluorescence enhancement in acetonitrile in contrast to the aqueous solution (PBS or H_2O) and possesses environment-sensitive properties with turn-on mechanism[1].

HX103 (5 μM) is non-fluorescent in PBS, but exhibits high fluorescence upon the addition of wild-type or mutant EGFR (L858R and 19del). HX103 is selective toward EGFR wild-type and primary mutants (L858R and 19del), but less sensitive to the acquired resistance mutation EGFR T790M[1].

HX103 has a slightly stronger binding affinity to EGFR L858R (K_d = 0.8 ± 0.3 μM) and EGFR 19del (K_d = 1.1 ± 0.2 μM), when compared with EGFR wild-type (K_d = 2.7 ± 0.4 μM) and the acquired resistance mutation T790M (K_d = 6.6 ± 4.6 μM)[1].

HX103 (0.3-10 μM; 2 h) targets the active site of EGFR-tyrosine kinase and inhibits EGFR activation by competing with ATP[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HCC827
Concentration:	0.3, 1, 3 and 10 μM
Incubation Time:	2 h
Result:	Inhibited the phosphorylation of EGFR and the downstream proteins (without obviously affecting their total proteins' levels) in HCC827 cells (EGFR 19del).

REFERENCES

[1]. Deng H, et al. A fluorogenic probe for predicting treatment response in non-small cell lung cancer with EGFR-activating mutations. Nat Commun. 2022 Nov 14;13(1):6944.

Caution: Product has not been fully validated for medical applications. For research use only.

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