

Product Data Sheet

Product Name: HX103
Cat. No.: GC73382

Chemical Properties

Cas. No. 2566466-98-4

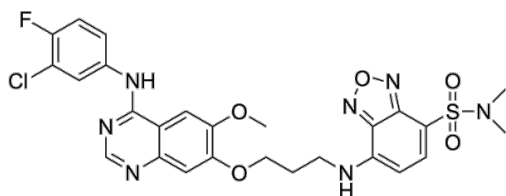
Formula $C_{26}H_{25}ClFN_7O_5S$ M.Wt 602.04

Solubility DMSO : 50 mg/mL (83.05 mM; Need ultrasonic) Storage -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

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 IC₅₀s of 1.3, 1.5, 4.0 and 977 nM, respectively. HX103 can be used for quantifying active-EGFR

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

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to predict agent sensitivity in NSCLC patients with EGFR-activating mutations.

HX103 gives remarkable fluorescence enhancement in acetonitrile in contrast to the aqueous solution (PBS or H₂O) and possesses environment-sensitive properties with turn-on mechanism[1]. HX103 (5 μ M) 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 ($K_d = 0.8 \pm 0.3 \mu$ M) and EGFR 19del ($K_d = 1.1 \pm 0.2 \mu$ M), when compared with EGFR wild-type ($K_d = 2.7 \pm 0.4 \mu$ M) and the acquired resistance mutation T790M ($K_d = 6.6 \pm 4.6 \mu$ M)[1]. HX103 (0.3-10 μ M; 2 h) targets the active site of EGFR-tyrosine kinase and inhibits EGFR activation by competing with ATP[1].

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.

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