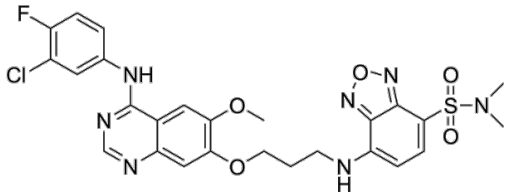
Product Data Sheet

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

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 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 (Kd = 0.8 ± 0.3 μM) and EGFR 19del (Kd = 1.1 ± 0.2 μM), when compared with EGFR wild-type (Kd = 2.7 ± 0.4 μM) and the acquired resistance mutation T790M (Kd = 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].

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.