TOCRIS
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Print Date: Jan 8th 2016

Certificate of Analysis

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Product Name: **GW 583340 dihydrochloride** **Catalog No.: 2239** **Batch No.: 4**

CAS Number: 1173023-85-2

IUPAC Name: *N*-[3-Chloro-4-[(3-fluorophenyl)methoxy]phenyl]-6-[2-[[[2-(methylsulfonyl)ethyl]amino]methyl]-4-thiazolyl]-4-quinazolinamine dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{28}H_{25}ClFN_5O_3S_2.2HCl$

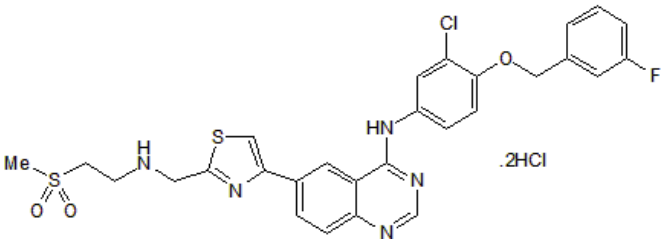
Batch Molecular Weight: 671.03

Physical Appearance: Yellow solid

Solubility: DMSO to 100 mM with gentle warming

Storage: Desiccate at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.47 (Chloroform:Methanol [9:1])

HPLC: Shows 97.3% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	50.12	4.06	10.43
Found	50.17	4.02	10.35

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Description:

Potent dual EGFR/ErbB2 tyrosine kinase inhibitor (IC_{50} values are 0.01 and 0.014 µM respectively). Selectively inhibits growth of human tumor cells overexpressing EGFR and ErbB2 (IC_{50} values are 0.11 µM for inhibition of HN5, N87 and BT474 tumor cell lines vs. > 30 µM for inhibition of non-tumor cell line HFF). Inhibits tumor growth in vivo by ~ 80% in a murine xenograft model following oral administration.

Physical and Chemical Properties:

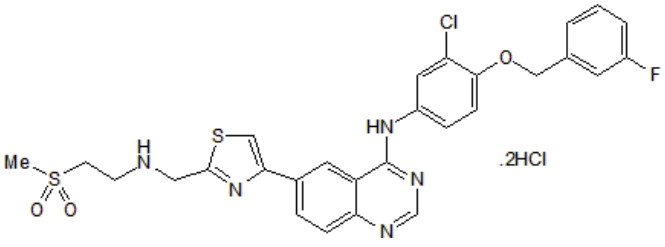
Batch Molecular Formula: $C_{28}H_{25}ClFN_5O_3S_2.2HCl$

Batch Molecular Weight: 671.03

Physical Appearance: Yellow solid

Minimum Purity: >97%

Batch Molecular Structure:



Storage: Desiccate at +4°C

Solubility & Usage Info:

DMSO to 100 mM with gentle warming

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Gaul *et al* (2003) Discovery and biological evaluation of potent dual ErbB-2/EGFR tyrosine kinase inhibitors: 6-thiazolylquinazolines. Bioorg.Med.Chem.Lett. ***13*** 637. PMID: 12639547.