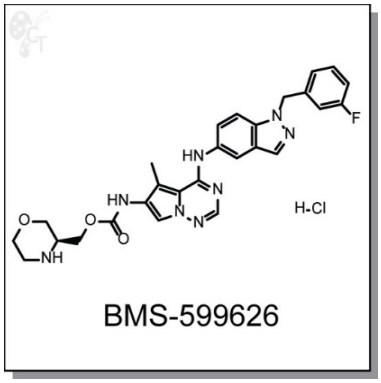
Product Specification Sheet

Product Name: BMS-599626

Catalog Number: C2599



Technical information:

Chemical Formula:	$C_{27}H_{27}FN_8O_3.HCl$
CAS #:	873837-23-1
Molecular Weight:	567.01
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	N-(4-(2-amino-3-chloropyridin-4-yloxy)-3-fluorophenyl)-4-ethoxy-1-(4-fluorophenyl)-2-oxo-1,2-dihydropyridine-3-carboxamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.176mL of DMSO for each mg of BMS-599626
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

BMS-599626 is a pyrrolotriazine-based inhibitor of HER1, HER2, and HER4 kinases at IC50s of 20 nM, 30 nM, and 190 nM, respectively. Aside from micromolar potency for Lck and MEK (4 uM, and 2.5 uM, respectively), it is highly selective (>40 uM) over a wide panel of kinases. [1] Studies indicate that BMS-599626 inhibits HER1 and HER2 through distinct mechanisms. BMS-599626 is believed to be ATP competitive for HER1, while ATP-non-competitive for HER2. [1] Proliferation of cell lines dependent on HER1 and HER2 was measured in the range of 0.24 to 1 uM; cell lines not dependent on HER signaling were not affected by BMS-599626.

In Sal2 tumor cell lines, BMS-599626 was found to inhibit phosphorylation of CD8HER2 and MAPK in a dose-dependent manner. [1] In OV202 cells, BMS-599626 has been shown to work in synergy with IGF-1R inhibitors by the mechanism of enhanced apoptosis. [2]

Reference:

1. Wong et al., Preclinical antitumor activity of BMS-599626, a pan-HER kinase inhibitor that inhibits HER1/HER2 homodimer and heterodimer signaling. Clin Cancer Res. 2006, 12, 6186-6193. Pubmed ID: 17062696

2. Haluska et al., HER receptor signaling confers resistance to the insulin-like growth factor-I receptor inhibitor, BMS-536924. Mol. Cancer Ther. 2008, 7, 2589-2598. Pubmed ID: 18765823

To reorder: http://www.cellagentech.com/BMS-599626/

For Technical Support: technical@cellagentech.com

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