

## **Product Specification Sheet**

Product Name: AS-703026 (Pimasertib)

Catalog Number: C2770

**Technical information:** 

Chemical Formula: C<sub>15</sub>H<sub>15</sub>FIN<sub>3</sub>O<sub>3</sub>

CAS #: 1236699-92-5

Molecular Weight: 431.2

Purity: > 99%

Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: (S)-N-(2,3-dihydroxypropyl)-3-(2-fluoro-4-iodophenylamino)isonicotinamide - See more at:

http://www.selleckchem.com/products/AS703026.html#sthash.ajQXgXLc.dpuf

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 0.232mL of DMSO for each mg of AS-703026 (Pimasertib).

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

**Biological Activity:** AS-703026 is an orally-available, allosteric inhibitor of MEK1/2 kinases. In multiple myeloma (MM)

cell lines, AS-703026 inhibits growth in a dose-dependent manner, with IC50s ranging from 5 nM to 2 uM. Furthermore in INA-6 and U266 cells, AS-703026 exhibited an IC50 of 10 nM and 5 nM, respectively. AS-703026 inhibits proliferation by mediating G0-G1 cell cycle arrest and also

AS-703026

induces apoptosis via caspase 3 and PARP cleavage in MM cells. (1)

AS-703026 specifically inhibits MEK's downstream target kinase ERK on the average of 5-fold more

potently than AZD6244. Additionally, cetuximab-resistant colorectal cancer cell lines were

inhibited by AS-703026, displaying its efficacy against K-ras mutations. (2)

Reference: 1. Kim et al., Blockade of the MEK/ERK signalling cascade by AS703026, a novel selective MEK1/2 inhibitor,

induces peliotropic anti-myeloma activity in vitro and in vivo. Br. J. Haematol. 2010, 149, 537-549. Pubmed

ID: 20331454

2. Yoon et al., MEK1/2 Inhibitors AS703026 and AZD6244 May Be Potential Therapies for KRAS Mutated Colorectal Cancer That Is Resistant to EGFR Monoclonal Antibody Therapy. Cancer Res. 2011, 71, 445-453.

Pubmed ID: 21118963

To reorder: <a href="http://www.cellagentech.com/AS-703026-Pimasertib/">http://www.cellagentech.com/AS-703026-Pimasertib/</a>

For Technical Support: technical@cellagentech.com

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