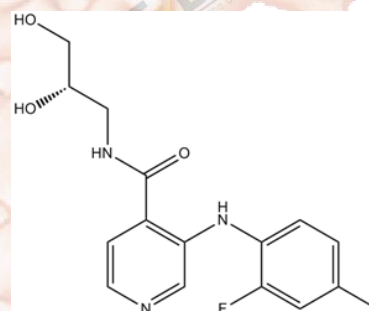


# Product Data Sheet

## Pimasertib (AS-703026)

<b>Cat. No.:</b>	A5573
<b>CAS No.:</b>	1236699-92-5
<b>Formula:</b>	C <sub>15</sub> H <sub>15</sub> FIN <sub>3</sub> O <sub>3</sub>
<b>M.Wt:</b>	431.2
<b>Synonyms:</b>	
<b>Target:</b>	MAPK Signaling
<b>Pathway:</b>	MEK1/2
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

≥21.55 mg/mL in DMSO; insoluble in H<sub>2</sub>O; ≥10.36 mg/mL in EtOH with ultrasonic

In Vitro

Preparing Stock Solutions	Mass			
	Solvent Concentration	1mg	5mg	10mg
	1 mM	2.3191 mL	11.5955 mL	23.1911 mL
	5 mM	0.4638 mL	2.3191 mL	4.6382 mL
	10 mM	0.2319 mL	1.1596 mL	2.3191 mL

Please refer to the solubility information to select the appropriate solvent.

### Biological Activity

Shortsummary

MEK1/2 inhibitor

IC<sub>50</sub> & Target

5 nM-2 μM (MEK1/2)

In Vitro

#### Cell Viability Assay

Cell Line:	human multiple myeloma (MM)
Preparation method:	The solubility of this compound in DMSO is >21.6 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	0.1-10 μM

	Applications:	In human multiple myeloma (MM), AS703026 (5, 0.5, and 0.1 $\mu$ M) inhibited growth and survival of MM cells and cytokine-induced osteoclast differentiation. In MM cells, AS703026 inhibited the growth of MM cell lines in a dose-dependent manner, with IC50s ranging from 0.005 to 2 $\mu$ M. The IC50s of AS703026 against INA-6, U266, H929 cells are 10 nM, 5 nM, and 200 nM, respectively. AS703026 induced apoptosis and modulated the cell cycle profile. AS703026 (10 $\mu$ mol/L) inhibited ERK pathway, proliferation, and transformation in cetuximab-resistant D-MUT cells.
In Vivo	<b>Animal experiment</b>	
	Animal models:	CB17 SCID mice bearing human H929 MM xenografts
	Dosage form:	Oral administration, 15, 30 mg/kg, twice daily
	Applications:	In the human H929 MM xenograft model in CB17 SCID mice, AS703026 (15, 30 mg/kg, twice daily, p.o.) significantly inhibited tumor growth in a time-dependent manner, with no significant body weight loss. AS703026-treated H929 tumors from mice exhibited increased cleaved caspase 3 and TUNEL. AS703026 treatment significantly reduced the percentage of CD34+ cells and microvascular density in H929 tumors. AS703026 (10 mg/kg, p.o.) inhibited tumor growth of cetuximab-resistant tumor attributed by K-ras mutation.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

## Product Citations

1. White SM, Avantiaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

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## References

- [1]. Kim K, et al. Blockade of the MEK/ERK signalling cascade by AS703026, a novel selective MEK1/2 inhibitor, induces pleiotropic anti-myeloma activity in vitro and in vivo. Br J Haematol, 2010, 149(4), 537-549.
- [2]. Yoon J, 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(2), 445-453.
- [3]. Park SJ, et al. The MEK1/2 inhibitor AS703026 circumvents resistance to the BRAF inhibitor PLX4032 in human malignant melanoma cells. Am J Med Sci. 2013 Dec;346(6):494-8.

## Caution

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**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

*Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.*

**APExBIO Technology**

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