

## Product Data Sheet

### Chemical Properties

**Product Name:** MPI-0441138

**Cas No.:** 827030-33-1

**M.Wt:** 299.8

**Formula:** C<sub>16</sub>H<sub>14</sub>ClN<sub>3</sub>O

**Synonyms:** EP128265

**Chemical Name:** 2-chloro-N-(4-methoxyphenyl)-N-methyl-4-quinazolinamine

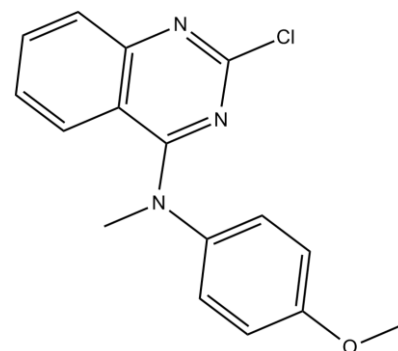
**Canonical SMILES:** ClC1=NC(=C2=CC=C(OC)C=C2)N(C)=NC3=CC=CC=C3

**Solubility:** Soluble in DMSO

**Storage:** Store at -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.

**Shopping Condition:** Evaluation sample solution : ship with blue ice  
All other available size: ship with RT, or blue ice upon request



### Biological Activity

**Targets :** Apoptosis

**Pathways:** Apoptosis Inducers

#### Description:

EC<sub>50</sub>: 2 nM for caspase activation

MPI-0441138 is an inducer of apoptosis and growth inhibition.

Apoptosis or programmed cell death is a process that organisms use to eliminate excessive cells and to control cell numbers. Caspases, a family of cysteine proteases, plays a critical role for the initiation as well as execution of apoptosis.

In vitro: MPI-0441138 was identified as a highly active inducer of apoptosis and as a potent inhibitor of cell proliferation in T47D cells. MPI-0441138 also inhibited tubulin polymerization

and was effective in cells overexpressing ABC transporter Pgp-1. It was found that the methyl group on the nitrogen linker was critical for the apoptosis-inducing activity [1].

In vivo: MPI-0441138 could inhibit tumor growth dose-dependently and produced >95% tumor growth inhibition with once weekly dosing at 10 mg/kg and was well tolerated. The maximum tolerated dose of MPI-0441138 was determined to be 25 mg/kg when dosed once weekly, resulting in a good therapeutic index of 2.5. In addition, MPI-0441138 at a dose of 2.5 mg/kg could produce 90% tumor growth inhibition in the MX-1 model when dosed once every day for 5 days for 2 weeks. Furthermore, in nude mice, MPI-0441138 significantly inhibited the growth of human PC-3 prostate cancer xenografts [1].

Clinical trial: Up to now, MPI-0441138 is still in the preclinical development stage.

**Reference:**

[1] N. Sirisoma, S. Kasibhatla, A. Pervin, et al. Discovery of 2-chloro-N-(4-methoxyphenyl)-N-methylquinazolin-4-amine (EP128265, MPI-0441138) as a potent inducer of apoptosis with high in vivo activity. *Journal of Medicinal Chemistry* 51, 4771-4779 (2008).

## Caution

**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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