Product Data Sheet

Product Name: MPI-0441138
Cat. No.: GC14050

Chemical Properties

Cas. No.	827030-33-1		
Chemical Name	2-chloro-N-(4-methoxyphenyl)-N-methyl-4-quinazolinamine		
SMILES	ClC(N=C1N(C2=CC=C(OC)C=C2)C)=NC3=C1C=CC=C3		
Formula	$C_{16}H_{14}ClN_3O$	M.Wt	299.8
Solubility	≤5mg/ml in ethanol;10mg/ml in DMSO;10mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MPI-0441138		

Background

EC50: 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].

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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).

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