Product Data Sheet

Product Name: Vacquinol-1
Cat. No.: GC17818

Chemical Properties

Cas. No.	5428-80-8		
Chemical Name	(2-(4-chlorophenyl)quinolin-4-yl)(piperidin-2-yl)methanol		
SMILES	OC(C1=CC(C2=CC=C(Cl)C=C2)=NC3=CC=CC=C13)C4NCCCC4		
Formula	$C_{21}H_{23}Cl_3N_2O$	M.Wt	425.78
Solubility	DMF: 10 mg/ml,DMF:PBS(pH 7.2) (1:1): 0.5 mg/ml,DMSO: 1 mg/ml,Ethanol: 0.25 mg/ml	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.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Caution: Product has not been fully validated for medical applications. For research use only.
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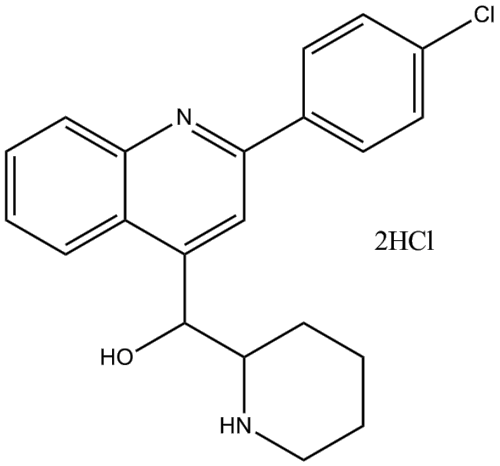
Structure



Background

IC50: 3.14 μM for glioma cells

Vacquinol-1 is a MAPK kinase 4 (MKK4) activator.

Mitogen-activated protein kinase (MAPK) is a type of protein kinase that is specific to the amino acids serine, threonine, and tyrosine. MAPKs regulate cell functions such asgene expression, mitosis, proliferation, differentiation, cell survival, as well as apoptosis.

In vitro: Previous study showed that vacquinol-1 displayed high cytotoxicity against glioma cells, resulting in a complete loss of viability as measured by ATP depletion. Vacquinol-1 could selectively target GCs in mixed cocultures with human fibroblasts. Moreover, vacquinol-1 had no effect on caspase activity at any concentration or time point, which was unlike that of staurosporin. In addition, the fluorescence staining and western blot analyses of GCs for activating MKK4 phosphorylation revealed a rapid and pronounced activation by vacquinol-1 at 7.5 μM [1].

In vivo: The ability of vacquinol-1 to attenuate tumor progression was previously tested in a mouse model for human GBM. Vacquinol-1 or vehicle (DMSO) were intracranially administered into the site of original cell deposit 6 weeks after engraftment. Results showed that tumors were invariantly

smaller, and the area of necrosis and hGFAP and hNestin immunoreactivity was significantly reduced. Moreove, only tumor cells in vacquinol-1-treated mice showed a massive LAMP1 staining [1].

Clinical trial: Up to now, vacquinol-1 is still in the preclinical development stage.

Reference:
[1] Kitambi SS et al. Vulnerability of glioblastoma cells to catastrophic vacuolization and death induced by a small molecule. Cell. 2014 Apr 10;157(2):313-28.