Product Data Sheet

Product Name: OXA-01
Cat. No.: GC15740

Chemical Properties

Cas. No.	936889-68-8		
Chemical Name	*trans*-4-[8-amino-1-(7-chloro-1H-indol-2-yl)imidazo[1,5-a]pyrazin-3-yl]-cyclohexanecarboxylic acid		
SMILES	OC([C@H]1CC[C@H](C2=NC(C3=CC4=C(C(Cl)=CC=C4)N3)=C5N2C=CN=C5N)CC1)=O		
Formula	$C_{21}H_{20}ClN_5O_2$	M.Wt	409.9
Solubility	≤3mg/ml in DMSO;1mg/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	OXA-01		

Background

OXA-01 is an ATP-competitive and selective inhibitor of both mTORC1 and mTORC2 with IC50 values of 29 nM and 7 nM, respectively [1].

The mammalian target of rapamycin (mTOR) is a serine/threonine kinase and exists in two complexes, mTORC1 and mTORC2. MTORC1 activation through PI3K and Akt controls cell growth and mTORC2 phosphorylates Akt, SGK1, and PKC to control cell survival and cytoskeletal organization [1].

OXA-01 is a dual inhibitor of mTORC1 and mTORC2, and inhibited mTOR kinase with IC50 value of 11 nM. In cell-based assays, OXA-01 inhibited mTOR signaling of phospho-4E-BP1 with IC50 value of 1.1 μM [1].

In GEO colorectal xenograft model, the median plasma concentration of OXA-01 was 25.6 μM at 1 hour and 13.2 μM at 8 hours, and OXA-01 slowed tumor growth. In RIP-Tag2

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pancreatic neuroendocrine tumors, OXA-01 reduced Akt, 4E-BP1 and S6K phosphorylation. OXA-01 also decreased cellular proliferation and increased apoptosis. OXA-01 reduced VEGF production, which was associated with decreased tumor angiogenesis [1].

Reference:

[1]. Falcon BL, Barr S, Gokhale PC, et al. Reduced VEGF production, angiogenesis, and vascular regrowth contribute to the antitumor properties of dual mTORC1/mTORC2 inhibitors. Cancer Res. 2011 Mar 1;71(5):1573-83.