Product Data Sheet

Product Name: ARQ 621
Cat. No.: GC16472

Chemical Properties

Cas. No.	1095253-39-6		
Chemical Name	(R)-N-(3-aminopropyl)-3-chloro-N-(1-(7-chloro-4-oxo-3-(phenylamino)-3,4-dihydroquinazolin-2-yl)but-3-yn-1-yl)-2-fluorobenzamide		
SMILES	C#CC[C@](N(C(C1=C(F)C(Cl)=CC=C1)=O)CCCN)([H])C(N2NC3=CC=CC=C3)=NC4=C(C2=O)C=CC(Cl)=C4		
Formula	$C_{28}H_{24}Cl_2FN_5O_2$	M.Wt	552.43
Solubility	Soluble in DMSO	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	ARQ 621		

Background

ARQ 621 is a novel, allosteric,potent and selective inhibitor of Eg5. Eg5 is a member of the mitotickinesin superfamily which plays a key role in mitosis. Eg5 is essential for the dynamic organization of the mitotic spindle. Over-expression of Eg5 leads to genomic instability and tumor formation [1].

In vitro: In human liver microsomes, t1/2 of ARQ 621 was 53 min. The t1/2value of ARQ 621 in male and female mouse, rat, dog and monkey liver microsomes was 43, 53, 56, 53, 47, 44, 36, and 32 minutes, respectively [1]. IC50value of ARQ 621 for CYP 1A2, 2C9, 2D6, 3A4, 2C19, and 2C8 was>20, >20, >20, 4.1, 4.0, and 15 μM, respectively. ARQ 621 showed anti-tumor activity with potencies in the low nanomolar range across a range of human solid and hematological malignanciescancer cell types such as colon, NSCLC, gastric, and hematologic cancer cell lines [1].

In vivo: Oral administration of ARQ 621 showed that the bioavailability of ARQ 621 was

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approximately 9% [1].

Clinical trial: ARQ 621 was currently being tested in a Phase I clinical trial in cancer patients. ARQ 621 (10 mg/m2/week) was administered weekly intravenously over 1-2 hours. Adverse events emerged in 95.9% patients. The main drug-related side-effects were fatigue, acute intravascular hemolysis, and abdominal pain. ARQ 621 appeared to be well tolerated at the weekly dose of 280mg/m2[2,3].

References:
[1].Savage R E, Zhong C, Hall T, et al. In vitro ADME properties of ARQ 621: A specific Eg5 inhibitor[J]. Cancer Research, 2010, 70(8 Supplement): 5783-5783.
[2].Rosen L, Chen L C, Iyengar T, et al. ARQ 621, a novel potent and selective inhibitor of Eg5: preclinical data and early results from a clinical phase 1 study[J]. Cancer Research, 2010, 70(8 Supplement): 2750-2750.
[3.Chen L C, Rosen L S, Iyengar T, et al. First-in-human study with ARQ 621, a novel inhibitor of Eg5: Final results from the solid tumors cohort[C]//ASCO Annual Meeting Proceedings. 2011, 29(15_suppl): 3076.