Product Data Sheet

Product Name: AAL-993
Cat. No.: GC10938

Chemical Properties

Cas. No.	269390-77-4		
Chemical Name	2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-benzamide		
SMILES	O=C(NC1=CC=CC(C(F)(F)F)=C1)C2=CC=CC=C2NCC3=CC=NC=C3		
Formula	$C_{20}H_{16}F_3N_3O$	M.Wt	371.4
Solubility	≤1mg/ml in ethanol;25mg/ml in DMSO;30mg/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	AAL-993		

Background

IC50: 130, 23, and 18 nM for VEGFR1, 2, and 3, respectively

AAL-993 is a VEGF receptor inhibitor.

A key pro-angiogenic cytokine released by tumor is vascular endothelial growth factor (VEGF). The angiogenic activity of the VEGF family of proteins is mediated by two high affinity receptors, VEGFR-1 and VEGFR-2 located on vascular endothelial cells.

In vitro: AAL-993 was found to be a highly potent and selective inhibitor of the recombinant VEGFR-2 and VEGFR-3 kinases. At 3- to 5-fold higher concentration, AAL-993 also inhibited VEGFR-1 and, although it possessed some activity against other members of the PDGFR kinase family at submicromolar concentrations, AAL-993 did not significantly inhibit any of the other kinases tested at concentrations <10 μM. In addition, AAL-993 was capable of penetrating cells and inhibit the VEGF-stimulated

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tyrosine autophosphorylation of human VEGFR-2 in CHO cells [1].

In vivo: Animal efficacy study found that AAL-993 was able to potently inhibit VEGF-induced angiogenesis in an implant model, with ED50 values of 7 mg/kg. Moreover, in a mouse orthotopic model of melanoma, AAL-993 could potently inhibit both the growth of the primary tumor as well as the formation of spontaneous peripheral metastases [1].

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Manley, P. W.,Furet, P.,Bold, G., et al. Anthranilic acid amides: A novel class of antiangiogenic VEGF receptor kinase inhibitors. Journal of Medicinal Chemistry 45(26), 5687-5693 (2002).

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