Product Data Sheet

Product Name: E7090 succinate
Cat. No.: GC62696

Chemical Properties

Cas. No.	1879965-80-6		
Formula	$C_{32}H_{37}N_5O_6 \cdot 3/2C_4H_6O_4$	M.Wt	764.82
Solubility		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	E7090 succinate		

Background

E7090 succinate is an orally available, selective and potent inhibitor of FGFR1, FGFR2 and FGFR3 tyrosine kinase activities, with IC50 values of 0.71 nM, 0.50 nM, 1.2 nM, and 120 nM for FGFR1/2/3/4, respectively[1].

E7090 also inhibited the growth of SNU-16, human gastric cancer cell line harboring FGFR2 amplification with an IC50 value of 3 nM[1].E7090 succinate inhibited SNU-16 cell proliferation with an IC50 value of 5.7 nM[2]. E7090 inhibits proliferation of human cancer cell lines harboring various types of FGFRs gene abnormalities such as amplification, mutation, or translocation in vitro, which are confirmed by the inhibition of FGFR signaling[1].E7090 succinate has interaction kinetics with FGFR1 kinases intermediate between those of the two representative inhibitors, and the residence time of E7090 succinate is 19 minutes[1].

Pharmacodynamics analysis reveals that E7090 inhibits phosphorylation of FGFRs in SNU-16 xenograft tumors in a dose-dependent manner. Overall, the in vitro and in vivo studies confirm that E7090 is a potent and selective FGFRs inhibitor, showing promising antitumor activities with wider therapeutic windows in preclinical cancer models harboring FGFRs gene abnormalities[1]. E7090 (6.25-50 mg/kg, orally, once daily) treatment prolongs survival in a 4T1 mouse lung metastasis model[2.

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[1]. Saori Watanabe Miyano, et al. E7090: A potent and selective FGFR inhibitor with activity in multiple FGFR-driven cancer models with distinct mechanisms of activation. AACR 106th Annual Meeting 2015; April 18-22, 2015; Philadelphia, PA.
[2]. Saori Watanabe Miyano, et al. E7090, a Novel Selective Inhibitor of Fibroblast Growth Factor Receptors, Displays Potent Antitumor Activity and Prolongs Survival in Preclinical Models. Mol Cancer Ther. 2016 Nov;15(11):2630-2639.

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