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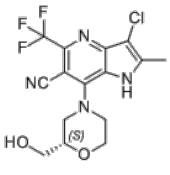
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PF-06869206
Cat. No.	:	PC-35086
CAS No.	:	2227425-05-8
Molecular Formula	:	$C_{15}H_{14}ClF_3N_4O_2$
Molecular Weight	:	374.748
Target	:	Sodium Channel
Solubility	:	10 mM in DMSO



Biological Activity

PF-06869206 (PF06869206) is a potent, selective, orally bioavailable inhibitor of sodium-phosphate cotransporter 2a (**NaPi2a**/SLC34A1) with IC50 of 380 nM, no inhibition against NaPi2b (SLC34A2) and NaPi2c (SLC34A3).
PF-06869206 displays excellent subtype selectivity against other sodium-phosphate cotransporters: NaPi2b, NaPi2c, PiT-1, and PiT-2 (SLC20A2).
PF-06869206 showed comparable submicromolar activity for the human, rat, and mouse NaPi2a isoforms (IC50=0.4-0.54 uM) and was selective over rodent NaPi2c, inhibited phosphate uptake in human proximal tubule cells.
PF-06869206 was well tolerated and elicited a dose-dependent increase in fractional phosphate excretion, lowered plasma phosphate levels in WT mice and in rats with chronic kidney disease (CKD), induced an unabated acute phosphaturic and hypophosphatemic effect in CKD rats.

References

Kevin J. Filipski, et al. ***ACS Med. Chem. Lett.,*** 2018, 9 (5), pp 440-445.
2. Clerin V, et al. ***J Clin Invest.*** 2020 Dec 1;130(12):6510-6522.
3. Thomas L, et al. ***Am J Physiol Renal Physiol.*** 2020 Sep 1;319(3):F541-F551.

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