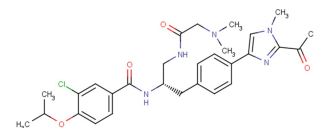


PF-2771

## Chemical Properties

CAS No.:	T12434
Formula:	C <sub>29</sub> H <sub>36</sub> ClN <sub>5</sub> O <sub>4</sub>
Molecular Weight:	554.08
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



## Biological Description

Description	PF-2771 is an inhibitor of centromere protein E (CENP-E)(IC <sub>50</sub> of 16.1 nM).
Targets(IC <sub>50</sub> )	CENP-E: 16.1 nM
In vitro	A potent, selective CENP-E inhibitor (PF-2771) was used to define the contribution of CENP-E motor function to basal-like breast cancer. Mechanistic evaluation of PF-2771 in basal-a tumor cells links CENP-E-dependent molecular events (e.g., phosphorylation of histone H3 Ser-10; phospho-HH3-Ser10) to functional outcomes (e.g., chromosomal congression defects). Across a diverse panel of breast cell lines, CENP-E inhibition by PF-2771 selectively inhibits proliferation of basal breast cancer cell lines relative to premalignant ones and its response correlates with the degree of chromosomal instability.
In vivo	Pharmacokinetic-pharmacodynamic efficacy analysis in a basal-a xenograft tumor model shows that PF-2771 exposure is well correlated with increased phospho-HH3-Ser10 levels and tumor growth regression. Complete tumor regression is observed in a patient-derived, basal-a breast cancer xenograft tumor model treated with PF-2771. Tumor regression is also observed with PF-2771 in a taxane-resistant basal-a model. Taken together, CENP-E may be an effective therapeutic target for patients with triple-negative/basal-a breast cancer.

## Solubility Information

Solubility	DMSO: 32 mg/mL (57.75 mM) ( < 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.805 mL	9.024 mL	18.048 mL
5 mM	0.361 mL	1.805 mL	3.61 mL
10 mM	0.18 mL	0.902 mL	1.805 mL
50 mM	0.036 mL	0.18 mL	0.361 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Kung PP, et al. Chemogenetic evaluation of the mitotic kinesin CENP-E reveals a critical role in triple-negative breast cancer. Mol Cancer Ther. 2014 Aug;13(8):2104-15.

Inhibitors · Natural Compounds · Compound Libraries

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