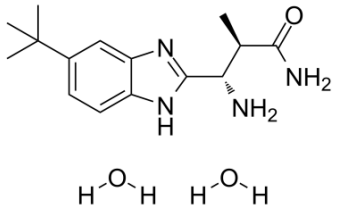
PF-06305591 dihydrate

Cat. No.:	HY-114301A
Molecular Formula:	$C_{15}H_{26}N_4O_3$
Molecular Weight:	310.39
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	PF-06305591 dihydrate is a potent and highly selective voltage gated sodium channel NaV1.8 blocker, with an IC_{50} of 15 nM. An excellent preclinical in vitro ADME and safety profile[1].
IC_{50} & Target	IC50: 15 nM (NaV1.8)[1].
In Vitro	PF-06305591 (compound 9) has a highly attractive profile with respect to NaV selectivity, hERG activity, passive permeability and in vitro metabolic stability[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-06305591 (compound 9) has good rat bioavailability. PF-06305591 offers the possibility of investigating higher IC_{50} multiples of Nav1.8 blockade in the clinic, and therefore a more thorough evaluation of the role of NaV1.8 in the treatment of pain[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Brown AD, et al. The discovery and optimization of benzimidazoles as selective NaV1.8 blockers for the treatment of pain. Bioorg Med Chem. 2019 Jan 1;27(1):230-239.

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