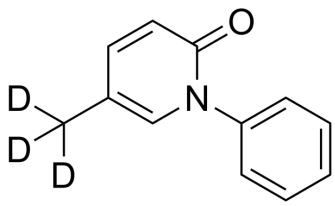
Deupirfenidone-d_3

Cat. No.:	HY-B0673S1
CAS No.:	1093951-85-9
Molecular Formula:	$C_{12}H_8D_3NO$
Molecular Weight:	188.24
Target:	Isotope-Labeled Compounds
Pathway:	Others
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (531.24 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	5.3124 mL	26.5618 mL	53.1237 mL
	5 mM	1.0625 mL	5.3124 mL	10.6247 mL
	10 mM	0.5312 mL	2.6562 mL	5.3124 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Deupirfenidone-d_3-d_3 is the deuterium labeled Pirfenidone (HY-B0673)[1].

In Vitro

Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1].

Pirfenidone (PFD) reduces the protein levels of the matrix metalloproteinase (MMP)-11, a TGF-β target gene and furin substrate involved in carcinogenesis. These data define PFD or PFD-related agents as promising agents for human cancers associated with enhanced TGF-β activity[2]. In RAW264.7 cells, a murine macrophage-like cell line, Pirfenidone suppresses the proinflammatory cytokine TNF-α by a translational mechanism, which is independent of activation of the MAPK2, p38 MAPK, and JNK. In the murine endotoxin shock model, Pirfenidone potently inhibits the production of the proinflammatory cytokines, TNF-α, interferon-γ, and interleukin-6, but enhances the production of the anti-inflammatory cytokine, interleukin-10[3]. Pirfenidone (PFD) shows its inhibitory effects on the proliferation of HLECs. Cell proliferation is attenuated in the 0.3 mg/mL group after 24 hours compare with the control group ($P=0.044$). The effect is more apparent in the 0.5 mg/mL group at 24, 48, and 72 hours ($P<0.05$). The proliferation is almost completely inhibited with 1 mg/mL PFD at all the time-points ($P<0.01$)[4].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Administration of Pirfenidone (300 mg/kg/day) for 4 wk. Pirfenidone significantly attenuates the score when administered in Bleomycin (BLM)-treated mice (P<0.0001). Moreover, collagen content is quantified in the lungs to evaluate the anti-fibrotic effects of Pirfenidone. The collagen content in the lungs of BLM-treated mice is significantly increased compared with that in saline- or Pirfenidone-treated mice, and this increase is significantly attenuated by Pirfenidone administration on day 28 after BLM treatment (P=0.0012)[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-243.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA