# Product Data Sheet

Product Name: PF-06445974
Cat. No.: GC69692

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 2055776-17-3 | | |
| Formula | $C_{20}H_{15}FN_4O$ | M.Wt | 346.36 |
| Solubility | DMSO : 33.33 mg/mL (96.23 mM; ultrasonic and warming and heat to 60°C) | 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 | PF-06445974 | | |

## Background

PF-06445974, a promising positron emission tomograp (PET) lead, has exquisite potency at **PDE4B** with an **$IC_{50}$** <1 nM. The $IC_{50}$ values are 36, 4.7 and 17 nM for PDE4D, PDE4A and PDE4C, respectively. PF-06445974 has good selectivity over PDE4D, excellent brain permeability, and a high level of specific binding in the "cold tracer" study[1].

PF-06445974 demonstrates minimal off-target activities in broad-spectrum selectivity panels, with only weak μM activities at PDE10 ($IC_{50}$=2290 nM), PDE5A ($IC_{50}$=4640 nM) and GABAA ($K_i$=3850 nM)[1].

PF-06445974 exhibits high central nervous system (CNS) PET MPO score (4.0). PF-06445974 is a promising radiotracer lead for specific binding assessment. Neuropharmacokinetic study in rats (0.1 mg/kg, IV) confirms high brain permeability with a total brain to plasma ratio of 0.76, corresponding to a free brain to plasma ratio of 0.70[1].

Animal Model: Twenty-five drug-naive male 129/B6 PDE4D KO mice (25-35 g)[1]


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|---|---|
| Dosage: | 10 μg/kg |
| Administration: | Dosed intravenously at 10 μg/kg in a 5 mL/kg dosing volume |
| Result: | Showed excellent brain uptake and reached peak concentrations at around 20 minutes. |

[1]. Lei Zhang,et al. The Discovery of a Novel Phosphodiesterase (PDE) 4B-Preferring Radioligand for Positron Emission Tomograp (PET) Imaging. J Med Chem.2017 Oct 26;60(20):8538-8551.


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