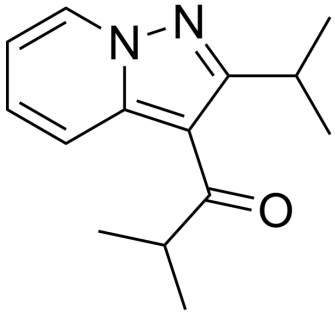
Ibudilast



Cat. No.:	HY-B0763
CAS No.:	50847-11-5
Molecular Formula:	$C_{14}H_{18}N_2O$
Molecular Weight:	230.31
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 140 mg/mL (607.88 mM)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.3420 mL	21.7099 mL	43.4197 mL
5 mM	0.8684 mL	4.3420 mL	8.6839 mL
10 mM	0.4342 mL	2.1710 mL	4.3420 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.67 mg/mL (11.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.67 mg/mL (11.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.67 mg/mL (11.59 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (10.85 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.85 mM); Clear solution
6. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: 0.5 mg/mL (2.17 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description	Ibudilast (KC-404; AV-411; MN-166) is a cyclic AMP phosphodiesterase (PDE) inhibitor. Ibudilast has platelet anti-aggregatory effects. Ibudilast can be used for the research of asthma for its inhibitory effects on tracheal smooth muscle contractility. Ibudilast may be a useful neuroprotective and anti-dementia agent counteracting neurotoxicity in activated microglia[1].
IC_{50} & Target	phosphodiesterase[1]
In Vitro	Ibudilast (1~100 μM; 24 hours; microglia) suppresses both IL-1β and IL-6 production at 100 μM, and significantly suppresses TNF-α production at 10 and 100 μM[1]. ?Ibudilast (1~100 μM; 48 hours; neuronal cells) significantly increases the neuronal survival rate. Ibudilast (1~100 μM; 48 hours; microglia) inhibits the production of superoxide and NO[1]. ?Ibudilast upregulates the production of IL-10 in a dose-dependent manner. Ibudilast increases NGF mRNA and protein levels and GDNF and NT-4 mRNA expression. Ibudilast decreases the apoptotic changes observed in the neuronal cells in a dose-dependent manner[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Microglia
Concentration:	1~100 μM
Incubation Time:	24 hours
Result:	Suppressed both IL-1β and IL-6 production at 100 μM, and significantly suppresses TNF-α production at 10 and 100 μM.

CUSTOMER VALIDATION

- Brain. 2022 Apr 12;awac136.
- Toxicol Appl Pharmacol. 2022 Jun 7;116112.
- Photochem Photobiol. 2016 Nov;92(6):816-825.
- bioRxiv. 2021 Apr 8.

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REFERENCES

[1]. Mizuno T, et al. Neuroprotective role of phosphodiesterase inhibitor ibudilast on neuronal cell death induced by activated microglia. Neuropharmacology. 2004 Mar;46(3):404-11.

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