

Product Name : AK106-001616

Synonyms : AK 106-001616

Cat No. M15184

CAS Number 590416-75-4

Molecular Formula : C26H25N3O3

: 427.50 Formula Weight

Chemical Name : 3-(3-amino-4-((2,3-dihydro-1H-inden-2-yl)oxy)-5-(1-methyl-1H-indazol-5-yl)phenyl)propanoic acid

> AK106-001616 (AK 106-001616) is a potent and selective inhibitor of cytosolic phospholipase A2 (cPLA2) with IC50 of 3.8 nM (human cPLA2 enzyme), also inhibited rat cPLA2 with IC50 of 4.3 nM, shows no significant activity against human iPLA2, bovine sPLA2 IB and PAF-AH (>10,000 fold selectivity); suppressed the release of AA from Ca2+ ionophore A23187-stimulated rat RBL-2H3 cells (IC50=5.5 nM), also suppressed the production of PGE2 by LPS-stimulated human PBMCs

Description

(IC50=5.1 nM) and the production of LTB4 by Ca2+ ionophore A23187-stimulated RBL-2H3 cells (IC50=2.6 nM); demonstrates in vivo efficacy for inflammation, neuropathic pain, and pulmonary fibrosis. Rheumatoid Arthritis Phase 2

Clinical

Pathway : Metabolic Enzyme/Protease

Target : Phospholipase

Receptor Phospholipase

Solubility

SMILES : Cn1ncc2cc(ccc12)c3cc(CCC(=O)O)cc(N)c3OC4Cc5cccc5C4

Storage : (-20℃)

Stability : ≥ 2 years

Reference

1. Shimizu H, et al. J Pharmacol Exp Ther. 2019 Apr 10. pii: jpet.118.255034.