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# LSD1 Inhibitor VII, SP-2509 - CAS 1423715-09-6 - Calbiochem A cell-permeable, potent, selective, reversible inhibitor of Lysine-Specific Demethylase 1 (LSD1, IC?? = 13 nM, Ki = 31 nM).

Art. ID SAF-5097030001

Unit EA

Description

A cell-permeable phenethylidene-benzohydrazide compound that acts as a potent, selective, reversible, and non-competitive inhibitor of Lysine-Specific Demethylase 1 (LSD1, IC50 = 13 nM, Ki = 31 nM). Exhibits excellent selectivity over monoamine oxidases A and B (IC50 >300 microM), lactate dehydrogenase, glucose oxidase, hERG, CYP1A2, CYP2D6 (IC50 &ge, 10 microM), CYP2C9 (IC50 = 8.04 microM) and CYP2C19 (IC50 = 9.76 microM). Inhibits CYP3A4 only at >200-fold higher concentration. Reduces the proliferation of several cancer cell lines, including AN3 Ca, BT-20, MCF-7, T-47D, HT29, MIA PaCa-2 and SK-N-MC (IC50 = 356, 489, 637, 649, 429, 468 and 329 nM, respectively)., A cell-permeable, lysine-specific demethylase 1 (LSD1) active site-targeting phenethylidene-benzohydrazide that inhibits LSD1 activity (IC50 = 13 nM) in a reversible and substrate non-competitive (Ki = 34 nM) manner, while inhibiting CYP3A4 only at much higher concentrations (IC50 = 2.61 microM) and displaying little or no potency towards CYP1A2/2C9/2C19/2D6. MAO-A/B, D-lactate dehydrogenase, glucose oxidase, and hERG (IC50 &ge,8.0 microM). Shown to enhance histone H3 Lys9 dimethylation (H3K9me2) in androgen-dependent prostate cancer VCaP cultures (1 to 10 microM) and effectively inhibits LSD1-dependent cancer growth (IC50 in nM = 329/SK-N-MC, 356/AN3 Ca, 429/HT29, 468/MIA PaCa-2, 489/BT-20, 612/HER218, 614/HCT 116, 637/MCF-7, 649/T-47D, 96 h).

| Text/Information | Analyte/Parameter | CAS number | Concentration/Value | Unit | Method | Source |
|---|---|---|---|---|---|---|
| | SP 2509 | [1423715-09-6] | | | | |